10/581322

Description IAP20 Rec'd PCT/PTO 01 JUN 2006

Isoxazole derivatives as peroxisome proliferator-activated receptors agonists

Field of the Invention

The present invention relates to new compounds which have an agonist activity of a peroxisome proliferator-activated receptor (referred to below as PPAR) and which are useful as a medicine.

Background of the Art

Peroxisome proliferators which proliferate an intracellular granule, peroxisome, are thought as important controlling elements of lipid metabolism. A nuclear receptor PPAR which is activated by the peroxisome proliferator has turned out to be a multifunctional receptor concerning incretion, metabolism, inflammation or the like. Therefore, the ligand is thought to be able to apply as various medicines and the number of researches is recently increasing.

The subtype genes of PPARs are found from various animal organs and formed a family. In mammals, PPARs are classified into three subtypes of PPARα, PPARδ (also referred to as PPARβ) and PPARγ.

The drugs of the fibrate group used as an antihyperlipemic drug are thought to show the activity by PPARα activation-mediated transcriptional enhancement of the gene group which improves serum lipid. Additionally, it is suggested that PPARα may relate to bone metabolism and expression of the activity of non-steroidal anti-inflammatory drugs.

The thiazolidindion compounds, which are improving drugs for insulin resistance, are ligands of PPARγ. As these compounds show hypoglycemic action, hypolipidemic action, adipocyte differentiation-inducing action or the like, PPARγ agonists are expected to develop as therapeutic agents for diabetes, hyperlipidemia, obesity or the like. Furthermore, PPARγ agonists are expected to be therapeutic agents for chronic pancreatitis, inflammatory colitis, glomerulosclerosis, Alzheimer's

disease, psoriasis, parkinsonism, Basedow's disease, chronic rheumatoid arthritis, cancer (breast cancer, colonic cancer, prostatic cancer or the like), sterility or the like.

It was reported that transgenic mice in which PPARδ is overexpressed specifically in adipocyte were difficult to get fat or the like. Therefore, PPARδ agonists can be used as an antiobestic drug or an antidiabetic drug. Additionally, PPARδ agonists are suggested the possibility as therapeutic agents for colonic cancer, osteoporosis, sterility, psoriasis, multiple sclerosis or the like.

Based on these findings, PPAR agonists are expected to be useful for treatment or prevention of hyperlipidemia, diabetes, hyperglycosemia, insulin resistance, obesity, arteriosclerosis, atherosclerosis, hypertension, syndrome X, inflammation, allergic disease (inflammatory colitis, chronic rheumatoid arthritis, chronic pancreatitis, multiple sclerosis, glomerulosclerosis, psoriasis or the like), osteoporosis, sterility, cancer, Alzheimer's disease, parkinsonism, Basedow's disease or the like (Non-Patent Document 1).

Patent Document 1 and Patent Document 2 disclosed various compounds with PPAR agonist activity, for example, isoxazole compounds. However, compounds having isoxazole skeleton and phenoxyacetic acid, phenylthio acetic acid or phenylamino-acetic acid skeleton such as compounds of the present invention were not disclosed. Furthermore, isoxazole compounds in Patent Document 2 have substituents on isoxazole in the different position compared to compounds of the present invention. Additionally, although PPARα and (or) PPARγ agonist activity of the compounds were recognized, no data of PPARδ agonist activity was disclosed. Furthermore, there was no data of isoxazole compounds even about PPARα or γ agonist activity. In a word, the PPAR agonist activity was not recognized.

Although Patent Document 3 disclosed isoxazole compounds, the compounds have substituents on isoxazole in the different position compared to compounds of the present invention. Furthermore, it was disclosed that the compounds are as ligands of FXR NR1H4 receptor and useful for hypercholesterolemia or hyperlipidemia. However, the PPAR agonist activity was not disclosed.

Although Patent Document 4 disclosed isoxazole compounds, the compounds

have substituents on isoxazole in the different position compared to compounds of the present invention. Additionally, it was disclosed that the compounds are useful for arteriosclerosis or hypertension. However, the PPAR agonist activity was not disclosed.

Patent Document 5 and 6 disclosed thiazole compounds, oxazole compounds and imidazole compounds with PPARδ agonist activity. However, isoxazole compounds were not suggested.

Patent Document 7 disclosed isoxazole compounds with cinnamic acid at the terminal position. It was disclosed that the compounds have thyroid receptor antagonist activity. However, the PPAR agonist activity was not disclosed.

Patent Document 8 disclosed isoxazole compounds. The disclosed compounds have hydrogen on the isoxazole ring when they have phenoxy acetic acid at the terminal position. Therefore, they are different from compounds of the present invention. The data of agonist activity of PPARα and PPARδ were disclosed.

Patent Document 1: WO99/11255

Patent Document 2: WO99/58510

Patent Document 3: WO03/15771

Patent Document 4: EP0558062

Patent Document 5: WO01/00603

Patent Document 6: WO02/14291

Patent Document 7: WO01/36365

Patent Document 8: WO03/084916

Non-Patent Document 1: Current Medicinal Chemistry, 2003, Vol. 10, 267-280

Disclosure of Invention

Problems to be solved by the Invention

The objection of the present invention is to provide good PPAR agonists.

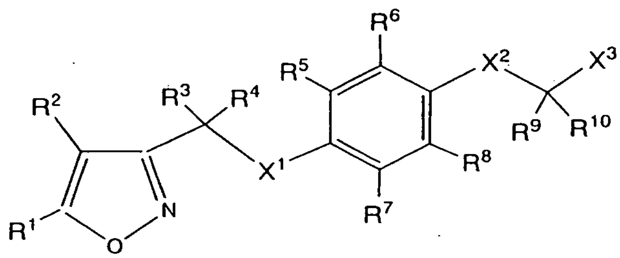
Means for Solving the Problem

The present inventors have intensively studied to synthesize new good PPAR

agonists as below. Compounds which have hydrogen at the 4 position of isoxazole and phenoxyacetic acid at the terminal are disclosed in Patent Document 8. However, the present inventors found that PPAR transcription activity of compounds, of which the hydrogen at the 4 position is substituted for the other substituent such as methyl, is greatly improved compared to the compounds before substitution. Furthermore, the inventors found that compounds, of which phenoxyacetic acid at the terminal is substituted for cinnamic acid, have the weaker drug metabolism enzyme inhibition than the compounds before substitution.

The present invention is,

(1) A compound of the formula (I):



(I)

(wherein

R^1 is halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, carboxy, optionally substituted lower alkoxycarbonyl, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted carbamoyl, optionally substituted thiocarbamoyl, optionally substituted carbamoyloxy, optionally substituted thiocarbamoyloxy, optionally substituted hydrazinocarbonyl, optionally substituted lower alkylsulfonyloxy, optionally substituted arylsulfonyloxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^2 is hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, carboxy, optionally substituted lower alkoxycarbonyl, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted carbamoyl, optionally substituted thiocarbamoyl, optionally

substituted carbamoyloxy, optionally substituted thiocarbamoyloxy, optionally substituted hydrazinocarbonyl, optionally substituted lower alkylsulfonyloxy, optionally substituted arylsulfonyloxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^3 and R^4 are each independently hydrogen, halogen, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted aryl or optionally substituted heterocycle,

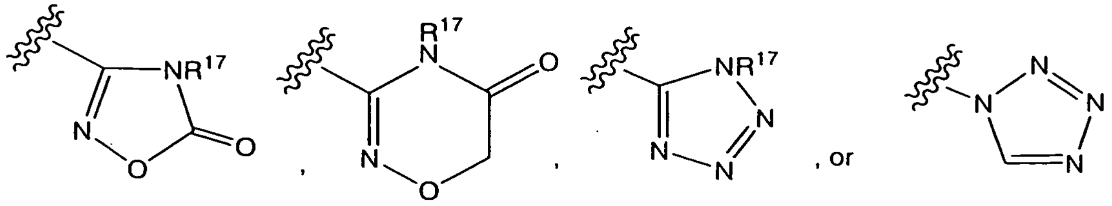
R^5, R^6, R^7 and R^8 are each independently hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^9 and R^{10} are each independently hydrogen, halogen, cyano, optionally substituted lower alkyl, optionally substituted lower alkoxy, optionally substituted amino or optionally substituted aryl,

X^1 is -O-, -S-, $-NR^{11}-$ (wherein R^{11} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), $-CR^{12}R^{13}CO-$, $-(CR^{12}R^{13})mO-$, $-(CR^{12}R^{13})mS-$ or $-O(CR^{12}R^{13})m-$ (wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3),

X^2 is a bond, -O-, -S-, -SO-, $-SO_2-$, $-CR^{26}=CR^{27}-$ (wherein R^{26} and R^{27} are each independently hydrogen or lower alkyl), $-NR^{14}-$ (wherein R^{14} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), $-CR^{15}R^{16}-$ (wherein R^{15} and R^{16} are each independently hydrogen or lower alkyl) or $-COCR^{24}R^{25}-$ (wherein R^{24} and R^{25} are each independently hydrogen or lower alkyl), and

X^3 is $COOR^{17}$, $C(=NR^{17})NR^{18}OR^{19}$,



(wherein R^{17} - R^{19} are each independently hydrogen or lower alkyl),

provided that,

R^6 and R^{14} can be taken together with the neighboring atom to form a ring,

R^6, R^9 and R^{10} can be taken together with the neighboring carbon atom to form a ring,

R^6 and R^9 can be taken together with the neighboring carbon atom to form a ring,

R^6, R^{15} and R^{16} can be taken together with the neighboring carbon atom to form a ring,

R^6 and R^{24} can be taken together with the neighboring carbon atom to form a ring,

R^9 and R^{16} can be joined together to form a bond,

R^9 and R^{10} can be taken together to form a ring,

R^9 and R^{25} can be joined together to form a bond,

R^9, R^{10} and R^{15} can be taken together with the neighboring carbon atom to form a ring,

R^{10} and R^{15} can be joined together to form a bond, and

R^{10} and R^{15} can be taken together with the neighboring carbon atom to form a ring)

(provided that, a compound wherein R^1 is an unsubstituted lower alkyl, R^5 and R^7 are bromo and X^1 is -O-, a compound wherein R^1 is an unsubstituted lower alkyl and X^2 is $-CH_2-$ and a compound wherein R^2 is hydrogen and X^2 is -O- are excluded.),

a pharmaceutically acceptable salt or a solvate thereof.

(2) The compound of (1) wherein R^1 is halogen, optionally substituted lower alkyl, optionally substituted aryl or optionally substituted heterocycle, a pharmaceutically acceptable salt or a solvate thereof.

(3) The compound of (1) wherein R^2 is halogen, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted alkynyl, optionally substituted lower alkoxy, optionally substituted acyl, optionally substituted carbamoyl, optionally substituted aryl or optionally substituted arylthio, a pharmaceutically acceptable salt or a solvate thereof.

(4) The compound of (1) wherein R^2 is hydrogen, halogen, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted alkynyl, optionally substituted lower alkoxy, optionally substituted acyl, optionally substituted carbamoyl, optionally substituted aryl or optionally substituted arylthio, a pharmaceutically acceptable salt or a solvate thereof.

(5) The compound of (1) wherein R^3 and R^4 are each independently hydrogen, lower alkyl or optionally substituted aryl, a pharmaceutically acceptable salt or a solvate thereof.

(6) The compound of (1) wherein R^5, R^6, R^7 and R^8 are each independently hydrogen, halogen, optionally substituted lower alkyl or optionally substituted lower alkoxy, provided that,

R^6 and R^{14} can be taken together with the neighboring atom to form a ring,

R^6, R^9 and R^{10} can be taken together with the neighboring carbon atom to form a ring,

R^6 and R^9 can be taken together with the neighboring carbon atom to form a ring,

R^6, R^{15} and R^{16} can be taken together with the neighboring carbon atom to form a ring,

and R^6 and R^{24} can be taken together with the neighboring carbon atom to form a ring,

a pharmaceutically acceptable salt or a solvate thereof.

(7) The compound of (1) wherein R^9 and R^{10} are each independently hydrogen, halogen, cyano, optionally substituted lower alkyl or optionally substituted lower alkoxy, provided that,

R^9, R^{10} and R^6 can be taken together with the neighboring carbon atom to form a ring,

R^9 and R^6 can be taken together with the neighboring carbon atom to form a ring,

R^9 and R^{16} can be joined together to form a bond,

R^9 and R^{10} can be taken together to form a ring,

R^9 and R^{25} can be joined together to form a bond,

R^9, R^{10} and R^{15} can be taken together with the neighboring carbon atom to form a ring,

R^{10} and R^{15} can be joined together to form a bond, and

R^{10} and R^{15} can be taken together with the neighboring carbon atom to form a ring,

a pharmaceutically acceptable salt or a solvate thereof.

(8) The compound of (1) wherein X^1 is O, S, NR^{11} (wherein R^{11} is hydrogen or

optionally substituted lower alkyl) or CH_2CO, a pharmaceutically acceptable salt or a solvate thereof.

(9) The compound of (1) wherein X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl), a pharmaceutically acceptable salt or a solvate thereof.

(10) The compound of (1) wherein R^1 is lower alkyl, optionally substituted aryl (the substituent is halogen, optionally substituted lower alkyl or optionally substituted lower alkoxy) or heterocycle,

R^2 is hydrogen, halogen, optionally substituted lower alkyl (the substituent is halogen, hydroxy, optionally substituted lower alkoxy, lower alkylamino, optionally substituted imino, lower alkylsulfonyl, optionally substituted aryl or heterocycle), optionally substituted lower alkynyl (the substituent is aryl), optionally substituted lower alkoxy (the substituent is halogen), alkoxycarbonyl, acyl, carbamoyl, optionally substituted aryl (the substituent is optionally substituted lower alkyl or optionally substituted lower alkoxy) or arylthio,

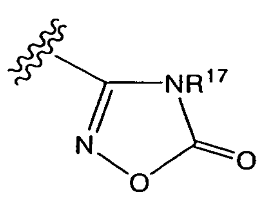
R^3 and R^4 are each independently, hydrogen, lower alkyl or optionally substituted aryl (the substituent is halogen),

R^5, R^6, R^7 and R^8 are each independently, hydrogen, halogen, optionally substituted lower alkyl (the substituent is halogen) or optionally substituted lower alkoxy (the substituent is halogen),

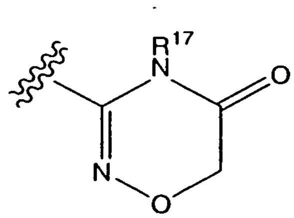
R^9 and R^{10} are each independently hydrogen, halogen, cyano, lower alkyl or lower alkoxy,

X^1 is O, S, NH or CH_2CO, and

X^3 is $COOR^{17}$, $C(=NR^{17})NR^{18}OR^{19}$,



or



(wherein R^{17} - R^{19} are each independently hydrogen or lower alkyl),

provided that,

R^6 and R^{14} can be taken together with the neighboring atom to form a ring,

R^6, R^9 and R^{10} can be taken together with the neighboring carbon atom to form a ring,

R^6 and R^9 can be taken together with the neighboring carbon atom to form a ring,

R^6, R^{15} and R^{16} can be taken together with the neighboring carbon atom to form a ring,

R^6 and R^{24} can be taken together with the neighboring carbon atom to form a ring,

R^9 and R^{16} can be joined together to form a bond,

R^9 and R^{10} can be taken together to form a ring,

R^9 and R^{25} can be joined together to form a bond,

R^9, R^{10} and R^{15} can be taken together with the neighboring carbon atom to form a ring,

R^{10} and R^{15} can be joined together to form a bond, and

R^{10} and R^{15} can be taken together with the neighboring carbon atom to form a ring,

a pharmaceutically acceptable salt or a solvate thereof.

(11) The compound of any one of (1) – (10) wherein X^2 is a bond, -O-, -SO-, $-SO_2-$ or $-CR^{26}=CR^{27}-$ (wherein R^{26} and R^{27} are each independently hydrogen or lower alkyl), a pharmaceutically acceptable salt or a solvate thereof.

(12) The compound of any one of (1) – (10) wherein X^2 is $-CR^{15}R^{16}-$ (wherein R^{15} is hydrogen or lower alkyl and R^{16} and R^9 are joined together to form a bond or wherein R^{16} and R^9 are joined together to form a bond and R^{15} and R^{10} are joined together to form a bond), a pharmaceutically acceptable salt or a solvate thereof.

(13) The compound of any one of (1) – (10) wherein X^2 is $-NR^{14}-$ (wherein R^{14} is hydrogen, lower alkyl, acyl or lower alkylsulfonyl or wherein R^{14} and R^6 are taken together with the neighboring atom to form a ring), $-CR^{15}R^{16}-$ (wherein R^{15}, R^{16} and R^6 are taken together with the neighboring carbon atom to form a ring, wherein R^9, R^{10} and R^{15} can be taken together with the neighboring carbon atom to form a ring or wherein R^{15} and R^{10} are taken together with the neighboring carbon atom to form a ring and R^{16} and R^9 are joined together to form a bond) or $-COCR^{24}R^{25}-$ (wherein R^{24} and R^6 are taken together with the neighboring carbon atom to form a ring and R^{25} and R^9 are joined together to form a bond), a pharmaceutically acceptable salt or a solvate thereof.

(14) The compound of (1) wherein R^2 is halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, carboxy, optionally substituted lower alkoxycarbonyl, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted carbamoyl, optionally substituted thiocarbamoyl, optionally substituted carbamoyloxy, optionally substituted thiocarbamoyloxy, optionally substituted hydrazinocarbonyl, optionally substituted lower alkylsulfonyloxy, optionally substituted arylsulfonyloxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^9 and R^{10} are each independently hydrogen,

X^1 is -O-, -S-, -$(CR^{12}R^{13})$mO- or -$(CR^{12}R^{13})$mS- (wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3),

X^2 is -O-, and

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl),

a pharmaceutically acceptable salt or a solvate thereof.

(15) The compound of (1) wherein R^9 and R^{16} are joined together to form a bond,

R^{10} is hydrogen, halogen, lower alkyl, lower alkoxy or cyano,

X^1 is -O-, -S-, -$(CR^{12}R^{13})$mO- or -$(CR^{12}R^{13})$mS- (wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3),

X^2 is -$CR^{15}R^{16}$- (wherein R^{15} is hydrogen or lower alkyl and R^{16} and R^9 are joined together to form a bond), and

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl), a pharmaceutically acceptable salt or a solvate thereof.

(16) The compound of (1) wherein R^1 is halogen, a substituted lower alkyl, optionally substituted aryl or optionally substituted heterocycle,

R^9 and R^{10} are each independently hydrogen or lower alkyl,

X^1 is -O-, -S-, -$(CR^{12}R^{13})$mO- or -$(CR^{12}R^{13})$mS- (wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3),

X^2 is a bond or -$CR^{15}R^{16}$- (wherein R^{15} and R^{16} are each independently hydrogen or

lower alkyl), and

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl), a pharmaceutically acceptable salt or a solvate thereof.

(17) The compound of (1) wherein R^9 and R^{10} are each independently hydrogen,

X^1 is -O- or -S-,

X^2 is $-NR^{14}-$ (wherein R^{14} and R^6 are taken together with the neighboring atom to form a ring), $-CR^{15}R^{16}-$ (wherein R^{15}, R^{16} and R^6 are taken together with the neighboring carbon atom to form a ring), or $-COCR^{24}R^{25}-$ (wherein R^{24} and R^6 are taken together with the neighboring carbon atom to form a ring and R^{25} and R^9 are joined together to form a bond), and

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl), a pharmaceutically acceptable salt or a solvate thereof.

(18) The compound of (1) wherein R^9 and R^{16} are joined together to form a bond,

X^1 is -O- or -S-,

X^2 is $-CR^{15}R^{16}-$ (wherein R^{15} and R^{10} are taken together with the neighboring carbon atom to form a ring and R^{16} and R^9 are joined together to form a bond or wherein R^9, R^{10} and R^{15} are taken together with the neighboring carbon atom to form a ring), and

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl), a pharmaceutically acceptable salt or a solvate thereof.

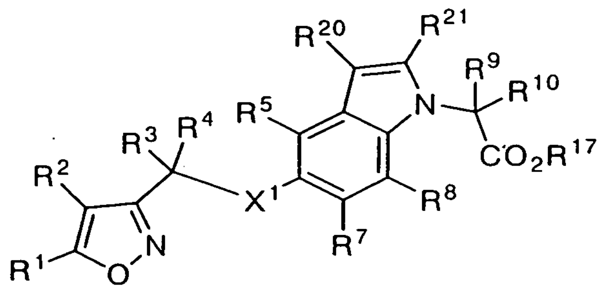
(19) The compound of (1) wherein R^9 and R^{10} are taken together to form a ring,

X^1 is -O- or -S-,

X^2 is a bond or $-CR^{15}R^{16}-$ (wherein R^{15} and R^{16} are each independently hydrogen or lower alkyl), and

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl), a pharmaceutically acceptable salt or a solvate thereof.

(20) A compound of the formula:



(wherein

R^1 is halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, carboxy, optionally substituted lower alkoxycarbonyl, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted carbamoyl, optionally substituted thiocarbamoyl, optionally substituted carbamoyloxy, optionally substituted thiocarbamoyloxy, optionally substituted hydrazinocarbonyl, optionally substituted lower alkylsulfonyloxy, optionally substituted arylsulfonyloxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^2 is hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, carboxy, optionally substituted lower alkoxycarbonyl, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted carbamoyl, optionally substituted thiocarbamoyl, optionally substituted carbamoyloxy, optionally substituted thiocarbamoyloxy, optionally substituted hydrazinocarbonyl, optionally substituted lower alkylsulfonyloxy, optionally substituted arylsulfonyloxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^3 and R^4 are each independently, hydrogen, halogen, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted aryl or optionally substituted heterocycle,

R^5, R^7 and R^8 are each independently hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted

lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^9 and R^{10} are each independently hydrogen, halogen, cyano, optionally substituted lower alkyl, optionally substituted lower alkoxy, optionally substituted amino or optionally substituted aryl,

R^{20} and R^{21} are each independently hydrogen, halogen, hydroxy, cyano, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted imino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

X^1 is -O-, -S-, -NR^{11}- (wherein R^{11} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), -$CR^{12}R^{13}CO$-, -$(CR^{12}R^{13})mO$-, -$(CR^{12}R^{13})mS$- or -$O(CR^{12}R^{13})m$-(wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3), and

R^{17} is hydrogen or lower alkyl), a pharmaceutically acceptable salt or a solvate thereof.

(21) The compound of (20) wherein R^1 is optionally substituted aryl,

R^2 is optionally substituted lower alkyl,

$R^3$and R^4 are each independently hydrogen or optionally substituted aryl,

R^5, $R^7$and R^8 are each independently hydrogen, optionally substituted lower alkyl or optionally substituted lower alkoxy,

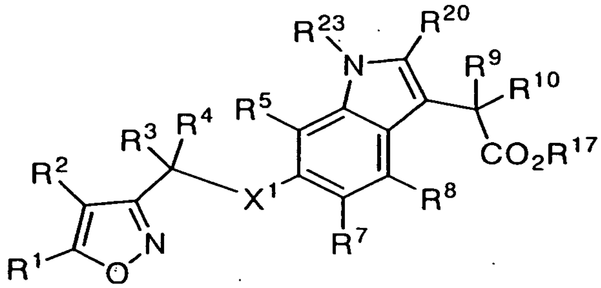
R^9 and R^{10} are each independently hydrogen or optionally substituted lower alkyl,

R^{20} and R^{21} are each independently hydrogen, cyano, optionally substituted lower alkyl or optionally substituted lower alkoxy, and

X^1 is -O- or -S-,

a pharmaceutically acceptable salt or a solvate thereof.

(22) A compound of the formula:



(wherein

R^1 is halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, carboxy, optionally substituted lower alkoxycarbonyl, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted carbamoyl, optionally substituted thiocarbamoyl, optionally substituted carbamoyloxy, optionally substituted thiocarbamoyloxy, optionally substituted hydrazinocarbonyl, optionally substituted lower alkylsulfonyloxy, optionally substituted arylsulfonyloxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^2 is hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, carboxy, optionally substituted lower alkoxycarbonyl, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted carbamoyl, optionally substituted thiocarbamoyl, optionally substituted carbamoyloxy, optionally substituted thiocarbamoyloxy, optionally substituted hydrazinocarbonyl, optionally substituted lower alkylsulfonyloxy, optionally substituted arylsulfonyloxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^3 and R^4 are each independently hydrogen, halogen, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted aryl or optionally substituted heterocycle,

R^5, R^7, R^8 and R^{20} are each independently hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted

lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^{23} is hydrogen, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl, optionally substituted amino, optionally substituted aryl or optionally substituted heterocycle,

R^9 and R^{10} are each independently hydrogen, halogen, cyano, optionally substituted lower alkyl, optionally substituted lower alkoxy, optionally substituted amino or optionally substituted aryl,

X^1 is -O-, -S-, -NR^{11}- (wherein R^{11} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), -$CR^{12}R^{13}$CO-, -$(CR^{12}R^{13})$mO-, -$(CR^{12}R^{13})$mS- or -O$(CR^{12}R^{13})$m-(wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3), and

R^{17} is hydrogen or lower alkyl),

a pharmaceutically acceptable salt or a solvate thereof.

(23) The compound of (22) wherein R^1 is optionally substituted aryl,

R^2 is optionally substituted lower alkyl,

R^3 and R^4 are hydrogen,

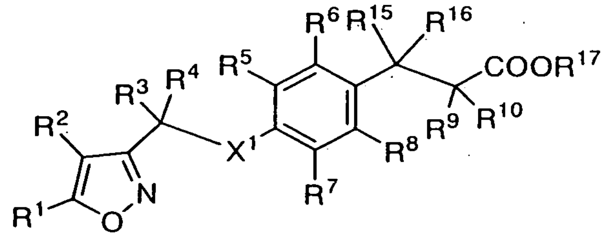
R^5, R^7 and R^8 are hydrogen,

R^9 and R^{10} are each independently hydrogen or optionally substituted lower alkyl,

R^{20} and R^{23} are each independently hydrogen or optionally substituted lower alkyl, and

X^1 is -O- or -S-, a pharmaceutically acceptable salt or a solvate thereof.

(24) A compound of the formula:



(wherein

R^1 is halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, carboxy, optionally substituted lower alkoxycarbonyl, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted carbamoyl, optionally substituted thiocarbamoyl, optionally substituted carbamoyloxy, optionally substituted thiocarbamoyloxy, optionally substituted hydrazinocarbonyl, optionally substituted lower alkylsulfonyloxy, optionally substituted arylsulfonyloxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^2 is hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, carboxy, optionally substituted lower alkoxycarbonyl, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted carbamoyl, optionally substituted thiocarbamoyl, optionally substituted carbamoyloxy, optionally substituted thiocarbamoyloxy, optionally substituted hydrazinocarbonyl, optionally substituted lower alkylsulfonyloxy, optionally substituted arylsulfonyloxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^3 and R^4 are each independently hydrogen, halogen, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted aryl or optionally substituted heterocycle,

R^5, R^6, R^7 and R^8 are each independently hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^9 and R^{10} are hydrogen,

X^1 is -O-, -S-, -NR^{11}- (wherein R^{11} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), -$CR^{12}R^{13}CO$-, -$(CR^{12}R^{13})mO$-, -$(CR^{12}R^{13})mS$- or -$O(CR^{12}R^{13})m$-(wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3),

R^{15} is lower alkyl,

R^{16} is hydrogen, and

R^{17} is hydrogen or lower alkyl)

a pharmaceutically acceptable salt or a solvate thereof.

(25) The compound of (24) wherein R^1 is optionally substituted aryl,

R^2 is optionally substituted lower alkyl,

R^3 and R^4 are hydrogen,

R^5, R^6, R^7 and R^8 are each independently hydrogen, halogen, optionally substituted lower alkyl or optionally substituted lower alkoxy, and

X^1 is -O- or -S-,

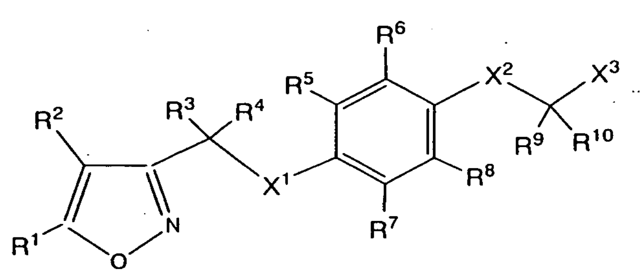
a pharmaceutically acceptable salt or a solvate thereof.

(26) A pharmaceutical composition comprising a compound, a pharmaceutically acceptable salt or a solvate thereof of any one of (1) – (25).

(27) A pharmaceutical composition as peroxisome proliferator-activated receptors agonists, which comprises a compound, a pharmaceutically acceptable salt or a solvate thereof of any one of (1) – (25) as active ingredient.

Furthermore, the present invention includes the below.

(X1) A compound of the formula (I):



(I)

(wherein

R^1 and R^2 are each independently hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, carboxy, optionally substituted lower alkoxycarbonyl, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted carbamoyl, optionally substituted thiocarbamoyl, optionally substituted carbamoyloxy, optionally substituted thiocarbamoyloxy, optionally substituted hydrazinocarbonyl, optionally substituted lower alkylsulfonyloxy, optionally substituted arylsulfonyloxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^3 and R^4 are each independently, hydrogen, halogen, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted aryl or optionally substituted heterocycle,

R^5, R^6, R^7 and R^8 are each independently hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

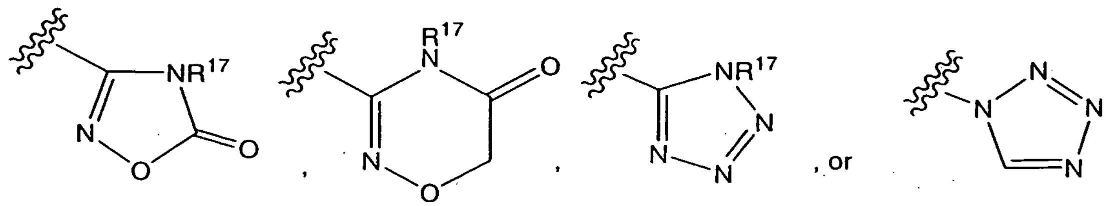
R^9 and R^{10} are each independently hydrogen, halogen, optionally substituted lower alkyl, optionally substituted lower alkoxy, optionally substituted amino or optionally substituted aryl, R^9 and R^{16} can be joined together to form a bond,

X^1 is -O-, -S-, -NR^{11}- (wherein R^{11} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), -$CR^{12}R^{13}CO$-, -$(CR^{12}R^{13})mO$- or -$O(CR^{12}R^{13})m$- (wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3),

X^2 is a bond, -O-, -S-, -NR^{14}- (wherein R^{14} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or

optionally substituted arylsulfonyl) or $-CR^{15}R^{16}-$ (wherein R^{15} and R^{16} are each independently hydrogen or lower alkyl, R^{16} and R^9 can be joined together to form a bond), and

X^3 is $COOR^{17}$, $C(=NR^{17})NR^{18}OR^{19}$,



(wherein R^{17} - R^{19} are each independently hydrogen or lower alkyl))

a prodrug, a pharmaceutically acceptable salt or a solvate thereof.

(X2) The compound of (X1) wherein R^1 is halogen, optionally substituted lower alkyl, optionally substituted aryl or optionally substituted heterocycle, a prodrug, a pharmaceutically acceptable salt or a solvate thereof.

(X3) The compound of (X1) wherein R^2 is hydrogen, halogen, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted alkynyl, optionally substituted lower alkoxy, optionally substituted acyl, optionally substituted aryl or optionally substituted arylthio, a prodrug, a pharmaceutically acceptable salt or a solvate thereof.

(X4) The compound of (X1) wherein R^3 and R^4 are hydrogen, a prodrug, a pharmaceutically acceptable salt or a solvate thereof.

(X5) The compound of (X1) wherein R^5 and R^6 are each independently hydrogen, halogen, optionally substituted lower alkyl or optionally substituted lower alkoxy and R^7 and R^8 are hydrogen, a prodrug, a pharmaceutically acceptable salt or a solvate thereof.

(X6) The compound of (X1) wherein R^9 and R^{10} are hydrogen, a prodrug, a pharmaceutically acceptable salt or a solvate thereof.

(X7) The compound of (X1) wherein X^1 is O, S, NR^{11} (wherein R^{11} is hydrogen or optionally substituted lower alkyl) or CH_2CO, a prodrug, a pharmaceutically acceptable salt or a solvate thereof.

(X8) The compound of (X1) wherein X^2 is a bond or O, a prodrug, a pharmaceutically acceptable salt or a solvate thereof.

(X9) The compound of (X1) wherein X^3 is carboxy, a prodrug, a pharmaceutically

acceptable salt or a solvate thereof.

(X10) A pharmaceutical composition comprising a compound, a pharmaceutically acceptable salt or a solvate thereof of any one of (X1) - (X9).

(X11) A pharmaceutical composition as peroxisome proliferator-activated receptors agonists, which comprises a compound, a pharmaceutically acceptable salt or a solvate thereof of any one of (X1) - (X9) as active ingredient.

(preferably provided that, a compound wherein X^3 is $COOR^{17}$, X^2 is $-CR^{15}R^{16}-$, and R^{16} is hydrogen or lower alkyl is excluded from the above compounds.)

Furthermore, the present invention provides a method for PPAR activation characterized by administrating the above compound, a pharmaceutically acceptable salt or a solvate thereof. In details, it is the treatment method and/or prevention method for hyperlipidemia, diabetes, obesity, arteriosclerosis, atherosclerosis, hyperglycemia and/or syndrome X.

As the other embodiment, the present invention provides the medicine for PPAR activation. In details, it is use of a compound (I), a pharmaceutically acceptable salt or a solvate thereof to produce medicines for treatment and/or prevention for hyperlipidemia, diabetes, obesity, arteriosclerosis, atherosclerosis, hyperglycemia and/or syndrome X.

The effect of the invention

As the following test results show, compounds of the present invention have PPAR agonist activity and are very useful as medicine and especially medicine for treatment and/or prevention for hyperlipidemia, diabetes, obesity, arteriosclerosis, atherosclerosis, hyperglycemia and/or syndrome X.

Best Mode for Carrying out the Invention

The term "halogen" in the present specification means fluorine, chlorine, bromine or iodine. Especially, fluorine or chlorine is preferable.

The term "lower alkyl" means a C1-C10, preferably C1-C6 and more preferably C1-C3 straight or branched alkyl group, for example, methyl, ethyl, n-propyl,

isopropyl, n-butyl, isobutyl, sec-buthyl, tert-butyl, n-pentyl, isopentyl, neopentyl, hexyl, isohexyl, n-heptyl, isoheptyl, n-octyl, isooctyl, n-nonyl, n-decyl or the like.

The term "lower alkenyl" means C2-C10 having one or more double bonds at optional positions, preferably C2-C6 and more preferably C2-C4 straight or branched alkenyl having one or more double bonds. For example, it is vinyl, propenyl, isopropenyl, butenyl, isobutenyl, prenyl, butadienyl, pentenyl, isopentenyl, pentadienyl, hexenyl, isohexenyl, hexadienyl, heptenyl, octenyl, nonenyl, decenyl or the like.

The term "lower alkynyl" means C2-C10, preferably C2-C6 and more preferably C2-C4 straight or branched alkynyl, for example, ethynyl, propynyl, butynyl, pentynyl, hexynyl, heptynyl, octynyl, nonynyl, decenyl or the like. These have one or more triple bonds at optional positions and can have double bonds..

A substituent of "optionally substituted lower alkyl", "optionally substituted lower alkenyl" or "optionally substituted lower alkynyl" is halogen, hydroxy, optionally substituted lower alkoxy, amino, lower alkylamino, arylamino, heterocycleamino, acylamino, lower alkoxycarbonylamino, mercapto, lower alkylthio, acyl, acyloxy, optionally substituted imino, carboxy, lower alkoxycarbonyl, carbamoyl, lower alkyl carbamoyl, thiocarbamoyl, lower alkylthiocarbamoyl, carbamoyloxy, lower alkylcarbamoyloxy, thiocarbamoyloxy, lower alkylthiocarbamoyloxy, sulfamoyl, lower alkylsulfamoyl, lower alkylsulfonyl, lower alkylsulfonyloxy, cyano, nitro, cycloalkyl, cycloalkyloxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio, optionally substituted aryl lower alkoxy, optionally substituted arylsulfonyloxy or optionally substituted heterocycle (wherein a substituent is halogen, hydroxy, lower alkyl, halogeno lower alkyl, hydroxy lower alkyl, lower alkenyl, lower alkoxy, aryl lower alkoxy, halogeno lower alkoxy, carboxy, lower alkoxycarbonyl, carbamoyl, lower alkylcarbamoyl, arylcarbamoyl, acylamino, mercapto, lower alkylthio, amino, lower alkylamino, acyl, acyloxy, cyano, nitro, phenyl, heterocycle or the like). They can be substituted at optional positions with one ore more substituents selected from the above.

A substituent of "optionally substituted lower alkyl", "optionally substituted

lower alkenyl", "optionally substituted lower alkynyl" or the like is preferably morpholino, piperidino, piperazino, furyl, thienyl or pyridyl.

Lower alkyl part of "halogeno lower alkyl", "hydroxy lower alkyl", "lower alkoxy", "halogeno lower alkoxy", "aryl lower alkoxy", "hydroxy lower alkoxy", "lower alkylamino", "lower alkylthio", "lower alkylsulfonyl", "lower alkylsulfonyloxy", "lower alkyl carbamoyl", "lower alkylthio carbamoyl", "lower alkyl carbamoyloxy", "lower alkylthio carbamoyloxy", "lower alkyl sulfamoyl", "lower alkoxycarbonyl" or "lower alkoxycarbonyl amino" is same as the above "lower alkyl".

A substituent of "optionally substituted lower alkoxy", "optionally substituted lower alkoxycarbonyl", "optionally substituted lower alkylthio", "optionally substituted lower alkylsulfonyloxy" or "optionally substituted imino" is same as a substituent of the above "optionally substituted lower alkyl".

The term "acyl" includes (a) C1-C10, more preferably C1-C6 and most preferably C1-C3 straight or branched alkylcarbonyl or alkenyl carbonyl, (b) C4-C9 and preferably C4-C7 cycloalkylcarbonyl, (c) C7-C11 arylcarbonyl or (d) formyl. For example, it is formyl, acetyl, propionyl, butyryl, isobutyryl, valeryl, pivaloyl, hexanoyl, acryloyl, propioloyl, methacryloyl, crotonoyl, cyclopropyl carbonyl, cyclohexyl carbonyl, cyclooctyl carbonyl, benzoyl or the like.

Acyl part of "acyl amino" or "acyloxy" is same as the above "acyl".

A substituent of "optionally substituted acyl" is same as a substituent of the above "optionally substituted lower alkyl". Furthermore, cycloalkyl carbonyl and aryl carbonyl can be substituted with lower alkyl, halogeno lower alkyl, hydroxy lower alkyl, lower alkenyl, halogeno lower alkenyl and/or hydroxy lower alkenyl.

A substituent of "optionally substituted amino" is same as the above "optionally substituted lower alkyl". Furthermore, "optionally substituted amino" can be substituted with lower alkyl, halogeno lower alkyl, hydroxy lower alkyl, lower alkenyl, halogeno lower alkenyl and/or hydroxy lower alkenyl.

A substituent of "optionally substituted carbamoyl", "optionally substituted thiocarbamoyl", "optionally substituted carbamoyloxy", "optionally substituted thiocarbamoyloxy" or "optionally substituted hydrazinocarbonyl" is same as the above

"optionally substituted lower alkyl".

The term "cycloalkyl" includes C3-C8 and preferably C5 or C6 cyclic alkyl. For example, it is cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cycloctyl or the like.

"Aryl" includes phenyl, naphthyl, anthryl, phenanthryl or the like. Additionally, it includes aryl, which is condensed with the other non-aromatic hydrocarbon ring, for example, indanyl, indenyl, biphenylyl, acenaphthenyl, fluorenyl or the like. In case that aryl is condensed with the other non-aromatic hydrocarbon ring, bonds can be attached to any of the rings. The preferable example of aryl is phenyl.

A substituent of "optionally substituted aryl" is same as a substituent of the above "optionally substituted lower alkyl" as long as there is not a special provision. Furthermore, it can be substituted with lower alkyl, halogeno lower alkyl, hydroxy lower alkyl, lower alkenyl, halogeno lower alkenyl, hydroxy lower alkenyl, alkylenedioxy and/or oxo.

Aryl part of "aryloxy", "arylthio", "aryl lower alkoxy", "aryl amino" or "arylsulfonyloxy" is same as the above "aryl".

A substituent of "optionally substituted aryloxy", "optionally substituted arylthio" or "optionally substituted arylsulfonyloxy" is same as a substituent of the above "optionally substituted aryl" as long as there is not a special provision.

"Heterocycle" includes heterocycle having 1 or more hetero atom(s) selected from O, S and N in a ring, for example, 5-6 membered heteroaryl such as pyrrolyl, imidazolyl, pyrazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyradinyl, triazolyl, triazinyl, tetrazolyl, isoxazolyl, oxazolyl, oxadiazolyl, isothiazolyl, thiazolyl, thiadiazolyl, furyl, thienyl or the like; bicyclic condensed heterocycle such as indolyl, isoindolyl, indazolyl, indolizinyl, quinolyl, isoquinolyl, cinnolinyl, phthalazinyl, quinazolinyl, naphthyridinyl, quinoxalinyl, prinyl, pteridinyl, benzopyranyl, benzimidazolyl, benzisoxazolyl, benzoxazolyl, benzoxadiazolyl, benzoisothiazolyl, benzothiazolyl, benzothiadiazolyl, benzofuryl, isobenzofuryl, benzothienyl, benzotriazolyl, imidazopyridyl, triazolopyridyl, imidazothiazolyl, pyradino pyridazinyl, quinazolinyl,

tetrahydroquinolyl, tetrahydrobenzothienyl or the like; tricyclic condensed heterocycle such as carbazolyl, acridinyl, xanthenyl, phenothiazinyl, phenoxathiinyl, phenoxazinyl, dibenzofuryl or the like; non-aromatic heterocycle such as indolinyl, dioxanyl, thiiranyl, oxyranyl, oxathiolanyl, azetidinyl, thianyl, pyrrolidinyl, pyrrolinyl, imidazolidinyl, imidazolinyl, pyrazolidinyl, pyrazolinyl, piperidyl, piperidino, piperazinyl, piperidino, morpholinyl, morpholino, oxadiadinyl, dihydropyridyl or the like. In case that heterocycle is a condensed ring, the bonds can be attached to any of the rings.

As "heterocycle" for R^1 and R^2, pyridyl, morpholino or piperazino or piperidino is preferred.

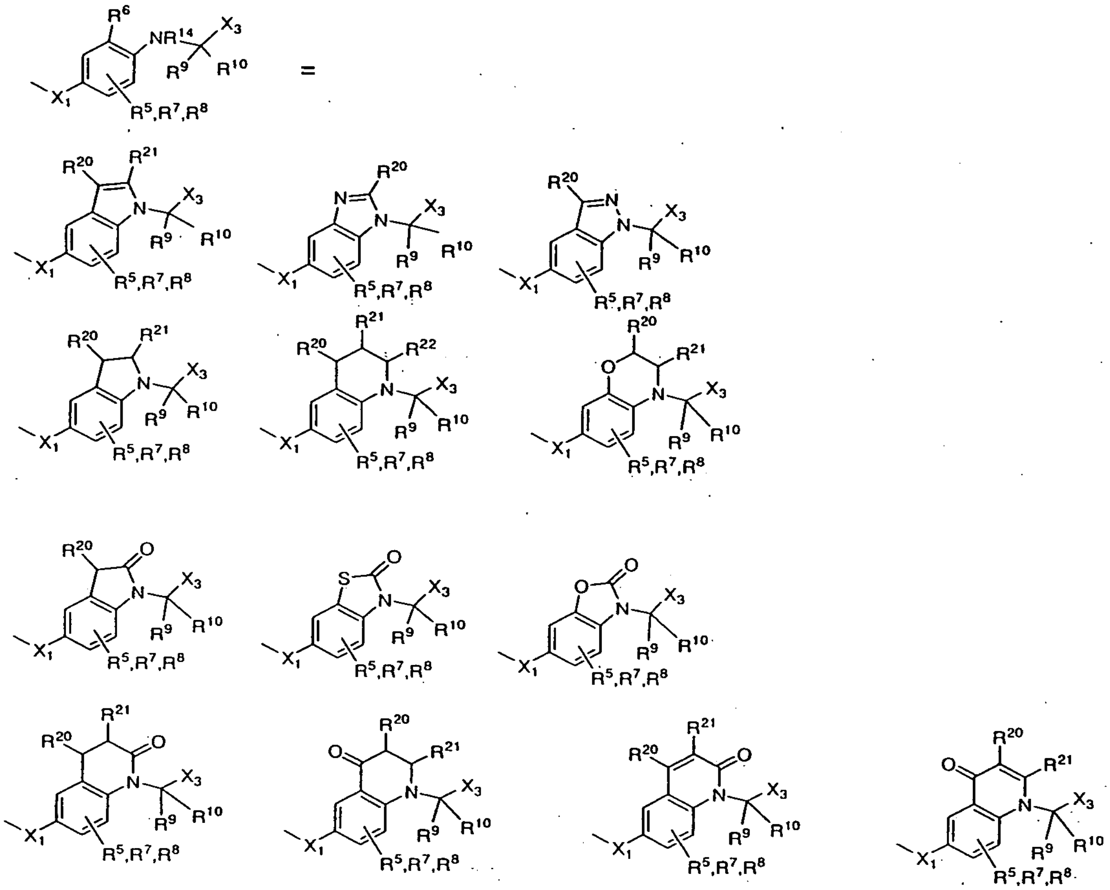
A substituent of "optionally substituted heterocycle" is same as the above "optionally substituted aryl".

Heterocycle part of "heterocycle amino" is same as the above "heterocycle".

"R^6 and R^{14} can be taken together with the neighboring atom to form a ring" or "R^{14} and R^6 can be taken together with the neighboring atom to form a ring" means that R^{14} and R^6 form a 4-7 membered ring having 1-3 hetero atom(s) which is condensed to benzene ring of formula (I). The preferable example of condensed heterocycle with benzene ring is optionally substituted bicyclic heterocycle, for example, indole, benzimidazole, 1H-indazole, 2,3-dihydroindole, 1,2,3,4-tetrahydroquinoline, 2,3-dihydro1,4-benzoxazin, 2,3-dihydrobenzthiazole, 2,3-dihydrobenzoxazole, 1,2-dihydroquinoline, 1,4-dihydroquinoline or the like. The substituent of "optionally substituted bicyclic heterocycle" is the same substituent as a substituent on benzene ring of formula (I) or oxo group. The substituent is, for example, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted aryl thio, optionally substituted heterocycle or oxo. As the substituent of heterocycle condensed to benzene ring, oxo, halogen, hydroxy, optionally substituted lower alkoxy, optionally substituted lower alkylthio or

optionally substituted lower alkyl is especially preferable.

The preferable example of "optionally substituted heterocycle" is,



(wherein

R^5, R^7, R^8 are each independently hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^9 and R^{10} are each independently hydrogen, halogen, cyano, optionally substituted lower alkyl, optionally substituted lower alkoxy, optionally substituted amino or optionally substituted aryl,

R^{20} - R^{22} are each independently hydrogen, halogen, hydroxy, cyano, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted

lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted imino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

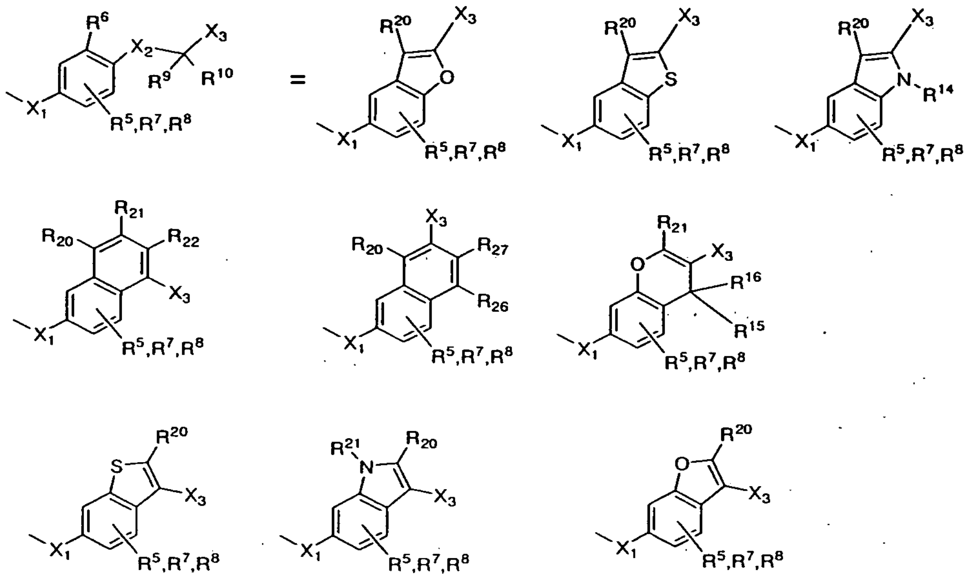
X^1 is -O-, -S-, -NR^{11}- (wherein R^{11} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), -$CR^{12}R^{13}CO$-, -$(CR^{12}R^{13})mO$-, -$(CR^{12}R^{13})mS$- or -$O(CR^{12}R^{13})m$- (wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl, m is an integer between 1 and 3) (-O- or -S- is preferable and -S- is especially preferable),

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl)).

"R^6, R^9 and R^{10} can be taken together with the neighboring carbon atom to form a ring" or "R^9, R^{10} and R^6 can be taken together with the neighboring carbon atom to form a ring" means that R^6, R^9 and R^{10} form a 4-7 membered ring having 0-3 hetero atom(s) which is condensed to benzene ring of formula (I). The preferable example of condensed ring with benzene ring is optionally substituted C8-C11 carbon ring (especially optionally substituted naphthalene) or optionally substituted bicyclic heterocycle. For example, it is indole, benzothiophene, benzofuran, benzoisoxazole, 1H-indazole, naphthalene, quinazoline, isoquinoline, 2H-chromene, 1,4-dihydronaphthalene, 1,2,3,4-tetrahydronaphthalene or the like. The substituent of "optionally substituted C8-C11 carbon ring (especially optionally substituted naphthalene)" or "optionally substituted bicyclic heterocycle" is the same substituent as a substituent on benzene ring of formula (I) or oxo group. The substituent is, for example, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted aryl thio, optionally substituted heterocycle or oxo. Especially, the substituent on heterocycle condensed to benzene ring is oxo, halogen, hydroxy, optionally substituted lower alkoxy or optionally substituted lower alkylthio.

Optionally substituted lower alkyl is preferable.

The preferable example of "optionally substituted C8-C11 carbon ring (especially optionally substituted naphthalene)" or "optionally substituted bicyclic heterocycle" is,



(wherein

R^5, R^7, R^8 and R^{20}-R^{22} are each independently hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

X^1 is -O-, -S-, -NR^{11}- (wherein R^{11} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), -$CR^{12}R^{13}$CO-, -$(CR^{12}R^{13})$mO-, -$(CR^{12}R^{13})$mS- or -O$(CR^{12}R^{13})$m- (wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3) (-O- or -S- is preferable and -S- is especially preferable),

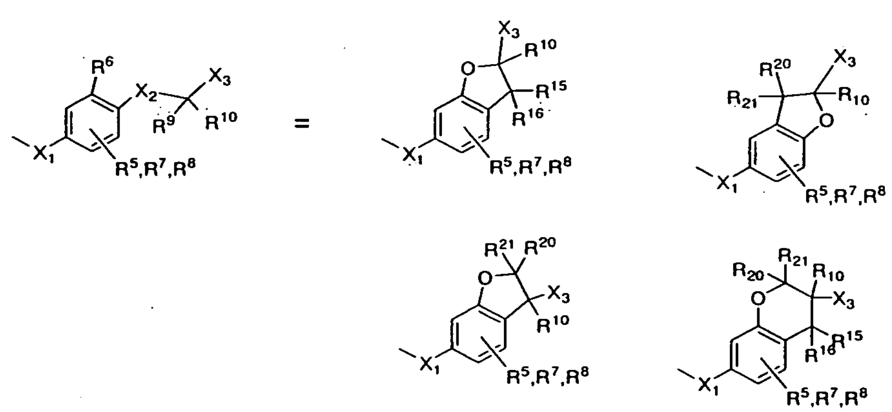
R^{14} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl,

R^{15}, R^{16}, R^{26} and R^{27} are each independently hydrogen or lower alkyl,

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl)).

"R^6 and R^9 can be taken together with the neighboring carbon atom to form a ring" or "R^9 and R^6 can be taken together with the neighboring carbon atom to form a ring" means that R^6 and R^9 form a 4-7 membered ring having 0-3 hetero atom(s) which is condensed to benzene ring of formula (I). The preferable example of condensed heterocycle with benzene ring is optionally substituted C8-C11 carbon ring (especially optionally substituted naphthalene) or optionally substituted bicyclic heterocycle. The substituent of "optionally substituted C8-C11 carbon ring (especially optionally substituted naphthalene)" or "optionally substituted bicyclic heterocycle" is the same substituent as a substituent on benzene ring of formula (I) or oxo group. The substituent is, for example, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted aryl thio, optionally substituted heterocycle or oxo. As the substituent of heterocycle condensed to benzene ring, oxo, halogen, hydroxy, optionally substituted lower alkoxy, optionally substituted lower alkylthio or optionally substituted lower alkyl is especially preferable.

The preferable example of "optionally substituted C8-C11 carbon ring (especially optionally substituted naphthalene)" or "optionally substituted bicyclic heterocycle" is,



(wherein

R^5, R^7, R^8, R^{20} and R^{21} are each independently hydrogen, halogen, hydroxy, optionally

substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^{10} is hydrogen, halogen, cyano, optionally substituted lower alkyl, optionally substituted lower alkoxy, optionally substituted amino or optionally substituted aryl,

X^1 is -O-, -S-, -NR^{11}- (wherein R^{11} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), -$CR^{12}R^{13}$CO-, -($CR^{12}R^{13}$)mO-, -($CR^{12}R^{13}$)mS- or -O($CR^{12}R^{13}$)m- (wherein $R^{12}$and R^{13} are each independently hydrogen or lower alkyl, m is an integer between 1 and 3) (-O- or -S- is preferable and -S- is especially preferable),

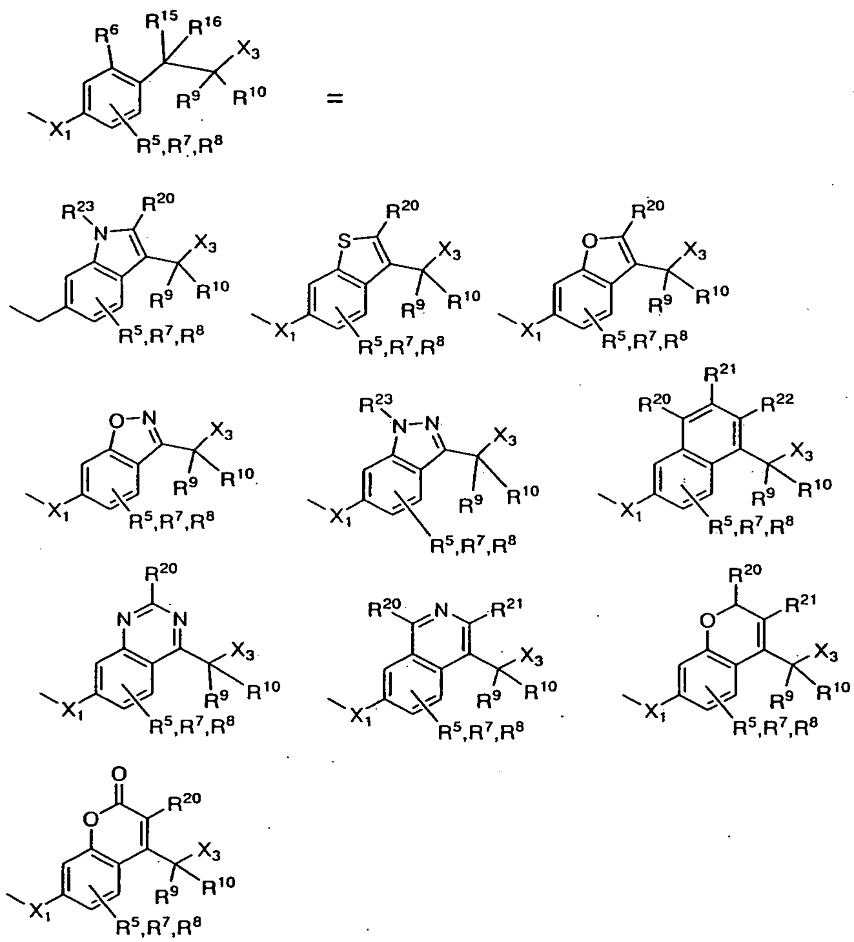
R^{15} and R^{16} are each independently hydrogen or lower alkyl,

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl)).

"R^6, R^{15} and R^{16} can be taken together with the neighboring carbon atom to form a ring" or "R^{15}, R^{16} and R^6 can be taken together with the neighboring carbon atom to form a ring" means that R^6, R^{15} and R^{16} form a 4-7 membered ring having 0-3 hetero atom(s) which is condensed to benzene ring of formula (I). The preferable example of condensed heterocycle with benzene ring is optionally substituted C8-C11 carbon ring (especially, optionally substituted naphthalene) or optionally substituted bicyclic heterocycle. For example, it is indole, benzothiophene, benzofuran, benzoisoxazole, 1H-indazole, naphthalene, quinazoline, isoquinoline, 2H-chromene, 1,4-dihydronaphthalene, 1,2,3,4-tetrahydronaphthalene or the like. The substituent of "optionally substituted C8-C11 carbon ring (especially optionally substituted naphthalene)" or "optionally substituted bicyclic heterocycle" is same substituent as a substituent on benzene ring of formula (I) or oxo group. The substituent is, for example, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally

substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted aryl thio, optionally substituted heterocycle or oxo. As the substituent on heterocycle condensed to benzene ring, oxo, halogen, hydroxy, optionally substituted lower alkoxy, optionally substituted lower alkylthio or optionally substituted lower alkyl is especially preferable.

The preferable example of "optionally substituted C8-C11 carbon ring (especially, optionally substituted naphthalene)" or "optionally substituted bicyclic heterocycle" is,



(wherein

R^5, R^7, R^8 and R^{20}-R^{22} are each independently hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower

alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

R^9 and R^{10} are each independently hydrogen, halogen, cyano, optionally substituted lower alkyl, optionally substituted lower alkoxy, optionally substituted amino or optionally substituted aryl,

R^{23} is hydrogen, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl, optionally substituted amino, optionally substituted aryl or optionally substituted heterocycle,

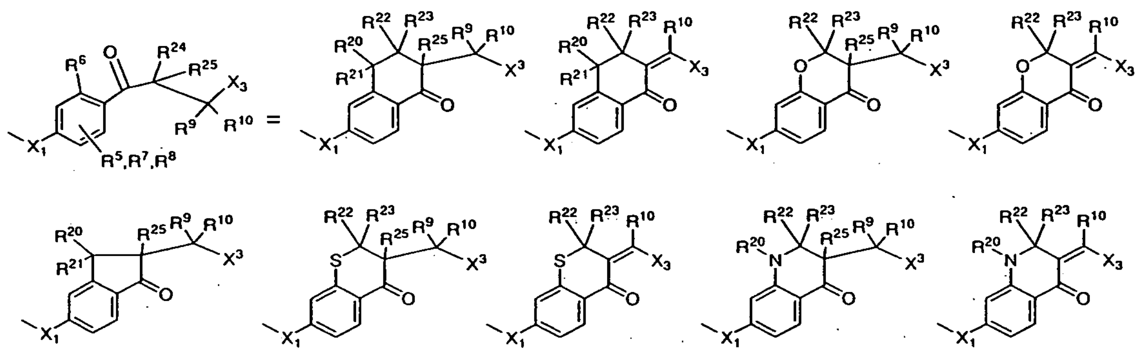
X^1 is -O-, -S-, $-NR^{11}-$ (wherein R^{11} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), $-CR^{12}R^{13}CO-$, $-(CR^{12}R^{13})mO-$, $-(CR^{12}R^{13})mS-$ or $-O(CR^{12}R^{13})m-$ (wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3) (-O- or -S- is preferable and -S- is especially preferable),

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl)).

"R^6 and R^{24} can be taken together with the neighboring carbon atom to form a ring" or "R^{24} and R^6 can be taken together with the neighboring carbon atom to form a ring" means that R^6 and R^{24} form a 4-7 membered ring having 0-3 hetero atom(s) which is condensed to benzene ring of formula (I). The preferable example of condensed heterocycle with benzene ring is optionally substituted C8-C11 carbon ring or optionally substituted bicyclic heterocycle. The substituent of "optionally substituted C8-C11 carbon ring" or "optionally substituted bicyclic heterocycle" is the same substituent as a substituent on benzene ring of formula (I) or oxo group. The substituent is, for example, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted aryl thio, optionally substituted heterocycle or oxo.

As the substituent of heterocycle condensed to benzene ring, oxo, halogen, hydroxy, optionally substituted lower alkoxy, optionally substituted lower alkylthio or optionally substituted lower alkyl is especially preferable.

The preferable examples of "optionally substituted C8-C11 carbon ring" or "optionally substituted bicyclic heterocycle" is,



(wherein

R^5, R^7, R^8 and R^{20}-R^{23} are each independently hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

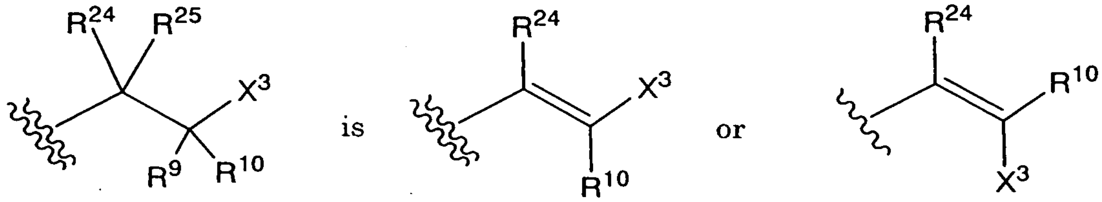
R^9, R^{10} and R^{25} are each independently hydrogen, halogen, cyano, optionally substituted lower alkyl, optionally substituted lower alkoxy, optionally substituted amino or optionally substituted aryl,

X^1 is -O-, -S-, -NR^{11}- (wherein R^{11} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), -$CR^{12}R^{13}CO$-, -$(CR^{12}R^{13})mO$-, -$(CR^{12}R^{13})mS$- or -$O(CR^{12}R^{13})m$- (wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3) (-O- or -S- is preferable and -S- is especially preferable),

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl)).

"R^9 and R^{25} can be joined together to form a bond" or "R^{25} and R^9 can be joined

together to form a bond" means



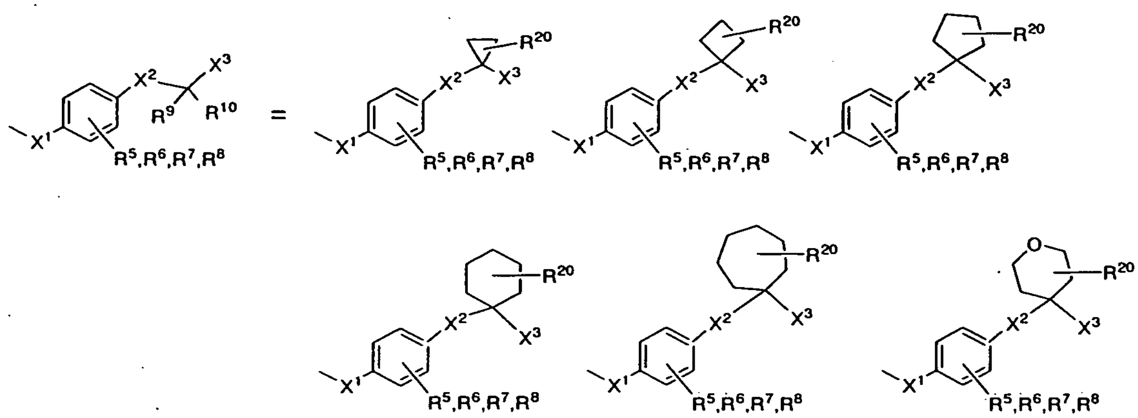
(wherein

R^{10} and R^{24} are each independently hydrogen, halogen, cyano, optionally substituted lower alkyl, optionally substituted lower alkoxy, optionally substituted amino or optionally substituted aryl, and

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl)).

"R^9 and R^{10} can be taken together to form a ring" means that R^9 and R^{10} form a 3-7 membered ring with 0-3 hetero atom(s). The preferable example of the ring is optionally substituted C3-C7 carbon monocycle or optionally substituted hetero monocycle. It is, for example, cycloalkane (cyclopropane, cyclobutane, cyclopentane, cyelohexane or cycloheptane), oxan or the like. The substituent of "optionally substituted C3-C7 carbon monocycle (especially optionally substituted three-membered ring)" or "optionally substituted hetero monocycle" is the same substituent as a substituent on benzene ring of formula (I). The substituent is, for example, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted aryl thio, optionally substituted heterocycle or oxo. Halogen, hydroxy, optionally substituted lower alkoxy, optionally substituted lower alkylthio or optionally substituted lower alkyl is especially preferable.

The preferable example of "optionally substituted C3-C7 carbon monocycle (especially optionally substituted three-membered ring)" or "optionally substituted hetero monocycle" is



(wherein

R^5, R^6, R^7, R^8 and R^{20} are each independently hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

X^1 is -O-, -S-, -NR^{11}- (wherein R^{11} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), -$CR^{12}R^{13}CO$-, -$(CR^{12}R^{13})mO$-, -$(CR^{12}R^{13})mS$- or -$O(CR^{12}R^{13})m$- (wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3) (-O- or -S- is preferable and -S- is especially preferable),

X^2 is a bond, -O-, -S-, -SO-, -SO_2-, -C=C-, -NR^{14}- (wherein R^{14} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), -$CR^{15}R^{16}$- (wherein R^{15} and R^{16} are each independently hydrogen or lower alkyl) or -$COCR^{23}R^{24}$- (wherein R^{23} and R^{24} are each independently hydrogen or lower alkyl) and

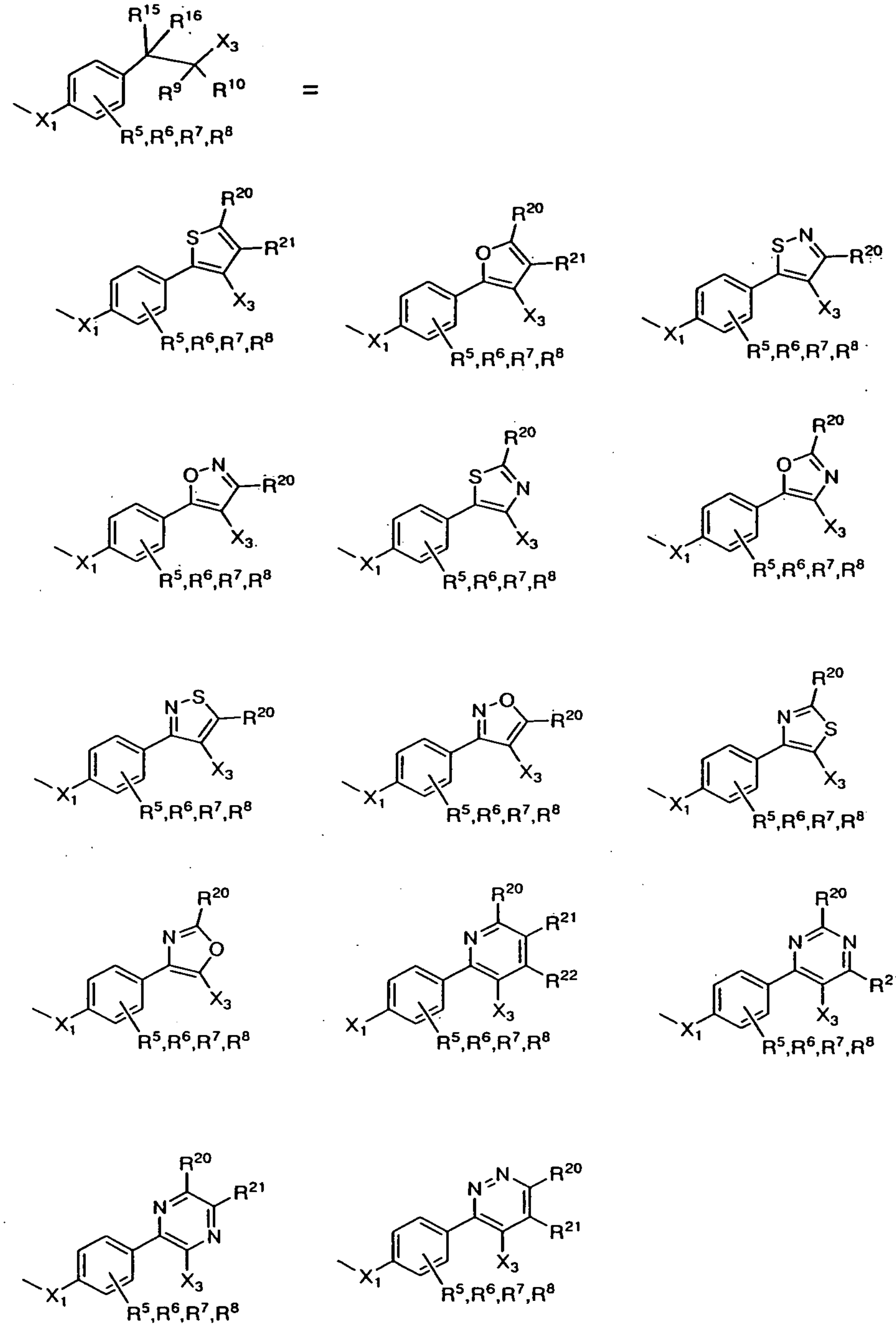
X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl).

"R^{10} and R^{15} can be taken together with the neighboring carbon atom to form a ring" or "R^{15} and R^{10} can be taken together with the neighboring carbon atom to form a ring" means that R^{15} and R^{10} form a 4-7 membered ring having 0-3 heteroatom. The preferable example of the ring is optionally substituted C3-C7 carbon monocycle

or optionally substituted hetero monocycle. It is, for example, thiophene, pyrimidine, furan, pyridine, imidazole, isothiazole, isoxazole, pyridazine, pyrazine, thiazole, oxazole or the like.

The case that R^{16} and R^9 are joined together to form a bond or the case that R^9, R^{10} and R^{15} can be taken together with the neighboring carbon atom to form a ring is especially preferable. The substituent of "optionally substituted C3-C7 carbon monocycle" or "optionally substituted hetero monocycle" is same as a substituent on benzene ring of formula (I). The substituent is, for example, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally substituted aryl, optionally substituted aryloxy, optionally substituted aryl thio, optionally substituted heterocycle or oxo. Halogen, hydroxy, optionally substituted lower alkoxy, optionally substituted lower alkylthio or optionally substituted lower alkyl is especially preferable.

The preferable example of "optionally substituted C3-C7 carbon monocycle (especially optionally substituted phenyl)" or "optionally substituted hetero monocycle" is,



(wherein

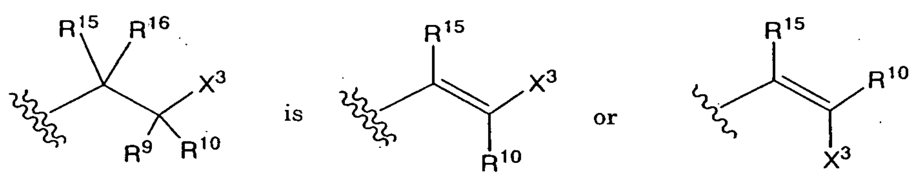
R^5, R^6, R^7, R^8, R^{20}-R^{22} are each independently hydrogen, halogen, hydroxy, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, optionally substituted lower alkoxy, optionally substituted lower alkylthio, optionally substituted acyl, optionally substituted amino, optionally

substituted aryl, optionally substituted aryloxy, optionally substituted arylthio or optionally substituted heterocycle,

X^1 is -O-, -S-, $-NR^{11}-$ (wherein R^{11} is hydrogen, optionally substituted lower alkyl, optionally substituted acyl, optionally substituted lower alkylsulfonyl or optionally substituted arylsulfonyl), $-CR^{12}R^{13}CO-$, $-(CR^{12}R^{13})mO-$, $-(CR^{12}R^{13})mS-$ or $-O(CR^{12}R^{13})m-$ (wherein R^{12} and R^{13} are each independently hydrogen or lower alkyl and m is an integer between 1 and 3) (-O- or -S- is preferable and -S- is especially preferable),

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl)).

"R^9 and R^{16} can be joined together to form a bond" or "R^{16} and R^9 can be joined together to form a bond" means

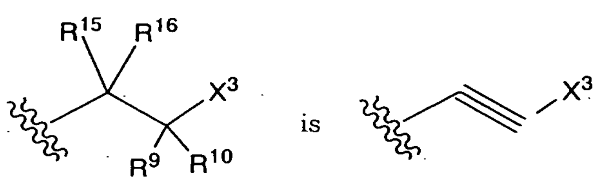


(wherein

R^{10} and R^{15} are each independently hydrogen, halogen, cyano, optionally substituted lower alkyl, optionally substituted lower alkoxy, optionally substituted amino or optionally substituted aryl, and

X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl)).

"R^{16} and R^9 are taken together to form a bond and R^{15} and R^{10} are taken together to form a bond" means that



(wherein X^3 is $COOR^{17}$ (wherein R^{17} is hydrogen or lower alkyl)).

A compound of the present invention includes pharmaceutically acceptable salts, which can produce each compound. "A pharmaceutically acceptable salt" includes for example, salts of inorganic acid such as hydrochloric acid, sulfuric acid,

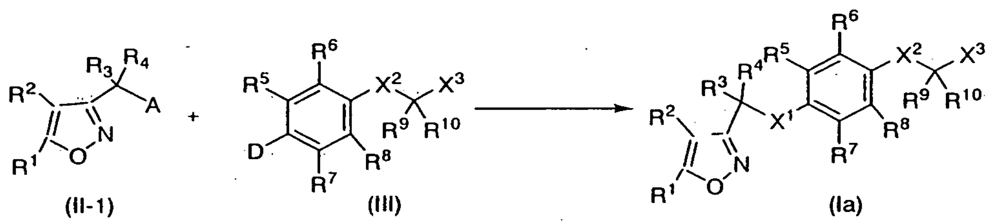
nitric acid, phosphoric acid or the like; salts of organic acid such as paratoluenesulfonic acid, methanesulfonic acid, oxalic acid, citric acid or the like; salts of organic salt group such as ammonium, trimethylammonium or triethylammonium; salts of alkali metal such as sodium or potassium; alkaline-earth metal salts such as calcium, magnesium or the like.

A compound of the present invention includes a solvate thereof and can be coordinate any number of solvent molecules to a compound (I). Preferred is hydrate.

When a compound of the present invention (I) has an asymmetric carbon atom, it contained racemic body and all stereoisomers (a diastereoisomer, an antipode or the like). When a compound of the present invention (I) has a double bond and there is geometrical isomer at a substituent position of double bond, it includes both type of the isomers.

Compound (I) of the present invention can be synthesized, for example, by the following methods.

(Method 1) Synthesis of compound (Ia) ($X^1 = O$, $(CR^{12}R^{13})mO$, $O(CR^{12}R^{13})m$)



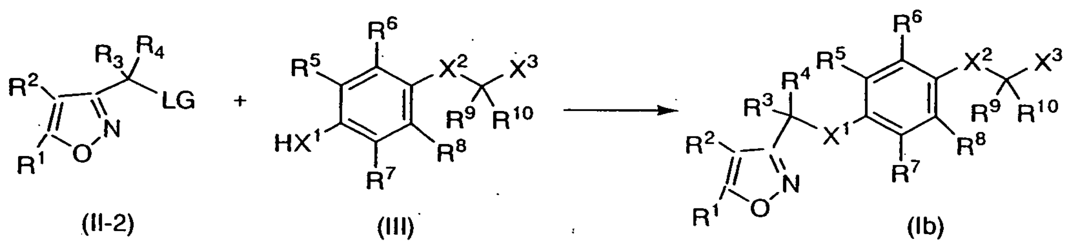
(wherein the one of A and D is OH and another is $(CR^{12}R^{13})mOH$ or both A and D are OH, and the other signs are the same meanings as the above.)

Compound (II-1) and compound (III) are subject to Mitsunobu reaction to obtain compound (Ia). Mitsunobu reaction can be performed by a well-known method and preferably performed in a solvent of N,N-dimethyl formamide, dimethyl sulfoxide, aromatic hydrocarbon group (for example, toluene, benzene, xylene or the like), saturated hydrocarbon group (for example, cyelohexane, hexane or the like), halogenated hydrocarbon group (for example, dichloromethane, 1,2-dichloroethane or the like), ether group (for example, tetrahydrofuran, dioxane or the like), ketone group (for example, acetone, methyl ethylketone or the like), nitryl group (for example,

acetonitrile or the like), water, a mixed solvent thereof or the like under the presence of azodicarboxylate, amide (diethylazodicarboxylate or the like) or phosphine group such as triphenylphosphine or the like at -30 °C - 150 °C and preferably at 0 °C - 100 °C for 0.5 - 90 hours.

As compound (II-1) and compound (III), well known compounds and compounds, which are lead from well-known compounds by usual methods, can be used.

(Method 2) Synthesis of compound (Ib) (X^1 = O, S or NR^{11})



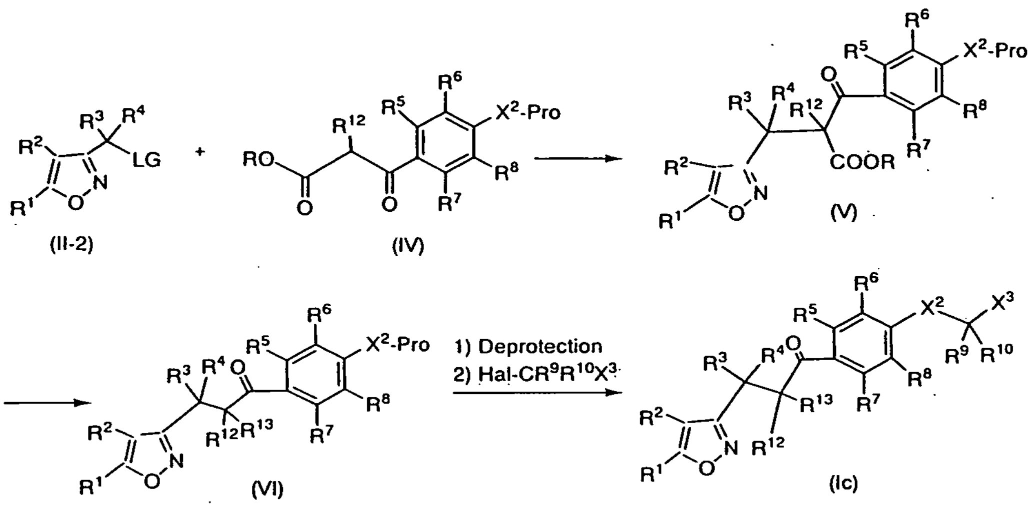
(wherein LG is a leaving group such as halogen, lower alkylsulfonyloxy or the like and the other signs are the same meanings as the above)

Compound (Ib) can be synthesized by reacting compound (II-2) and compound (III). The reaction can be performed in an appropriate solvent under the presence of base at -10 - 180 °C and preferably at 0 - 150 °C for 0.5 - 90 hours. As the solvent, the same solvent described in the above method 1 can be used. The base is, for example, metal hydride (for example, sodium hydride, potassium hydride or the like), metal hydroxide (for example, sodium hydroxide, potassium hydroxide, calcium hydroxide, barium hydroxide or the like), metal carbonate (for example, sodium carbonate, potassium carbonate, calcium carbonate, cesium carbonate or the like), metal alkoxide (for example, sodium methoxide, sodium ethoxide, Potassium tert-butoxide or the like), sodium hydrogen carbonate, metallic sodium, organic amine (triethylamine , DBU or the like) or the like.

As compound (II-2) and compound (III), well known compounds and compounds, which is lead from well-known compounds by usual methods, can be used.

(Method 3) Syntheses of compound (Ic) (X^1 = $CR^{12}R^{13}CO$)

Compound (Ic) can be synthesized by the following route.



(wherein X^2 is O, S or NR^{14}, R is lower alkyl, LG is a leaving group such as halogen, lower alkylsulfonyl or the like, Hal is halogen, Pro is protecting group and the other signs are the same meanings as the above.)

Compound (II-3) and compound (IV) are subject to addition reaction to give compound (V). The reaction can be performed preferably in an appropriate solvent under the presence of base at - 50°C - 150°C and preferably at 20°C - 100°C for 0.5 - 60 hours. The solvent described in the above method 1 can be used as the solvent, and the base described in the above method 2 can be used as the base.

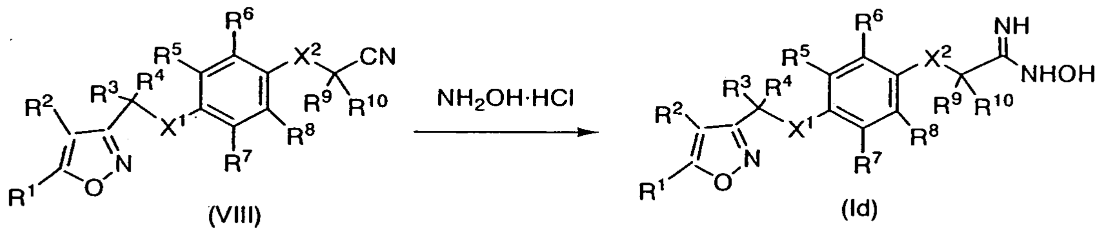
Next, compound (V) is treated with acid to give compound (VI). The reaction can be performed by using the acid such as hydrochloric acid, sulfuric acid in a solvent such as acetic acid, water or the like or without any solvent at 0 °C - 180 °C and preferably at 20 °C - 150 °C for 0.5-90 hours. A target compound wherein R^{13} is hydrogen can be obtained in this process. A target compound wherein R^{13} is optionally substituted lower alkyl can be obtained by alkylating with the usual method in an appropriate step, after this process or after the next process or the like.

Finally, phenol compound obtained by deprotection of compound (VI) and a halogen compound are reacted to give target compound (Ic). Deprotection can be performed by the usual method. The reaction can be performed with correspond halogen compound having $CR^9R^{10}X^3$ group under the presence of the base in an appropriate solvent at -10 - 180 °C and preferably at 0 - 150 °C for 0.5 - 90 hours.

The solvent described in the above method 1 can be used as the solvent. The base described in the above method 2 can be used as the base. As compound (II-3) and compound (VI), well known compounds and compounds, which is lead from well-known compounds by usual methods, can be used.

(Method 4) Syntheses of compound (Id) (X^3 = C(= NH)NHOH)

Compound (Id) is synthesized by the following method.

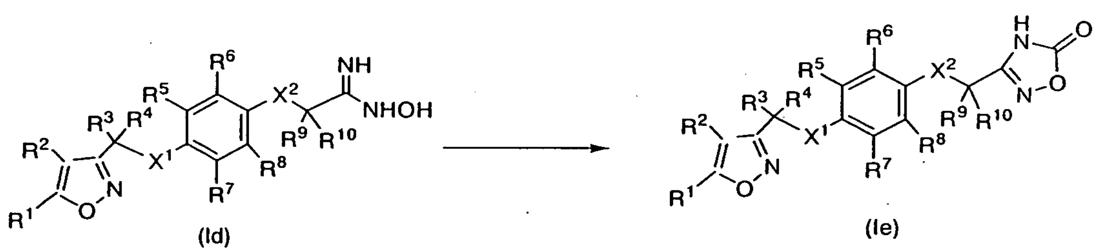


(wherein each sign is the same meanings as the above)

Compound (VIII) is reacted with hydroxylamine to give a target compound (Id). The reaction can be performed in an appropriate solvent at 0 °C - 150 °C and preferably at 20 °C - 100 °C for 0.5 -90 hours. The solvent described in the above method 1 can be used as the solvent. The base described in the above method 2 can be used as the base.

As compound (VIII), well known compounds and compounds, which is lead from well-known compounds by usual methods, can be used.

(Method 5) Syntheses of compound (Ie) (X^3 = oxadiazolon)



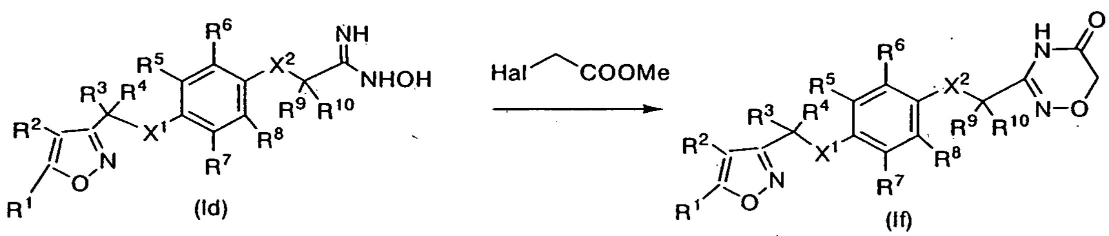
(wherein each sign are the same meanings as the above.)

Compound (Id) obtained in the above method 4 is reacted with CDI, phosgene, triphosgene or the like to give a target compound (Ie). The reaction can be performed in an appropriate solvent at -30 °C - 150 °C and preferably at 0 °C - 100 °C for 0.5 - 90

hours. The solvent described in the above method 1 can be used as a solvent. The base described in the above method 2 can be used as the base.

The target oxadiazolon compound (Ie) substituted with R^{17} is obtained by following method. A compound wherein R^{17} is H is synthesized by the above method, followed by introducing an appropriate subsistent by the usual method to give target compound.

(Method 6) Syntheses of compound (If) (X^3 = oxadiadinon)



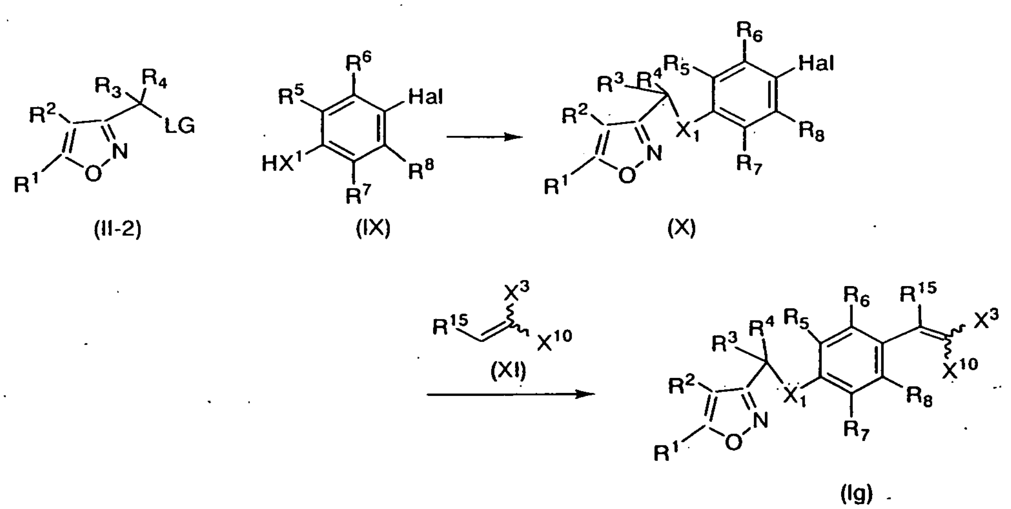
(Id) (If)

(wherein each sign is the same meanings as the above.)

Compound (Id) obtained in the above method 4 and a halogen compound are reacted to give target compound (If). The reaction can be performed in an appropriate solvent at -30 °C - 150 °C and preferably at 0 °C - 100 °C for 0.5 - 90 hours reaction. The solvent described in the above method 1 can be used as the solvent. The base described in the above method 2 can be used as the base.

(Method 7) Syntheses of compound (Ig) (X^1 = O, S or NR^{11})

Compound (Ig) is synthesized by the following route.



(II-2) (IX) (X) (XI) (Ig)

(wherein each sign is the same meanings as the above.)

Compound (II-2) and compound (IX) are subject to an addition reaction to give compound (X). The reaction can be performed preferably in an appropriate solvent under the presence of the base at -50 °C - 150 °C and preferably at 20 °C - 100 °C for 0.5-60 hours. The solvent described in the above method 1 as the solvent and the base described in the above method 2 as the base can be used.

Next, compound (X) is subject to coupling reaction with compound (XI) to give compound (Ig). The reaction can be performed preferably in an appropriate solvent under the presence of the base and palladium catalyst at -50 °C - 200 °C and preferably at 20 °C - 150 °C for 0.5-60 hours. The solvent described in the above method 1 can be used as the solvent, and the base described in the above method 2 can be used as the base. As a palladium catalyst, various palladium catalysts can be used and preferably it is combination of tris (bisbenzylidene acetone) dipalladium and tri-o-tolylphosphine, a combination of palladium acetate and triphenylphosphine or the like.

As compound (II-2), compound (IX) and compound (XI), well known compounds and compounds, which is lead from well-known compounds by usual methods, can be used.

When the compound obtained by the above any method is ester, i.e. X^3 = $COOR^{17}$, this compound is hydrolyze by the usual method to give carboxylic acid, i.e. X^3 = COOH.

If necessary, at an appropriate step in the above method for producing, any substituent can be transform to a different substituent by the well-known organic synthesized reaction.

For example, when the compound has halogen, it is reacted with alcohol in a solvent such as DMF, tetrahydrofuran or the like under the presence of base such as sodium hydride, potassium hydride or the like and deacid reagent such as alkali metal hydroxide, alkali metal hydrogencarbonate, alkali metal carbonate, organic base or the like at -20 °C - 100 °C to give compound whose substituent is transformed to lower alkoxy.

When the compound has hydroxy, it is reacted with oxidizing agent such as pyridinium dichromate, Jones reagent, manganese dioxide, potassium permanganate, ruthenium tetroxide or the like in a solvent such as dimethyl formamide, tetrahydrofuran, dichloromethane, benzene, acetone or the like to give a compound whose substituent is transformed to carboxy.

If necessary, after amino or hydroxy of a compound is protected by the usual method at an appropriate step, it is subjected to the reaction and then deprotected by treatment with acid or base at an appropriate step.

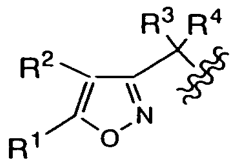
As an amino protecting group, phthalimide, lower alkoxycarbonyl, lower alkenyloxy carbonyl, halogeno alkoxycarbonyl, aryl lower alkoxycarbonyl, trialkyl silyl, lower alkylsulfonyl, halogeno lower alkylsulfonyl, arylsulfonyl, lower alkylcarbonyl, arylcarbonyl or the like can be used.

As a hydroxy protecting group, alkyl (t-butyl or the like), aralkyl (triphenylmethyl or benzyl), trialkyl silyl (t-butyldimethylsilyl, triisopropyl silyl or the like), alkyldiarylsilyl (t-butyldiphenylsilyl or the like), triaralkylsilyl (tribenzylsilyl or the like), alkoxyalkyl (methoxymethyl, 1-ethoxyethyl, 1-methyl 1-methoxyethyl or the like), alkoxyalkoxyalkyl (methoxyethoxymethyl or the like), alkylthioalkyl (methylthiomethyl or the like), tetrahydropyranyl (tetrahydropyran-2-yl, 4-methoxytetrahydropyran-4-yl or the like), tetrahydrothiopyranyl (tetrahydrothiopyran-2-yl or the like), tetrahydrofuranyl (tetrahydrofuran-2-yl or the like), tetrahydrothio furanyl (tetrahydrothio furan-2-yl or the like), aralkyloxyalkyl (benzyloxymethyl or the like) alkylsulfonyl, acyl, p-toluenesulfonyl or the like can be used.

Deprotection reaction is accomplished in a solvent such as tetrahydrofuran, dimethylformamide, diethylether, dichloromethane, toluene, benzene, xylene, cyelohexane, hexane, chloroform, ethyl acetate, butyl acetate, pentane, heptane, dioxane, acetone, acetonitrile or a mixed solvent thereof, by using base such as hydrazine, pyridine, sodium hydroxide, potassium hydroxide or the like or acid such as hydrochloric acid, trifluoroacetic acid, hydrofluoric acid or the like.

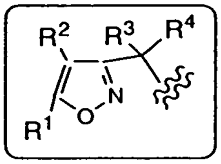
Preferable compounds in compounds of the present invention are followings.

1) A compound wherein the part (A part) of formula:

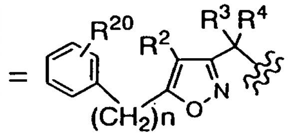


is the one of the followings,

Table 1



A



a1

A Part No.	Type	R20	n	R2	R3,R4
A1	a1	4-Cl	0	H	H,H
A2	a1	4-Cl	0	H	Me,Me
A3	a1	4-Cl	0	H	Et,Et
A4	a1	4-Cl	0	H	H.Et
A5	a1	4-Cl	0	H	H,Ph
A6	a1	4-Cl	0	H	H,C6H4-4-F
A7	a1	4-Cl	0	Me	H,H
A8	a1	4-Cl	0	Me	Me,Me
A9	a1	4-Cl	0	Me	Et,Et
A10	a1	4-Cl	0	Me	H.Et
A11	a1	4-Cl	0	Me	H,Ph
A12	a1	4-Cl	0	Me	H,C6H4-4-F
A13	a1	4-Cl	0	OMe	H,H
A14	a1	4-Cl	0	OMe	Me,Me
A15	a1	4-Cl	0	OMe	Et,Et
A16	a1	4-Cl	0	OMe	H.Et
A17	a1	4-Cl	0	OMe	H,Ph
A18	a1	4-Cl	0	OMe	H,C6H4-4-F
A19	a1	4-Cl	0	CH2OH	H,H
A20	a1	4-Cl	0	CH2OH	H,C6H4-4-F
A21	a1	4-Cl	0	CH2OMe	H,H
A22	a1	4-Cl	0	CH2OMe	Me,Me
A23	a1	4-Cl	0	CH2OMe	Et,Et
A24	a1	4-Cl	0	CH2OMe	H.Et
A25	a1	4-Cl	0	CH2OMe	H,Ph
A26	a1	4-Cl	0	CH2OMe	H,C6H4-4-F
A27	a1	4-Cl	0	CF3	H,H
A28	a1	4-Cl	0	CF3	Me,Me
A29	a1	4-Cl	0	CF3	Et,Et
A30	a1	4-Cl	0	CF3	H.Et
A31	a1	4-Cl	0	CF3	H,Ph
A32	a1	4-Cl	0	CF3	H,C6H4-4-F
A33	a1	4-Cl	0	CH2OPh	H,H

Table 2

A34	a1	4-Cl	0	CH2OPh	H,C6H4-4-F
A35	a1	4-Cl	0	CH2OCH2Ph	H,H
A36	a1	4-Cl	0	CH2OCH2Ph	H,C6H4-4-F
A37	a1	4-Cl	0	CH2-morpholino	H,H
A38	a1	4-Cl	0	CH2-morpholino	Me,Me
A39	a1	4-Cl	0	CH2-morpholino	Et,Et
A40	a1	4-Cl	0	CH2-morpholino	H.Et
A41	a1	4-Cl	0	CH2-morpholino	H,Ph
A42	a1	4-Cl	0	CH2-morpholino	H,C6H4-4-F
A43	a1	4-Cl	0	CH2NHBu	H,H
A44	a1	4-Cl	0	CH2NHBu	H,C6H4-4-F
A45	a1	4-Cl	0	C≡CPh	H,H
A46	a1	4-Cl	0	C≡CPh	H,C6H4-4-F
A47	a1	4-Cl	0	Ph	H,H
A48	a1	4-Cl	0	Ph	H,C6H4-4-F
A49	a1	4-Cl	0	C6H4-4-CF3	H,H
A50	a1	4-Cl	0	C6H4-4-CF3	H,C6H4-4-F
A51	a1	4-Cl	0	C6H4-3-CF3	H,H
A52	a1	4-Cl	0	C6H4-3-CF3	H,C6H4-4-F
A53	a1	4-Cl	0	C6H4-4-OH	H,H
A54	a1	4-Cl	0	C6H4-4-OH	H,C6H4-4-F
A55	a1	4-Cl	0	CH2Ph	H,H
A56	a1	4-Cl	0	CH2Ph	H,C6H4-4-F
A57	a1	4-Cl	0	CH2C6H4-4-CF3	H,H
A58	a1	4-Cl	0	CH2C6H4-4-CF3	Me,Me
A59	a1	4-Cl	0	CH2C6H4-4-CF3	Et,Et
A60	a1	4-Cl	0	CH2C6H4-4-CF3	H.Et
A61	a1	4-Cl	0	CH2C6H4-4-CF3	H,Ph
A62	a1	4-Cl	0	CH2C6H4-4-CF3	H,C6H4-4-F
A63	a1	4-Cl	0	CH2C6H4-4-OCF3	H,H
A64	a1	4-Cl	0	CH2C6H4-4-OCF3	H,C6H4-4-F
A65	a1	4-Cl	0	CH2C6H4-4-Ph	H,H
A66	a1	4-Cl	0	CH2C6H4-4-Ph	H,C6H4-4-F
A67	a1	4-Cl	0	CH2C6H4-2-Cl	H,H
A68	a1	4-Cl	0	CH2C6H4-2-Cl	H,C6H4-4-F
A69	a1	4-Cl	0	(CH2)2Ph	H,H
A70	a1	4-Cl	0	(CH2)2Ph	H,C6H4-4-F
A71	a1	4-Cl	0	SPh	H,H
A72	a1	4-Cl	0	SPh	H,C6H4-4-F
A73	a1	4-Cl	0	NH2	H,H
A74	a1	4-Cl	0	NH2	H,C6H4-4-F
A75	a1	4-Cl	0	NHMe	H,H
A76	a1	4-Cl	0	NHMe	H,C6H4-4-F
A77	a1	4-Cl	0	CH2-piperazino-Ph	H,H

Table 3

A78	a1	4-Cl	0	CH2-piperazino-Ph	H,C6H4-4-F
A79	a1	4-Cl	0	CH2-piperidino	H,H
A80	a1	4-Cl	0	CH2-piperidino	H,C6H4-4-F
A81	a1	4-Cl	0	OCH2Ph	H,H
A82	a1	4-Cl	0	OCH2Ph	H,C6H4-4-F
A83	a1	4-Cl	0	Ac	H,H
A84	a1	4-Cl	0	Ac	H,C6H4-4-F
A85	a1	4-Cl	0	CONH2	H,H
A86	a1	4-Cl	0	CONH2	H,C6H4-4-F
A87	a1	4-Cl	0	CSNH2	H,H
A88	a1	4-Cl	0	CSNH2	H,C6H4-4-F
A89	a1	4-Cl	0	OCONH2	H,H
A90	a1	4-Cl	0	OCONH2	H,C6H4-4-F
A91	a1	4-Cl	0	OCSNH2	H,H
A92	a1	4-Cl	0	OCSNH2	H,C6H4-4-F
A93	a1	4-Cl	0	OSO2Me	H,H
A94	a1	4-Cl	0	OSO2Me	H,C6H4-4-F
A95	a1	4-Cl	0	OSO2Ph	H,H
A96	a1	4-Cl	0	OSO2Ph	H,C6H4-4-F
A97	a1	4-Cl	0	I	H,H
A98	a1	4-Cl	0	I	H,C6H4-4-F
A99	a1	4-Cl	1	H	H,H
A100	a1	4-Cl	1	H	Me,Me
A101	a1	4-Cl	1	H	Et,Et
A102	a1	4-Cl	1	H	H.Et
A103	a1	4-Cl	1	H	H,Ph
A104	a1	4-Cl	1	H	H,C6H4-4-F
A105	a1	4-Cl	1	Me	H,H
A106	a1	4-Cl	1	Me	Me,Me
A107	a1	4-Cl	1	Me	Et,Et
A108	a1	4-Cl	1	Me	H.Et
A109	a1	4-Cl	1	Me	H,Ph
A110	a1	4-Cl	1	Me	H,C6H4-4-F
A111	a1	4-Cl	1	OMe	H,H
A112	a1	4-Cl	1	OMe	Me,Me
A113	a1	4-Cl	1	OMe	Et,Et
A114	a1	4-Cl	1	OMe	H.Et
A115	a1	4-Cl	1	OMe	H,Ph
A116	a1	4-Cl	1	OMe	H,C6H4-4-F
A117	a1	4-Cl	1	CH2OH	H,H
A118	a1	4-Cl	1	CH2OH	H,C6H4-4-F
A119	a1	4-Cl	1	CH2OMe	H,H
A120	a1	4-Cl	1	CH2OMe	Me,Me
A121	a1	4-Cl	1	CH2OMe	Et,Et

Table 4

A122	a1	4-Cl	1	CH2OMe	H,Et
A123	a1	4-Cl	1	CH2OMe	H,Ph
A124	a1	4-Cl	1	CH2OMe	H,C6H4-4-F
A125	a1	4-Cl	1	CF3	H,H
A126	a1	4-Cl	1	CF3	Me,Me
A127	a1	4-Cl	1	CF3	Et,Et
A128	a1	4-Cl	1	CF3	H,Et
A129	a1	4-Cl	1	CF3	H,Ph
A130	a1	4-Cl	1	CF3	H,C6H4-4-F
A131	a1	4-Cl	1	CH2OPh	H,H
A132	a1	4-Cl	1	CH2OPh	H,C6H4-4-F
A133	a1	4-Cl	1	CH2OCH2Ph	H,H
A134	a1	4-Cl	1	CH2OCH2Ph	H,C6H4-4-F
A135	a1	4-Cl	1	CH2-morpholino	H,H
A136	a1	4-Cl	1	CH2-morpholino	Me,Me
A137	a1	4-Cl	1	CH2-morpholino	Et,Et
A138	a1	4-Cl	1	CH2-morpholino	H,Et
A139	a1	4-Cl	1	CH2-morpholino	H,Ph
A140	a1	4-Cl	1	CH2-morpholino	H,C6H4-4-F
A141	a1	4-Cl	1	CH2NHBu	H,H
A142	a1	4-Cl	1	CH2NHBu	H,C6H4-4-F
A143	a1	4-Cl	1	C≡CPh	H,H
A144	a1	4-Cl	1	C≡CPh	H,C6H4-4-F
A145	a1	4-Cl	1	Ph	H,H
A146	a1	4-Cl	1	Ph	H,C6H4-4-F
A147	a1	4-Cl	1	C6H4-4-CF3	H,H
A148	a1	4-Cl	1	C6H4-4-CF3	H,C6H4-4-F
A149	a1	4-Cl	1	C6H4-3-CF3	H,H
A150	a1	4-Cl	1	C6H4-3-CF3	H,C6H4-4-F
A151	a1	4-Cl	1	C6H4-4-OH	H,H
A152	a1	4-Cl	1	C6H4-4-OH	H,C6H4-4-F
A153	a1	4-Cl	1	CH2Ph	H,H
A154	a1	4-Cl	1	CH2Ph	H,C6H4-4-F
A155	a1	4-Cl	1	CH2C6H4-4-CF3	H,H
A156	a1	4-Cl	1	CH2C6H4-4-CF3	Me,Me
A157	a1	4-Cl	1	CH2C6H4-4-CF3	Et,Et
A158	a1	4-Cl	1	CH2C6H4-4-CF3	H,Et
A159	a1	4-Cl	1	CH2C6H4-4-CF3	H,Ph
A160	a1	4-Cl	1	CH2C6H4-4-CF3	H,C6H4-4-F
A161	a1	4-Cl	1	CH2C6H4-4-OCF3	H,H
A162	a1	4-Cl	1	CH2C6H4-4-OCF3	H,C6H4-4-F
A163	a1	4-Cl	1	CH2C6H4-4-Ph	H,H
A164	a1	4-Cl	1	CH2C6H4-4-Ph	H,C6H4-4-F
A165	a1	4-Cl	1	CH2C6H4-2-Cl	H,H

Table 5

A166	a1	4-Cl	1	CH2C6H4-2-Cl	H,C6H4-4-F
A167	a1	4-Cl	1	(CH2)2Ph	H,H
A168	a1	4-Cl	1	(CH2)2Ph	H,C6H4-4-F
A169	a1	4-Cl	1	SPh	H,H
A170	a1	4-Cl	1	SPh	H,C6H4-4-F
A171	a1	4-Cl	1	NH2	H,H
A172	a1	4-Cl	1	NH2	H,C6H4-4-F
A173	a1	4-Cl	1	NHMe	H,H
A174	a1	4-Cl	1	NHMe	H,C6H4-4-F
A175	a1	4-Cl	1	CH2-piperazino-Ph	H,H
A176	a1	4-Cl	1	CH2-piperazino-Ph	H,C6H4-4-F
A177	a1	4-Cl	1	CH2-piperidino	H,H
A178	a1	4-Cl	1	CH2-piperidino	H,C6H4-4-F
A179	a1	4-Cl	1	OCH2Ph	H,H
A180	a1	4-Cl	1	OCH2Ph	H,C6H4-4-F
A181	a1	4-Cl	1	Ac	H,H
A182	a1	4-Cl	1	Ac	H,C6H4-4-F
A183	a1	4-Cl	1	CONH2	H,H
A184	a1	4-Cl	1	CONH2	H,C6H4-4-F
A185	a1	4-Cl	1	CSNH2	H,H
A186	a1	4-Cl	1	CSNH2	H,C6H4-4-F
A187	a1	4-Cl	1	OCONH2	H,H
A188	a1	4-Cl	1	OCONH2	H,C6H4-4-F
A189	a1	4-Cl	1	OCSNH2	H,H
A190	a1	4-Cl	1	OCSNH2	H,C6H4-4-F
A191	a1	4-Cl	1	OSO2Me	H,H
A192	a1	4-Cl	1	OSO2Me	H,C6H4-4-F
A193	a1	4-Cl	1	OSO2Ph	H,H
A194	a1	4-Cl	1	OSO2Ph	H,C6H4-4-F
A195	a1	4-Cl	1	I	H,H
A196	a1	4-Cl	1	I	H,C6H4-4-F
A197	a1	4-Cl	2	H	H,H
A198	a1	4-Cl	2	H	Me,Me
A199	a1	4-Cl	2	H	Et,Et
A200	a1	4-Cl	2	H	H,Et
A201	a1	4-Cl	2	H	H,Ph
A202	a1	4-Cl	2	H	H,C6H4-4-F
A203	a1	4-Cl	2	Me	H,H
A204	a1	4-Cl	2	Me	Me,Me
A205	a1	4-Cl	2	Me	Et,Et
A206	a1	4-Cl	2	Me	H,Et
A207	a1	4-Cl	2	Me	H,Ph
A208	a1	4-Cl	2	Me	H,C6H4-4-F
A209	a1	4-Cl	2	OMe	H,H

Table 6

A210	a1	4-Cl	2	OMe	Me,Me
A211	a1	4-Cl	2	OMe	Et,Et
A212	a1	4-Cl	2	OMe	H.Et
A213	a1	4-Cl	2	OMe	H,Ph
A214	a1	4-Cl	2	OMe	H,C6H4-4-F
A215	a1	4-Cl	2	CH2OH	H,H
A216	a1	4-Cl	2	CH2OH	H,C6H4-4-F
A217	a1	4-Cl	2	CH2OMe	H,H
A218	a1	4-Cl	2	CH2OMe	Me,Me
A219	a1	4-Cl	2	CH2OMe	Et,Et
A220	a1	4-Cl	2	CH2OMe	H.Et
A221	a1	4-Cl	2	CH2OMe	H,Ph
A222	a1	4-Cl	2	CH2OMe	H,C6H4-4-F
A223	a1	4-Cl	2	CF3	H,H
A224	a1	4-Cl	2	CF3	Me,Me
A225	a1	4-Cl	2	CF3	Et,Et
A226	a1	4-Cl	2	CF3	H.Et
A227	a1	4-Cl	2	CF3	H,Ph
A228	a1	4-Cl	2	CF3	H,C6H4-4-F
A229	a1	4-Cl	2	CH2OPh	H,H
A230	a1	4-Cl	2	CH2OPh	H,C6H4-4-F
A231	a1	4-Cl	2	CH2OCH2Ph	H,H
A232	a1	4-Cl	2	CH2OCH2Ph	H,C6H4-4-F
A233	a1	4-Cl	2	CH2-morpholino	H,H
A234	a1	4-Cl	2	CH2-morpholino	Me,Me
A235	a1	4-Cl	2	CH2-morpholino	Et,Et
A236	a1	4-Cl	2	CH2-morpholino	H.Et
A237	a1	4-Cl	2	CH2-morpholino	H,Ph
A238	a1	4-Cl	2	CH2-morpholino	H,C6H4-4-F
A239	a1	4-Cl	2	CH2NHBu	H,H
A240	a1	4-Cl	2	CH2NHBu	H,C6H4-4-F
A241	a1	4-Cl	2	C≡CPh	H,H
A242	a1	4-Cl	2	C≡CPh	H,C6H4-4-F
A243	a1	4-Cl	2	Ph	H,H
A244	a1	4-Cl	2	Ph	H,C6H4-4-F
A245	a1	4-Cl	2	C6H4-4-CF3	H,H
A246	a1	4-Cl	2	C6H4-4-CF3	H,C6H4-4-F
A247	a1	4-Cl	2	C6H4-3-CF3	H,H
A248	a1	4-Cl	2	C6H4-3-CF3	H,C6H4-4-F
A249	a1	4-Cl	2	C6H4-4-OH	H,H
A250	a1	4-Cl	2	C6H4-4-OH	H,C6H4-4-F
A251	a1	4-Cl	2	CH2Ph	H,H
A252	a1	4-Cl	2	CH2Ph	H,C6H4-4-F
A253	a1	4-Cl	2	CH2C6H4-4-CF3	H,H

Table 7

A254	a1	4-Cl	2	CH2C6H4-4-CF3	Me,Me
A255	a1	4-Cl	2	CH2C6H4-4-CF3	Et,Et
A256	a1	4-Cl	2	CH2C6H4-4-CF3	H.Et
A257	a1	4-Cl	2	CH2C6H4-4-CF3	H,Ph
A258	a1	4-Cl	2	CH2C6H4-4-CF3	H,C6H4-4-F
A259	a1	4-Cl	2	CH2C6H4-4-OCF3	H,H
A260	a1	4-Cl	2	CH2C6H4-4-OCF3	H,C6H4-4-F
A261	a1	4-Cl	2	CH2C6H4-4-Ph	H,H
A262	a1	4-Cl	2	CH2C6H4-4-Ph	H,C6H4-4-F
A263	a1	4-Cl	2	CH2C6H4-2-Cl	H,H
A264	a1	4-Cl	2	CH2C6H4-2-Cl	H,C6H4-4-F
A265	a1	4-Cl	2	(CH2)2Ph	H,H
A266	a1	4-Cl	2	(CH2)2Ph	H,C6H4-4-F
A267	a1	4-Cl	2	SPh	H,H
A268	a1	4-Cl	2	SPh	H,C6H4-4-F
A269	a1	4-Cl	2	NH2	H,H
A270	a1	4-Cl	2	NH2	H,C6H4-4-F
A271	a1	4-Cl	2	NHMe	H,H
A272	a1	4-Cl	2	NHMe	H,C6H4-4-F
A273	a1	4-Cl	2	CH2-piperazino-Ph	H,H
A274	a1	4-Cl	2	CH2-piperazino-Ph	H,C6H4-4-F
A275	a1	4-Cl	2	CH2-piperidino	H,H
A276	a1	4-Cl	2	CH2-piperidino	H,C6H4-4-F
A277	a1	4-Cl	2	OCH2Ph	H,H
A278	a1	4-Cl	2	OCH2Ph	H,C6H4-4-F
A279	a1	4-Cl	2	Ac	H,H
A280	a1	4-Cl	2	Ac	H,C6H4-4-F
A281	a1	4-Cl	2	CONH2	H,H
A282	a1	4-Cl	2	CONH2	H,C6H4-4-F
A283	a1	4-Cl	2	CSNH2	H,H
A284	a1	4-Cl	2	CSNH2	H,C6H4-4-F
A285	a1	4-Cl	2	OCONH2	H,H
A286	a1	4-Cl	2	OCONH2	H,C6H4-4-F
A287	a1	4-Cl	2	OCSNH2	H,H
A288	a1	4-Cl	2	OCSNH2	H,C6H4-4-F
A289	a1	4-Cl	2	OSO2Me	H,H
A290	a1	4-Cl	2	OSO2Me	H,C6H4-4-F
A291	a1	4-Cl	2	OSO2Ph	H,H
A292	a1	4-Cl	2	OSO2Ph	H,C6H4-4-F
A293	a1	4-Cl	2	I	H,H
A294	a1	4-Cl	2	I	H,C6H4-4-F
A295	a1	4-CF3	0	H	H,H
A296	a1	4-CF3	0	H	Me,Me
A297	a1	4-CF3	0	H	Et,Et

Table 8

A298	a1	4-CF3	0	H	H.Et
A299	a1	4-CF3	0	H	H,Ph
A300	a1	4-CF3	0	H	H,C6H4-4-F
A301	a1	4-CF3	0	Me	H,H
A302	a1	4-CF3	0	Me	Me,Me
A303	a1	4-CF3	0	Me	Et,Et
A304	a1	4-CF3	0	Me	H.Et
A305	a1	4-CF3	0	Me	H,Ph
A306	a1	4-CF3	0	Me	H,C6H4-4-F
A307	a1	4-CF3	0	OMe	H,H
A308	a1	4-CF3	0	OMe	Me,Me
A309	a1	4-CF3	0	OMe	Et,Et
A310	a1	4-CF3	0	OMe	H.Et
A311	a1	4-CF3	0	OMe	H,Ph
A312	a1	4-CF3	0	OMe	H,C6H4-4-F
A313	a1	4-CF3	0	CH2OH	H,H
A314	a1	4-CF3	0	CH2OH	H,C6H4-4-F
A315	a1	4-CF3	0	CH2OMe	H,H
A316	a1	4-CF3	0	CH2OMe	Me,Me
A317	a1	4-CF3	0	CH2OMe	Et,Et
A318	a1	4-CF3	0	CH2OMe	H.Et
A319	a1	4-CF3	0	CH2OMe	H,Ph
A320	a1	4-CF3	0	CH2OMe	H,C6H4-4-F
A321	a1	4-CF3	0	CF3	H,H
A322	a1	4-CF3	0	CF3	Me,Me
A323	a1	4-CF3	0	CF3	Et,Et
A324	a1	4-CF3	0	CF3	H.Et
A325	a1	4-CF3	0	CF3	H,Ph
A326	a1	4-CF3	0	CF3	H,C6H4-4-F
A327	a1	4-CF3	0	CH2OPh	H,H
A328	a1	4-CF3	0	CH2OPh	H,C6H4-4-F
A329	a1	4-CF3	0	CH2OCH2Ph	H,H
A330	a1	4-CF3	0	CH2OCH2Ph	H,C6H4-4-F
A331	a1	4-CF3	0	CH2-morpholino	H,H
A332	a1	4-CF3	0	CH2-morpholino	Me,Me
A333	a1	4-CF3	0	CH2-morpholino	Et,Et
A334	a1	4-CF3	0	CH2-morpholino	H.Et
A335	a1	4-CF3	0	CH2-morpholino	H,Ph
A336	a1	4-CF3	0	CH2-morpholino	H,C6H4-4-F
A337	a1	4-CF3	0	CH2NHBu	H,H
A338	a1	4-CF3	0	CH2NHBu	H,C6H4-4-F
A339	a1	4-CF3	0	C≡CPh	H,H
A340	a1	4-CF3	0	C≡CPh	H,C6H4-4-F
A341	a1	4-CF3	0	Ph	H,H

Table 9

A342	a1	4-CF3	0	Ph	H,C6H4-4-F
A343	a1	4-CF3	0	C6H4-4-CF3	H,H
A344	a1	4-CF3	0	C6H4-4-CF3	H,C6H4-4-F
A345	a1	4-CF3	0	C6H4-3-CF3	H,H
A346	a1	4-CF3	0	C6H4-3-CF3	H,C6H4-4-F
A347	a1	4-CF3	0	C6H4-4-OH	H,H
A348	a1	4-CF3	0	C6H4-4-OH	H,C6H4-4-F
A349	a1	4-CF3	0	CH2Ph	H,H
A350	a1	4-CF3	0	CH2Ph	H,C6H4-4-F
A351	a1	4-CF3	0	CH2C6H4-4-CF3	H,H
A352	a1	4-CF3	0	CH2C6H4-4-CF3	Me,Me
A353	a1	4-CF3	0	CH2C6H4-4-CF3	Et,Et
A354	a1	4-CF3	0	CH2C6H4-4-CF3	H.Et
A355	a1	4-CF3	0	CH2C6H4-4-CF3	H,Ph
A356	a1	4-CF3	0	CH2C6H4-4-CF3	H,C6H4-4-F
A357	a1	4-CF3	0	CH2C6H4-4-OCF3	H,H
A358	a1	4-CF3	0	CH2C6H4-4-OCF3	H,C6H4-4-F
A359	a1	4-CF3	0	CH2C6H4-4-Ph	H,H
A360	a1	4-CF3	0	CH2C6H4-4-Ph	H,C6H4-4-F
A361	a1	4-CF3	0	CH2C6H4-2-Cl	H,H
A362	a1	4-CF3	0	CH2C6H4-2-Cl	H,C6H4-4-F
A363	a1	4-CF3	0	(CH2)2Ph	H,H
A364	a1	4-CF3	0	(CH2)2Ph	H,C6H4-4-F
A365	a1	4-CF3	0	SPh	H,H
A366	a1	4-CF3	0	SPh	H,C6H4-4-F
A367	a1	4-CF3	0	NH2	H,H
A368	a1	4-CF3	0	NH2	H,C6H4-4-F
A369	a1	4-CF3	0	NHMe	H,H
A370	a1	4-CF3	0	NHMe	H,C6H4-4-F
A371	a1	4-CF3	0	CH2-piperazino-Ph	H,H
A372	a1	4-CF3	0	CH2-piperazino-Ph	H,C6H4-4-F
A373	a1	4-CF3	0	CH2-piperidino	H,H
A374	a1	4-CF3	0	CH2-piperidino	H,C6H4-4-F
A375	a1	4-CF3	0	OCH2Ph	H,H
A376	a1	4-CF3	0	OCH2Ph	H,C6H4-4-F
A377	a1	4-CF3	0	Ac	H,H
A378	a1	4-CF3	0	Ac	H,C6H4-4-F
A379	a1	4-CF3	0	CONH2	H,H
A380	a1	4-CF3	0	CONH2	H,C6H4-4-F
A381	a1	4-CF3	0	CSNH2	H,H
A382	a1	4-CF3	0	CSNH2	H,C6H4-4-F
A383	a1	4-CF3	0	OCONH2	H,H
A384	a1	4-CF3	0	OCONH2	H,C6H4-4-F
A385	a1	4-CF3	0	OCSNH2	H,H

Table 10

A386	a1	4-CF3	0	OCSNH2	H,C6H4-4-F
A387	a1	4-CF3	0	OSO2Me	H,H
A388	a1	4-CF3	0	OSO2Me	H,C6H4-4-F
A389	a1	4-CF3	0	OSO2Ph	H,H
A390	a1	4-CF3	0	OSO2Ph	H,C6H4-4-F
A391	a1	4-CF3	0	I	H,H
A392	a1	4-CF3	0	I	H,C6H4-4-F
A393	a1	4-CF3	1	H	H,H
A394	a1	4-CF3	1	H	Me,Me
A395	a1	4-CF3	1	H	Et,Et
A396	a1	4-CF3	1	H	H.Et
A397	a1	4-CF3	1	H	H,Ph
A398	a1	4-CF3	1	H	H,C6H4-4-F
A399	a1	4-CF3	1	Me	H,H
A400	a1	4-CF3	1	Me	Me,Me
A401	a1	4-CF3	1	Me	Et,Et
A402	a1	4-CF3	1	Me	H.Et
A403	a1	4-CF3	1	Me	H,Ph
A404	a1	4-CF3	1	Me	H,C6H4-4-F
A405	a1	4-CF3	1	OMe	H,H
A406	a1	4-CF3	1	OMe	Me,Me
A407	a1	4-CF3	1	OMe	Et,Et
A408	a1	4-CF3	1	OMe	H.Et
A409	a1	4-CF3	1	OMe	H,Ph
A410	a1	4-CF3	1	OMe	H,C6H4-4-F
A411	a1	4-CF3	1	CH2OH	H,H
A412	a1	4-CF3	1	CH2OH	H,C6H4-4-F
A413	a1	4-CF3	1	CH2OMe	H,H
A414	a1	4-CF3	1	CH2OMe	Me,Me
A415	a1	4-CF3	1	CH2OMe	Et,Et
A416	a1	4-CF3	1	CH2OMe	H.Et
A417	a1	4-CF3	1	CH2OMe	H,Ph
A418	a1	4-CF3	1	CH2OMe	H,C6H4-4-F
A419	a1	4-CF3	1	CF3	H,H
A420	a1	4-CF3	1	CF3	Me,Me
A421	a1	4-CF3	1	CF3	Et,Et
A422	a1	4-CF3	1	CF3	H.Et
A423	a1	4-CF3	1	CF3	H,Ph
A424	a1	4-CF3	1	CF3	H,C6H4-4-F
A425	a1	4-CF3	1	CH2OPh	H,H
A426	a1	4-CF3	1	CH2OPh	H,C6H4-4-F
A427	a1	4-CF3	1	CH2OCH2Ph	H,H
A428	a1	4-CF3	1	CH2OCH2Ph	H,C6H4-4-F
A429	a1	4-CF3	1	CH2-morpholino	H,H

Table 11

A430	a1	4-CF3	1	CH2-morpholino	Me,Me
A431	a1	4-CF3	1	CH2-morpholino	Et,Et
A432	a1	4-CF3	1	CH2-morpholino	H.Et
A433	a1	4-CF3	1	CH2-morpholino	H,Ph
A434	a1	4-CF3	1	CH2-morpholino	H,C6H4-4-F
A435	a1	4-CF3	1	CH2NHBu	H,H
A436	a1	4-CF3	1	CH2NHBu	H,C6H4-4-F
A437	a1	4-CF3	1	C≡CPh	H,H
A438	a1	4-CF3	1	C≡CPh	H,C6H4-4-F
A439	a1	4-CF3	1	Ph	H,H
A440	a1	4-CF3	1	Ph	H,C6H4-4-F
A441	a1	4-CF3	1	C6H4-4-CF3	H,H
A442	a1	4-CF3	1	C6H4-4-CF3	H,C6H4-4-F
A443	a1	4-CF3	1	C6H4-3-CF3	H,H
A444	a1	4-CF3	1	C6H4-3-CF3	H,C6H4-4-F
A445	a1	4-CF3	1	C6H4-4-OH	H,H
A446	a1	4-CF3	1	C6H4-4-OH	H,C6H4-4-F
A447	a1	4-CF3	1	CH2Ph	H,H
A448	a1	4-CF3	1	CH2Ph	H,C6H4-4-F
A449	a1	4-CF3	1	CH2C6H4-4-CF3	H,H
A450	a1	4-CF3	1	CH2C6H4-4-CF3	Me,Me
A451	a1	4-CF3	1	CH2C6H4-4-CF3	Et,Et
A452	a1	4-CF3	1	CH2C6H4-4-CF3	H.Et
A453	a1	4-CF3	1	CH2C6H4-4-CF3	H,Ph
A454	a1	4-CF3	1	CH2C6H4-4-CF3	H,C6H4-4-F
A455	a1	4-CF3	1	CH2C6H4-4-OCF3	H,H
A456	a1	4-CF3	1	CH2C6H4-4-OCF3	H,C6H4-4-F
A457	a1	4-CF3	1	CH2C6H4-4-Ph	H,H
A458	a1	4-CF3	1	CH2C6H4-4-Ph	H,C6H4-4-F
A459	a1	4-CF3	1	CH2C6H4-2-Cl	H,H
A460	a1	4-CF3	1	CH2C6H4-2-Cl	H,C6H4-4-F
A461	a1	4-CF3	1	(CH2)2Ph	H,H
A462	a1	4-CF3	1	(CH2)2Ph	H,C6H4-4-F
A463	a1	4-CF3	1	SPh	H,H
A464	a1	4-CF3	1	SPh	H,C6H4-4-F
A465	a1	4-CF3	1	NH2	H,H
A466	a1	4-CF3	1	NH2	H,C6H4-4-F
A467	a1	4-CF3	1	NHMe	H,H
A468	a1	4-CF3	1	NHMe	H,C6H4-4-F
A469	a1	4-CF3	1	CH2-piperazino-Ph	H,H
A470	a1	4-CF3	1	CH2-piperazino-Ph	H,C6H4-4-F
A471	a1	4-CF3	1	CH2-piperidino	H,H
A472	a1	4-CF3	1	CH2-piperidino	H,C6H4-4-F
A473	a1	4-CF3	1	OCH2Ph	H,H

Table 12

A474	a1	4-CF3	1	OCH2Ph	H,C6H4-4-F
A475	a1	4-CF3	1	Ac	H,H
A476	a1	4-CF3	1	Ac	H,C6H4-4-F
A477	a1	4-CF3	1	CONH2	H,H
A478	a1	4-CF3	1	CONH2	H,C6H4-4-F
A479	a1	4-CF3	1	CSNH2	H,H
A480	a1	4-CF3	1	CSNH2	H,C6H4-4-F
A481	a1	4-CF3	1	OCONH2	H,H
A482	a1	4-CF3	1	OCONH2	H,C6H4-4-F
A483	a1	4-CF3	1	OCSNH2	H,H
A484	a1	4-CF3	1	OCSNH2	H,C6H4-4-F
A485	a1	4-CF3	1	OSO2Me	H,H
A486	a1	4-CF3	1	OSO2Me	H,C6H4-4-F
A487	a1	4-CF3	1	OSO2Ph	H,H
A488	a1	4-CF3	1	OSO2Ph	H,C6H4-4-F
A489	a1	4-CF3	1	I	H,H
A490	a1	4-CF3	1	I	H,C6H4-4-F
A491	a1	4-CF3	2	H	H,H
A492	a1	4-CF3	2	H	Me,Me
A493	a1	4-CF3	2	H	Et,Et
A494	a1	4-CF3	2	H	H.Et
A495	a1	4-CF3	2	H	H,Ph
A496	a1	4-CF3	2	H	H,C6H4-4-F
A497	a1	4-CF3	2	Me	H,H
A498	a1	4-CF3	2	Me	Me,Me
A499	a1	4-CF3	2	Me	Et,Et
A500	a1	4-CF3	2	Me	H.Et
A501	a1	4-CF3	2	Me	H,Ph
A502	a1	4-CF3	2	Me	H,C6H4-4-F
A503	a1	4-CF3	2	OMe	H,H
A504	a1	4-CF3	2	OMe	Me,Me
A505	a1	4-CF3	2	OMe	Et,Et
A506	a1	4-CF3	2	OMe	H.Et
A507	a1	4-CF3	2	OMe	H,Ph
A508	a1	4-CF3	2	OMe	H,C6H4-4-F
A509	a1	4-CF3	2	CH2OH	H,H
A510	a1	4-CF3	2	CH2OH	H,C6H4-4-F
A511	a1	4-CF3	2	CH2OMe	H,H
A512	a1	4-CF3	2	CH2OMe	Me,Me
A513	a1	4-CF3	2	CH2OMe	Et,Et
A514	a1	4-CF3	2	CH2OMe	H.Et
A515	a1	4-CF3	2	CH2OMe	H,Ph
A516	a1	4-CF3	2	CH2OMe	H,C6H4-4-F
A517	a1	4-CF3	2	CF3	H,H

Table 13

A518	a1	4-CF3	2	CF3	Me,Me
A519	a1	4-CF3	2	CF3	Et,Et
A520	a1	4-CF3	2	CF3	H.Et
A521	a1	4-CF3	2	CF3	H,Ph
A522	a1	4-CF3	2	CF3	H,C6H4-4-F
A523	a1	4-CF3	2	CH2OPh	H,H
A524	a1	4-CF3	2	CH2OPh	H,C6H4-4-F
A525	a1	4-CF3	2	CH2OCH2Ph	H,H
A526	a1	4-CF3	2	CH2OCH2Ph	H,C6H4-4-F
A527	a1	4-CF3	2	CH2-morpholino	H,H
A528	a1	4-CF3	2	CH2-morpholino	Me,Me
A529	a1	4-CF3	2	CH2-morpholino	Et,Et
A530	a1	4-CF3	2	CH2-morpholino	H.Et
A531	a1	4-CF3	2	CH2-morpholino	H,Ph
A532	a1	4-CF3	2	CH2-morpholino	H,C6H4-4-F
A533	a1	4-CF3	2	CH2NHBu	H,H
A534	a1	4-CF3	2	CH2NHBu	H,C6H4-4-F
A535	a1	4-CF3	2	C≡CPh	H,H
A536	a1	4-CF3	2	C≡CPh	H,C6H4-4-F
A537	a1	4-CF3	2	Ph	H,H
A538	a1	4-CF3	2	Ph	H,C6H4-4-F
A539	a1	4-CF3	2	C6H4-4-CF3	H,H
A540	a1	4-CF3	2	C6H4-4-CF3	H,C6H4-4-F
A541	a1	4-CF3	2	C6H4-3-CF3	H,H
A542	a1	4-CF3	2	C6H4-3-CF3	H,C6H4-4-F
A543	a1	4-CF3	2	C6H4-4-OH	H,H
A544	a1	4-CF3	2	C6H4-4-OH	H,C6H4-4-F
A545	a1	4-CF3	2	CH2Ph	H,H
A546	a1	4-CF3	2	CH2Ph	H,C6H4-4-F
A547	a1	4-CF3	2	CH2C6H4-4-CF3	H,H
A548	a1	4-CF3	2	CH2C6H4-4-CF3	Me,Me
A549	a1	4-CF3	2	CH2C6H4-4-CF3	Et,Et
A550	a1	4-CF3	2	CH2C6H4-4-CF3	H.Et
A551	a1	4-CF3	2	CH2C6H4-4-CF3	H,Ph
A552	a1	4-CF3	2	CH2C6H4-4-CF3	H,C6H4-4-F
A553	a1	4-CF3	2	CH2C6H4-4-OCF3	H,H
A554	a1	4-CF3	2	CH2C6H4-4-OCF3	H,C6H4-4-F
A555	a1	4-CF3	2	CH2C6H4-4-Ph	H,H
A556	a1	4-CF3	2	CH2C6H4-4-Ph	H,C6H4-4-F
A557	a1	4-CF3	2	CH2C6H4-2-Cl	H,H
A558	a1	4-CF3	2	CH2C6H4-2-Cl	H,C6H4-4-F
A559	a1	4-CF3	2	(CH2)2Ph	H,H
A560	a1	4-CF3	2	(CH2)2Ph	H,C6H4-4-F
A561	a1	4-CF3	2	SPh	H,H

Table 14

A562	a1	4-CF3	2	SPh	H,C6H4-4-F
A563	a1	4-CF3	2	NH2	H,H
A564	a1	4-CF3	2	NH2	H,C6H4-4-F
A565	a1	4-CF3	2	NHMe	H,H
A566	a1	4-CF3	2	NHMe	H,C6H4-4-F
A567	a1	4-CF3	2	CH2-piperazino-Ph	H,H
A568	a1	4-CF3	2	CH2-piperazino-Ph	H,C6H4-4-F
A569	a1	4-CF3	2	CH2-piperidino	H,H
A570	a1	4-CF3	2	CH2-piperidino	H,C6H4-4-F
A571	a1	4-CF3	2	OCH2Ph	H,H
A572	a1	4-CF3	2	OCH2Ph	H,C6H4-4-F
A573	a1	4-CF3	2	Ac	H,H
A574	a1	4-CF3	2	Ac	H,C6H4-4-F
A575	a1	4-CF3	2	CONH2	H,H
A576	a1	4-CF3	2	CONH2	H,C6H4-4-F
A577	a1	4-CF3	2	CSNH2	H,H
A578	a1	4-CF3	2	CSNH2	H,C6H4-4-F
A579	a1	4-CF3	2	OCONH2	H,H
A580	a1	4-CF3	2	OCONH2	H,C6H4-4-F
A581	a1	4-CF3	2	OCSNH2	H,H
A582	a1	4-CF3	2	OCSNH2	H,C6H4-4-F
A583	a1	4-CF3	2	OSO2Me	H,H
A584	a1	4-CF3	2	OSO2Me	H,C6H4-4-F
A585	a1	4-CF3	2	OSO2Ph	H,H
A586	a1	4-CF3	2	OSO2Ph	H,C6H4-4-F
A587	a1	4-CF3	2	I	H,H
A588	a1	4-CF3	2	I	H,C6H4-4-F
A589	a1	H	0	H	H,H
A590	a1	3-F	0	H	Me,Me
A591	a1	2-Me	0	H	Et,Et
A592	a1	3-OMe	0	H	H.Et
A593	a1	4-OH	0	H	H,Ph
A594	a1	4-OMe	0	H	H,C6H4-4-F
A595	a1	2-Ac	0	Me	H,H
A596	a1	4-CH=CH2	0	Me	Me,Me
A597	a1	4-CF3, 3-F	0	Me	Et,Et
A598	a1	4-OCF3	0	Me	H.Et
A599	a1	4-SMe	0	Me	H,Ph
A600	a1	3,5-difluoro	0	Me	H,C6H4-4-F
A601	a1	H	0	OMe	H,H
A602	a1	3-F	0	OMe	Me,Me
A603	a1	2-Me	0	OMe	Et,Et
A604	a1	3-OMe	0	OMe	H.Et
A605	a1	4-OH	0	OMe	H,Ph

Table 15

A606	a1	4-OMe	0	OMe	H,C6H4-4-F
A607	a1	2-Ac	0	CH2OH	H,H
A608	a1	4-CH=CH2	0	CH2OH	H,C6H4-4-F
A609	a1	4-CF3, 3-F	0	CH2OMe	H,H
A610	a1	4-OCF3	0	CH2OMe	Me,Me
A611	a1	4-SMe	0	CH2OMe	Et,Et
A612	a1	3,5-difluoro	0	CH2OMe	H.Et
A613	a1	H	0	CH2OMe	H,Ph
A614	a1	3-F	0	CH2OMe	H,C6H4-4-F
A615	a1	2-Me	0	CF3	H,H
A616	a1	3-OMe	0	CF3	Me,Me
A617	a1	4-OH	0	CF3	Et,Et
A618	a1	4-OMe	0	CF3	H.Et
A619	a1	2-Ac	0	CF3	H,Ph
A620	a1	4-CH=CH2	0	CF3	H,C6H4-4-F
A621	a1	4-CF3, 3-F	0	CH2OPh	H,H
A622	a1	4-OCF3	0	CH2OPh	H,C6H4-4-F
A623	a1	4-SMe	0	CH2OCH2Ph	H,H
A624	a1	3,5-difluoro	0	CH2OCH2Ph	H,C6H4-4-F
A625	a1	H	0	CH2-morpholino	H,H
A626	a1	3-F	0	CH2-morpholino	Me,Me
A627	a1	2-Me	0	CH2-morpholino	Et,Et
A628	a1	3-OMe	0	CH2-morpholino	H.Et
A629	a1	4-OH	0	CH2-morpholino	H,Ph
A630	a1	4-OMe	0	CH2-morpholino	H,C6H4-4-F
A631	a1	2-Ac	0	CH2NHBu	H,H
A632	a1	4-CH=CH2	0	CH2NHBu	H,C6H4-4-F
A633	a1	4-CF3, 3-F	0	C≡CPh	H,H
A634	a1	4-OCF3	0	C≡CPh	H,C6H4-4-F
A635	a1	4-SMe	0	Ph	H,H
A636	a1	3,5-difluoro	0	Ph	H,C6H4-4-F
A637	a1	H	0	C6H4-4-CF3	H,H
A638	a1	3-F	0	C6H4-4-CF3	H,C6H4-4-F
A639	a1	2-Me	0	C6H4-3-CF3	H,H
A640	a1	3-OMe	0	C6H4-3-CF3	H,C6H4-4-F
A641	a1	4-OH	0	C6H4-4-OH	H,H
A642	a1	4-OMe	0	C6H4-4-OH	H,C6H4-4-F
A643	a1	2-Ac	0	CH2Ph	H,H
A644	a1	4-CH=CH2	0	CH2Ph	H,C6H4-4-F
A645	a1	4-CF3, 3-F	0	CH2C6H4-4-CF3	H,H
A646	a1	4-OCF3	0	CH2C6H4-4-CF3	Me,Me
A647	a1	4-SMe	0	CH2C6H4-4-CF3	Et,Et
A648	a1	3,5-difluoro	0	CH2C6H4-4-CF3	H.Et
A649	a1	H	0	CH2C6H4-4-CF3	H,Ph

Table 16

A650	a1	3-F	0	CH2C6H4-4-CF3	H,C6H4-4-F
A651	a1	2-Me	0	CH2C6H4-4-OCF3	H,H
A652	a1	3-OMe	0	CH2C6H4-4-OCF3	H,C6H4-4-F
A653	a1	4-OH	0	CH2C6H4-4-Ph	H,H
A654	a1	4-OMe	0	CH2C6H4-4-Ph	H,C6H4-4-F
A655	a1	2-Ac	0	CH2C6H4-2-Cl	H,H
A656	a1	4-CH=CH2	0	CH2C6H4-2-Cl	H,C6H4-4-F
A657	a1	4-CF3, 3-F	0	(CH2)2Ph	H,H
A658	a1	4-OCF3	0	(CH2)2Ph	H,C6H4-4-F
A659	a1	4-SMe	0	SPh	H,H
A660	a1	3,5-difluoro	0	SPh	H,C6H4-4-F
A661	a1	H	0	NH2	H,H
A662	a1	3-F	0	NH2	H,C6H4-4-F
A663	a1	2-Me	0	NHMe	H,H
A664	a1	3-OMe	0	NHMe	H,C6H4-4-F
A665	a1	4-OH	0	CH2-piperazino-Ph	H,H
A666	a1	4-OMe	0	CH2-piperazino-Ph	H,C6H4-4-F
A667	a1	2-Ac	0	CH2-piperidino	H,H
A668	a1	4-CH=CH2	0	CH2-piperidino	H,C6H4-4-F
A669	a1	4-CF3, 3-F	0	OCH2Ph	H,H
A670	a1	4-OCF3	0	OCH2Ph	H,C6H4-4-F
A671	a1	4-SMe	0	Ac	H,H
A672	a1	3,5-difluoro	0	Ac	H,C6H4-4-F
A673	a1	H	0	CONH2	H,H
A674	a1	3-F	0	CONH2	H,C6H4-4-F
A675	a1	2-Me	0	CSNH2	H,H
A676	a1	3-OMe	0	CSNH2	H,C6H4-4-F
A677	a1	4-OH	0	OCONH2	H,H
A678	a1	4-OMe	0	OCONH2	H,C6H4-4-F
A679	a1	2-Ac	0	OCSNH2	H,H
A680	a1	4-CH=CH2	0	OCSNH2	H,C6H4-4-F
A681	a1	4-CF3, 3-F	0	OSO2Me	H,H
A682	a1	4-OCF3	0	OSO2Me	H,C6H4-4-F
A683	a1	4-SMe	0	OSO2Ph	H,H
A684	a1	3,5-difluoro	0	OSO2Ph	H,C6H4-4-F
A685	a1	H	0	I	H,H
A686	a1	3-F	0	I	H,C6H4-4-F
A687	a1	H	1	H	H,H
A688	a1	3-F	1	H	Me,Me
A689	a1	2-Me	1	H	Et,Et
A690	a1	3-OMe	1	H	H.Et
A691	a1	4-OH	1	H	H,Ph
A692	a1	4-OMe	1	H	H,C6H4-4-F
A693	a1	2-Ac	1	Me	H,H
A694	a1	4-CH=CH2	1	Me	Me,Me
A695	a1	4-CF3, 3-F	1	Me	Et,Et

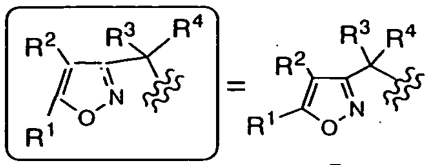
Table 17

A696	a1	4-OCF3	1	Me	H.Et
A697	a1	4-SMe	1	Me	H,Ph
A698	a1	3,5-difluoro	1	Me	H,C6H4-4-F
A699	a1	H	1	OMe	H,H
A700	a1	3-F	1	OMe	Me,Me
A701	a1	2-Me	1	OMe	Et,Et
A702	a1	3-OMe	1	OMe	H.Et
A703	a1	4-OH	1	OMe	H,Ph
A704	a1	4-OMe	1	OMe	H,C6H4-4-F
A705	a1	2-Ac	1	CH2OH	H,H
A706	a1	4-CH=CH2	1	CH2OH	H,C6H4-4-F
A707	a1	4-CF3, 3-F	1	CH2OMe	H,H
A708	a1	4-OCF3	1	CH2OMe	Me,Me
A709	a1	4-SMe	1	CH2OMe	Et,Et
A710	a1	3,5-difluoro	1	CH2OMe	H.Et
A711	a1	H	1	CH2OMe	H,Ph
A712	a1	3-F	1	CH2OMe	H,C6H4-4-F
A713	a1	2-Me	1	CF3	H,H
A714	a1	3-OMe	1	CF3	Me,Me
A715	a1	4-OH	1	CF3	Et,Et
A716	a1	4-OMe	1	CF3	H.Et
A717	a1	2-Ac	1	CF3	H,Ph
A718	a1	4-CH=CH2	1	CF3	H,C6H4-4-F
A719	a1	4-CF3, 3-F	1	CH2OPh	H,H
A720	a1	4-OCF3	1	CH2OPh	H,C6H4-4-F
A721	a1	4-SMe	1	CH2OCH2Ph	H,H
A722	a1	3,5-difluoro	1	CH2OCH2Ph	H,C6H4-4-F
A723	a1	H	1	CH2-morpholino	H,H
A724	a1	3-F	1	CH2-morpholino	Me,Me
A725	a1	2-Me	1	CH2-morpholino	Et,Et
A726	a1	3-OMe	1	CH2-morpholino	H.Et
A727	a1	4-OH	1	CH2-morpholino	H,Ph
A728	a1	4-OMe	1	CH2-morpholino	H,C6H4-4-F
A729	a1	2-Ac	1	CH2NHBu	H,H
A730	a1	4-CH=CH2	1	CH2NHBu	H,C6H4-4-F
A731	a1	4-CF3, 3-F	1	C≡CPh	H,H
A732	a1	4-OCF3	1	C≡CPh	H,C6H4-4-F
A733	a1	4-SMe	1	Ph	H,H
A734	a1	3,5-difluoro	1	Ph	H,C6H4-4-F
A735	a1	H	2	C6H4-4-CF3	H,H
A736	a1	3-F	2	C6H4-4-CF3	H,C6H4-4-F
A737	a1	2-Me	2	C6H4-3-CF3	H,H
A738	a1	3-OMe	2	C6H4-3-CF3	H,C6H4-4-F
A739	a1	4-OH	2	C6H4-4-OH	H,H
A740	a1	4-OMe	2	C6H4-4-OH	H,C6H4-4-F
A741	a1	2-Ac	2	CH2Ph	H,H

Table 18

A742	a1	4-CH=CH2	2	CH2Ph	H,C6H4-4-F
A743	a1	4-CF3, 3-F	2	CH2C6H4-4-CF3	H,H
A744	a1	4-OCF3	2	CH2C6H4-4-CF3	Me,Me
A745	a1	4-SMe	2	CH2C6H4-4-CF3	Et,Et
A746	a1	3,5-difluoro	2	CH2C6H4-4-CF3	H,Et
A747	a1	H	2	CH2C6H4-4-CF3	H,Ph
A748	a1	3-F	2	CH2C6H4-4-CF3	H,C6H4-4-F
A749	a1	2-Me	2	CH2C6H4-4-OCF3	H,H
A750	a1	3-OMe	2	CH2C6H4-4-OCF3	H,C6H4-4-F
A751	a1	4-OH	2	CH2C6H4-4-Ph	H,H
A752	a1	4-OMe	2	CH2C6H4-4-Ph	H,C6H4-4-F
A753	a1	2-Ac	2	CH2C6H4-2-Cl	H,H
A754	a1	4-CH=CH2	2	CH2C6H4-2-Cl	H,C6H4-4-F
A755	a1	4-CF3, 3-F	2	(CH2)2Ph	H,H
A756	a1	4-OCF3	2	(CH2)2Ph	H,C6H4-4-F
A757	a1	4-SMe	2	SPh	H,H
A758	a1	3,5-difluoro	2	SPh	H,C6H4-4-F
A759	a1	H	2	NH2	H,H
A760	a1	3-F	2	NH2	H,C6H4-4-F
A761	a1	2-Me	2	NHMe	H,H
A762	a1	3-OMe	2	NHMe	H,C6H4-4-F
A763	a1	4-OH	2	CH2-piperazino-Ph	H,H
A764	a1	4-OMe	2	CH2-piperazino-Ph	H,C6H4-4-F
A765	a1	2-Ac	2	CH2-piperidino	H,H
A766	a1	4-CH=CH2	2	CH2-piperidino	H,C6H4-4-F
A767	a1	4-CF3, 3-F	2	OCH2Ph	H,H
A768	a1	4-OCF3	2	OCH2Ph	H,C6H4-4-F
A769	a1	4-SMe	2	Ac	H,H
A770	a1	3,5-difluoro	2	Ac	H,C6H4-4-F
A771	a1	H	2	CONH2	H,H
A772	a1	3-F	2	CONH2	H,C6H4-4-F
A773	a1	2-Me	2	CSNH2	H,H
A774	a1	3-OMe	2	CSNH2	H,C6H4-4-F
A775	a1	4-OH	2	OCONH2	H,H
A776	a1	4-OMe	2	OCONH2	H,C6H4-4-F
A777	a1	2-Ac	2	OCSNH2	H,H
A778	a1	4-CH=CH2	2	OCSNH2	H,C6H4-4-F
A779	a1	4-CF3, 3-F	2	OSO2Me	H,H
A780	a1	4-OCF3	2	OSO2Me	H,C6H4-4-F
A781	a1	4-SMe	2	OSO2Ph	H,H
A782	a1	3,5-difluoro	2	OSO2Ph	H,C6H4-4-F
A783	a1	H	2	I	H,H
A784	a1	3-F	2	I	H,C6H4-4-F

Table 19



A a7

A Part No.	Type	R1	R2	R3,R4
A2353	a7	Me	H	H,H
A2354	a7	Me	H	Me,Me
A2355	a7	Me	H	Et,Et
A2356	a7	Me	H	H.Et
A2357	a7	Me	H	H,Ph
A2358	a7	Me	H	H,C6H4-4-F
A2359	a7	Me	Me	H,H
A2360	a7	Me	Me	Me,Me
A2361	a7	Me	Me	Et,Et
A2362	a7	Me	Me	H.Et
A2363	a7	Me	Me	H,Ph
A2364	a7	Me	Me	H,C6H4-4-F
A2365	a7	Me	CH2OMe	H,H
A2366	a7	Me	CH2OMe	Me,Me
A2367	a7	Me	CH2OMe	Et,Et
A2368	a7	Me	CH2OMe	H.Et
A2369	a7	Me	CH2OMe	H,Ph
A2370	a7	Me	CH2OMe	H,C6H4-4-F
A2371	a7	Me	CF3	H,H
A2372	a7	Me	CF3	Me,Me
A2373	a7	Me	CF3	Et,Et
A2374	a7	Me	CF3	H.Et
A2375	a7	Me	CF3	H,Ph
A2376	a7	Me	CF3	H,C6H4-4-F
A2377	a7	Me	CH2OH	H,H
A2378	a7	Me	CH2OH	H,C6H4-4-F
A2379	a7	Me	CH2NHBu	H,H
A2380	a7	Me	CH2NHBu	H,C6H4-4-F
A2381	a7	Me	CH2C≡CH	H,H
A2382	a7	Me	CH2C≡CH	H,C6H4-4-F
A2383	a7	Me	OMe	H,H
A2384	a7	Me	OMe	H,C6H4-4-F
A2385	a7	Me	NH2	H,H
A2386	a7	Me	NH2	H,C6H4-4-F

Table 20

A2387	a7	Me	NHMe	H,H
A2388	a7	Me	NHMe	H,C6H4-4-F
A2389	a7	Me	CH2OPh	H,H
A2390	a7	Me	CH2OPh	H,C6H4-4-F
A2391	a7	Me	CH2OCH2Ph	H,H
A2392	a7	Me	CH2OCH2Ph	H,C6H4-4-F
A2393	a7	Me	CH2-morpholino	H,H
A2394	a7	Me	CH2-morpholino	H,C6H4-4-F
A2395	a7	Me	CH=CH-pyridyl	H,H
A2396	a7	Me	CH=CH-pyridyl	H,C6H4-4-F
A2397	a7	Me	C≡CPh	H,H
A2398	a7	Me	C≡CPh	H,C6H4-4-F
A2399	a7	Me	Ph	H,H
A2400	a7	Me	Ph	H,C6H4-4-F
A2401	a7	Me	C6H4-4-CF3	H,H
A2402	a7	Me	C6H4-4-CF3	Me,Me
A2403	a7	Me	C6H4-4-CF3	Et,Et
A2404	a7	Me	C6H4-4-CF3	H.Et
A2405	a7	Me	C6H4-4-CF3	H,Ph
A2406	a7	Me	C6H4-4-CF3	H,C6H4-4-F
A2407	a7	Me	C6H4-3-CF3	H,H
A2408	a7	Me	C6H4-3-CF3	H,C6H4-4-F
A2409	a7	Me	C6H4-4-OH	H,H
A2410	a7	Me	C6H4-4-OH	H,C6H4-4-F
A2411	a7	Me	CH2Ph	H,H
A2412	a7	Me	CH2Ph	H,C6H4-4-F
A2413	a7	Me	CH2C6H4-4-CF3	H,H
A2414	a7	Me	CH2C6H4-4-CF3	Me,Me
A2415	a7	Me	CH2C6H4-4-CF3	Et,Et
A2416	a7	Me	CH2C6H4-4-CF3	H.Et
A2417	a7	Me	CH2C6H4-4-CF3	H,Ph
A2418	a7	Me	CH2C6H4-4-CF3	H,C6H4-4-F
A2419	a7	Me	CH2C6H4-4-OCF3	H,H
A2420	a7	Me	CH2C6H4-4-OCF3	H,C6H4-4-F
A2421	a7	Me	CH2C6H4-4-Ph	H,H
A2422	a7	Me	CH2C6H4-4-Ph	H,C6H4-4-F
A2423	a7	Me	CH2C6H4-2-Cl	H,H
A2424	a7	Me	CH2C6H4-2-Cl	H,C6H4-4-F
A2425	a7	Me	(CH2)2Ph	H,H
A2426	a7	Me	(CH2)2Ph	H,C6H4-4-F
A2427	a7	Me	CH2-piperazino-Ph	H,H
A2428	a7	Me	CH2-piperazino-Ph	Me,Me
A2429	a7	Me	CH2-piperazino-Ph	Et,Et
A2430	a7	Me	CH2-piperazino-Ph	H.Et

Table 21

A2431	a7	Me	CH2-piperazino-Ph	H,Ph
A2432	a7	Me	CH2-piperazino-Ph	H,C6H4-4-F
A2433	a7	Me	CH2-piperidino	H,H
A2434	a7	Me	CH2-piperidino	H,C6H4-4-F
A2435	a7	Me	SPh	H,H
A2436	a7	Me	SPh	H,C6H4-4-F
A2437	a7	Me	OCH2Ph	H,H
A2438	a7	Me	OCH2Ph	H,C6H4-4-F
A2439	a7	Me	Ac	H,H
A2440	a7	Me	Ac	H,C6H4-4-F
A2441	a7	Me	CONH2	H,H
A2442	a7	Me	CONH2	H,C6H4-4-F
A2443	a7	Me	CSNH2	H,H
A2444	a7	Me	CSNH2	H,C6H4-4-F
A2445	a7	Me	OCONH2	H,H
A2446	a7	Me	OCONH2	H,C6H4-4-F
A2447	a7	Me	OCSNH2	H,H
A2448	a7	Me	OCSNH2	H,C6H4-4-F
A2449	a7	Me	OSO2Me	H,H
A2450	a7	Me	OSO2Me	H,C6H4-4-F
A2451	a7	Me	OSO2Ph	H,H
A2452	a7	Me	OSO2Ph	H,C6H4-4-F
A2453	a7	Me	I	H,H
A2454	a7	Me	I	H,C6H4-4-F
A2455	a7	CF3	H	H,H
A2456	a7	CF3	H	Me,Me
A2457	a7	CF3	H	Et,Et
A2458	a7	CF3	H	H.Et
A2459	a7	CF3	H	H,Ph
A2460	a7	CF3	H	H,C6H4-4-F
A2461	a7	CF3	Me	H,H
A2462	a7	CF3	Me	Me,Me
A2463	a7	CF3	Me	Et,Et
A2464	a7	CF3	Me	H.Et
A2465	a7	CF3	Me	H,Ph
A2466	a7	CF3	Me	H,C6H4-4-F
A2467	a7	CF3	CH2OMe	H,H
A2468	a7	CF3	CH2OMe	Me,Me
A2469	a7	CF3	CH2OMe	Et,Et
A2470	a7	CF3	CH2OMe	H.Et
A2471	a7	CF3	CH2OMe	H,Ph
A2472	a7	CF3	CH2OMe	H,C6H4-4-F
A2473	a7	CF3	CF3	H,H
A2474	a7	CF3	CF3	Me,Me

Table 22

A2475	a7	CF3	CF3	Et,Et
A2476	a7	CF3	CF3	H.Et
A2477	a7	CF3	CF3	H,Ph
A2478	a7	CF3	CF3	H,C6H4-4-F
A2479	a7	CF3	CH2OH	H,H
A2480	a7	CF3	CH2OH	H,C6H4-4-F
A2481	a7	CF3	CH2NHBu	H,H
A2482	a7	CF3	CH2NHBu	H,C6H4-4-F
A2483	a7	CF3	CH2C≡CH	H,H
A2484	a7	CF3	CH2C≡CH	H,C6H4-4-F
A2485	a7	CF3	OMe	H,H
A2486	a7	CF3	OMe	H,C6H4-4-F
A2487	a7	CF3	NH2	H,H
A2488	a7	CF3	NH2	H,C6H4-4-F
A2489	a7	CF3	NHMe	H,H
A2490	a7	CF3	NHMe	H,C6H4-4-F
A2491	a7	CF3	CH2OPh	H,H
A2492	a7	CF3	CH2OPh	H,C6H4-4-F
A2493	a7	CF3	CH2OCH2Ph	H,H
A2494	a7	CF3	CH2OCH2Ph	H,C6H4-4-F
A2495	a7	CF3	CH2-morpholino	H,H
A2496	a7	CF3	CH2-morpholino	H,C6H4-4-F
A2497	a7	CF3	CH=CH-pyridyl	H,H
A2498	a7	CF3	CH=CH-pyridyl	H,C6H4-4-F
A2499	a7	CF3	C≡CPh	H,H
A2500	a7	CF3	C≡CPh	H,C6H4-4-F
A2501	a7	CF3	Ph	H,H
A2502	a7	CF3	Ph	H,C6H4-4-F
A2503	a7	CF3	C6H4-4-CF3	H,H
A2504	a7	CF3	C6H4-4-CF3	Me,Me
A2505	a7	CF3	C6H4-4-CF3	Et,Et
A2506	a7	CF3	C6H4-4-CF3	H.Et
A2507	a7	CF3	C6H4-4-CF3	H,Ph
A2508	a7	CF3	C6H4-4-CF3	H,C6H4-4-F
A2509	a7	CF3	C6H4-3-CF3	H,H
A2510	a7	CF3	C6H4-3-CF3	H,C6H4-4-F
A2511	a7	CF3	C6H4-4-OH	H,H
A2512	a7	CF3	C6H4-4-OH	H,C6H4-4-F
A2513	a7	CF3	CH2Ph	H,H
A2514	a7	CF3	CH2Ph	H,C6H4-4-F
A2515	a7	CF3	CH2C6H4-4-CF3	H,H
A2516	a7	CF3	CH2C6H4-4-CF3	Me,Me
A2517	a7	CF3	CH2C6H4-4-CF3	Et,Et
A2518	a7	CF3	CH2C6H4-4-CF3	H.Et

Table 23

A2519	a7	CF3	CH2C6H4-4-CF3	H,Ph
A2520	a7	CF3	CH2C6H4-4-CF3	H,C6H4-4-F
A2521	a7	CF3	CH2C6H4-4-OCF3	H,H
A2522	a7	CF3	CH2C6H4-4-OCF3	H,C6H4-4-F
A2523	a7	CF3	CH2C6H4-4-Ph	H,H
A2524	a7	CF3	CH2C6H4-4-Ph	H,C6H4-4-F
A2525	a7	CF3	CH2C6H4-2-Cl	H,H
A2526	a7	CF3	CH2C6H4-2-Cl	H,C6H4-4-F
A2527	a7	CF3	(CH2)2Ph	H,H
A2528	a7	CF3	(CH2)2Ph	H,C6H4-4-F
A2529	a7	CF3	CH2-piperazino-Ph	H,H
A2530	a7	CF3	CH2-piperazino-Ph	Me,Me
A2531	a7	CF3	CH2-piperazino-Ph	Et,Et
A2532	a7	CF3	CH2-piperazino-Ph	H.Et
A2533	a7	CF3	CH2-piperazino-Ph	H,Ph
A2534	a7	CF3	CH2-piperazino-Ph	H,C6H4-4-F
A2535	a7	CF3	CH2-piperidino	H,H
A2536	a7	CF3	CH2-piperidino	H,C6H4-4-F
A2537	a7	CF3	SPh	H,H
A2538	a7	CF3	SPh	H,C6H4-4-F
A2539	a7	CF3	OCH2Ph	H,H
A2540	a7	CF3	OCH2Ph	H,C6H4-4-F
A2541	a7	CF3	Ac	H,H
A2542	a7	CF3	Ac	H,C6H4-4-F
A2543	a7	CF3	CONH2	H,H
A2544	a7	CF3	CONH2	H,C6H4-4-F
A2545	a7	CF3	CSNH2	H,H
A2546	a7	CF3	CSNH2	H,C6H4-4-F
A2547	a7	CF3	OCONH2	H,H
A2548	a7	CF3	OCONH2	H,C6H4-4-F
A2549	a7	CF3	OCSNH2	H,H
A2550	a7	CF3	OCSNH2	H,C6H4-4-F
A2551	a7	CF3	OSO2Me	H,H
A2552	a7	CF3	OSO2Me	H,C6H4-4-F
A2553	a7	CF3	OSO2Ph	H,H
A2554	a7	CF3	OSO2Ph	H,C6H4-4-F
A2555	a7	CF3	I	H,H
A2556	a7	CF3	I	H,C6H4-4-F
A2557	a7	CH=CHPh	H	H,H
A2558	a7	CH=CHPh	H	Me,Me
A2559	a7	CH=CHPh	H	Et,Et
A2560	a7	CH=CHPh	H	H.Et
A2561	a7	CH=CHPh	H	H,Ph
A2562	a7	CH=CHPh	H	H,C6H4-4-F

Table 24

A2563	a7	CH=CHPh	Me	H,H
A2564	a7	CH=CHPh	Me	Me,Me
A2565	a7	CH=CHPh	Me	Et,Et
A2566	a7	CH=CHPh	Me	H.Et
A2567	a7	CH=CHPh	Me	H,Ph
A2568	a7	CH=CHPh	Me	H,C6H4-4-F
A2569	a7	CH=CHPh	CH2OMe	H,H
A2570	a7	CH=CHPh	CH2OMe	Me,Me
A2571	a7	CH=CHPh	CH2OMe	Et,Et
A2572	a7	CH=CHPh	CH2OMe	H.Et
A2573	a7	CH=CHPh	CH2OMe	H,Ph
A2574	a7	CH=CHPh	CH2OMe	H,C6H4-4-F
A2575	a7	CH=CHPh	CF3	H,H
A2576	a7	CH=CHPh	CF3	Me,Me
A2577	a7	CH=CHPh	CF3	Et,Et
A2578	a7	CH=CHPh	CF3	H.Et
A2579	a7	CH=CHPh	CF3	H,Ph
A2580	a7	CH=CHPh	CF3	H,C6H4-4-F
A2581	a7	CH=CHPh	CH2OH	H,H
A2582	a7	CH=CHPh	CH2OH	H,C6H4-4-F
A2583	a7	CH=CHPh	CH2NHBu	H,H
A2584	a7	CH=CHPh	CH2NHBu	H,C6H4-4-F
A2585	a7	CH=CHPh	CH2C≡CH	H,H
A2586	a7	CH=CHPh	CH2C≡CH	H,C6H4-4-F
A2587	a7	CH=CHPh	OMe	H,H
A2588	a7	CH=CHPh	OMe	H,C6H4-4-F
A2589	a7	CH=CHPh	NH2	H,H
A2590	a7	CH=CHPh	NH2	H,C6H4-4-F
A2591	a7	CH=CHPh	NHMe	H,H
A2592	a7	CH=CHPh	NHMe	H,C6H4-4-F
A2593	a7	CH=CHPh	CH2OPh	H,H
A2594	a7	CH=CHPh	CH2OPh	H,C6H4-4-F
A2595	a7	CH=CHPh	CH2OCH2Ph	H,H
A2596	a7	CH=CHPh	CH2OCH2Ph	H,C6H4-4-F
A2597	a7	CH=CHPh	CH2-morpholino	H,H
A2598	a7	CH=CHPh	CH2-morpholino	H,C6H4-4-F
A2599	a7	CH=CHPh	CH=CH-pyridyl	H,H
A2600	a7	CH=CHPh	CH=CH-pyridyl	H,C6H4-4-F
A2601	a7	CH=CHPh	C≡CPh	H,H
A2602	a7	CH=CHPh	C≡CPh	H,C6H4-4-F
A2603	a7	CH=CHPh	Ph	H,H
A2604	a7	CH=CHPh	Ph	H,C6H4-4-F
A2605	a7	CH=CHPh	C6H4-4-CF3	H,H
A2606	a7	CH=CHPh	C6H4-4-CF3	Me,Me

Table 25

A2607	a7	CH=CHPh	C6H4-4-CF3	Et,Et
A2608	a7	CH=CHPh	C6H4-4-CF3	H.Et
A2609	a7	CH=CHPh	C6H4-4-CF3	H,Ph
A2610	a7	CH=CHPh	C6H4-4-CF3	H,C6H4-4-F
A2611	a7	CH=CHPh	C6H4-3-CF3	H,H
A2612	a7	CH=CHPh	C6H4-3-CF3	H,C6H4-4-F
A2613	a7	CH=CHPh	C6H4-4-OH	H,H
A2614	a7	CH=CHPh	C6H4-4-OH	H,C6H4-4-F
A2615	a7	CH=CHPh	CH2Ph	H,H
A2616	a7	CH=CHPh	CH2Ph	H,C6H4-4-F
A2617	a7	CH=CHPh	CH2C6H4-4-CF3	H,H
A2618	a7	CH=CHPh	CH2C6H4-4-CF3	Me,Me
A2619	a7	CH=CHPh	CH2C6H4-4-CF3	Et,Et
A2620	a7	CH=CHPh	CH2C6H4-4-CF3	H.Et
A2621	a7	CH=CHPh	CH2C6H4-4-CF3	H,Ph
A2622	a7	CH=CHPh	CH2C6H4-4-CF3	H,C6H4-4-F
A2623	a7	CH=CHPh	CH2C6H4-4-OCF3	H,H
A2624	a7	CH=CHPh	CH2C6H4-4-OCF3	H,C6H4-4-F
A2625	a7	CH=CHPh	CH2C6H4-4-Ph	H,H
A2626	a7	CH=CHPh	CH2C6H4-4-Ph	H,C6H4-4-F
A2627	a7	CH=CHPh	CH2C6H4-2-Cl	H,H
A2628	a7	CH=CHPh	CH2C6H4-2-Cl	H,C6H4-4-F
A2629	a7	CH=CHPh	(CH2)2Ph	H,H
A2630	a7	CH=CHPh	(CH2)2Ph	H,C6H4-4-F
A2631	a7	CH=CHPh	CH2-piperazino-Ph	H,H
A2632	a7	CH=CHPh	CH2-piperazino-Ph	Me,Me
A2633	a7	CH=CHPh	CH2-piperazino-Ph	Et,Et
A2634	a7	CH=CHPh	CH2-piperazino-Ph	H.Et
A2635	a7	CH=CHPh	CH2-piperazino-Ph	H,Ph
A2636	a7	CH=CHPh	CH2-piperazino-Ph	H,C6H4-4-F
A2637	a7	CH=CHPh	CH2-piperidino	H,H
A2638	a7	CH=CHPh	CH2-piperidino	H,C6H4-4-F
A2639	a7	CH=CHPh	SPh	H,H
A2640	a7	CH=CHPh	SPh	H,C6H4-4-F
A2641	a7	CH=CHPh	OCH2Ph	H,H
A2642	a7	CH=CHPh	OCH2Ph	H,C6H4-4-F
A2643	a7	CH=CHPh	Ac	H,H
A2644	a7	CH=CHPh	Ac	H,C6H4-4-F
A2645	a7	CH=CHPh	CONH2	H,H
A2646	a7	CH=CHPh	CONH2	H,C6H4-4-F
A2647	a7	CH=CHPh	CSNH2	H,H
A2648	a7	CH=CHPh	CSNH2	H,C6H4-4-F
A2649	a7	CH=CHPh	OCONH2	H,H
A2650	a7	CH=CHPh	OCONH2	H,C6H4-4-F

Table 26

A2651	a7	CH=CHPh	OCSNH2	H,H
A2652	a7	CH=CHPh	OCSNH2	H,C6H4-4-F
A2653	a7	CH=CHPh	OSO2Me	H,H
A2654	a7	CH=CHPh	OSO2Me	H,C6H4-4-F
A2655	a7	CH=CHPh	OSO2Ph	H,H
A2656	a7	CH=CHPh	OSO2Ph	H,C6H4-4-F
A2657	a7	CH=CHPh	I	H,H
A2658	a7	CH=CHPh	I	H,C6H4-4-F
A2659	a7	≡CPh	H	H,H
A2660	a7	≡CPh	H	Me,Me
A2661	a7	≡CPh	H	Et,Et
A2662	a7	≡CPh	H	H.Et
A2663	a7	≡CPh	H	H,Ph
A2664	a7	≡CPh	H	H,C6H4-4-F
A2665	a7	≡CPh	Me	H,H
A2666	a7	≡CPh	Me	Me,Me
A2667	a7	≡CPh	Me	Et,Et
A2668	a7	≡CPh	Me	H.Et
A2669	a7	≡CPh	Me	H,Ph
A2670	a7	≡CPh	Me	H,C6H4-4-F
A2671	a7	≡CPh	CH2OMe	H,H
A2672	a7	≡CPh	CH2OMe	Me,Me
A2673	a7	≡CPh	CH2OMe	Et,Et
A2674	a7	≡CPh	CH2OMe	H.Et
A2675	a7	≡CPh	CH2OMe	H,Ph
A2676	a7	≡CPh	CH2OMe	H,C6H4-4-F
A2677	a7	≡CPh	CF3	H,H
A2678	a7	≡CPh	CF3	Me,Me
A2679	a7	≡CPh	CF3	Et,Et
A2680	a7	≡CPh	CF3	H.Et
A2681	a7	≡CPh	CF3	H,Ph
A2682	a7	≡CPh	CF3	H,C6H4-4-F
A2683	a7	≡CPh	CH2OH	H,H
A2684	a7	≡CPh	CH2OH	H,C6H4-4-F
A2685	a7	≡CPh	CH2NHBu	H,H
A2686	a7	≡CPh	CH2NHBu	H,C6H4-4-F
A2687	a7	≡CPh	CH2C≡CH	H,H
A2688	a7	≡CPh	CH2C≡CH	H,C6H4-4-F
A2689	a7	≡CPh	OMe	H,H
A2690	a7	≡CPh	OMe	H,C6H4-4-F
A2691	a7	≡CPh	NH2	H,H
A2692	a7	≡CPh	NH2	H,C6H4-4-F
A2693	a7	≡CPh	NHMe	H,H
A2694	a7	≡CPh	NHMe	H,C6H4-4-F

Table 27

A2695	a7	≡CPh	CH2OPh	H,H
A2696	a7	≡CPh	CH2OPh	H,C6H4-4-F
A2697	a7	≡CPh	CH2OCH2Ph	H,H
A2698	a7	≡CPh	CH2OCH2Ph	H,C6H4-4-F
A2699	a7	≡CPh	CH2-morpholino	H,H
A2700	a7	≡CPh	CH2-morpholino	H,C6H4-4-F
A2701	a7	≡CPh	CH=CH-pyridyl	H,H
A2702	a7	≡CPh	CH=CH-pyridyl	H,C6H4-4-F
A2703	a7	≡CPh	C≡CPh	H,H
A2704	a7	≡CPh	C≡CPh	H,C6H4-4-F
A2705	a7	≡CPh	Ph	H,H
A2706	a7	≡CPh	Ph	H,C6H4-4-F
A2707	a7	≡CPh	C6H4-4-CF3	H,H
A2708	a7	≡CPh	C6H4-4-CF3	Me,Me
A2709	a7	≡CPh	C6H4-4-CF3	Et,Et
A2710	a7	≡CPh	C6H4-4-CF3	H.Et
A2711	a7	≡CPh	C6H4-4-CF3	H,Ph
A2712	a7	≡CPh	C6H4-4-CF3	H,C6H4-4-F
A2713	a7	≡CPh	C6H4-3-CF3	H,H
A2714	a7	≡CPh	C6H4-3-CF3	H,C6H4-4-F
A2715	a7	≡CPh	C6H4-4-OH	H,H
A2716	a7	≡CPh	C6H4-4-OH	H,C6H4-4-F
A2717	a7	≡CPh	CH2Ph	H,H
A2718	a7	≡CPh	CH2Ph	H,C6H4-4-F
A2719	a7	≡CPh	CH2C6H4-4-CF3	H,H
A2720	a7	≡CPh	CH2C6H4-4-CF3	Me,Me
A2721	a7	≡CPh	CH2C6H4-4-CF3	Et,Et
A2722	a7	≡CPh	CH2C6H4-4-CF3	H.Et
A2723	a7	≡CPh	CH2C6H4-4-CF3	H,Ph
A2724	a7	≡CPh	CH2C6H4-4-CF3	H,C6H4-4-F
A2725	a7	≡CPh	CH2C6H4-4-OCF3	H,H
A2726	a7	≡CPh	CH2C6H4-4-OCF3	H,C6H4-4-F
A2727	a7	≡CPh	CH2C6H4-4-Ph	H,H
A2728	a7	≡CPh	CH2C6H4-4-Ph	H,C6H4-4-F
A2729	a7	≡CPh	CH2C6H4-2-Cl	H,H
A2730	a7	≡CPh	CH2C6H4-2-Cl	H,C6H4-4-F
A2731	a7	≡CPh	(CH2)2Ph	H,H
A2732	a7	≡CPh	(CH2)2Ph	H,C6H4-4-F
A2733	a7	≡CPh	CH2-piperazino-Ph	H,H
A2734	a7	≡CPh	CH2-piperazino-Ph	Me,Me
A2735	a7	≡CPh	CH2-piperazino-Ph	Et,Et
A2736	a7	≡CPh	CH2-piperazino-Ph	H.Et
A2737	a7	≡CPh	CH2-piperazino-Ph	H,Ph
A2738	a7	≡CPh	CH2-piperazino-Ph	H,C6H4-4-F

Table 28

A2739	a7	≡CPh	CH2-piperidino	H,H
A2740	a7	≡CPh	CH2-piperidino	H,C6H4-4-F
A2741	a7	≡CPh	SPh	H,H
A2742	a7	≡CPh	SPh	H,C6H4-4-F
A2743	a7	≡CPh	OCH2Ph	H,H
A2744	a7	≡CPh	OCH2Ph	H,C6H4-4-F
A2745	a7	≡CPh	Ac	H,H
A2746	a7	≡CPh	Ac	H,C6H4-4-F
A2747	a7	≡CPh	CONH2	H,H
A2748	a7	≡CPh	CONH2	H,C6H4-4-F
A2749	a7	≡CPh	CSNH2	H,H
A2750	a7	≡CPh	CSNH2	H,C6H4-4-F
A2751	a7	≡CPh	OCONH2	H,H
A2752	a7	≡CPh	OCONH2	H,C6H4-4-F
A2753	a7	≡CPh	OCSNH2	H,H
A2754	a7	≡CPh	OCSNH2	H,C6H4-4-F
A2755	a7	≡CPh	OSO2Me	H,H
A2756	a7	≡CPh	OSO2Me	H,C6H4-4-F
A2757	a7	≡CPh	OSO2Ph	H,H
A2758	a7	≡CPh	OSO2Ph	H,C6H4-4-F
A2759	a7	≡CPh	I	H,H
A2760	a7	≡CPh	I	H,C6H4-4-F
A2762	a7	F	H	Me,Me
A2763	a7	Et	H	Et,Et
A2764	a7	iBu	H	H.Et
A2765	a7	CH=CHMe	H	H,Ph
A2766	a7	OH	H	H,C6H4-4-F
A2767	a7	OEt	Me	H,H
A2768	a7	COPh	Me	Me,Me
A2769	a7	4-pyridyl	Me	Et,Et
A2770	a7	morpholino	Me	H.Et
A2771	a7	NHiPr	Me	H,Ph
A2773	a7	F	CH2OMe	H,H
A2774	a7	Et	CH2OMe	Me,Me
A2775	a7	iBu	CH2OMe	Et,Et
A2776	a7	CH=CHMe	CH2OMe	H.Et
A2777	a7	OH	CH2OMe	H,Ph
A2778	a7	OEt	CH2OMe	H,C6H4-4-F
A2779	a7	COPh	CF3	H,H
A2780	a7	4-pyridyl	CF3	Me,Me
A2781	a7	morpholino	CF3	Et,Et
A2782	a7	NHiPr	CF3	H.Et
A2784	a7	F	CF3	H,C6H4-4-F
A2785	a7	Et	CH2OH	H,H

Table 29

A2786	a7	iBu	CH2OH	H,C6H4-4-F
A2787	a7	CH=CHMe	CH2NHBu	H,H
A2788	a7	OH	CH2NHBu	H,C6H4-4-F
A2789	a7	OEt	CH2C≡CH	H,H
A2790	a7	COPh	CH2C≡CH	H,C6H4-4-F
A2791	a7	4-pyridyl	OMe	H,H
A2792	a7	morpholino	OMe	H,C6H4-4-F
A2793	a7	NHiPr	NH2	H,H
A2795	a7	F	NHMe	H,H
A2796	a7	Et	NHMe	H,C6H4-4-F
A2797	a7	iBu	CH2OPh	H,H
A2798	a7	CH=CHMe	CH2OPh	H,C6H4-4-F
A2799	a7	OH	CH2OCH2Ph	H,H
A2800	a7	OEt	CH2OCH2Ph	H,C6H4-4-F
A2801	a7	COPh	CH2-morpholino	H,H
A2802	a7	4-pyridyl	CH2-morpholino	H,C6H4-4-F
A2803	a7	morpholino	CH=CH-pyridyl	H,H
A2804	a7	NHiPr	CH=CH-pyridyl	H,C6H4-4-F
A2806	a7	F	C≡CPh	H,C6H4-4-F
A2807	a7	Et	Ph	H,H
A2808	a7	iBu	Ph	H,C6H4-4-F
A2809	a7	CH=CHMe	C6H4-4-CF3	H,H
A2810	a7	OH	C6H4-4-CF3	Me,Me
A2811	a7	OEt	C6H4-4-CF3	Et,Et
A2812	a7	COPh	C6H4-4-CF3	H.Et
A2813	a7	4-pyridyl	C6H4-4-CF3	H,Ph
A2814	a7	morpholino	C6H4-4-CF3	H,C6H4-4-F
A2815	a7	NHiPr	C6H4-3-CF3	H,H
A2817	a7	F	C6H4-4-OH	H,H
A2818	a7	Et	C6H4-4-OH	H,C6H4-4-F
A2819	a7	iBu	CH2Ph	H,H
A2820	a7	CH=CHMe	CH2Ph	H,C6H4-4-F
A2821	a7	OH	CH2C6H4-4-CF3	H,H
A2822	a7	OEt	CH2C6H4-4-CF3	Me,Me
A2823	a7	COPh	CH2C6H4-4-CF3	Et,Et
A2824	a7	4-pyridyl	CH2C6H4-4-CF3	H.Et
A2825	a7	morpholino	CH2C6H4-4-CF3	H,Ph
A2826	a7	NHiPr	CH2C6H4-4-CF3	H,C6H4-4-F
A2828	a7	F	CH2C6H4-4-OCF3	H,C6H4-4-F
A2829	a7	Et	CH2C6H4-4-Ph	H,H
A2830	a7	iBu	CH2C6H4-4-Ph	H,C6H4-4-F
A2831	a7	CH=CHMe	CH2C6H4-2-Cl	H,H
A2832	a7	OH	CH2C6H4-2-Cl	H,C6H4-4-F
A2833	a7	OEt	(CH2)2Ph	H,H

Table 30

A2834	a7	COPh	(CH2)2Ph	H,C6H4-4-F
A2835	a7	4-pyridyl	CH2-piperazino-Ph	H,H
A2836	a7	morpholino	CH2-piperazino-Ph	Me,Me
A2837	a7	NHiPr	CH2-piperazino-Ph	Et,Et
A2839	a7	F	CH2-piperazino-Ph	H,Ph
A2840	a7	Et	CH2-piperazino-Ph	H,C6H4-4-F
A2841	a7	iBu	CH2-piperidino	H,H
A2842	a7	CH=CHMe	CH2-piperidino	H,C6H4-4-F
A2843	a7	OH	SPh	H,H
A2844	a7	OEt	SPh	H,C6H4-4-F
A2845	a7	COPh	OCH2Ph	H,H
A2846	a7	4-pyridyl	OCH2Ph	H,C6H4-4-F
A2847	a7	morpholino	Ac	H,H
A2848	a7	NHiPr	Ac	H,C6H4-4-F
A2850	a7	F	CONH2	H,C6H4-4-F
A2851	a7	Et	CSNH2	H,H
A2852	a7	iBu	CSNH2	H,C6H4-4-F
A2853	a7	CH=CHMe	OCONH2	H,H
A2854	a7	OH	OCONH2	H,C6H4-4-F
A2855	a7	OEt	OCSNH2	H,H
A2856	a7	COPh	OCSNH2	H,C6H4-4-F
A2857	a7	4-pyridyl	OSO2Me	H,H
A2858	a7	morpholino	OSO2Me	H,C6H4-4-F
A2859	a7	NHiPr	OSO2Ph	H,H
A2861	a7	F	I	H,H
A2862	a7	Et	I	H,C6H4-4-F
A3385	a7	CH2OMe	Me	H,H
A3386	a7	CH2OMe	Me	Me,Me
A3387	a7	CH2OMe	Me	Et,Et
A3388	a7	CH2OMe	Me	H.Et
A3389	a7	CH2OMe	Me	H,Ph
A3390	a7	CH2OMe	Me	H,C6H4-4-F
A3397	a7	CH2OH	Me	H,H
A3552	a7	CH2-piperazino-Ph	CF3	H.Et
A3553	a7	CH2-piperazino-Ph	CF3	H,Ph
A3554	a7	CH2-piperazino-Ph	CF3	H,C6H4-4-F
A3555	a7	CH2-piperidino	CF3	H,H
A3556	a7	CH2-piperidino	CF3	H,C6H4-4-F
A3557	a7	SPh	CF3	H,H
A3558	a7	SPh	CF3	H,C6H4-4-F
A3559	a7	OCH2Ph	CF3	H,H
A3560	a7	OCH2Ph	CF3	H,C6H4-4-F
A3561	a7	Ac	CF3	H,H
A3562	a7	Ac	CF3	H,C6H4-4-F

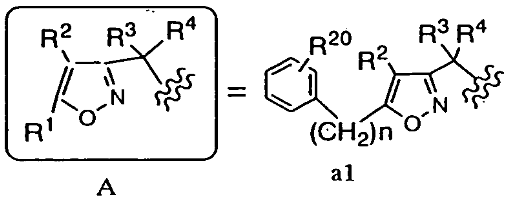
Table 31

A3563	a7	CONH2	CF3	H,H
A3564	a7	CONH2	CF3	H,C6H4-4-F
A3565	a7	CSNH2	CF3	H,H
A3566	a7	CSNH2	CF3	H,C6H4-4-F
A3567	a7	OCONH2	CF3	H,H
A3568	a7	OCONH2	CF3	H,C6H4-4-F
A3569	a7	OCSNH2	CF3	H,H
A3570	a7	OCSNH2	CF3	H,C6H4-4-F
A3571	a7	OSO2Me	CF3	H,H
A3572	a7	OSO2Me	CF3	H,C6H4-4-F
A3573	a7	OSO2Ph	CF3	H,H
A3574	a7	OSO2Ph	CF3	H,C6H4-4-F
A3575	a7	I	CF3	H,H
A3576	a7	I	CF3	H,C6H4-4-F
A3627	a7	C6H4-4-CF3	CH=CHPh	Et,Et
A3628	a7	C6H4-4-CF3	CH=CHPh	H.Et
A3629	a7	C6H4-4-CF3	CH=CHPh	H,Ph
A3630	a7	C6H4-4-CF3	CH=CHPh	H,C6H4-4-F
A3631	a7	C6H4-3-CF3	CH=CHPh	H,H
A3632	a7	C6H4-3-CF3	CH=CHPh	H,C6H4-4-F
A3633	a7	C6H4-4-OH	CH=CHPh	H,H
A3634	a7	C6H4-4-OH	CH=CHPh	H,C6H4-4-F
A3635	a7	CH2Ph	CH=CHPh	H,H
A3636	a7	CH2Ph	CH=CHPh	H,C6H4-4-F
A3637	a7	CH2C6H4-4-CF3	CH=CHPh	H,H
A3638	a7	CH2C6H4-4-CF3	CH=CHPh	Me,Me
A3639	a7	CH2C6H4-4-CF3	CH=CHPh	Et,Et
A3640	a7	CH2C6H4-4-CF3	CH=CHPh	H.Et
A3641	a7	CH2C6H4-4-CF3	CH=CHPh	H,Ph
A3642	a7	CH2C6H4-4-CF3	CH=CHPh	H,C6H4-4-F
A3643	a7	CH2C6H4-4-OCF3	CH=CHPh	H,H
A3644	a7	CH2C6H4-4-OCF3	CH=CHPh	H,C6H4-4-F
A3645	a7	CH2C6H4-4-Ph	CH=CHPh	H,H
A3646	a7	CH2C6H4-4-Ph	CH=CHPh	H,C6H4-4-F
A3647	a7	CH2C6H4-2-Cl	CH=CHPh	H,H
A3648	a7	CH2C6H4-2-Cl	CH=CHPh	H,C6H4-4-F
A3649	a7	(CH2)2Ph	CH=CHPh	H,H
A3650	a7	(CH2)2Ph	CH=CHPh	H,C6H4-4-F
A3651	a7	CH2-piperazino-Ph	CH=CHPh	H,H
A3652	a7	CH2-piperazino-Ph	CH=CHPh	Me,Me
A3704	a7	CH2OH	≡CPh	H,C6H4-4-F
A3705	a7	CH2NHBu	≡CPh	H,H
A3706	a7	CH2NHBu	≡CPh	H,C6H4-4-F
A3707	a7	CH2C≡CH	≡CPh	H,H
A3708	a7	CH2C≡CH	≡CPh	H,C6H4-4-F
A3709	a7	OMe	≡CPh	H,H

Table 32

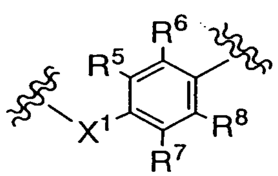
A3710	a7	OMe	≡CPh	H,C6H4-4-F
A3711	a7	NH2	≡CPh	H,H
A3712	a7	NH2	≡CPh	H,C6H4-4-F
A3713	a7	NHMe	≡CPh	H,H
A3714	a7	NHMe	≡CPh	H,C6H4-4-F
A3715	a7	CH2OPh	≡CPh	H,H
A3716	a7	CH2OPh	≡CPh	H,C6H4-4-F
A3717	a7	CH2OCH2Ph	≡CPh	H,H
A3718	a7	CH2OCH2Ph	≡CPh	H,C6H4-4-F
A3719	a7	CH2-morpholino	≡CPh	H,H
A3720	a7	CH2-morpholino	≡CPh	H,C6H4-4-F
A3721	a7	CH=CH-pyridyl	≡CPh	H,H
A3722	a7	CH=CH-pyridyl	≡CPh	H,C6H4-4-F
A3723	a7	C≡CPh	≡CPh	H,H
A3724	a7	C≡CPh	≡CPh	H,C6H4-4-F
A3725	a7	Ph	≡CPh	H,H
A3726	a7	Ph	≡CPh	H,C6H4-4-F
A3727	a7	C6H4-4-CF3	≡CPh	H,H
A3728	a7	C6H4-4-CF3	≡CPh	Me,Me
A3806	a7	CH2OH	iBu	H,C6H4-4-F
A3807	a7	CH2NHBu	CH=CHMe	H,H
A3808	a7	CH2NHBu	OH	H,C6H4-4-F
A3809	a7	CH2C≡CH	OEt	H,H
A3810	a7	CH2C≡CH	COPh	H,C6H4-4-F
A3811	a7	OMe	4-pyridyl	H,H
A3812	a7	OMe	morpholino	H,C6H4-4-F
A3813	a7	NH2	NHiPr	H,H
A3814	a7	NH2	H	H,C6H4-4-F
A3815	a7	NHMe	F	H,H
A3816	a7	NHMe	Et	H,C6H4-4-F
A3817	a7	CH2OPh	iBu	H,H
A3818	a7	CH2OPh	CH=CHMe	H,C6H4-4-F
A3819	a7	CH2OCH2Ph	OH	H,H
A3820	a7	CH2OCH2Ph	OEt	H,C6H4-4-F
A3821	a7	CH2-morpholino	COPh	H,H
A3822	a7	CH2-morpholino	4-pyridyl	H,C6H4-4-F
A3823	a7	CH=CH-pyridyl	morpholino	H,H
A3824	a7	CH=CH-pyridyl	NHiPr	H,C6H4-4-F
A3825	a7	C≡CPh	H	H,H
A3826	a7	C≡CPh	F	H,C6H4-4-F
A3827	a7	Ph	Et	H,H
A3828	a7	Ph	iBu	H,C6H4-4-F
A3829	a7	C6H4-4-CF3	CH=CHMe	H,H
A3830	a7	C6H4-4-CF3	OH	Me,Me

Table 33



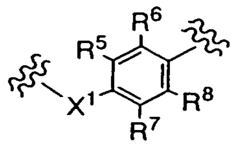
A Part No.	Type	R20	n	R2	R3,R4
A3883	a1	4-Cl	0	Me	H,4-pyridyl
A3884	a1	4-Cl	0	CH2OMe	H,CH2CH=CH2
A3885	a1	4-Cl	0	CH2-morpholino	H,C≡CPh
A3886	a1	4-CF3	0	CH2C6H4-4-CF3	H,CH=CH2
A3887	a1	4-CF3	0	OMe	H,C6H4-4-Ph
A3888	a1	4-CF3	0	CF3	H,CH2C≡CH
A3889	a1	4-CF3	0	Me	H,CH=CHPh
A3890	a1	4-CF3	0	CH2OMe	H,3-furyl

2) A compound wherein the part (B part) of formula:



is one of the followings,

Table 34



B

B part No.	X1	R5,R6,R7,R8
B1	S	H,H,H,H
B2	S	H,Me,H,H
B3	S	H,nPr,H,H
B4	S	H,OCH2CF3,H,H
B5	S	H,OH, H,H
B6	S	H,OMe,H,H
B7	S	H,SMe,H,H
B8	S	Me,H,H,H
B9	S	OMe,H,H,H
B10	S	H, SPh,H,H
B11	S	Me,Me,Me,Me
B12	S	H,Me,H,Me
B13	S	OCH2CF3,H,H,H
B14	S	Cl,Cl,H,H
B15	S	Cl,H,H,H
B16	S	H,Cl,H,H
B17	S	H,F,H,H
B18	S	F,F,H,H
B19	S	F,H,H,H
B20	S	H,CH2CH=CH2,H,H
B21	O	H,H,H,H
B22	O	H,Me,H,H
B23	O	H,nPr,H,H
B24	O	H,OCH2CF3,H,H
B25	O	H,OH, H,H
B26	O	H,OMe,H,H
B27	O	H,SMe,H,H
B28	O	Me,H,H,H
B29	O	OMe,H,H,H
B30	O	Me,Me,H,H
B31	O	Me,Me,Me,Me
B32	O	H,OPh,H,H
B33	O	OCH2CF3,H,H,H
B34	O	Cl,Cl,H,H
B35	O	Cl,H,H,H
B36	O	H,Cl,H,H
B37	O	H,F,H,H
B38	O	F,F,H,H
B39	O	F,H,H,H
B40	O	H,CH2CH=CH2,H,H
B41	CH2CO	H,H,H,H

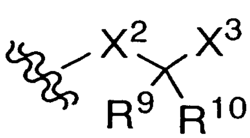
Table 35

B42	CH2CO	H,Me,H,H
B43	CH2CO	H,nPr,H,H
B44	CH2CO	H,OCH2CF3,H,H
B45	CH2CO	H,OH, H,H
B46	CH2CO	H,OMe,H,H
B47	CH2CO	H,SMe,H,H
B48	CH2CO	Cl,H;H,H
B49	CH2CO	OMe,H,H,H
B50	CH2CO	Me,Me,H,H
B51	CH2CO	Me,CH=CH2,Me,Me
B52	CH2CO	H,Me,H,NHMe
B53	CH2CO	OCH2CF3,H,H,H
B54	CH2CO	Cl,Cl,H,H
B55	CH2CO	Cl,H,H,H
B56	CH2CO	H,F,H,H
B57	CH2CO	H,CH2CH=CH2,H,H
B58	NH	H,H,H,H
B59	NH	H,Me,H,H
B60	NH	H,nPr,H,H
B61	NH	H,OCH2CF3,H,H
B62	NH	H,OH, H,H
B63	NH	H,OMe,H,H
B64	NH	H,SMe,H,H
B65	NH	Me,H,H,H
B66	NH	OMe,H,H,H
B67	NH	Me,CH≡CH,H,H
B68	NH	Me,Me,Me,Me
B69	NH	H,Ac,H,H
B70	NH	OCH2CF3,H,H,H
B71	NH	Cl,Cl,H,H
B72	NH	Cl,H,H,H
B73	NH	H,F,H,H
B74	NH	H,CH2CH=CH2,H,H
B75	NMe	H,H,H,H
B76	NMe	H,Me,H,H
B77	NMe	H,nPr,H,H
B78	NMe	H,OCH2CF3,H,H
B79	NMe	H,OH, H,H
B80	NMe	H,OMe,H,H
B81	NMe	H,SMe,H,H
B82	NMe	Me,H,H,H
B83	NMe	H,Ph,H,H
B84	NMe	Me,Me,H,H
B85	NMe	Me,Me,Me,Me
B86	NMe	H,Me,H,Me
B87	NMe	OCH2CF3,H,H,H
B88	NMe	Cl,Cl,H,H
B89	NMe	Cl,H,H,H

Table 36

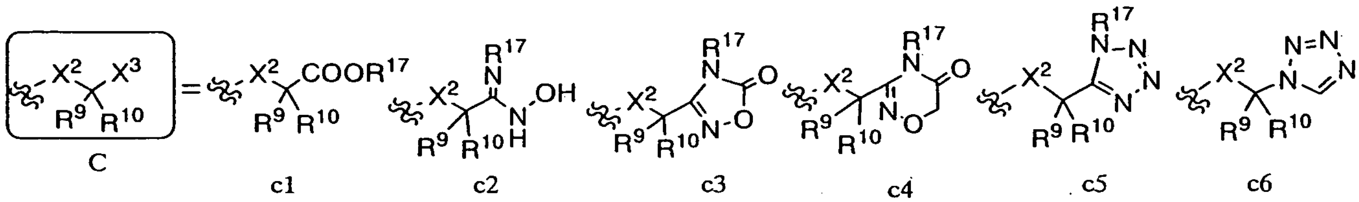
B90	NMe	H,F,H,H
B91	NMe	H,CH2CH=CH2,H,H
B92	NEt	H,H,H,H
B93	NMe	H,Me,H,H
B94	NCH2Ph	H,nPr,H,H
B95	NAc	H,OCH2CF3,H,H
B96	NCOEt	H,OMe,H,H
B97	NCOPh	Me,H,H,H
B98	NSO2Me	H,Ph,H,H
B99	NSO2Et	Me,Me,H,H
B100	NSO2Ph	Me,Me,Me,Me
B101	NSO2C6H4-p-Me	OCH2CF3,H,H,H
B102	CH2O	H,H,H,H
B103	CH2O	H,Me,H,H
B104	CH2O	H,nPr,H,H
B105	CH2O	H,OCH2CF3,H,H
B106	CH2O	H,OH, H,H
B107	CH2O	H,OMe,H,H
B108	CH2O	H,Cl,H,H
B109	CH2O	Me,H,H,H
B110	CH2O	H,Ph,H,H
B111	CH2O	Me,Me,H,H
B112	CH2O	Me,Me,Me,Me
B113	CH2O	H,Me,H,Me
B114	CHEtO	OCH2CF3,H,H,H
B115	OCH2	H,H,H,H
B116	OCH2	H,Me,H,H
B117	OCH2	H,nPr,H,H
B118	OCH2	H,OCH2CF3,H,H
B119	OCH2	H,OH, H,H
B120	OCH2	H,OMe,H,H
B121	OCH2	H,SMe,H,H
B122	OCH2	Me,H,H,H
B123	OCH2	H,Ph,H,H
B124	OCH2	H,F,H,H
B125	OCH2	Me,Me,Me,Me
B126	OCH2	H,Me,H,Me
B127	OCHMe	OCH2CF3,H,H,H

3) A compound of the part (C part) of formula:



is one of the followings.

Table 37



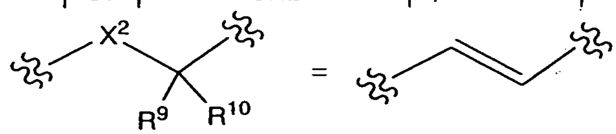
C part No.	Type	X2	R9,R10	R17
C1	c1	O	H,H	H
C2	c1	O	H,H	Me
C3	c1	O	Me,H	H
C4	c1	O	Me,H	Me
C5	c1	O	Et,H	H
C6	c1	O	CH2OMe,H	Me
C7	c1	O	nPr,H	H
C8	c1	O	nPr,H	Me
C9	c1	O	Me,Me	H
C10	c1	O	Ph,Me	Me
C11	c1	S	H,H	H
C12	c1	S	H,H	Me
C13	c1	S	CH2Ph,H	H
C14	c1	S	Me,H	Me
C15	c1	S	Et,H	H
C16	c1	S	Et,H	Et
C17	c1	S	nPr,H	H
C18	c1	S	nPr,H	iPr
C19	c1	S	Me,Me	H
C20	c1	S	Me,Me	Me
C21	c1	NH	H,H	H
C22	c1	NH	H,H	Me
C23	c1	NH	Me,H	H
C24	c1	NH	Me,H	Me
C25	c1	NH	Et,H	H
C26	c1	NH	Et,H	Me
C27	c1	NH	nPr,H	H
C28	c1	NH	nPr,H	Me
C29	c1	NH	Me,Me	H
C30	c1	NH	Me,Me	tBu
C31	c1	NEt	H,H	H
C32	c1	NMe	H,H	Me
C33	c1	NCH2Ph	Me,H	H
C34	c1	NAc	Me,H	Me
C35	c1	NCOEt	Et,H	H
C36	c1	NCOPh	Et,H	Me
C37	c1	NSO2Me	nPr,H	H
C38	c1	NSO2Et	nPr,H	Me
C39	c1	NSO2Ph	Me,Me	H
C40	c1	NSO2C6H4-p-Me	Me,Me	Me
C41	c1	*1	*1	H
C42	c1	*1	*1	Me

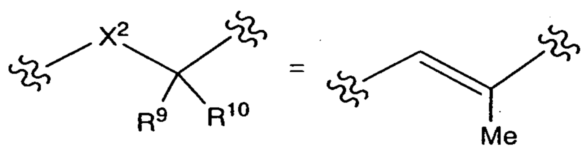
C43	c2	O	H,H	H
C44	c2	Single bond	H,H	H
C45	c2	S	H,H	H
C46	c2	CH2	H,H	H
C47	c2	NH	H,H	H
C48	c2	*1	*1	H
C49	c3	O	H,H	H
C50	c3	O	H,H	Me
C51	c3	O	Me,H	H
C52	c3	O	Me,H	Me
C53	c3	O	Et,H	H

Table 38

C54	c3	O	OEt,H	Me
C55	c3	O	nPr,H	H
C56	c3	O	nPr,H	Me
C57	c3	O	Me,Me	H
C58	c3	O	Me,Me	Me
C59	c3	Single bond	H,H	H
C60	c3	Single bond	OMe,H	H
C61	c3	Single bond	Et,H	H
C62	c3	Single bond	nPr,H	H
C63	c3	Single bond	Me,Me	H
C64	c3	S	H,H	H
C65	c3	S	Ph,Me	H
C66	c3	S	Et,H	H
C67	c3	S	nPr,H	H
C68	c3	S	Me,Me	H
C69	c3	CH2	H,H	H
C70	c3	CH2	Me,H	H
C71	c3	CH2	OEt,H	H
C72	c3	CH2	nPr,H	H
C73	c3	CH2	Me,Me	H
C74	c3	NH	H,H	H
C75	c3	NMe	OMe,H	H
C76	c3	NH	Et,H	H
C77	c3	NH	nPr,H	H
C78	c3	NMe	Me,Me	H
C79	c3	*1	*1	H
C80	c3	*2	*2	Me
C81	c4	O	H,H	H
C82	c4	Single bond	H,H	H
C83	c4	S	H,H	H
C84	c4	CH2	H,H	H
C85	c4	NH	H,H	H
C86	c4	*1	*1	H
C87	c5	O	H,H	H
C88	c5	Single bond	H,H	H
C89	c5	S	H,H	H

C90	c5	CH2	H,H	H
C91	c5	NH	H,H	H
C92	c5	*1	*1	H
C93	c6	O	H,H	H
C94	c6	Single bond	H,H	H
C95	c6	S	H,H	H
C96	c6	CH2	H,H	H
C97	c6	NH	H,H	H
C98	c6	*2	*2	H
C99	c1	CH2	H,H	H
C100	c1	CH2	H,Me	H
C101	c1	CH2	H,H	Me
C102	c1	CH2	H,Me	Me

*1 

*2 

Concretely, a compound wherein the combination of A part, B part and C part of a compound (I) is the followings is preferable.

Table 39

No.	A	B	C
1	A7	B1	C1
2	A12	B1	C3
3	A13	B1	C7
4	A18	B1	C11
5	A21	B1	C21
6	A26	B1	C32
7	A27	B1	C41
8	A32	B1	C43
9	A37	B1	C49
10	A42	B1	C81
11	A57	B1	C87
12	A62	B1	C93
13	A105	B1	C99
14	A110	B1	C102
15	A111	B2	C1
16	A116	B2	C3
17	A119	B2	C7
18	A124	B2	C11
19	A125	B2	C21
20	A130	B2	C32
21	A135	B2	C41
22	A140	B2	C43
23	A155	B2	C49
24	A160	B2	C81
25	A203	B2	C87
26	A208	B2	C93
27	A209	B2	C99
28	A214	B2	C102
29	A217	B3	C1
30	A222	B3	C3
31	A223	B3	C7
32	A228	B3	C11
33	A233	B3	C21
34	A238	B3	C32
35	A253	B3	C41
36	A258	B3	C43
37	A301	B3	C49
38	A306	B3	C81
39	A307	B3	C87
40	A312	B3	C93
41	A315	B3	C99
42	A320	B3	C102
43	A321	B4	C1
44	A326	B4	C3
45	A331	B4	C7
46	A336	B4	C11
47	A351	B4	C21
48	A356	B4	C32
49	A399	B4	C41
50	A404	B4	C43
51	A405	B4	C49
52	A410	B4	C81
53	A413	B4	C87
54	A418	B4	C93
55	A419	B4	C99
56	A424	B4	C102
57	A429	B21	C1
58	A434	B21	C3
59	A449	B21	C7
60	A454	B21	C11
61	A497	B21	C21
62	A502	B21	C32
63	A503	B21	C41
64	A508	B21	C43
65	A511	B21	C49
66	A516	B21	C81
67	A517	B21	C87
68	A522	B21	C93
69	A527	B21	C99
70	A532	B21	C102
71	A547	B22	C1
72	A552	B22	C3
145	A2359	B59	C21
146	A2364	B59	C32
147	A2365	B59	C41
148	A2370	B59	C43
149	A2371	B59	C49
150	A2376	B59	C81
151	A2401	B59	C87
152	A2406	B59	C93
153	A2413	B59	C99
154	A2418	B59	C102
155	A2427	B78	C1
156	A2432	B78	C3
157	A2461	B78	C7
158	A2466	B78	C11
159	A2467	B78	C21
160	A2472	B78	C32
161	A2473	B78	C41
162	A2478	B78	C43
163	A2503	B78	C49
164	A2508	B78	C81
165	A2515	B78	C87
166	A2520	B78	C93
167	A2529	B78	C99
168	A2534	B78	C102
169	A2563	B92	C1
170	A2568	B92	C3
171	A2569	B92	C7
172	A2574	B92	C11
173	A2575	B92	C21
174	A2580	B92	C32
175	A2605	B92	C41
176	A2610	B92	C43
177	A2617	B92	C49
178	A2622	B92	C81
179	A2631	B92	C87
180	A2636	B92	C93
181	A2665	B92	C99
182	A2670	B92	C102
183	A2671	B93	C1
184	A2676	B93	C3
185	A2677	B93	C7
186	A2682	B93	C11
187	A2707	B93	C21
188	A2712	B93	C32
189	A2719	B93	C41
190	A2724	B93	C43
191	A2733	B93	C49
192	A2738	B93	C81

Table 40

No.	A	B	C
241	A7	B2	C3
242	A7	B3	C7
243	A7	B4	C11
244	A7	B5	C21
245	A7	B6	C32
246	A7	B7	C41
247	A7	B8	C43
248	A7	B9	C49
249	A7	B10	C81
250	A7	B11	C87
251	A7	B12	C93
252	A7	B13	C99
253	A7	B14	C102
254	A13	B15	C1
255	A13	B16	C3
256	A13	B17	C7
257	A13	B18	C11
258	A13	B19	C21
259	A13	B20	C32
260	A13	B21	C41
261	A13	B22	C43
262	A13	B23	C49
263	A13	B24	C81
264	A13	B25	C87
265	A13	B26	C93
266	A13	B27	C99
267	A13	B28	C102
268	A21	B29	C1
269	A21	B30	C3
270	A21	B31	C7
271	A21	B32	C11
272	A21	B33	C21
273	A21	B34	C32
274	A21	B35	C41
275	A21	B36	C43
276	A21	B37	C49
277	A21	B38	C81
278	A21	B39	C87
279	A21	B40	C93
280	A21	B41	C99
281	A21	B42	C102
282	A27	B43	C1
283	A27	B44	C3
284	A27	B45	C7
285	A27	B46	C11
286	A27	B47	C21
287	A27	B48	C32
288	A27	B49	C41
289	A27	B50	C43
290	A27	B51	C49
291	A27	B52	C81
292	A27	B53	C87
293	A27	B54	C93
294	A27	B55	C99
295	A27	B56	C102
296	A37	B57	C1
297	A37	B58	C3
298	A37	B59	C7
299	A37	B60	C11
300	A37	B61	C21
301	A37	B62	C32
302	A37	B63	C41
303	A37	B64	C43
304	A37	B65	C49
305	A37	B66	C81
306	A37	B67	C87
307	A37	B68	C93
308	A37	B69	C99
309	A37	B70	C102
310	A57	B71	C1
311	A57	B72	C3
312	A57	B73	C7
313	A57	B74	C11
314	A57	B75	C21
315	A57	B76	C32
316	A57	B77	C41
317	A57	B78	C43
318	A57	B79	C49
319	A57	B80	C81
320	A57	B81	C87
321	A57	B82	C93
322	A57	B83	C99
323	A57	B84	C102
324	A105	B85	C1
325	A105	B86	C3
326	A105	B87	C7
327	A105	B88	C11
328	A105	B89	C21
329	A105	B90	C32
330	A105	B91	C41
331	A105	B92	C43
332	A105	B93	C49
333	A105	B94	C81
334	A105	B95	C87
335	A105	B96	C93
336	A105	B97	C99
337	A105	B98	C102
338	A111	B99	C1
339	A111	B100	C3
340	A111	B101	C7
341	A111	B102	C11
342	A111	B103	C21
343	A111	B104	C32
344	A111	B105	C41
345	A111	B106	C43
346	A111	B107	C49
347	A111	B108	C81
348	A111	B109	C87
349	A111	B110	C93
350	A111	B111	C99
351	A111	B112	C102
352	A119	B113	C1
353	A119	B114	C3
354	A119	B115	C7
355	A119	B116	C11
356	A119	B117	C21
357	A119	B118	C32
358	A119	B119	C41
359	A119	B120	C43
360	A119	B121	C49
361	A119	B122	C81
362	A119	B123	C87
363	A119	B124	C93
364	A119	B125	C99
365	A119	B126	C102
366	A223	B127	C1
367	A223	B1	C3
368	A223	B2	C7
369	A223	B3	C11
370	A223	B4	C21
371	A223	B5	C32
372	A223	B6	C41
373	A223	B7	C43
374	A223	B8	C49
375	A223	B9	C81
376	A223	B10	C87

Table 41

377	A223	B11	C93
378	A223	B12	C99
379	A223	B13	C102
380	A233	B14	C1
381	A233	B15	C3
382	A233	B16	C7
383	A233	B17	C11
384	A233	B18	C21
385	A233	B19	C32
386	A233	B20	C41
387	A233	B21	C43
388	A233	B22	C49
389	A233	B23	C81
390	A233	B24	C87
391	A233	B25	C93
392	A233	B26	C99
393	A233	B27	C102
394	A253	B28	C1
395	A253	B29	C3
396	A253	B30	C7
397	A253	B31	C11
398	A253	B32	C21
399	A253	B33	C32
400	A253	B34	C41
401	A253	B35	C43
402	A253	B36	C49
403	A253	B37	C81
404	A253	B38	C87
405	A253	B39	C93
406	A253	B40	C99
407	A253	B41	C102
408	A301	B42	C1
409	A301	B43	C3
410	A301	B44	C7
411	A301	B45	C11
412	A301	B46	C21
413	A301	B47	C32
414	A301	B48	C41
415	A301	B49	C43
416	A301	B50	C49
417	A301	B51	C81
418	A301	B52	C87
419	A301	B53	C93
420	A301	B54	C99
421	A301	B55	C102
422	A307	B56	C1
423	A307	B57	C3
424	A307	B58	C7
425	A307	B59	C11
426	A307	B60	C21
427	A307	B61	C32
428	A307	B62	C41
429	A307	B63	C43
430	A307	B64	C49
431	A307	B65	C81
432	A307	B66	C87
433	A307	B67	C93
434	A307	B68	C99
435	A307	B69	C102
436	A315	B70	C1
437	A315	B71	C3
438	A315	B72	C7
439	A315	B73	C11
440	A315	B74	C21
441	A315	B75	C32
442	A315	B76	C41
443	A315	B77	C43
444	A315	B78	C49
445	A315	B79	C81
446	A315	B80	C87
447	A315	B81	C93
448	A315	B82	C99
449	A315	B83	C102
450	A419	B84	C1
451	A419	B85	C3
452	A419	B86	C7
453	A419	B87	C11
454	A419	B88	C21
455	A419	B89	C32
456	A419	B90	C41
457	A419	B91	C43
458	A419	B92	C49
459	A419	B93	C81
460	A419	B94	C87
461	A419	B95	C93
462	A419	B96	C99
463	A419	B97	C102
464	A429	B98	C1
465	A429	B99	C3
466	A429	B100	C7
467	A429	B101	C11
468	A429	B102	C21
469	A429	B103	C32
470	A429	B104	C41
471	A429	B105	C43
472	A429	B106	C49
473	A429	B107	C81
474	A429	B108	C87
475	A429	B109	C93
476	A429	B110	C99
477	A429	B111	C102
478	A449	B112	C1
479	A449	B113	C3
480	A449	B114	C7
481	A449	B115	C11
482	A449	B116	C21
483	A449	B117	C32
484	A449	B118	C41
485	A449	B119	C43
486	A449	B120	C49
487	A449	B121	C81
488	A449	B122	C87
489	A449	B123	C93
490	A449	B124	C99
491	A449	B125	C102
492	A497	B126	C1
493	A497	B127	C3
494	A497	B1	C7
495	A497	B2	C11
496	A497	B3	C21
497	A497	B4	C32
498	A497	B5	C41
499	A497	B6	C43
500	A497	B7	C49
501	A497	B8	C81
502	A497	B9	C87
503	A497	B10	C93
504	A497	B11	C99
505	A497	B12	C102
506	A503	B13	C1
507	A503	B14	C3
508	A503	B15	C7
509	A503	B16	C11
510	A503	B17	C21
511	A503	B18	C32
512	A503	B19	C41
513	A503	B20	C43
514	A503	B21	C49

Table 42

515	A503	B22	C81
516	A503	B23	C87
517	A503	B24	C93
518	A503	B25	C99
519	A503	B26	C102
520	A511	B27	C1
521	A511	B28	C3
522	A511	B29	C7
523	A511	B30	C11
524	A511	B31	C21
525	A511	B32	C32
526	A511	B33	C41
527	A511	B34	C43
528	A511	B35	C49
529	A511	B36	C81
530	A511	B37	C87
531	A511	B38	C93
532	A511	B39	C99
533	A511	B40	C102
534	A2359	B41	C1
535	A2359	B42	C3
536	A2359	B43	C7
537	A2359	B44	C11
538	A2359	B45	C21
539	A2359	B46	C32
540	A2359	B47	C41
541	A2359	B48	C43
542	A2359	B49	C49
543	A2359	B50	C81
544	A2359	B51	C87
545	A2359	B52	C93
546	A2359	B53	C99
547	A2359	B54	C102
548	A2365	B55	C1
549	A2365	B56	C3
550	A2365	B57	C7
551	A2365	B58	C11
552	A2365	B59	C21
553	A2365	B60	C32
554	A2365	B61	C41
555	A2365	B62	C43
556	A2365	B63	C49
557	A2365	B64	C81
558	A2365	B65	C87
559	A2365	B66	C93
560	A2365	B67	C99
561	A2365	B68	C102
562	A2371	B69	C1
563	A2371	B70	C3
564	A2371	B71	C7
565	A2371	B72	C11
566	A2371	B73	C21
567	A2371	B74	C32
568	A2371	B75	C41
569	A2371	B76	C43
570	A2371	B77	C49
571	A2371	B78	C81
572	A2371	B79	C87
573	A2371	B80	C93
574	A2371	B81	C99
575	A2371	B82	C102
576	A2401	B83	C1
577	A2401	B84	C3
578	A2401	B85	C7
579	A2401	B86	C11
580	A2401	B87	C21
581	A2401	B88	C32
582	A2401	B89	C41
583	A2401	B90	C43
584	A2401	B91	C49
585	A2401	B92	C81
586	A2401	B93	C87
587	A2401	B94	C93
588	A2401	B95	C99
589	A2401	B96	C102
590	A2413	B97	C1
591	A2413	B98	C3
592	A2413	B99	C7
593	A2413	B100	C11
594	A2413	B101	C21
595	A2413	B102	C32
596	A2413	B103	C41
597	A2413	B104	C43
598	A2413	B105	C49
599	A2413	B106	C81
600	A2413	B107	C87
601	A2413	B108	C93
602	A2413	B109	C99
603	A2413	B110	C102
604	A2427	B111	C1
605	A2427	B112	C3
606	A2427	B113	C7
607	A2427	B114	C11
608	A2427	B115	C21
609	A2427	B116	C32
610	A2427	B117	C41
611	A2427	B118	C43
612	A2427	B119	C49
613	A2427	B120	C81
614	A2427	B121	C87
615	A2427	B122	C93
616	A2427	B123	C99
617	A2427	B124	C102
618	A2461	B125	C1
619	A2461	B126	C3
620	A2461	B127	C7
621	A2461	B1	C11
622	A2461	B2	C21
623	A2461	B3	C32
624	A2461	B4	C41
625	A2461	B5	C43
626	A2461	B6	C49
627	A2461	B7	C81
628	A2461	B8	C87
629	A2461	B9	C93
630	A2461	B10	C99
631	A2461	B11	C102
632	A2467	B12	C1
633	A2467	B13	C3
634	A2467	B14	C7
635	A2467	B15	C11
636	A2467	B16	C21
637	A2467	B17	C32
638	A2467	B18	C41
639	A2467	B19	C43
640	A2467	B20	C49
641	A2467	B21	C81
642	A2467	B22	C87
643	A2467	B23	C93
644	A2467	B24	C99
645	A2467	B25	C102
646	A2473	B26	C1
647	A2473	B27	C3
648	A2473	B28	C7
649	A2473	B29	C11
650	A2473	B30	C21
651	A2473	B31	C32
652	A2473	B32	C41

Table 43

653	A2473	B33	C43
654	A2473	B34	C49
655	A2473	B35	C81
656	A2473	B36	C87
657	A2473	B37	C93
658	A2473	B38	C99
659	A2473	B39	C102
660	A2605	B40	C1
661	A2605	B41	C3
662	A2605	B42	C7
663	A2605	B43	C11
664	A2605	B44	C21
665	A2605	B45	C32
666	A2605	B46	C41
667	A2605	B47	C43
668	A2605	B48	C49
669	A2605	B49	C81
670	A2605	B50	C87
671	A2605	B51	C93
672	A2605	B52	C99
673	A2605	B53	C102
674	A2617	B54	C1
675	A2617	B55	C3
676	A2617	B56	C7
677	A2617	B57	C11
678	A2617	B58	C21
679	A2617	B59	C32
680	A2617	B60	C41
681	A2617	B61	C43
682	A2617	B62	C49
683	A2617	B63	C81
684	A2617	B64	C87
685	A2617	B65	C93
686	A2617	B66	C99
687	A2617	B67	C102
688	A2631	B68	C1
689	A2631	B69	C3
690	A2631	B70	C7
691	A2631	B71	C11
692	A2631	B72	C21
693	A2631	B73	C32
694	A2631	B74	C41
695	A2631	B75	C43
696	A2631	B76	C49
697	A2631	B77	C81
698	A2631	B78	C87
699	A2631	B79	C93
700	A2631	B80	C99
701	A2631	B81	C102
702	A2665	B82	C1
703	A2665	B83	C3
704	A2665	B84	C7
705	A2665	B85	C11
706	A2665	B86	C21
707	A2665	B87	C32
708	A2665	B88	C41
709	A2665	B89	C43
710	A2665	B90	C49
711	A2665	B91	C81
712	A2665	B92	C87
713	A2665	B93	C93
714	A2665	B94	C99
715	A2665	B95	C102
716	A2671	B96	C1
717	A2671	B97	C3
718	A2671	B98	C7
719	A2671	B99	C11
720	A2671	B100	C21
721	A2671	B101	C32
722	A2671	B102	C41
723	A2671	B103	C43
724	A2671	B104	C49
725	A2671	B105	C81
726	A2671	B106	C87
727	A2671	B107	C93
728	A2671	B108	C99
729	A2671	B109	C102
730	A2677	B110	C1
731	A2677	B111	C3
732	A2677	B112	C7
733	A2677	B113	C11
734	A2677	B114	C21
735	A2677	B115	C32
736	A2677	B116	C41
737	A2677	B117	C43
738	A2677	B118	C49
739	A2677	B119	C81
740	A2677	B120	C87
741	A2677	B121	C93
742	A2677	B122	C99
743	A2677	B123	C102

Table 44

No.	A	B	C
744	A7	B2	C2
745	A7	B3	C3
746	A7	B4	C4
747	A7	B21	C5
748	A7	B22	C6
749	A7	B23	C7
750	A7	B24	C8
751	A7	B42	C9
752	A7	B58	C10
753	A7	B59	C11
754	A7	B78	C12
755	A7	B92	C13
756	A7	B93	C14
757	A7	B102	C15
758	A7	B115	C16
759	A13	B1	C17
760	A13	B2	C18
761	A13	B3	C19
762	A13	B4	C20
763	A13	B21	C21
764	A13	B22	C22
765	A13	B23	C23
766	A13	B24	C24
767	A13	B42	C25
768	A13	B58	C26
769	A13	B59	C27
770	A13	B78	C28
771	A13	B92	C29
772	A13	B93	C30
773	A13	B102	C31
774	A13	B115	C32
775	A21	B1	C33
776	A21	B2	C34
777	A21	B3	C35
778	A21	B4	C36
779	A21	B21	C37
780	A21	B22	C38
781	A21	B23	C39
782	A21	B24	C40
783	A21	B42	C41
784	A21	B58	C41
785	A21	B59	C43
786	A21	B78	C44
787	A21	B92	C45
788	A21	B93	C46
789	A21	B102	C47
790	A21	B115	C48
791	A27	B1	C49
792	A27	B2	C50
793	A27	B3	C51
794	A27	B4	C52
795	A27	B21	C53
796	A27	B22	C54
797	A27	B23	C55
798	A27	B24	C56
799	A27	B42	C57
800	A27	B58	C58
801	A27	B59	C59
802	A27	B78	C60
803	A27	B92	C61
804	A27	B93	C62
805	A27	B102	C63
806	A27	B115	C64
807	A37	B1	C65
808	A37	B2	C66
809	A37	B3	C67
810	A37	B4	C68
811	A37	B21	C69
812	A37	B22	C70
813	A37	B23	C71
814	A37	B24	C72
815	A37	B42	C73
816	A37	B58	C74
817	A37	B59	C75
818	A37	B78	C76
819	A37	B92	C77
820	A37	B93	C78
821	A37	B102	C79
822	A37	B115	C80
823	A57	B1	C81
824	A57	B2	C82
825	A57	B3	C83
826	A57	B4	C84
827	A57	B21	C85
828	A57	B22	C86
829	A57	B23	C87
830	A57	B24	C88
831	A57	B42	C89
832	A57	B58	C90
833	A57	B59	C91
834	A57	B78	C92
835	A57	B92	C93
836	A57	B93	C94
837	A57	B102	C95
838	A57	B115	C96
839	A105	B1	C97
840	A105	B2	C98
841	A105	B3	C99
842	A105	B4	C100
843	A105	B21	C101
844	A105	B22	C102
845	A105	B23	C1
846	A105	B24	C2
847	A105	B42	C3
848	A105	B58	C4
849	A105	B59	C5
850	A105	B78	C6
851	A105	B92	C7
852	A105	B93	C8
853	A105	B102	C9
854	A105	B115	C10
855	A111	B1	C11
856	A111	B2	C12
857	A111	B3	C13
858	A111	B4	C14
859	A111	B21	C15
860	A111	B22	C16
861	A111	B23	C17
862	A111	B24	C18
863	A111	B42	C19
864	A111	B58	C20
865	A111	B59	C21

Table 45

866	A111	B78	C22
867	A111	B92	C23
868	A111	B93	C24
869	A111	B102	C25
870	A111	B115	C26
871	A119	B1	C27
872	A119	B2	C28
873	A119	B3	C29
874	A119	B4	C30
875	A119	B21	C31
876	A119	B22	C32
877	A119	B23	C33
878	A119	B24	C34
879	A119	B42	C35
880	A119	B58	C36
881	A119	B59	C37
882	A119	B78	C38
883	A119	B92	C39
884	A119	B93	C40
885	A119	B102	C41
886	A119	B115	C41
887	A223	B1	C43
888	A223	B2	C44
889	A223	B3	C45
890	A223	B4	C46
891	A223	B21	C47
892	A223	B22	C48
893	A223	B23	C49
894	A223	B24	C50
895	A223	B42	C51
896	A223	B58	C52
897	A223	B59	C53
898	A223	B78	C54
899	A223	B92	C55
900	A223	B93	C56
901	A223	B102	C57
902	A223	B115	C58
903	A233	B1	C59
904	A233	B2	C60
905	A233	B3	C61
906	A233	B4	C62
907	A233	B21	C63
908	A233	B22	C64
909	A233	B23	C65
910	A233	B24	C66
911	A233	B42	C67
912	A233	B58	C68
913	A233	B59	C69
914	A233	B78	C70
915	A233	B92	C71
916	A233	B93	C72
917	A233	B102	C73
918	A233	B115	C74
919	A253	B1	C75
920	A253	B2	C76
921	A253	B3	C77
922	A253	B4	C78
923	A253	B21	C79
924	A253	B22	C80
925	A253	B23	C81
926	A253	B24	C82
927	A253	B42	C83
928	A253	B58	C84
929	A253	B59	C85
930	A253	B78	C86
931	A253	B92	C87
932	A253	B93	C88
933	A253	B102	C89
934	A253	B115	C90
935	A301	B1	C91
936	A301	B2	C92
937	A301	B3	C93
938	A301	B4	C94
939	A301	B21	C95
940	A301	B22	C96
941	A301	B23	C97
942	A301	B24	C98
943	A301	B42	C99
944	A301	B58	C100
945	A301	B59	C101
946	A301	B78	C102
947	A301	B92	C1
948	A301	B93	C2
949	A301	B102	C3
950	A301	B115	C4
951	A307	B1	C5
952	A307	B2	C6
953	A307	B3	C7
954	A307	B4	C8
955	A307	B21	C9
956	A307	B22	C10
957	A307	B23	C11
958	A307	B24	C12
959	A307	B42	C13
960	A307	B58	C14
961	A307	B59	C15
962	A307	B78	C16
963	A307	B92	C17
964	A307	B93	C18
965	A307	B102	C19
966	A307	B115	C20
967	A315	B1	C21
968	A315	B2	C22
969	A315	B3	C23
970	A315	B4	C24
971	A315	B21	C25
972	A315	B22	C26
973	A315	B23	C27
974	A315	B24	C28
975	A315	B42	C29
976	A315	B58	C30
977	A315	B59	C31
978	A315	B78	C32
979	A315	B92	C33
980	A315	B93	C34
981	A315	B102	C35
982	A315	B115	C36
983	A419	B1	C37
984	A419	B2	C38
985	A419	B3	C39
986	A419	B4	C40
987	A419	B21	C41
988	A419	B22	C41

Table 46

989	A419	B23	C43	1030	A449	B115	C84	1071	A511	B42	C23
990	A419	B24	C44	1031	A497	B1	C85	1072	A511	B58	C24
991	A419	B42	C45	1032	A497	B2	C86	1073	A511	B59	C25
992	A419	B58	C46	1033	A497	B3	C87	1074	A511	B78	C26
993	A419	B59	C47	1034	A497	B4	C88	1075	A511	B92	C27
994	A419	B78	C48	1035	A497	B21	C89	1076	A511	B93	C28
995	A419	B92	C49	1036	A497	B22	C90	1077	A511	B102	C29
996	A419	B93	C50	1037	A497	B23	C91	1078	A511	B115	C30
997	A419	B102	C51	1038	A497	B24	C92	1079	A2359	B1	C31
998	A419	B115	C52	1039	A497	B42	C93	1080	A2359	B2	C32
999	A429	B1	C53	1040	A497	B58	C94	1081	A2359	B3	C33
1000	A429	B2	C54	1041	A497	B59	C95	1082	A2359	B4	C34
1001	A429	B3	C55	1042	A497	B78	C96	1083	A2359	B21	C35
1002	A429	B4	C56	1043	A497	B92	C97	1084	A2359	B22	C36
1003	A429	B21	C57	1044	A497	B93	C98	1085	A2359	B23	C37
1004	A429	B22	C58	1045	A497	B102	C99	1086	A2359	B24	C38
1005	A429	B23	C59	1046	A497	B115	C100	1087	A2359	B42	C39
1006	A429	B24	C60	1047	A503	B1	C101	1088	A2359	B58	C40
1007	A429	B42	C61	1048	A503	B2	C102	1089	A2359	B59	C41
1008	A429	B58	C62	1049	A503	B3	C1	1090	A2359	B78	C41
1009	A429	B59	C63	1050	A503	B4	C2	1091	A2359	B92	C43
1010	A429	B78	C64	1051	A503	B21	C3	1092	A2359	B93	C44
1011	A429	B92	C65	1052	A503	B22	C4	1093	A2359	B102	C45
1012	A429	B93	C66	1053	A503	B23	C5	1094	A2359	B115	C46
1013	A429	B102	C67	1054	A503	B24	C6	1095	A2365	B1	C47
1014	A429	B115	C68	1055	A503	B42	C7	1096	A2365	B2	C48
1015	A449	B1	C69	1056	A503	B58	C8	1097	A2365	B3	C49
1016	A449	B2	C70	1057	A503	B59	C9	1098	A2365	B4	C50
1017	A449	B3	C71	1058	A503	B78	C10	1099	A2365	B21	C51
1018	A449	B4	C72	1059	A503	B92	C11	1100	A2365	B22	C52
1019	A449	B21	C73	1060	A503	B93	C12	1101	A2365	B23	C53
1020	A449	B22	C74	1061	A503	B102	C13	1102	A2365	B24	C54
1021	A449	B23	C75	1062	A503	B115	C14	1103	A2365	B42	C55
1022	A449	B24	C76	1063	A511	B1	C15	1104	A2365	B58	C56
1023	A449	B42	C77	1064	A511	B2	C16	1105	A2365	B59	C57
1024	A449	B58	C78	1065	A511	B3	C17	1106	A2365	B78	C58
1025	A449	B59	C79	1066	A511	B4	C18	1107	A2365	B92	C59
1026	A449	B78	C80	1067	A511	B21	C19	1108	A2365	B93	C60
1027	A449	B92	C81	1068	A511	B22	C20	1109	A2365	B102	C61
1028	A449	B93	C82	1069	A511	B23	C21	1110	A2365	B115	C62
1029	A449	B102	C83	1070	A511	B24	C22	1111	A2371	B1	C63

Table 47

1112	A2371	B2	C64
1113	A2371	B3	C65
1114	A2371	B4	C66
1115	A2371	B21	C67
1116	A2371	B22	C68
1117	A2371	B23	C69
1118	A2371	B24	C70
1119	A2371	B42	C71
1120	A2371	B58	C72
1121	A2371	B59	C73
1122	A2371	B78	C74
1123	A2371	B92	C75
1124	A2371	B93	C76
1125	A2371	B102	C77
1126	A2371	B115	C78
1127	A2401	B1	C79
1128	A2401	B2	C80
1129	A2401	B3	C81
1130	A2401	B4	C82
1131	A2401	B21	C83
1132	A2401	B22	C84
1133	A2401	B23	C85
1134	A2401	B24	C86
1135	A2401	B42	C87
1136	A2401	B58	C88
1137	A2401	B59	C89
1138	A2401	B78	C90
1139	A2401	B92	C91
1140	A2401	B93	C92
1141	A2401	B102	C93
1142	A2401	B115	C94
1143	A2413	B1	C95
1144	A2413	B2	C96
1145	A2413	B3	C97
1146	A2413	B4	C98
1147	A2413	B21	C99
1148	A2413	B22	C100
1149	A2413	B23	C101
1150	A2413	B24	C102
1151	A2413	B42	C1
1152	A2413	B58	C2
1153	A2413	B59	C3
1154	A2413	B78	C4
1155	A2413	B92	C5
1156	A2413	B93	C6
1157	A2413	B102	C7
1158	A2413	B115	C8
1159	A2427	B1	C9
1160	A2427	B2	C10
1161	A2427	B3	C11
1162	A2427	B4	C12
1163	A2427	B21	C13
1164	A2427	B22	C14
1165	A2427	B23	C15
1166	A2427	B24	C16
1167	A2427	B42	C17
1168	A2427	B58	C18
1169	A2427	B59	C19
1170	A2427	B78	C20
1171	A2427	B92	C21
1172	A2427	B93	C22
1173	A2427	B102	C23
1174	A2427	B115	C24
1175	A2461	B1	C25
1176	A2461	B2	C26
1177	A2461	B3	C27
1178	A2461	B4	C28
1179	A2461	B21	C29
1180	A2461	B22	C30
1181	A2461	B23	C31
1182	A2461	B24	C32
1183	A2461	B42	C33
1184	A2461	B58	C34
1185	A2461	B59	C35
1186	A2461	B78	C36
1187	A2461	B92	C37
1188	A2461	B93	C38
1189	A2461	B102	C39
1190	A2461	B115	C40
1191	A2467	B1	C41
1192	A2467	B2	C41
1193	A2467	B3	C43
1194	A2467	B4	C44
1195	A2467	B21	C45
1196	A2467	B22	C46
1197	A2467	B23	C47
1198	A2467	B24	C48
1199	A2467	B42	C49
1200	A2467	B58	C50
1201	A2467	B59	C51
1202	A2467	B78	C52
1203	A2467	B92	C53
1204	A2467	B93	C54
1205	A2467	B102	C55
1206	A2467	B115	C56
1207	A2473	B1	C57
1208	A2473	B2	C58
1209	A2473	B3	C59
1210	A2473	B4	C60
1211	A2473	B21	C61
1212	A2473	B22	C62
1213	A2473	B23	C63
1214	A2473	B24	C64
1215	A2473	B42	C65
1216	A2473	B58	C66
1217	A2473	B59	C67
1218	A2473	B78	C68
1219	A2473	B92	C69
1220	A2473	B93	C70
1221	A2473	B102	C71
1222	A2473	B115	C72
1223	A2605	B1	C73
1224	A2605	B2	C74
1225	A2605	B3	C75
1226	A2605	B4	C76
1227	A2605	B21	C77
1228	A2605	B22	C78
1229	A2605	B23	C79
1230	A2605	B24	C80
1231	A2605	B42	C81
1232	A2605	B58	C82
1233	A2605	B59	C83
1234	A2605	B78	C84

Table 48

1235	A2605	B92	C85
1236	A2605	B93	C86
1237	A2605	B102	C87
1238	A2605	B115	C88
1239	A2617	B1	C89
1240	A2617	B2	C90
1241	A2617	B3	C91
1242	A2617	B4	C92
1243	A2617	B21	C93
1244	A2617	B22	C94
1245	A2617	B23	C95
1246	A2617	B24	C96
1247	A2617	B42	C97
1248	A2617	B58	C98
1249	A2617	B59	C99
1250	A2617	B78	C100
1251	A2617	B92	C101
1252	A2617	B93	C102
1253	A2617	B102	C1
1254	A2617	B115	C2
1255	A2631	B1	C3
1256	A2631	B2	C4
1257	A2631	B3	C5
1258	A2631	B4	C6
1259	A2631	B21	C7
1260	A2631	B22	C8
1261	A2631	B23	C9
1262	A2631	B24	C10
1263	A2631	B42	C11
1264	A2631	B58	C12
1265	A2631	B59	C13
1266	A2631	B78	C14
1267	A2631	B92	C15
1268	A2631	B93	C16
1269	A2631	B102	C17
1270	A2631	B115	C18
1271	A2665	B1	C19
1272	A2665	B2	C20
1273	A2665	B3	C21
1274	A2665	B4	C22
1275	A2665	B21	C23
1276	A2665	B22	C24
1277	A2665	B23	C25
1278	A2665	B24	C26
1279	A2665	B42	C27
1280	A2665	B58	C28
1281	A2665	B59	C29
1282	A2665	B78	C30
1283	A2665	B92	C31
1284	A2665	B93	C32
1285	A2665	B102	C33
1286	A2665	B115	C34
1287	A2671	B1	C35
1288	A2671	B2	C36
1289	A2671	B3	C37
1290	A2671	B4	C38
1291	A2671	B21	C39
1292	A2671	B22	C40
1293	A2671	B23	C41
1294	A2671	B24	C41
1295	A2671	B42	C43
1296	A2671	B58	C44
1297	A2671	B59	C45
1298	A2671	B78	C46
1299	A2671	B92	C47
1300	A2671	B93	C48
1301	A2671	B102	C49
1302	A2671	B115	C50
1303	A2677	B1	C51
1304	A2677	B2	C52
1305	A2677	B3	C53
1306	A2677	B4	C54
1307	A2677	B21	C55
1308	A2677	B22	C56
1309	A2677	B23	C57
1310	A2677	B24	C58
1311	A2677	B42	C59
1312	A2677	B58	C60
1313	A2677	B59	C61
1314	A2677	B78	C62
1315	A2677	B92	C63
1316	A2677	B93	C64
1317	A2677	B102	C65
1318	A2677	B115	C66

Table 49

No.	A	B	C
1319	A7	B1	C5
1320	A7	B1	C41
1321	A7	B1	C59
1322	A7	B2	C1
1323	A7	B2	C5
1324	A7	B2	C41
1325	A7	B2	C59
1326	A7	B21	C1
1327	A7	B21	C5
1328	A7	B21	C41
1329	A7	B21	C59
1330	A7	B22	C1
1331	A7	B22	C5
1332	A7	B22	C41
1333	A7	B22	C59
1334	A12	B1	C1
1335	A12	B1	C5
1336	A12	B1	C41
1337	A12	B1	C59
1338	A12	B2	C1
1339	A12	B2	C5
1340	A12	B2	C41
1341	A12	B2	C59
1342	A12	B21	C1
1343	A12	B21	C5
1344	A12	B21	C41
1345	A12	B21	C59
1346	A12	B22	C1
1347	A12	B22	C5
1348	A12	B22	C41
1349	A12	B22	C59
1350	A13	B1	C1
1351	A13	B1	C5
1352	A13	B1	C41
1353	A13	B1	C59
1354	A13	B2	C1
1355	A13	B2	C5
1356	A13	B2	C41
1357	A13	B2	C59
1358	A13	B21	C1
1359	A13	B21	C5
1360	A13	B21	C41
1361	A13	B21	C59
1362	A13	B22	C1
1363	A13	B22	C5
1364	A13	B22	C41
1365	A13	B22	C59
1366	A18	B1	C1
1367	A18	B1	C5
1368	A18	B1	C41
1369	A18	B1	C59
1370	A18	B2	C1
1371	A18	B2	C5
1372	A18	B2	C41
1373	A18	B2	C59
1374	A18	B21	C1
1375	A18	B21	C5
1376	A18	B21	C41
1377	A18	B21	C59
1378	A18	B22	C1
1379	A18	B22	C5
1380	A18	B22	C41
1381	A18	B22	C59
1382	A21	B1	C1
1383	A21	B1	C5
1384	A21	B1	C41
1385	A21	B1	C59
1386	A21	B2	C1
1387	A21	B2	C5
1388	A21	B2	C41
1389	A21	B2	C59
1390	A21	B21	C1
1391	A21	B21	C5
1392	A21	B21	C41
1393	A21	B21	C59
1394	A21	B22	C1
1395	A21	B22	C5
1396	A21	B22	C41
1397	A21	B22	C59
1398	A26	B1	C1
1399	A26	B1	C5
1400	A26	B1	C41
1401	A26	B1	C59
1402	A26	B2	C1
1403	A26	B2	C5
1404	A26	B2	C41
1405	A26	B2	C59
1406	A26	B21	C1
1407	A26	B21	C5
1408	A26	B21	C41
1409	A26	B21	C59
1410	A26	B22	C1
1411	A26	B22	C5
1412	A26	B22	C41
1413	A26	B22	C59
1414	A27	B1	C1
1415	A27	B1	C5
1416	A27	B1	C59
1417	A27	B2	C1
1418	A27	B2	C5
1419	A27	B2	C41
1420	A27	B2	C59
1421	A27	B21	C1
1422	A27	B21	C5
1423	A27	B21	C41
1424	A27	B21	C59
1425	A27	B22	C1
1426	A27	B22	C5
1427	A27	B22	C41
1428	A27	B22	C59
1429	A32	B1	C1
1430	A32	B1	C5
1431	A32	B1	C41
1432	A32	B1	C59
1433	A32	B2	C1
1434	A32	B2	C5
1435	A32	B2	C41
1436	A32	B2	C59
1437	A32	B21	C1
1438	A32	B21	C5
1439	A32	B21	C41
1440	A32	B21	C59
1441	A32	B22	C1
1442	A32	B22	C5
1443	A32	B22	C41
1444	A32	B22	C59
1445	A37	B1	C1
1446	A37	B1	C5
1447	A37	B1	C41
1448	A37	B1	C59
1449	A37	B2	C1
1450	A37	B2	C5
1451	A37	B2	C41
1452	A37	B2	C59
1453	A37	B21	C1
1454	A37	B21	C5
1455	A37	B21	C41

Table 50

1456	A37	B21	C59
1457	A37	B22	C1
1458	A37	B22	C5
1459	A37	B22	C41
1460	A37	B22	C59
1461	A42	B1	C1
1462	A42	B1	C5
1463	A42	B1	C41
1464	A42	B1	C59
1465	A42	B2	C1
1466	A42	B2	C5
1467	A42	B2	C41
1468	A42	B2	C59
1469	A42	B21	C1
1470	A42	B21	C5
1471	A42	B21	C41
1472	A42	B21	C59
1473	A42	B22	C1
1474	A42	B22	C5
1475	A42	B22	C41
1476	A42	B22	C59
1477	A57	B1	C1
1478	A57	B1	C5
1479	A57	B1	C41
1480	A57	B1	C59
1481	A57	B2	C1
1482	A57	B2	C5
1483	A57	B2	C41
1484	A57	B2	C59
1485	A57	B21	C1
1486	A57	B21	C5
1487	A57	B21	C41
1488	A57	B21	C59
1489	A57	B22	C1
1490	A57	B22	C5
1491	A57	B22	C41
1492	A57	B22	C59
1493	A62	B1	C1
1494	A62	B1	C5
1495	A62	B1	C41
1496	A62	B1	C59
1497	A62	B2	C1
1498	A62	B2	C5
1499	A62	B2	C41
1500	A62	B2	C59
1501	A62	B21	C1
1502	A62	B21	C5
1503	A62	B21	C41
1504	A62	B21	C59
1505	A62	B22	C1
1506	A62	B22	C5
1507	A62	B22	C41
1508	A62	B22	C59
1509	A105	B1	C1
1510	A105	B1	C5
1511	A105	B1	C41
1512	A105	B1	C59
1513	A105	B2	C1
1514	A105	B2	C5
1515	A105	B2	C41
1516	A105	B2	C59
1517	A105	B21	C1
1518	A105	B21	C5
1519	A105	B21	C41
1520	A105	B21	C59
1521	A105	B22	C1
1522	A105	B22	C5
1523	A105	B22	C41
1524	A105	B22	C59
1525	A110	B1	C1
1526	A110	B1	C5
1527	A110	B1	C41
1528	A110	B1	C59
1529	A110	B2	C1
1530	A110	B2	C5
1531	A110	B2	C41
1532	A110	B2	C59
1533	A110	B21	C1
1534	A110	B21	C5
1535	A110	B21	C41
1536	A110	B21	C59
1537	A110	B22	C1
1538	A110	B22	C5
1539	A110	B22	C41
1540	A110	B22	C59
1541	A111	B1	C1
1542	A111	B1	C5
1543	A111	B1	C41
1544	A111	B1	C59
1545	A111	B2	C5
1546	A111	B2	C41
1547	A111	B2	C59
1548	A111	B21	C1
1549	A111	B21	C5
1550	A111	B21	C41
1551	A111	B21	C59
1552	A111	B22	C1
1553	A111	B22	C5
1554	A111	B22	C41
1555	A111	B22	C59
1556	A116	B1	C1
1557	A116	B1	C5
1558	A116	B1	C41
1559	A116	B1	C59
1560	A116	B2	C1
1561	A116	B2	C5
1562	A116	B2	C41
1563	A116	B2	C59
1564	A116	B21	C1
1565	A116	B21	C5
1566	A116	B21	C41
1567	A116	B21	C59
1568	A116	B22	C1
1569	A116	B22	C5
1570	A116	B22	C41
1571	A116	B22	C59
1572	A119	B1	C1
1573	A119	B1	C5
1574	A119	B1	C41
1575	A119	B1	C59
1576	A119	B2	C1
1577	A119	B2	C5
1578	A119	B2	C41
1579	A119	B2	C59
1580	A119	B21	C1
1581	A119	B21	C5
1582	A119	B21	C41
1583	A119	B21	C59
1584	A119	B22	C1
1585	A119	B22	C5
1586	A119	B22	C41
1587	A119	B22	C59
1588	A124	B1	C1
1589	A124	B1	C5
1590	A124	B1	C41
1591	A124	B1	C59
1592	A124	B2	C1
1593	A124	B2	C5

Table 51

1594	A124	B2	C41
1595	A124	B2	C59
1596	A124	B21	C1
1597	A124	B21	C5
1598	A124	B21	C41
1599	A124	B21	C59
1600	A124	B22	C1
1601	A124	B22	C5
1602	A124	B22	C41
1603	A124	B22	C59
1604	A125	B1	C1
1605	A125	B1	C5
1606	A125	B1	C41
1607	A125	B1	C59
1608	A125	B2	C1
1609	A125	B2	C5
1610	A125	B2	C41
1611	A125	B2	C59
1612	A125	B21	C1
1613	A125	B21	C5
1614	A125	B21	C41
1615	A125	B21	C59
1616	A125	B22	C1
1617	A125	B22	C5
1618	A125	B22	C41
1619	A125	B22	C59
1620	A130	B1	C1
1621	A130	B1	C5
1622	A130	B1	C41
1623	A130	B1	C59
1624	A130	B2	C1
1625	A130	B2	C5
1626	A130	B2	C41
1627	A130	B2	C59
1628	A130	B21	C1
1629	A130	B21	C5
1630	A130	B21	C41
1631	A130	B21	C59
1632	A130	B22	C1
1633	A130	B22	C5
1634	A130	B22	C41
1635	A130	B22	C59
1636	A135	B1	C1
1637	A135	B1	C5
1638	A135	B1	C41
1639	A135	B1	C59
1640	A135	B2	C1
1641	A135	B2	C5
1642	A135	B2	C59
1643	A135	B21	C1
1644	A135	B21	C5
1645	A135	B21	C41
1646	A135	B21	C59
1647	A135	B22	C1
1648	A135	B22	C5
1649	A135	B22	C41
1650	A135	B22	C59
1651	A140	B1	C1
1652	A140	B1	C5
1653	A140	B1	C41
1654	A140	B1	C59
1655	A140	B2	C1
1656	A140	B2	C5
1657	A140	B2	C41
1658	A140	B2	C59
1659	A140	B21	C1
1660	A140	B21	C5
1661	A140	B21	C41
1662	A140	B21	C59
1663	A140	B22	C1
1664	A140	B22	C5
1665	A140	B22	C41
1666	A140	B22	C59
1667	A155	B1	C1
1668	A155	B1	C5
1669	A155	B1	C41
1670	A155	B1	C59
1671	A155	B2	C1
1672	A155	B2	C5
1673	A155	B2	C41
1674	A155	B2	C59
1675	A155	B21	C1
1676	A155	B21	C5
1677	A155	B21	C41
1678	A155	B21	C59
1679	A155	B22	C1
1680	A155	B22	C5
1681	A155	B22	C41
1682	A155	B22	C59
1683	A160	B1	C1
1684	A160	B1	C5
1685	A160	B1	C41
1686	A160	B1	C59
1687	A160	B2	C1
1688	A160	B2	C5
1689	A160	B2	C41
1690	A160	B2	C59
1691	A160	B21	C1
1692	A160	B21	C5
1693	A160	B21	C41
1694	A160	B21	C59
1695	A160	B22	C1
1696	A160	B22	C5
1697	A160	B22	C41
1698	A160	B22	C59
1699	A203	B1	C1
1700	A203	B1	C5
1701	A203	B1	C41
1702	A203	B1	C59
1703	A203	B2	C1
1704	A203	B2	C5
1705	A203	B2	C41
1706	A203	B2	C59
1707	A203	B21	C1
1708	A203	B21	C5
1709	A203	B21	C41
1710	A203	B21	C59
1711	A203	B22	C1
1712	A203	B22	C5
1713	A203	B22	C41
1714	A203	B22	C59
1715	A208	B1	C1
1716	A208	B1	C5
1717	A208	B1	C41
1718	A208	B1	C59
1719	A208	B2	C1
1720	A208	B2	C5
1721	A208	B2	C41
1722	A208	B2	C59
1723	A208	B21	C1
1724	A208	B21	C5
1725	A208	B21	C41
1726	A208	B21	C59
1727	A208	B22	C1
1728	A208	B22	C5
1729	A208	B22	C41
1730	A208	B22	C59
1731	A209	B1	C1

Table 52

1732	A209	B1	C5
1733	A209	B1	C41
1734	A209	B1	C59
1735	A209	B2	C1
1736	A209	B2	C5
1737	A209	B2	C41
1738	A209	B2	C59
1739	A209	B21	C1
1740	A209	B21	C5
1741	A209	B21	C41
1742	A209	B21	C59
1743	A209	B22	C1
1744	A209	B22	C5
1745	A209	B22	C41
1746	A209	B22	C59
1747	A214	B1	C1
1748	A214	B1	C5
1749	A214	B1	C41
1750	A214	B1	C59
1751	A214	B2	C1
1752	A214	B2	C5
1753	A214	B2	C41
1754	A214	B2	C59
1755	A214	B21	C1
1756	A214	B21	C5
1757	A214	B21	C41
1758	A214	B21	C59
1759	A214	B22	C1
1760	A214	B22	C5
1761	A214	B22	C41
1762	A214	B22	C59
1763	A217	B1	C1
1764	A217	B1	C5
1765	A217	B1	C41
1766	A217	B1	C59
1767	A217	B2	C1
1768	A217	B2	C5
1769	A217	B2	C41
1770	A217	B2	C59
1771	A217	B21	C1
1772	A217	B21	C5
1773	A217	B21	C41
1774	A217	B21	C59
1775	A217	B22	C1
1776	A217	B22	C5
1777	A217	B22	C41
1778	A217	B22	C59
1779	A222	B1	C1
1780	A222	B1	C5
1781	A222	B1	C41
1782	A222	B1	C59
1783	A222	B2	C1
1784	A222	B2	C5
1785	A222	B2	C41
1786	A222	B2	C59
1787	A222	B21	C1
1788	A222	B21	C5
1789	A222	B21	C41
1790	A222	B21	C59
1791	A222	B22	C1
1792	A222	B22	C5
1793	A222	B22	C41
1794	A222	B22	C59
1795	A223	B1	C1
1796	A223	B1	C5
1797	A223	B1	C41
1798	A223	B1	C59
1799	A223	B2	C1
1800	A223	B2	C5
1801	A223	B2	C41
1802	A223	B2	C59
1803	A223	B21	C1
1804	A223	B21	C5
1805	A223	B21	C41
1806	A223	B21	C59
1807	A223	B22	C1
1808	A223	B22	C5
1809	A223	B22	C41
1810	A223	B22	C59
1811	A228	B1	C1
1812	A228	B1	C5
1813	A228	B1	C41
1814	A228	B1	C59
1815	A228	B2	C1
1816	A228	B2	C5
1817	A228	B2	C41
1818	A228	B2	C59
1819	A228	B21	C1
1820	A228	B21	C5
1821	A228	B21	C41
1822	A228	B21	C59
1823	A228	B22	C1
1824	A228	B22	C5
1825	A228	B22	C41
1826	A228	B22	C59
1827	A233	B1	C1
1828	A233	B1	C5
1829	A233	B1	C41
1830	A233	B1	C59
1831	A233	B2	C1
1832	A233	B2	C5
1833	A233	B2	C41
1834	A233	B2	C59
1835	A233	B21	C1
1836	A233	B21	C5
1837	A233	B21	C41
1838	A233	B21	C59
1839	A233	B22	C1
1840	A233	B22	C5
1841	A233	B22	C41
1842	A233	B22	C59
1843	A238	B1	C1
1844	A238	B1	C5
1845	A238	B1	C41
1846	A238	B1	C59
1847	A238	B2	C1
1848	A238	B2	C5
1849	A238	B2	C41
1850	A238	B2	C59
1851	A238	B21	C1
1852	A238	B21	C5
1853	A238	B21	C41
1854	A238	B21	C59
1855	A238	B22	C1
1856	A238	B22	C5
1857	A238	B22	C41
1858	A238	B22	C59
1859	A253	B1	C1
1860	A253	B1	C5
1861	A253	B1	C41
1862	A253	B1	C59
1863	A253	B2	C1
1864	A253	B2	C5
1865	A253	B2	C41
1866	A253	B2	C59
1867	A253	B21	C1
1868	A253	B21	C5
1869	A253	B21	C41

Table 53

1870	A253	B21	C59
1871	A253	B22	C1
1872	A253	B22	C5
1873	A253	B22	C41
1874	A253	B22	C59
1875	A258	B1	C1
1876	A258	B1	C5
1877	A258	B1	C41
1878	A258	B1	C59
1879	A258	B2	C1
1880	A258	B2	C5
1881	A258	B2	C41
1882	A258	B2	C59
1883	A258	B21	C1
1884	A258	B21	C5
1885	A258	B21	C41
1886	A258	B21	C59
1887	A258	B22	C1
1888	A258	B22	C5
1889	A258	B22	C41
1890	A258	B22	C59
1891	A301	B1	C1
1892	A301	B1	C5
1893	A301	B1	C41
1894	A301	B1	C59
1895	A301	B2	C1
1896	A301	B2	C5
1897	A301	B2	C41
1898	A301	B2	C59
1899	A301	B21	C1
1900	A301	B21	C5
1901	A301	B21	C41
1902	A301	B21	C59
1903	A301	B22	C1
1904	A301	B22	C5
1905	A301	B22	C41
1906	A301	B22	C59
1907	A306	B1	C1
1908	A306	B1	C5
1909	A306	B1	C41
1910	A306	B1	C59
1911	A306	B2	C1
1912	A306	B2	C5
1913	A306	B2	C41
1914	A306	B2	C59
1915	A306	B21	C1
1916	A306	B21	C5
1917	A306	B21	C41
1918	A306	B21	C59
1919	A306	B22	C1
1920	A306	B22	C5
1921	A306	B22	C41
1922	A306	B22	C59
1923	A307	B1	C1
1924	A307	B1	C5
1925	A307	B1	C41
1926	A307	B1	C59
1927	A307	B2	C1
1928	A307	B2	C5
1929	A307	B2	C41
1930	A307	B2	C59
1931	A307	B21	C1
1932	A307	B21	C5
1933	A307	B21	C41
1934	A307	B21	C59
1935	A307	B22	C1
1936	A307	B22	C5
1937	A307	B22	C41
1938	A307	B22	C59
1939	A312	B1	C1
1940	A312	B1	C5
1941	A312	B1	C41
1942	A312	B1	C59
1943	A312	B2	C1
1944	A312	B2	C5
1945	A312	B2	C41
1946	A312	B2	C59
1947	A312	B21	C1
1948	A312	B21	C5
1949	A312	B21	C41
1950	A312	B21	C59
1951	A312	B22	C1
1952	A312	B22	C5
1953	A312	B22	C41
1954	A312	B22	C59
1955	A315	B1	C1
1956	A315	B1	C5
1957	A315	B1	C41
1958	A315	B1	C59
1959	A315	B2	C1
1960	A315	B2	C5
1961	A315	B2	C41
1962	A315	B2	C59
1963	A315	B21	C1
1964	A315	B21	C5
1965	A315	B21	C41
1966	A315	B21	C59
1967	A315	B22	C1
1968	A315	B22	C5
1969	A315	B22	C41
1970	A315	B22	C59
1971	A320	B1	C1
1972	A320	B1	C5
1973	A320	B1	C41
1974	A320	B1	C59
1975	A320	B2	C1
1976	A320	B2	C5
1977	A320	B2	C41
1978	A320	B2	C59
1979	A320	B21	C1
1980	A320	B21	C5
1981	A320	B21	C41
1982	A320	B21	C59
1983	A320	B22	C1
1984	A320	B22	C5
1985	A320	B22	C41
1986	A320	B22	C59
1987	A321	B1	C1
1988	A321	B1	C5
1989	A321	B1	C41
1990	A321	B1	C59
1991	A321	B2	C1
1992	A321	B2	C5
1993	A321	B2	C41
1994	A321	B2	C59
1995	A321	B21	C1
1996	A321	B21	C5
1997	A321	B21	C41
1998	A321	B21	C59
1999	A321	B22	C1
2000	A321	B22	C5
2001	A321	B22	C41
2002	A321	B22	C59
2003	A326	B1	C1
2004	A326	B1	C5
2005	A326	B1	C41
2006	A326	B1	C59
2007	A326	B2	C1

Table 54

2008	A326	B2	C5
2009	A326	B2	C41
2010	A326	B2	C59
2011	A326	B21	C1
2012	A326	B21	C5
2013	A326	B21	C41
2014	A326	B21	C59
2015	A326	B22	C1
2016	A326	B22	C5
2017	A326	B22	C41
2018	A326	B22	C59
2019	A331	B1	C1
2020	A331	B1	C5
2021	A331	B1	C41
2022	A331	B1	C59
2023	A331	B2	C1
2024	A331	B2	C5
2025	A331	B2	C41
2026	A331	B2	C59
2027	A331	B21	C1
2028	A331	B21	C5
2029	A331	B21	C41
2030	A331	B21	C59
2031	A331	B22	C1
2032	A331	B22	C5
2033	A331	B22	C41
2034	A331	B22	C59
2035	A336	B1	C1
2036	A336	B1	C5
2037	A336	B1	C41
2038	A336	B1	C59
2039	A336	B2	C1
2040	A336	B2	C5
2041	A336	B2	C41
2042	A336	B2	C59
2043	A336	B21	C1
2044	A336	B21	C5
2045	A336	B21	C41
2046	A336	B21	C59
2047	A336	B22	C1
2048	A336	B22	C5
2049	A336	B22	C41
2050	A336	B22	C59
2051	A351	B1	C1
2052	A351	B1	C5
2053	A351	B1	C41
2054	A351	B1	C59
2055	A351	B2	C1
2056	A351	B2	C5
2057	A351	B2	C41
2058	A351	B2	C59
2059	A351	B21	C1
2060	A351	B21	C5
2061	A351	B21	C41
2062	A351	B21	C59
2063	A351	B22	C1
2064	A351	B22	C5
2065	A351	B22	C41
2066	A351	B22	C59
2067	A356	B1	C1
2068	A356	B1	C5
2069	A356	B1	C41
2070	A356	B1	C59
2071	A356	B2	C1
2072	A356	B2	C5
2073	A356	B2	C41
2074	A356	B2	C59
2075	A356	B21	C1
2076	A356	B21	C5
2077	A356	B21	C41
2078	A356	B21	C59
2079	A356	B22	C1
2080	A356	B22	C5
2081	A356	B22	C41
2082	A356	B22	C59
2083	A399	B1	C1
2084	A399	B1	C5
2085	A399	B1	C41
2086	A399	B1	C59
2087	A399	B2	C1
2088	A399	B2	C5
2089	A399	B2	C41
2090	A399	B2	C59
2091	A399	B21	C1
2092	A399	B21	C5
2093	A399	B21	C41
2094	A399	B21	C59
2095	A399	B22	C1
2096	A399	B22	C5
2097	A399	B22	C41
2098	A399	B22	C59
2099	A404	B1	C1
2100	A404	B1	C5
2101	A404	B1	C41
2102	A404	B1	C59
2103	A404	B2	C1
2104	A404	B2	C5
2105	A404	B2	C41
2106	A404	B2	C59
2107	A404	B21	C1
2108	A404	B21	C5
2109	A404	B21	C41
2110	A404	B21	C59
2111	A404	B22	C1
2112	A404	B22	C5
2113	A404	B22	C41
2114	A404	B22	C59
2115	A405	B1	C1
2116	A405	B1	C5
2117	A405	B1	C41
2118	A405	B1	C59
2119	A405	B2	C1
2120	A405	B2	C5
2121	A405	B2	C41
2122	A405	B2	C59
2123	A405	B21	C1
2124	A405	B21	C5
2125	A405	B21	C41
2126	A405	B21	C59
2127	A405	B22	C1
2128	A405	B22	C5
2129	A405	B22	C41
2130	A405	B22	C59
2131	A410	B1	C1
2132	A410	B1	C5
2133	A410	B1	C41
2134	A410	B1	C59
2135	A410	B2	C1
2136	A410	B2	C5
2137	A410	B2	C41
2138	A410	B2	C59
2139	A410	B21	C1
2140	A410	B21	C5
2141	A410	B21	C41
2142	A410	B21	C59
2143	A410	B22	C1
2144	A410	B22	C5
2145	A410	B22	C41

Table 55

2146	A410	B22	C59
2147	A413	B1	C1
2148	A413	B1	C5
2149	A413	B1	C41
2150	A413	B1	C59
2151	A413	B2	C1
2152	A413	B2	C5
2153	A413	B2	C41
2154	A413	B2	C59
2155	A413	B21	C1
2156	A413	B21	C5
2157	A413	B21	C41
2158	A413	B21	C59
2159	A413	B22	C1
2160	A413	B22	C5
2161	A413	B22	C41
2162	A413	B22	C59
2163	A418	B1	C1
2164	A418	B1	C5
2165	A418	B1	C41
2166	A418	B1	C59
2167	A418	B2	C1
2168	A418	B2	C5
2169	A418	B2	C41
2170	A418	B2	C59
2171	A418	B21	C1
2172	A418	B21	C5
2173	A418	B21	C41
2174	A418	B21	C59
2175	A418	B22	C1
2176	A418	B22	C5
2177	A418	B22	C41
2178	A418	B22	C59
2179	A419	B1	C1
2180	A419	B1	C5
2181	A419	B1	C41
2182	A419	B1	C59
2183	A419	B2	C1
2184	A419	B2	C5
2185	A419	B2	C41
2186	A419	B2	C59
2187	A419	B21	C1
2188	A419	B21	C5
2189	A419	B21	C41
2190	A419	B21	C59
2191	A419	B22	C1
2192	A419	B22	C5
2193	A419	B22	C41
2194	A419	B22	C59
2195	A424	B1	C1
2196	A424	B1	C5
2197	A424	B1	C41
2198	A424	B1	C59
2199	A424	B2	C1
2200	A424	B2	C5
2201	A424	B2	C41
2202	A424	B2	C59
2203	A424	B21	C1
2204	A424	B21	C5
2205	A424	B21	C41
2206	A424	B21	C59
2207	A424	B22	C1
2208	A424	B22	C5
2209	A424	B22	C41
2210	A424	B22	C59
2211	A429	B1	C1
2212	A429	B1	C5
2213	A429	B1	C41
2214	A429	B1	C59
2215	A429	B2	C1
2216	A429	B2	C5
2217	A429	B2	C41
2218	A429	B2	C59
2219	A429	B21	C5
2220	A429	B21	C41
2221	A429	B21	C59
2222	A429	B22	C1
2223	A429	B22	C5
2224	A429	B22	C41
2225	A429	B22	C59
2226	A434	B1	C1
2227	A434	B1	C5
2228	A434	B1	C41
2229	A434	B1	C59
2230	A434	B2	C1
2231	A434	B2	C5
2232	A434	B2	C41
2233	A434	B2	C59
2234	A434	B21	C1
2235	A434	B21	C5
2236	A434	B21	C41
2237	A434	B21	C59
2238	A434	B22	C1
2239	A434	B22	C5
2240	A434	B22	C41
2241	A434	B22	C59
2242	A449	B1	C1
2243	A449	B1	C5
2244	A449	B1	C41
2245	A449	B1	C59
2246	A449	B2	C1
2247	A449	B2	C5
2248	A449	B2	C41
2249	A449	B2	C59
2250	A449	B21	C1
2251	A449	B21	C5
2252	A449	B21	C41
2253	A449	B21	C59
2254	A449	B22	C1
2255	A449	B22	C5
2256	A449	B22	C41
2257	A449	B22	C59
2258	A454	B1	C1
2259	A454	B1	C5
2260	A454	B1	C41
2261	A454	B1	C59
2262	A454	B2	C1
2263	A454	B2	C5
2264	A454	B2	C41
2265	A454	B2	C59
2266	A454	B21	C1
2267	A454	B21	C5
2268	A454	B21	C41
2269	A454	B21	C59
2270	A454	B22	C1
2271	A454	B22	C5
2272	A454	B22	C41
2273	A454	B22	C59
2274	A497	B1	C1
2275	A497	B1	C5
2276	A497	B1	C41
2277	A497	B1	C59
2278	A497	B2	C1
2279	A497	B2	C5
2280	A497	B2	C41
2281	A497	B2	C59
2282	A497	B21	C1
2283	A497	B21	C5

Table 56

2284	A497	B21	C41
2285	A497	B21	C59
2286	A497	B22	C1
2287	A497	B22	C5
2288	A497	B22	C41
2289	A497	B22	C59
2290	A502	B1	C1
2291	A502	B1	C5
2292	A502	B1	C41
2293	A502	B1	C59
2294	A502	B2	C1
2295	A502	B2	C5
2296	A502	B2	C41
2297	A502	B2	C59
2298	A502	B21	C1
2299	A502	B21	C5
2300	A502	B21	C41
2301	A502	B21	C59
2302	A502	B22	C1
2303	A502	B22	C5
2304	A502	B22	C41
2305	A502	B22	C59
2306	A503	B1	C1
2307	A503	B1	C5
2308	A503	B1	C41
2309	A503	B1	C59
2310	A503	B2	C1
2311	A503	B2	C5
2312	A503	B2	C41
2313	A503	B2	C59
2314	A503	B21	C1
2315	A503	B21	C5
2316	A503	B21	C59
2317	A503	B22	C1
2318	A503	B22	C5
2319	A503	B22	C41
2320	A503	B22	C59
2321	A508	B1	C1
2322	A508	B1	C5
2323	A508	B1	C41
2324	A508	B1	C59
2325	A508	B2	C1
2326	A508	B2	C5
2327	A508	B2	C41
2328	A508	B2	C59
2329	A508	B21	C1
2330	A508	B21	C5
2331	A508	B21	C41
2332	A508	B21	C59
2333	A508	B22	C1
2334	A508	B22	C5
2335	A508	B22	C41
2336	A508	B22	C59
2337	A511	B1	C1
2338	A511	B1	C5
2339	A511	B1	C41
2340	A511	B1	C59
2341	A511	B2	C1
2342	A511	B2	C5
2343	A511	B2	C41
2344	A511	B2	C59
2345	A511	B21	C1
2346	A511	B21	C5
2347	A511	B21	C41
2348	A511	B21	C59
2349	A511	B22	C1
2350	A511	B22	C5
2351	A511	B22	C41
2352	A511	B22	C59
2353	A516	B1	C1
2354	A516	B1	C5
2355	A516	B1	C41
2356	A516	B1	C59
2357	A516	B2	C1
2358	A516	B2	C5
2359	A516	B2	C41
2360	A516	B2	C59
2361	A516	B21	C1
2362	A516	B21	C5
2363	A516	B21	C41
2364	A516	B21	C59
2365	A516	B22	C1
2366	A516	B22	C5
2367	A516	B22	C41
2368	A516	B22	C59
2369	A517	B1	C1
2370	A517	B1	C5
2371	A517	B1	C41
2372	A517	B1	C59
2373	A517	B2	C1
2374	A517	B2	C5
2375	A517	B2	C41
2376	A517	B2	C59
2377	A517	B21	C1
2378	A517	B21	C5
2379	A517	B21	C41
2380	A517	B21	C59
2381	A517	B22	C1
2382	A517	B22	C5
2383	A517	B22	C41
2384	A517	B22	C59
2385	A522	B1	C1
2386	A522	B1	C5
2387	A522	B1	C41
2388	A522	B1	C59
2389	A522	B2	C1
2390	A522	B2	C5
2391	A522	B2	C41
2392	A522	B2	C59
2393	A522	B21	C1
2394	A522	B21	C5
2395	A522	B21	C41
2396	A522	B21	C59
2397	A522	B22	C1
2398	A522	B22	C5
2399	A522	B22	C41
2400	A522	B22	C59
2401	A527	B1	C1
2402	A527	B1	C5
2403	A527	B1	C41
2404	A527	B1	C59
2405	A527	B2	C1
2406	A527	B2	C5
2407	A527	B2	C41
2408	A527	B2	C59
2409	A527	B21	C1
2410	A527	B21	C5
2411	A527	B21	C41
2412	A527	B21	C59
2413	A527	B22	C1
2414	A527	B22	C5
2415	A527	B22	C41
2416	A527	B22	C59
2417	A532	B1	C1
2418	A532	B1	C5
2419	A532	B1	C41
2420	A532	B1	C59
2421	A532	B2	C1

Table 57

2422	A532	B2	C5
2423	A532	B2	C41
2424	A532	B2	C59
2425	A532	B21	C1
2426	A532	B21	C5
2427	A532	B21	C41
2428	A532	B21	C59
2429	A532	B22	C1
2430	A532	B22	C5
2431	A532	B22	C41
2432	A532	B22	C59
2433	A547	B1	C1
2434	A547	B1	C5
2435	A547	B1	C41
2436	A547	B1	C59
2437	A547	B2	C1
2438	A547	B2	C5
2439	A547	B2	C41
2440	A547	B2	C59
2441	A547	B21	C1
2442	A547	B21	C5
2443	A547	B21	C41
2444	A547	B21	C59
2445	A547	B22	C5
2446	A547	B22	C41
2447	A547	B22	C59
2448	A552	B1	C1
2449	A552	B1	C5
2450	A552	B1	C41
2451	A552	B1	C59
2452	A552	B2	C1
2453	A552	B2	C5
2454	A552	B2	C41
2455	A552	B2	C59
2456	A552	B21	C1
2457	A552	B21	C5
2458	A552	B21	C41
2459	A552	B21	C59
2460	A552	B22	C1
2461	A552	B22	C5
2462	A552	B22	C41
2463	A552	B22	C59
3615	A2359	B1	C1
3616	A2359	B1	C5
3617	A2359	B1	C41
3618	A2359	B1	C59
3619	A2359	B2	C1
3620	A2359	B2	C5
3621	A2359	B2	C41
3622	A2359	B2	C59
3623	A2359	B21	C1
3624	A2359	B21	C5
3625	A2359	B21	C41
3626	A2359	B21	C59
3627	A2359	B22	C1
3628	A2359	B22	C5
3629	A2359	B22	C41
3630	A2359	B22	C59
3631	A2364	B1	C1
3632	A2364	B1	C5
3633	A2364	B1	C41
3634	A2364	B1	C59
3635	A2364	B2	C1
3636	A2364	B2	C5
3637	A2364	B2	C41
3638	A2364	B2	C59
3639	A2364	B21	C1
3640	A2364	B21	C5
3641	A2364	B21	C41
3642	A2364	B21	C59
3643	A2364	B22	C1
3644	A2364	B22	C5
3645	A2364	B22	C41
3646	A2364	B22	C59
3647	A2365	B1	C1
3648	A2365	B1	C5
3649	A2365	B1	C41
3650	A2365	B1	C59
3651	A2365	B2	C1
3652	A2365	B2	C5
3653	A2365	B2	C41
3654	A2365	B2	C59
3655	A2365	B21	C1
3656	A2365	B21	C5
3657	A2365	B21	C41
3658	A2365	B21	C59
3659	A2365	B22	C1
3660	A2365	B22	C5
3661	A2365	B22	C41
3662	A2365	B22	C59
3663	A2370	B1	C1
3664	A2370	B1	C5
3665	A2370	B1	C41
3666	A2370	B1	C59
3667	A2370	B2	C1
3668	A2370	B2	C5
3669	A2370	B2	C41
3670	A2370	B2	C59
3671	A2370	B21	C1
3672	A2370	B21	C5
3673	A2370	B21	C41
3674	A2370	B21	C59
3675	A2370	B22	C1
3676	A2370	B22	C5
3677	A2370	B22	C41
3678	A2370	B22	C59
3679	A2371	B1	C1
3680	A2371	B1	C5
3681	A2371	B1	C41
3682	A2371	B1	C59
3683	A2371	B2	C1
3684	A2371	B2	C5
3685	A2371	B2	C41
3686	A2371	B2	C59
3687	A2371	B21	C1
3688	A2371	B21	C5
3689	A2371	B21	C41
3690	A2371	B21	C59
3691	A2371	B22	C1
3692	A2371	B22	C5
3693	A2371	B22	C41
3694	A2371	B22	C59
3695	A2376	B1	C1
3696	A2376	B1	C5
3697	A2376	B1	C41
3698	A2376	B1	C59
3699	A2376	B2	C1
3700	A2376	B2	C5
3701	A2376	B2	C41
3702	A2376	B2	C59
3703	A2376	B21	C1
3704	A2376	B21	C5
3705	A2376	B21	C41
3706	A2376	B21	C59
3707	A2376	B22	C1
3708	A2376	B22	C5
3709	A2376	B22	C41
3710	A2376	B22	C59

Table 58

3711	A2401	B1	C1
3712	A2401	B1	C5
3713	A2401	B1	C41
3714	A2401	B1	C59
3715	A2401	B2	C1
3716	A2401	B2	C5
3717	A2401	B2	C41
3718	A2401	B2	C59
3719	A2401	B21	C1
3720	A2401	B21	C5
3721	A2401	B21	C41
3722	A2401	B21	C59
3723	A2401	B22	C1
3724	A2401	B22	C5
3725	A2401	B22	C41
3726	A2401	B22	C59
3727	A2406	B1	C1
3728	A2406	B1	C5
3729	A2406	B1	C41
3730	A2406	B1	C59
3731	A2406	B2	C1
3732	A2406	B2	C5
3733	A2406	B2	C41
3734	A2406	B2	C59
3735	A2406	B21	C1
3736	A2406	B21	C5
3737	A2406	B21	C41
3738	A2406	B21	C59
3739	A2406	B22	C1
3740	A2406	B22	C5
3741	A2406	B22	C41
3742	A2406	B22	C59
3743	A2413	B1	C1
3744	A2413	B1	C5
3745	A2413	B1	C41
3746	A2413	B1	C59
3747	A2413	B2	C1
3748	A2413	B2	C5
3749	A2413	B2	C41
3750	A2413	B2	C59
3751	A2413	B21	C1
3752	A2413	B21	C5
3753	A2413	B21	C41
3754	A2413	B21	C59
3755	A2413	B22	C1
3756	A2413	B22	C5
3757	A2413	B22	C41
3758	A2413	B22	C59
3759	A2418	B1	C1
3760	A2418	B1	C5
3761	A2418	B1	C41
3762	A2418	B1	C59
3763	A2418	B2	C1
3764	A2418	B2	C5
3765	A2418	B2	C41
3766	A2418	B2	C59
3767	A2418	B21	C1
3768	A2418	B21	C5
3769	A2418	B21	C41
3770	A2418	B21	C59
3771	A2418	B22	C1
3772	A2418	B22	C5
3773	A2418	B22	C41
3774	A2418	B22	C59
3775	A2427	B1	C1
3776	A2427	B1	C5
3777	A2427	B1	C41
3778	A2427	B1	C59
3779	A2427	B2	C1
3780	A2427	B2	C5
3781	A2427	B2	C41
3782	A2427	B2	C59
3783	A2427	B21	C1
3784	A2427	B21	C5
3785	A2427	B21	C41
3786	A2427	B21	C59
3787	A2427	B22	C1
3788	A2427	B22	C5
3789	A2427	B22	C41
3790	A2427	B22	C59
3791	A2432	B1	C1
3792	A2432	B1	C5
3793	A2432	B1	C41
3794	A2432	B1	C59
3795	A2432	B2	C1
3796	A2432	B2	C5
3797	A2432	B2	C41
3798	A2432	B2	C59
3799	A2432	B21	C1
3800	A2432	B21	C5
3801	A2432	B21	C41
3802	A2432	B21	C59
3803	A2432	B22	C1
3804	A2432	B22	C5
3805	A2432	B22	C41
3806	A2432	B22	C59
3807	A2461	B1	C1
3808	A2461	B1	C5
3809	A2461	B1	C41
3810	A2461	B1	C59
3811	A2461	B2	C1
3812	A2461	B2	C5
3813	A2461	B2	C41
3814	A2461	B2	C59
3815	A2461	B21	C1
3816	A2461	B21	C5
3817	A2461	B21	C41
3818	A2461	B21	C59
3819	A2461	B22	C1
3820	A2461	B22	C5
3821	A2461	B22	C41
3822	A2461	B22	C59
3823	A2466	B1	C1
3824	A2466	B1	C5
3825	A2466	B1	C41
3826	A2466	B1	C59
3827	A2466	B2	C1
3828	A2466	B2	C5
3829	A2466	B2	C41
3830	A2466	B2	C59
3831	A2466	B21	C1
3832	A2466	B21	C5
3833	A2466	B21	C41
3834	A2466	B21	C59
3835	A2466	B22	C1
3836	A2466	B22	C5
3837	A2466	B22	C41
3838	A2466	B22	C59
3839	A2467	B1	C1
3840	A2467	B1	C5
3841	A2467	B1	C41
3842	A2467	B1	C59
3843	A2467	B2	C1
3844	A2467	B2	C5
3845	A2467	B2	C41
3846	A2467	B2	C59
3847	A2467	B21	C1
3848	A2467	B21	C5

Table 59

3849	A2467	B21	C41
3850	A2467	B21	C59
3851	A2467	B22	C1
3852	A2467	B22	C5
3853	A2467	B22	C41
3854	A2467	B22	C59
3855	A2472	B1	C1
3856	A2472	B1	C5
3857	A2472	B1	C41
3858	A2472	B1	C59
3859	A2472	B2	C1
3860	A2472	B2	C5
3861	A2472	B2	C41
3862	A2472	B2	C59
3863	A2472	B21	C1
3864	A2472	B21	C5
3865	A2472	B21	C41
3866	A2472	B21	C59
3867	A2472	B22	C1
3868	A2472	B22	C5
3869	A2472	B22	C41
3870	A2472	B22	C59
3871	A2473	B1	C1
3872	A2473	B1	C5
3873	A2473	B1	C41
3874	A2473	B1	C59
3875	A2473	B2	C1
3876	A2473	B2	C5
3877	A2473	B2	C41
3878	A2473	B2	C59
3879	A2473	B21	C1
3880	A2473	B21	C5
3881	A2473	B21	C41
3882	A2473	B21	C59
3883	A2473	B22	C1
3884	A2473	B22	C5
3885	A2473	B22	C41
3886	A2473	B22	C59
3887	A2478	B1	C1
3888	A2478	B1	C5
3889	A2478	B1	C41
3890	A2478	B1	C59
3891	A2478	B2	C1
3892	A2478	B2	C5
3893	A2478	B2	C41
3894	A2478	B2	C59
3895	A2478	B21	C1
3896	A2478	B21	C5
3897	A2478	B21	C41
3898	A2478	B21	C59
3899	A2478	B22	C1
3900	A2478	B22	C5
3901	A2478	B22	C41
3902	A2478	B22	C59
3903	A2503	B1	C1
3904	A2503	B1	C5
3905	A2503	B1	C41
3906	A2503	B1	C59
3907	A2503	B2	C1
3908	A2503	B2	C5
3909	A2503	B2	C41
3910	A2503	B2	C59
3911	A2503	B21	C1
3912	A2503	B21	C5
3913	A2503	B21	C41
3914	A2503	B21	C59
3915	A2503	B22	C1
3916	A2503	B22	C5
3917	A2503	B22	C41
3918	A2503	B22	C59
3919	A2508	B1	C1
3920	A2508	B1	C5
3921	A2508	B1	C41
3922	A2508	B1	C59
3923	A2508	B2	C1
3924	A2508	B2	C5
3925	A2508	B2	C41
3926	A2508	B2	C59
3927	A2508	B21	C1
3928	A2508	B21	C5
3929	A2508	B21	C41
3930	A2508	B21	C59
3931	A2508	B22	C1
3932	A2508	B22	C5
3933	A2508	B22	C41
3934	A2508	B22	C59
3935	A2515	B1	C1
3936	A2515	B1	C5
3937	A2515	B1	C41
3938	A2515	B1	C59
3939	A2515	B2	C1
3940	A2515	B2	C5
3941	A2515	B2	C41
3942	A2515	B2	C59
3943	A2515	B21	C1
3944	A2515	B21	C5
3945	A2515	B21	C41
3946	A2515	B21	C59
3947	A2515	B22	C1
3948	A2515	B22	C5
3949	A2515	B22	C41
3950	A2515	B22	C59
3951	A2520	B1	C1
3952	A2520	B1	C5
3953	A2520	B1	C41
3954	A2520	B1	C59
3955	A2520	B2	C1
3956	A2520	B2	C5
3957	A2520	B2	C41
3958	A2520	B2	C59
3959	A2520	B21	C1
3960	A2520	B21	C5
3961	A2520	B21	C41
3962	A2520	B21	C59
3963	A2520	B22	C1
3964	A2520	B22	C5
3965	A2520	B22	C41
3966	A2520	B22	C59
3967	A2529	B1	C1
3968	A2529	B1	C5
3969	A2529	B1	C41
3970	A2529	B1	C59
3971	A2529	B2	C1
3972	A2529	B2	C5
3973	A2529	B2	C41
3974	A2529	B2	C59
3975	A2529	B21	C1
3976	A2529	B21	C5
3977	A2529	B21	C41
3978	A2529	B21	C59
3979	A2529	B22	C1
3980	A2529	B22	C5
3981	A2529	B22	C41
3982	A2529	B22	C59
3983	A2534	B1	C1
3984	A2534	B1	C5
3985	A2534	B1	C41
3986	A2534	B1	C59

Table 60

3987	A2534	B2	C1
3988	A2534	B2	C5
3989	A2534	B2	C41
3990	A2534	B2	C59
3991	A2534	B21	C1
3992	A2534	B21	C5
3993	A2534	B21	C41
3994	A2534	B21	C59
3995	A2534	B22	C1
3996	A2534	B22	C5
3997	A2534	B22	C41
3998	A2534	B22	C59
3999	A2563	B1	C1
4000	A2563	B1	C5
4001	A2563	B1	C41
4002	A2563	B1	C59
4003	A2563	B2	C1
4004	A2563	B2	C5
4005	A2563	B2	C41
4006	A2563	B2	C59
4007	A2563	B21	C1
4008	A2563	B21	C5
4009	A2563	B21	C41
4010	A2563	B21	C59
4011	A2563	B22	C1
4012	A2563	B22	C5
4013	A2563	B22	C41
4014	A2563	B22	C59
4015	A2568	B1	C1
4016	A2568	B1	C5
4017	A2568	B1	C41
4018	A2568	B1	C59
4019	A2568	B2	C1
4020	A2568	B2	C5
4021	A2568	B2	C41
4022	A2568	B2	C59
4023	A2568	B21	C1
4024	A2568	B21	C5
4025	A2568	B21	C41
4026	A2568	B21	C59
4027	A2568	B22	C1
4028	A2568	B22	C5
4029	A2568	B22	C41
4030	A2568	B22	C59
4031	A2569	B1	C1
4032	A2569	B1	C5
4033	A2569	B1	C41
4034	A2569	B1	C59
4035	A2569	B2	C1
4036	A2569	B2	C5
4037	A2569	B2	C41
4038	A2569	B2	C59
4039	A2569	B21	C1
4040	A2569	B21	C5
4041	A2569	B21	C41
4042	A2569	B21	C59
4043	A2569	B22	C1
4044	A2569	B22	C5
4045	A2569	B22	C41
4046	A2569	B22	C59
4047	A2574	B1	C1
4048	A2574	B1	C5
4049	A2574	B1	C41
4050	A2574	B1	C59
4051	A2574	B2	C1
4052	A2574	B2	C5
4053	A2574	B2	C41
4054	A2574	B2	C59
4055	A2574	B21	C1
4056	A2574	B21	C5
4057	A2574	B21	C41
4058	A2574	B21	C59
4059	A2574	B22	C1
4060	A2574	B22	C5
4061	A2574	B22	C41
4062	A2574	B22	C59
4063	A2575	B1	C1
4064	A2575	B1	C5
4065	A2575	B1	C41
4066	A2575	B1	C59
4067	A2575	B2	C1
4068	A2575	B2	C5
4069	A2575	B2	C41
4070	A2575	B2	C59
4071	A2575	B21	C1
4072	A2575	B21	C5
4073	A2575	B21	C41
4074	A2575	B21	C59
4075	A2575	B22	C1
4076	A2575	B22	C5
4077	A2575	B22	C41
4078	A2575	B22	C59
4079	A2580	B1	C1
4080	A2580	B1	C5
4081	A2580	B1	C41
4082	A2580	B1	C59
4083	A2580	B2	C1
4084	A2580	B2	C5
4085	A2580	B2	C41
4086	A2580	B2	C59
4087	A2580	B21	C1
4088	A2580	B21	C5
4089	A2580	B21	C41
4090	A2580	B21	C59
4091	A2580	B22	C1
4092	A2580	B22	C5
4093	A2580	B22	C41
4094	A2580	B22	C59
4095	A2605	B1	C1
4096	A2605	B1	C5
4097	A2605	B1	C41
4098	A2605	B1	C59
4099	A2605	B2	C1
4100	A2605	B2	C5
4101	A2605	B2	C41
4102	A2605	B2	C59
4103	A2605	B21	C1
4104	A2605	B21	C5
4105	A2605	B21	C41
4106	A2605	B21	C59
4107	A2605	B22	C1
4108	A2605	B22	C5
4109	A2605	B22	C41
4110	A2605	B22	C59
4111	A2610	B1	C1
4112	A2610	B1	C5
4113	A2610	B1	C41
4114	A2610	B1	C59
4115	A2610	B2	C1
4116	A2610	B2	C5
4117	A2610	B2	C41
4118	A2610	B2	C59
4119	A2610	B21	C1
4120	A2610	B21	C5
4121	A2610	B21	C41
4122	A2610	B21	C59
4123	A2610	B22	C1
4124	A2610	B22	C5

Table 61

4125	A2610	B22	C41
4126	A2610	B22	C59
4127	A2617	B1	C1
4128	A2617	B1	C5
4129	A2617	B1	C41
4130	A2617	B1	C59
4131	A2617	B2	C1
4132	A2617	B2	C5
4133	A2617	B2	C41
4134	A2617	B2	C59
4135	A2617	B21	C1
4136	A2617	B21	C5
4137	A2617	B21	C41
4138	A2617	B21	C59
4139	A2617	B22	C1
4140	A2617	B22	C5
4141	A2617	B22	C41
4142	A2617	B22	C59
4143	A2622	B1	C1
4144	A2622	B1	C5
4145	A2622	B1	C41
4146	A2622	B1	C59
4147	A2622	B2	C1
4148	A2622	B2	C5
4149	A2622	B2	C41
4150	A2622	B2	C59
4151	A2622	B21	C1
4152	A2622	B21	C5
4153	A2622	B21	C41
4154	A2622	B21	C59
4155	A2622	B22	C1
4156	A2622	B22	C5
4157	A2622	B22	C41
4158	A2622	B22	C59
4159	A2631	B1	C1
4160	A2631	B1	C5
4161	A2631	B1	C41
4162	A2631	B1	C59
4163	A2631	B2	C1
4164	A2631	B2	C5
4165	A2631	B2	C41
4166	A2631	B2	C59
4167	A2631	B21	C1
4168	A2631	B21	C5
4169	A2631	B21	C41
4170	A2631	B21	C59
4171	A2631	B22	C1
4172	A2631	B22	C5
4173	A2631	B22	C41
4174	A2631	B22	C59
4175	A2636	B1	C1
4176	A2636	B1	C5
4177	A2636	B1	C41
4178	A2636	B1	C59
4179	A2636	B2	C1
4180	A2636	B2	C5
4181	A2636	B2	C41
4182	A2636	B2	C59
4183	A2636	B21	C1
4184	A2636	B21	C5
4185	A2636	B21	C41
4186	A2636	B21	C59
4187	A2636	B22	C1
4188	A2636	B22	C5
4189	A2636	B22	C41
4190	A2636	B22	C59
4191	A2665	B1	C1
4192	A2665	B1	C5
4193	A2665	B1	C41
4194	A2665	B1	C59
4195	A2665	B2	C1
4196	A2665	B2	C5
4197	A2665	B2	C41
4198	A2665	B2	C59
4199	A2665	B21	C1
4200	A2665	B21	C5
4201	A2665	B21	C41
4202	A2665	B21	C59
4203	A2665	B22	C1
4204	A2665	B22	C5
4205	A2665	B22	C41
4206	A2665	B22	C59
4207	A2670	B1	C1
4208	A2670	B1	C5
4209	A2670	B1	C41
4210	A2670	B1	C59
4211	A2670	B2	C1
4212	A2670	B2	C5
4213	A2670	B2	C41
4214	A2670	B2	C59
4215	A2670	B21	C1
4216	A2670	B21	C5
4217	A2670	B21	C41
4218	A2670	B21	C59
4219	A2670	B22	C1
4220	A2670	B22	C5
4221	A2670	B22	C41
4222	A2670	B22	C59
4223	A2671	B1	C1
4224	A2671	B1	C5
4225	A2671	B1	C41
4226	A2671	B1	C59
4227	A2671	B2	C1
4228	A2671	B2	C5
4229	A2671	B2	C41
4230	A2671	B2	C59
4231	A2671	B21	C1
4232	A2671	B21	C5
4233	A2671	B21	C41
4234	A2671	B21	C59
4235	A2671	B22	C1
4236	A2671	B22	C5
4237	A2671	B22	C41
4238	A2671	B22	C59
4239	A2676	B1	C1
4240	A2676	B1	C5
4241	A2676	B1	C41
4242	A2676	B1	C59
4243	A2676	B2	C1
4244	A2676	B2	C5
4245	A2676	B2	C41
4246	A2676	B2	C59
4247	A2676	B21	C1
4248	A2676	B21	C5
4249	A2676	B21	C41
4250	A2676	B21	C59
4251	A2676	B22	C1
4252	A2676	B22	C5
4253	A2676	B22	C41
4254	A2676	B22	C59
4255	A2677	B1	C1
4256	A2677	B1	C5
4257	A2677	B1	C41
4258	A2677	B1	C59
4259	A2677	B2	C1
4260	A2677	B2	C5
4261	A2677	B2	C41
4262	A2677	B2	C59

Table 62

4263	A2677	B21	C1
4264	A2677	B21	C5
4265	A2677	B21	C41
4266	A2677	B21	C59
4267	A2677	B22	C1
4268	A2677	B22	C5
4269	A2677	B22	C41
4270	A2677	B22	C59
4271	A2682	B1	C1
4272	A2682	B1	C5
4273	A2682	B1	C41
4274	A2682	B1	C59
4275	A2682	B2	C1
4276	A2682	B2	C5
4277	A2682	B2	C41
4278	A2682	B2	C59
4279	A2682	B21	C1
4280	A2682	B21	C5
4281	A2682	B21	C41
4282	A2682	B21	C59
4283	A2682	B22	C1
4284	A2682	B22	C5
4285	A2682	B22	C41
4286	A2682	B22	C59
4287	A2707	B1	C1
4288	A2707	B1	C5
4289	A2707	B1	C41
4290	A2707	B1	C59
4291	A2707	B2	C1
4292	A2707	B2	C5
4293	A2707	B2	C41
4294	A2707	B2	C59
4295	A2707	B21	C1
4296	A2707	B21	C5
4297	A2707	B21	C41
4298	A2707	B21	C59
4299	A2707	B22	C1
4300	A2707	B22	C5
4301	A2707	B22	C41
4302	A2707	B22	C59
4303	A2712	B1	C1
4304	A2712	B1	C5
4305	A2712	B1	C41
4306	A2712	B1	C59
4307	A2712	B2	C1
4308	A2712	B2	C5
4309	A2712	B2	C41
4310	A2712	B2	C59
4311	A2712	B21	C1
4312	A2712	B21	C5
4313	A2712	B21	C41
4314	A2712	B21	C59
4315	A2712	B22	C1
4316	A2712	B22	C5
4317	A2712	B22	C41
4318	A2712	B22	C59
4319	A2719	B1	C1
4320	A2719	B1	C5
4321	A2719	B1	C41
4322	A2719	B1	C59
4323	A2719	B2	C1
4324	A2719	B2	C5
4325	A2719	B2	C41
4326	A2719	B2	C59
4327	A2719	B21	C1
4328	A2719	B21	C5
4329	A2719	B21	C41
4330	A2719	B21	C59
4331	A2719	B22	C1
4332	A2719	B22	C5
4333	A2719	B22	C41
4334	A2719	B22	C59
4335	A2724	B1	C1
4336	A2724	B1	C5
4337	A2724	B1	C41
4338	A2724	B1	C59
4339	A2724	B2	C1
4340	A2724	B2	C5
4341	A2724	B2	C41
4342	A2724	B2	C59
4343	A2724	B21	C1
4344	A2724	B21	C5
4345	A2724	B21	C41
4346	A2724	B21	C59
4347	A2724	B22	C1
4348	A2724	B22	C5
4349	A2724	B22	C41
4350	A2724	B22	C59
4351	A2733	B1	C1
4352	A2733	B1	C5
4353	A2733	B1	C41
4354	A2733	B1	C59
4355	A2733	B2	C1
4356	A2733	B2	C5
4357	A2733	B2	C41
4358	A2733	B2	C59
4359	A2733	B21	C1
4360	A2733	B21	C5
4361	A2733	B21	C41
4362	A2733	B21	C59
4363	A2733	B22	C1
4364	A2733	B22	C5
4365	A2733	B22	C41
4366	A2733	B22	C59
4367	A2738	B1	C1
4368	A2738	B1	C5
4369	A2738	B1	C41
4370	A2738	B1	C59
4371	A2738	B2	C1
4372	A2738	B2	C5
4373	A2738	B2	C41
4374	A2738	B2	C59
4375	A2738	B21	C1
4376	A2738	B21	C5
4377	A2738	B21	C41
4378	A2738	B21	C59
4379	A2738	B22	C1
4380	A2738	B22	C5
4381	A2738	B22	C41
4382	A2738	B22	C59

Table 63

No.	A	B	C
5151	A3883	B1	C1
5152	A3883	B1	C5
5153	A3883	B1	C41
5154	A3883	B1	C59
5155	A3883	B2	C1
5156	A3883	B2	C5
5157	A3883	B2	C41
5158	A3883	B2	C59
5159	A3883	B21	C1
5160	A3883	B21	C5
5161	A3883	B21	C41
5162	A3883	B21	C59
5163	A3883	B22	C1
5164	A3883	B22	C5
5165	A3883	B22	C41
5166	A3883	B22	C59
5167	A3884	B1	C1
5168	A3884	B1	C5
5169	A3884	B1	C41
5170	A3884	B1	C59
5171	A3884	B2	C1
5172	A3884	B2	C5
5173	A3884	B2	C41
5174	A3884	B2	C59
5175	A3884	B21	C1
5176	A3884	B21	C5
5177	A3884	B21	C41
5178	A3884	B21	C59
5179	A3884	B22	C1
5180	A3884	B22	C5
5181	A3884	B22	C41
5182	A3884	B22	C59
5183	A3885	B1	C1
5184	A3885	B1	C5
5185	A3885	B1	C41
5186	A3885	B1	C59
5187	A3885	B2	C1
5188	A3885	B2	C5
5189	A3885	B2	C41
5190	A3885	B2	C59
5191	A3885	B21	C1
5192	A3885	B21	C5
5193	A3885	B21	C41
5194	A3885	B21	C59
5195	A3885	B22	C1
5196	A3885	B22	C5
5197	A3885	B22	C41
5198	A3885	B22	C59
5199	A3886	B1	C1
5200	A3886	B1	C5
5201	A3886	B1	C41
5202	A3886	B1	C59
5203	A3886	B2	C1
5204	A3886	B2	C5
5205	A3886	B2	C41
5206	A3886	B2	C59
5207	A3886	B21	C1
5208	A3886	B21	C5
5209	A3886	B21	C41
5210	A3886	B21	C59
5211	A3886	B22	C1
5212	A3886	B22	C5
5213	A3886	B22	C41
5214	A3886	B22	C59
5215	A3887	B1	C1
5216	A3887	B1	C5
5217	A3887	B1	C41
5218	A3887	B1	C59
5219	A3887	B2	C1
5220	A3887	B2	C5
5221	A3887	B2	C41
5222	A3887	B2	C59
5223	A3887	B21	C1
5224	A3887	B21	C5
5225	A3887	B21	C41
5226	A3887	B21	C59
5227	A3887	B22	C1
5228	A3887	B22	C5
5229	A3887	B22	C41
5230	A3887	B22	C59
5231	A3888	B1	C1
5232	A3888	B1	C5
5233	A3888	B1	C41
5234	A3888	B1	C59
5235	A3888	B2	C1
5236	A3888	B2	C5
5237	A3888	B2	C41
5238	A3888	B2	C59
5239	A3888	B21	C1
5240	A3888	B21	C5
5241	A3888	B21	C41
5242	A3888	B21	C59
5243	A3888	B22	C1
5244	A3888	B22	C5
5245	A3888	B22	C41
5246	A3888	B22	C59
5247	A3889	B1	C1
5248	A3889	B1	C5
5249	A3889	B1	C41
5250	A3889	B1	C59
5251	A3889	B2	C1
5252	A3889	B2	C5
5253	A3889	B2	C41
5254	A3889	B2	C59
5255	A3889	B21	C1
5256	A3889	B21	C5
5257	A3889	B21	C41
5258	A3889	B21	C59
5259	A3889	B22	C1
5260	A3889	B22	C5
5261	A3889	B22	C41
5262	A3889	B22	C59
5263	A3890	B1	C1
5264	A3890	B1	C5
5265	A3890	B1	C41
5266	A3890	B1	C59
5267	A3890	B2	C1
5268	A3890	B2	C5
5269	A3890	B2	C41
5270	A3890	B2	C59
5271	A3890	B21	C1
5272	A3890	B21	C5
5273	A3890	B21	C41
5274	A3890	B21	C59
5275	A3890	B22	C1
5276	A3890	B22	C5
5277	A3890	B22	C41
5278	A3890	B22	C59

A pharmaceutical composition for PPAR agonist of this invention can be effectively acted on all diseases concerning PPAR and especially for prevention and/or treatment of hyperlipidemia, dyslipidosis, disorder of lipid metabolism, Low HDL, High LDL, High VLDL, High TG, diabetes, hyperglycosemia, insulin resistance, obesity, bulimia, arteriosclerosis, atherosclerosis, hypertension, syndrome X, ischemic disease, inflammation, allergic disease (inflammatory bowel disease, rheumatoid arthritis, chronic pancreatitis, multiple sclerosis, glomerulosclerosis, psoriasis, eczema or the like), osteoporosis, sterility, cancer (breast cancer, colonic cancer, colon cancer, ovarian cancer, lung cancer or the like), Alzheimer's disease, Parkinson syndrome or Basedow's disease. Especially, a compound having PPARδ selective agonist activity in a compound of the present invention having PPAR agonist activity can be good medicine. The reason is, for example, that it can be expected to have a high HDL increasing activity or that the side effect can be lightened.

When administering a compound of the present invention as a pharmaceutical composition for PPAR agonist, it can be administered orally or parenterally. For oral administration, the compound of the present invention can be used in any form of usual formulations, for example, tablets, granules, powders, capsules, pills, solutions, syrup, buccals, sublingual tablets or the like which are made by the usual method. For parenteral administration, the compound of the present invention can be used in any form of usual formulations, for example, injections such as intramuscular administration and intravenous administration, suppository, transdermal therapeutic agent, insufflation or the like. A compound of the present invention can be preferably used as an oral agent because it has high oral bioavailability.

The formulation according to the present invention may be manufactured by combining a curatively effective amount of a compound of the present invention with various pharmaceutically acceptable excipients such as binder, moistening agent, disintegrating agents, lubricant, diluent or the like, if necessary. When the formulation is injection, the compound of the present invention may be manufactured by sterilization treatment with an appropriate carrier.

For example, the excipient is lactose, saccharose, glucose, starch, calcium

carbonate, crystalline cellulose or the like. The binder is methylcellulose, carboxy methylcellulose, hydroxy propylcellulose, gelatin, polyvinylpyrrolidone or the like. The disintegrating agent is carboxy methyl cellulose, carboxymethylcellulose sodium, starch, sodium alginate, powdered agar, sodium lauryl sulfate or the like. The lubricant is talc, magnesium stearate, macrogol or the like. As a basis for suppository, cocoa butter, macrogol, methylcellulose or the like can be used. When the present invention is manufactured as liquid medicine, emulsion injection or suspension injection, solubilizing agent, suspending agent, emulsifying agent, stabilizing agent, preservatives, isotonic agent or the like which is usually used can be appropriately added. In case of oral administration, sweetening agent, flavoring agent or the like can be added.

The dose as a pharmaceutical composition for PPAR agonist of a compound of the present invention is preferably established depending on age, body weight, kind of disease, conditions of the patient, the administration route or the like. In case of the oral administration for an adult, it is usually 0.05-100 mg/kg/day and preferably 0.1-10mg/kg/day. In case of the parenteral administration, although it is very different depending on route of administration, it is usually 0.005-10 mg/kg/day and preferably 0.01-1mg/kg/day. This can be separated and administrated at 1 time - few times a day.

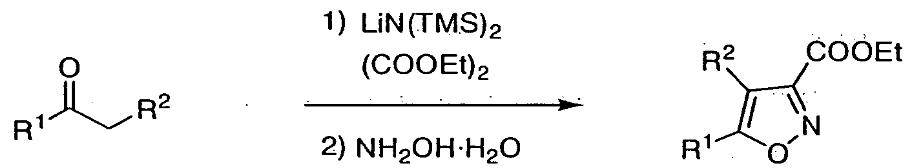
The following examples are provided to explain in more detail and do not restrict the present invention.

Example

In the examples, the meaning of each abbreviation is as below.

Me	methyl
Et	ethyl
nBu	n-butyl
tBu	tert-butyl
nPr	n-propyl
Ph	phenyl
Bn	benzyl

Ac	acetyl
Ms	methanesulfonyl
TMS	trimethylsilyl
PCC	pyridinium chlorochromate
CDI	1,1'-carbonyldiimidazole
DBU	1,8- diazabicyclo [5.4.0] undec -7-ene
DME	1,2- dimethoxyethane
DPM	diphenylmethyl
TBS	3-tert-butyldimethylsilyl
TFMP	4-trifluoromethylphenyl



Reference 1

5-(4-trifluoromethylphenyl)-isoxazole-3-carboxylic acid ethyl ester (R^1 = TFMP, R^2 = H, 1-1-1)

To dried ether (60 ml) was added lithium bis(trimethylsilyl) amide solution (15 ml). The mixture was cooled to -70 °C or below. 4-Trifluoromethylacetophenone (2.82 g) in ether (15 ml) was added dropwise to the mixture for 6 minutes to kept temperature at -65 °C or below. The mixture was stirred at room temperature for 17 hours. After addition of ether (100 ml), the mixture was cooled to 0°C. The resulting precipitate was filtrated to give lithium salt of pyruvate as the first crop (2.9 g). Furthermore, the filtrate was condensed, diluted with ether and cooled to 0°C. The resulting precipitate was collected by filtration to give the second crop (610 mg). To this lithium salt (3.5 g) were added ethanol (35 ml) and hydroxylamine hydrochloride (1.22 g). The mixture was refluxed for 20 hours. After the solvent was evaporated, water was added thereto and the mixture was extracted with chloroform. The organic layer was dried over magnesium sulfate anhydrous and the solvent was

evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 1) to give a title compound (2.55 g) as a colorless crystal. The yield was 60 %.

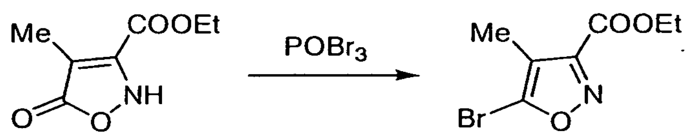
(1-1-2)-(1-1-4) were synthesized as well as the above.

Table 64

No	R^1	R^2	NMR
1-1-1	TFMP	H	1.46(3H,t,J=6.9Hz),4.49(2H,q,J=6.9Hz),7.04(1H,s),7.77(2H,d,J=8.7Hz),7.95(2H,d,J=8.7Hz)
1-1-2	TFMP	Me	1.46(3H,t,J=6.9Hz),2.47(3H,s),4.49(2H,q,J=6.9Hz),7.78(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz)
1-1-3	p-Cl-C_6H_4-	H	1.45(3H,t,J=7.2Hz),4.48(2H,q,J=7.2Hz),6.92(1H,s),7.47(2H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz)
1-1-4	Pyridine-4-yl	H	1.46(3H,t,J=7.2Hz),4.50(2H,q,J=7.2Hz),7.12(1H,s),7.68(2H,d,J=6.0Hz),8.79(2H,d,J=6.0Hz)

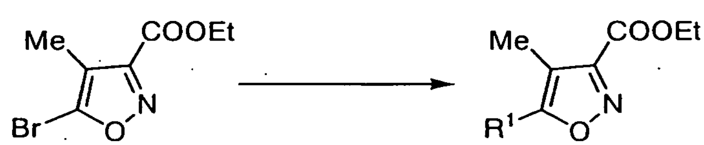
Reference 2

5-bromo-4-methyl-isoxazole-3-carboxylic acid ethyl ester (1-2-1)



To a mixture of 4-methyl-5-oxo-2,5-dihydroisoxazole-3-carboxylic acid ethyl ester (6.45 g) and phosphorous oxybromide (54.0 g) was added triethylamine (5.3 ml), and the mixture was stirred at 80 °C for 2 hours. The reaction solution was poured to ice, extracted with ether, washed with brine and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 8) to give a title compound as pale yellow oil (7.36 g). The yield was 80 %.

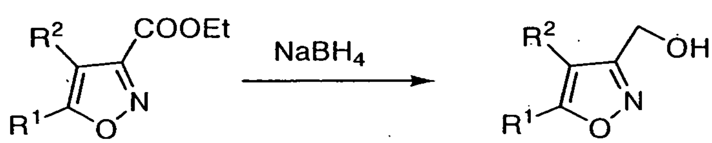
^{1}H-NMR($CDCl_3$) : 1.43(3H,t,J = 7.2Hz), 2.19(3H,s), 4.45(2H,q,J = 7.2Hz).



Reference 3

4-Methyl-5-(4-trifluoromethyl phenyl)-isoxazole-3-carboxylic acid ethyl ester (R^1 = TFMP, 1-1-2)

To a solution of compound (1-2-1, 243 mg) in DME (6 ml) was added 4-trifluoromethyl phenylboronic acid (285 mg), potassium carbonate (420 mg) and $PdCl_2$ (dppf) (81 mg), and the mixture was stirred at 100 °C for 7 hours. After addition of water, the mixture was extracted with ethyl acetate and washed with brine. After drying over magnesium sulfate anhydrous, the solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 8) to give a title compound (239 mg) as a colorless crystal. The yield was 80 %.



Reference 4

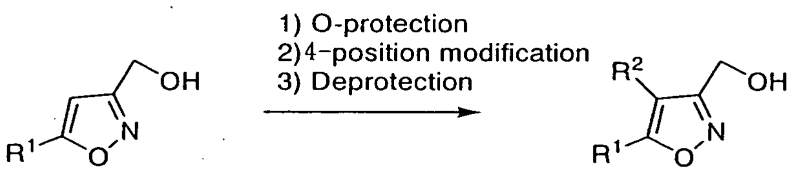
[5-(4-Trifluoromethylphenyl)-isoxazole-3-yl] methyl alcohol (R^1 = TFMP, R^2 = H, 2-1-1)

5-(4-trifluoromethylphenyl)-isoxazole-3-carboxylic acid ethyl ester (1-1-1, 1.0 g) was dissolved in methyl alcohol (15 ml). To this solution, sodium borohydride (358 mg) was added at 0 °C. After 5 minutes, the mixture was warmed to room temperature and stirred for more 2 hours. To the reaction solution, was added 1M hydrochloric acid at 10 °C or below to be weak acidity. The solvent was evaporated under reduced pressure and water was added to the residual solution. The mixture was extracted with chloroform, washed with brine and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 8) to give a title compound (820 mg) as a crystal (The yield was 96 %). The crystal was recrystallized from ethyl acetate - hexane to give a crystal. The melting point is 111-113 °C.

(2-1-2)-(2-1-9) were synthesized as well as the above.

Table 65

No	R^1	R^2	NMR($CDCl_3$)
2-1-1	TFMP	H	2.04(1H,t,J=6.0Hz),4.85(1H,d,J=6.0Hz),6.70(1H,s),7.74(2H,d,J=8.4Hz), 7.91(2H,d,J=8.4Hz)
2-1-2	TFMP	Me	1.97(1H,t,J=6.6Hz),4.80(2H,m),7.76(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz)
2-1-3	4-Cl- C_6H_4-	H	4.82(2H,s),6.58(1H,s),7.50(2H,d,J=8.7Hz),7.72(2H,d,J=8.7Hz)
2-1-4	4-Cl- C_6H_4-	Et	1.25(3H,t,J=7.2Hz),2.68(2H,q,J=7.2Hz),4.80(2H,s),7.47(2H,d,J=8.4Hz),7.63(2H,d,J=8.4Hz)
2-1-5	Me	H	2.30(1H,s),2.42(3H,d,J=0.6Hz),4.71(2H,s),6.04(1H,q,J=0.6Hz)
2-1-6	Et	H	1.30(3H,t,J=7.5Hz),2.23(1H,s),2.77(2H,qd,J=7.5,0.6Hz),4.72(2H,s),6.04(1H,t,J=0.6Hz)
2-1-7	Br	Me	2.03(3H,s),2.06(1H,brt,J=7.5Hz),4.73(2H,d, J=5.7Hz)
2-1-8	Morpholine-4-yl	Me	1.98(3H,s),3.35-3.38(4H,m),3.78-3.82(4H,m), 4.60(2H,s)
2-1-9	Pyridine-4-yl	H	2.20(1H,brs),4.85(2H,s),6.81(1H,s),7.65(2H,d,J=6.0Hz),8.75(2H,d,J=6.0Hz)



Reference 5

Process 1 Protection (TBS protection)

3-tert-butyldimethylsilyloxymethyl-5-(4-trifluoromethylphenyl) isoxazole (R^1 = TFMP, R^2 = H, 2-2-1-1)

A mixture of [5-(4-trifluoromethylphenyl) isoxazole-3-yl] methyl alcohol (2-1-1, 8.31 g), t-butyldimethyl silylchloride (5.67 g), imidazole (3.49 g) and methylene chloride (160 ml) was stirred for 2 hours. To the reaction solution, was added water and the mixture was extracted twice with chloroform. The organic layer was washed successively with water and brine, and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 9) to give a title compound (11.5 g) as a colorless crystal. The yield was 94 %.

^{1}H-NMR($CDCl_3$) : 0.14(6H, s), 0.94(9H, s), 4.82(2H, s), 6.68(1H, s), 7.73(2H, d, J = 8.4 Hz),7.91 (2H, d, J = 8.4 Hz).

(Methoxymethylation)

3-Methoxymethoxymethyl-5-(4-trifluoromethyl phenyl)-isoxazole

To a mixture of [5-(4-trifluoromethyl phenyl) isoxazole-3-yl] methyl alcohol (21.9 g) and tetrahydrofuran (300 ml) was added sodium hydride (60 %, 4.14 g) at 0 °C, and the mixture was stirred at room temperature for 1 hour. To the reaction solution was added chloromethylmethylether (9.42 g), and the mixture was stirred at room temperature for 20 hours. The reaction solution was poured into ice water and the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine, and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 4) to give a title compound (20.8 g).

NMR($CDCl_3$) : δ 3.44(3H,s), 4.73(2H,s), 4.76(2H,s), 6.70(1H,s), 7.72(2H,d,J = 8.7Hz), 7.92(2H,d,J = 8.7Hz)

Process 2 4-position modification

(Rethiolation)

TBS compound → R^1 = TFMP, R^2 = Br

4-Bromo-3-tert-butyldimethyl silyloxy methyl-5-(4-trifluoromethyl phenyl) isoxazole (2-2-2-1)

3-tert-Butyldimethyl silyloxy methyl-5-(4-trifluoromethyl phenyl) isoxazole (2-2-1-1, 9.50 g) was dissolved in tetrahydrofuran (190 ml). n-Butyllithium in hexane (1.57 M) was added dropwise to this solution at -78 °C for 15 minutes. After stirring at -78 °C for 70 minutes, bromine (9.36 g) was added dropwise for 10 minutes. After stirring at -78 °C for 2 hours, the solution was warmed to room temperature and the reaction was quenched by adding 10 % sodium sulfite solution. The mixture was extracted with ethyl acetate, washed with brine, and dried over magnesium sulfate anhydrous. Removal of solvent under reduced pressure gave a title compound (11.6 g) as yellow oil. The yield was 100 %.

^{1}H-NMR($CDCl_3$) : 0.16(6H, s), 0.94(9H, s), 4.81(2H, s), 7.77(2H, d, J = 8.1 Hz), 8.18(2H, d, J = 8.1 Hz).

(Cross coupling)

TBS compound, $R^2 = Br \rightarrow R^1 = TFMP$, R^2 = benzyl

4-Benzyl-3-(tert-butyldimethyl silyloxy methyl)-5-(4-trifluoromethyl phenyl) isoxazole (2-2-2-2)

To suspension of zinc (196 mg) in tetrahydrofuran 2 ml was added 1, 2-dibromoethane (28 mg), and the mixture was stirred for 5 minutes. Chlorotrimethylsilane 16 mg was added thereto and the mixture was stirred for 5 minutes. Benzylbromide 376 mg in tetrahydrofuran (4 ml) was added dropwise to the reaction solution. After refluxing for 30 minutes, the reaction solution was added dropwise to a mixture of 4-bromo-3-tert-butyldimethyl silyloxy methyl-5-(4-trifluoromethylphenyl) isoxazole (2-2-2-1) 376 mg, palladium acetate 11 mg, tricyclohexylphosphine (14mg) and tetrahydrofuran 4 ml. The mixture was refluxed for 30 minutes followed by addition of water. The mixture was extracted with ethyl acetate, washed with water and brine, and dried over magnesium sulfate. After removal of solvent under reduced pressure, the resulting residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 50) to give a title compound (358 mg) as a yellow crystal. The yield was 80 %.

^{1}H-NMR($CDCl_3$) : 0.03(6H, s), 0.86(9H, s), 4.13(2H, s), 4.66(2H, s), 7.14-7.31(5H, m), 7.67(2H, d, J = 8.4 Hz), 7.76(2H, d, J = 8.4 Hz).

(Formylation)

3-Methoxymethoxymethyl-5-(4-trifluoromethyl phenyl) isoxazole-4-carboaldehyde

To a mixture of 3-methoxymethoxymethyl-5-(4-trifluoromethyl phenyl) isoxazole (286 mg) and tetrahydrofuran (6 ml) was added n-butyl lithium (1.6 M hexane solution, 1.56 ml). After stirring at -78 °C for 0.5 hours, N,N-dimethyl formamide 257 mg was added in one portion.. The reaction solution was warmed to room temperature and ice-water was added thereto. The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine, and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 5) to give a title compound (179 mg).

NMR($CDCl_3$) : δ 3.45(3H,s), 4.81(2H,s), 4.96(2H,s), 7.84(2H,d,J = 8.4Hz), 8.08(2H,d,J = 8.4Hz), 10.14(1H,s)

(Iminoalkylate)

3-methoxymethoxymethyl-5-(4-trifluoromethyl phenyl) isoxazole-4-carboaldehyde ethyloxime

A mixture of 3-methoxymethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-4-carboaldehyde (12.4 g), ethoxyamine hydrochloride (4.79 g) and tetrahydrofuran (300 ml) was stirred at 60 °C for 3 hours. After the solvent was evaporated under reduced pressure, water was added to the residue and the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine, and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (5: 95) to give a title compound (10.6 g).

NMR ($CDCl_3$): δ 1.33(3H,t,J = 7.2Hz), 3.46(3H,s), 4.23(2H,q,J = 7.2Hz), 4.18(2H,s), 4.89(2H,s), 7.77(2H,d,J = 8.4Hz), 7.88(2H,d,J = 8.4Hz), 8.17(1H,s).

Process 3 Deprotection (TBS deprotection)

4-Benzyl-5-(4-trifluoromethyl phenyl) isoxazole-3-yl] methyl alcohol (R^1 = TFMP, R^2 = Bn, 2-2-3-1)

To the solution of 4-benzyl-3-(tert-butyldimethyl silyloxy methyl)-5-(4-trifluoromethyl phenyl) isoxazole (2-2-2-2, 358 mg) in tetrahydrofuran (8 ml) was added tetra-butyl ammoniumfluoride (1M tetrahydrofuran solution, 0.88 mL). The solution was stirred at room temperature for 1 hour and the reaction was quenched by adding water. The mixture was extracted with ethyl acetate, washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The resiude was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 3) to give a title compound (207 mg) as a colorless crystal. The yield was 78 %.

^{1}H-NMR($CDCl_3$): 4.10(2H,s), 4.62(2H,s), 7.15-7.34(5H,m), 7.70(2H,d,J = 8.7Hz),7.77(2H, d, J = 8.7Hz).

(Demethoxymethylation)

[4-Ethoxymethyl-5-(4-trifluothimethyl phenyl) isoxazole-3-yl] methyl alcohol

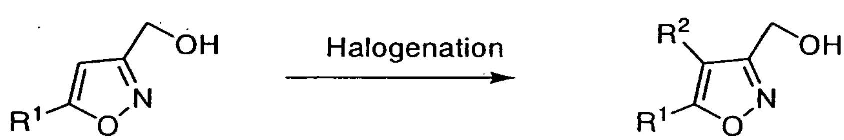
A mixture of 4-ethoxymethyl-3-methoxymethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole (18.7 g), 6N hydrochloric acid (36.1 ml) and methyl alcohol (311 ml) was refluxed for 4.5 hours. After the solvent was evaporated under reduced pressure, water was added to the residue and the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (15.7 g).

NMR ($CDCl_3$): δ 1.29(3H,t,J = 7.2Hz), 3.65(2H,q,J = 7.2Hz), 4.61(2H,s), 4.82(2H,s), 7.78-7.80(4H,m).

(2-2-3-2)-(2-2-3-6) were synthesized as well as the above.

Table 66

No	R¹	R²	Process 2	NMR
2-2-3-1	TFMP	Bn	Cross coupling	0.03(6H,s),0.86(9H,s),4.13(2H,s),4.66(2H,s),7.14-7.31(5H,m),7.67(2H,d,J=8.4Hz), 7.76(2H,d,J=8.4Hz)
2-2-3-2	TFMP	Br	Rethiolation	2.15(1H,brs),4.82(2H,s),7.49(2H,d,J=8.7Hz),7.98(2H,d,J=8.7Hz)
2-2-3-3	TFMP	CHO	Rethiolation	3.74(1H,t,J=7.5Hz),4.89(2H,d,J=7.5Hz),7.88(2H,d,J=8.1Hz),7.95(2H,d,J=8.1Hz),10.10(1H,s)
2-2-3-4	TFMP	SPh	Rethiolation	0.04(6H,s),0.85(9H,s),4.74(2H,s),7.11-7.26(5H,m),7.70(2H,d,J=8.7Hz),8.22(2H,d,J=8.7Hz)
2-2-3-5	TFMP	CH2OEt	Rethiolation	1.29(3H,t,J=7.2Hz),3.65(2H,q,J=6.9Hz),4.61(2H,s),4.81(2H,s),7.78-7.80(4H,m).
2-2-3-6	TFMP	CH=NOEt	Iminoalkylate	1.36(3H,t,J=6.9Hz),4.27(2H,q,J=6.9Hz), 4.81(2H,d,J=7.5Hz), 7.79(4H,s), 8.26(1H,s).



Reference 6

[4-Bromo-5-(4-chlorophenyl)-isoxazole-3-yl]-methyl alcohol (R^1 = 4-Cl-C_6H_4-, R^2 = Br, 2-3-1)

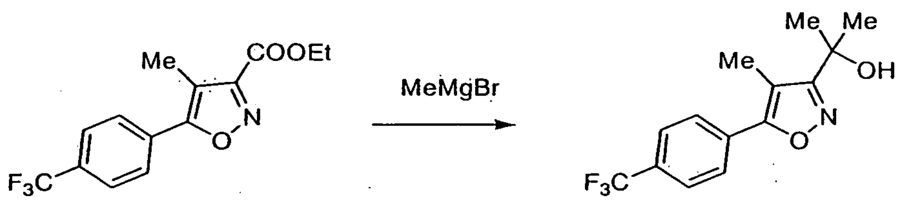
To a solution of [5-(4-chlorophenyl)-isoxazole-3-yl]-methyl alcohol (2-1-3, 2.51 g) and methylene chloride (25 ml) was added N-bromsuccinimide (2.16 g) under ice-cooling. The mixture was stirred for 30 minutes and reacted for more 16 hours at

room temperature. After the reaction solution was diluted with chloroform, 1 M sodium hydroxide was added the mixture under ice-cooling. The mixture was extracted with chloroform, washed with water and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 2) to give a title compound (1.41 g) as a crystal. The yield was 49 %.

(2-3-2) and (2-3-3) were synthesized with iodine monochloride as a halogen agent as well as the above.

Table 67

No	R^1	R^2	NMR
2-3-1	4-Cl- C_6H_4-	Br	2.18(1H,t,J=6.6Hz),4.82(2H,d,J=6.6Hz),7.49(2H,d,J=8.7Hz),7.98(2H,d,J=8.7Hz)
2-3-2	Me	I	2.11(1H,t,J=6.6Hz),2.47(3H,s),4.69(2H,d,J=6.6Hz)
2-3-3	Et	I	1.30(3H,t,J=7.5Hz),2.82(2H,q,J=7.5Hz),4.70(2H,s)



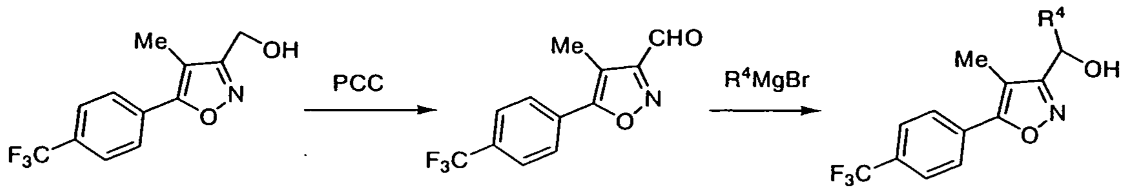
Reference 7

2-[4-Methyl-5-(4-trifluoromethyl phenyl)-isoxazole-3-yl]-propane-2-ol (2-4-1)

5-(4-Trifluoromethyl phenyl)-isoxazole-3-carboxylic acid ethyl ester (1-1-2, 1.03 g) was dissolved in tetrahydrofuran anhydride (10 ml). 1M methyl magnesium bromide 7.3 ml was added thereto under ice – methyl alcohol cooling. The reaction solution was returened to room temperature and stirred for 24 hours. Saturated ammonium chloride solution was added to the reaction solution, and the mixture was extracted with ethyl acetate, washed with brine and dried over magnesium sulfate anhydrous. After removal of solvent under reduced pressure, the obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 4) to give a colorless crystal. These crystals were recrystallized from ether - hexane to give a title compound (738 mg). The yield was 75 %.

Melting point: 126-127 °C

^{1}H-NMR($CDCl_3$) : 1.71(6H,s), 2.38(3H,s), 7.75(2H,d,J = 8.4Hz), 7.81(2H,d,J = 8.4Hz).



Reference 8

Process 1 Oxidation

4-Methyl-5-(4-trifluoromethyl phenyl)-isoxazole-3-carbaldehyde (2-5-1-1)

Compound (2-1-2, 4.88 g) was dissolved in methylene chloride (200 ml). Pyridinium chlorochromate (8.30 g) was added thereto and the mixture was stirred at room temperature for 22 hours. The reaction solution was filtrated with silica gel and washed with chloroform. The filtration was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 4) to give a colorless crystal. These crystals were recrystallized from hexane to give a title compound (4.14 g). The yield was 86 %.

^{1}H-NMR($CDCl_3$) : 2.49(3H,s), 7.79(2H,d,J = 8.1Hz), 7.87(2H,d,J = 8.1Hz), 10.23(1H,s).

Process 2 Alkylate

1-[4-Methyl-5-(4-trifluoromethyl phenyl)-isoxazole-3-yl]-propane-1-ol (R^4 = Et, 2-5-2-1)

Compound (2-5-1-1, 765 mg) obtained by the first process was dissolved in tetrahydrofuran anhydride (20 ml). 1M ethyl magnesium bromide (3.2 ml) was added thereto at -70 °C and the mixture was stirred for 1.5 hours. To the reaction solution was added saturated ammonium chloride solution. The mixture was extracted with ethyl acetate, washed with brine and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 3) to give a title compound (345 mg) as a colorless crystal. The yield was 40 %.

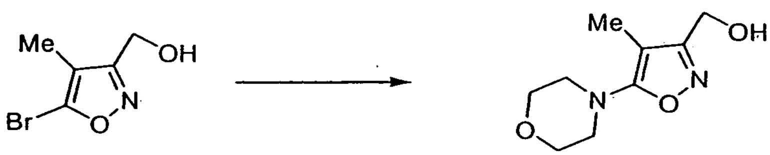
(2-5-2-2) was synthesized as well as the above.

Table 68

No	R^4	NMR
2-5-2-1	Et	1.05(3H,t,J=7.5Hz),1.92-2.04(2H,m),2.30(3H,s),4.83 (1H,t,J=6.6Hz),7.75(2H,t,J=8.4Hz), 7.83(2H,d,J=8.4Hz)
2-5-2-2	4-F- C_6H_4-	2.03(3H,s),6.03(1H,s),7.05-7.11(2H,m),7.42-7.47(2H,m),7.73(2H, d,J=8.4Hz),7.79(2H,d,J=8.4Hz)

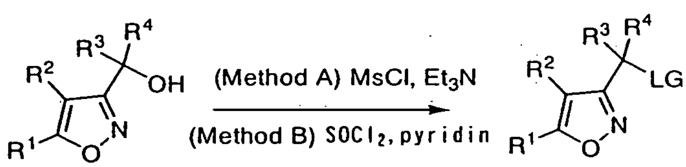
Reference 9

(4-Methyl-5-morpholine-4-yl-isoxazole-3-yl)-methyl alcohol (2-6-1)



Compound (2-1-7, 1.66 g) was dissolved in morpholine (5 ml) and the solution was stirred at 140 °C for 2 hours. To the reaction solution was added water. The mixture was extracted with ethyl acetate, washed with beine and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (2: 1) to give a title compound (1.14 g) as a pale yellow crystal. The yield was 66 %.

^{1}H-NMR($CDCl_3$) : 1.98(3H,s), 3.35-3.38(4H,m), 3.78-3.82(4H,m), 4.60(2H,s).



Reference 10 Method A (LG = OMs)

Methanesulphonate-4-formyl-5-(4-trifluoromethylphenyl)-isoxazole-3-yl methyl ester (R^1 = TFMP, R^2 = CHO, R^3, R^4 = H, 3-1-1-1)

Compound (2-2-4-2, 1.79 g) was mixed in methylene chloride (30 ml). Methanesulfonylchloride 0.61 ml and triethylamine 1.38 ml was added thereto under ice- cooling. After stirring 1 hour, water was added to the reaction solution. The mixture was extracted with chloroform, washed with brine and dried over magnesium

sulfate anhydrous. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with chloroform to give a colorless crystal. After addition of hexane, the crystal was crushed and collected to give a title compound (2.21 g) as a colorless crystal. The melting point is 129-130 °C. The yield was 96 %.

(3-1-1-2)-(3-1-1-6) were synthesized as well as the above.

Table 69

No	R^1	R^2	NMR
3-1-1-1	TFMP	CHO	3.21(3H,s),5.58(2H,s),7.88(2H,d,J=8.4Hz), 8.01(2H,d,J=8.4Hz),10.14(1H,s)
3-1-1-2	Morpholine-4-yl	Me	2.01(3H,s),3.05(3H,s),3.38-3.41(2H,m),3.79-3.82(2H,m),5.16(2H,s)
3-1-1-3	4-Cl-C6H4-	CH2OEt	1.28(3H,t,J=6.9Hz),3.10(3H,s),3.63(2H,q,J=6.9Hz), 4.50(2H,s),5.41(2H,s),7.50(2H,d, J=8.4Hz), 7.70(2H,d,J=8.4Hz).
3-1-1-4	TFMP	CH=NOEt	1.34(3H,t,J=7.2Hz),3.18(3H,s),4.26(2H,q,J=7.2Hz), 5.58(2H,s),7.80-7.81(4H,m), 8.17(1H,s)
3-1-1-5	4-Cl-C6H4-	CH=NOEt	1.33(3H,t,J=7.2Hz),3.16(3H,s),4.25(2H,q,J=7.2Hz), 5.56(2H,s)7.51(2H,d,J=9.0Hz), 7.63(2H,q,J=9.0Hz), 8.14(1H,s)
3-1-1-6	4-OCF3-C6H4-	CH=NOEt	1.33(3H,t,J=7.2Hz),3.17(3H,s), 4.25(2H,q,J=7.2Hz),5.57(2H,s)7.37(2H,d,J=8.7Hz), 7.73(2H,q,J=8.7Hz), 8.15(1H,s)

Reference 11 Method B (LG = Cl)

3-Chloromethyl-5-(4-chlorophenyl)-isoxazole (R^1 = 4-Cl-C_6H_4, R^2 = H, R^3 = H, R^4 = H, 3-1-2-1)

To a solution of [5-(4-chlorophenyl)-isoxazole-3-yl]-methyl alcohol (2-1-3, 1.73 g) and chloroform (30 ml) was added thionyl chloride (2.1 g). A solution of pyridine (630 mg) in chloroform (2 ml) was added dropwise to the mixture under ice cooling for 3 minutes. The mixture was stirred at room temperature for 5 hours. After the solvent was evaporated under reduced pressure, chloroform and water were added and the mixture was extracted with chloroform. The organic layer was washed with water and brine. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 1) to give a title compound (1.72 g) as a crystal. The yield was

92 %.

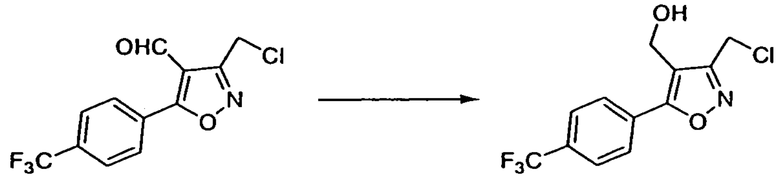
Compounds (3-1-2-2)-(3-1-2-17) were synthesized as well as the above.

Table 70

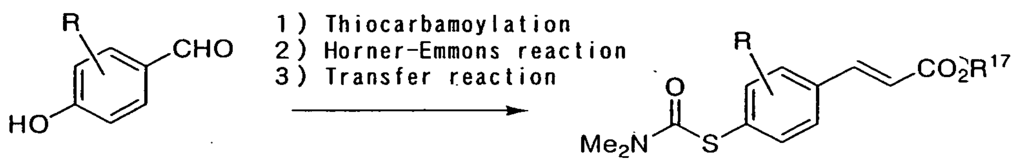
No	R^1	R^2	R^3,R^4	NMR
3-1-2-1	4-Cl- C_6H_4-	H	H,H	4.64(2H,s),6.63(1H,s),7.46(2H,d,J=8.4Hz),7.73(2H,d,J=8.4Hz)
3-1-2-2	TFMP	H	H,H	4.66(2H,s),6.45(1H,s),7.75(2H,d,J=9.0Hz),7.91(2H,d,J=9.0Hz)
3-1-2-3	TFMP	Me	H,H	2.33(3H,s),4.65(2H,s),7.76(2H,d,J=8.7Hz),7.85(2H,d,J=8.7Hz)
3-1-2-4	TFMP	CHO	H,H	4.89(2H,s),7.87(2H,d,J=8.7Hz),8.03(2H,d,J=8.7Hz),10.17(1H,s)
3-1-2-5	TFMP	Me	H,Et	1.15(3H,t,J=7.5Hz),2.30(2H,qd,J=7.5,7.5Hz),4.93(1H,t,J=6.6Hz),7.76(2H,t,J=8.4Hz),7.83(2H,d,J=8.4Hz)
3-1-2-6	TFMP	Me	H,4-F-C_6H_4-	2.14(3H,s),6.62(1H,s),7.07-7.13(2H,m),7.50-7.55(2H,m),7.75(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)
3-1-2-7	TFMP	SPh	H,H	4.55(2H,s),7.13-7.27(5H,m),7.73(2H,d,J=8.7Hz),8.25(2H,d,J=8.7Hz)
3-1-2-8	TFMP	Bn	H,H	4.15(2H,s),4.41(2H,s),7.15-7.35(5H,m),7.71(2H,d,J=8.7Hz),7.78(2H,d,J=8.7Hz)
3-1-2-9	4-Cl-C_6H_4-	H	H,H	4.64(2H,s),6.63(1H,s),7.46(2H,d,J=8.4Hz),7.73(2H,d,J=8.4Hz)
3-1-2-10	4-Cl-C_6H_4-	Br	H,H	4.46(2H,s),7.50(2H,d,J=8.7Hz),7.99(2H,d,J=8.7Hz)
3-1-2-11	4-Cl-C_6H_4-	Et	H,H	1.28(3H,t,J=7.5Hz),2.72(2H,q,J=7.5Hz),4.64(2H,s),7.47(2H,d,J=8.4Hz),7.65(2H,d,J=8.4Hz)
3-1-2-12	Br	Me	H,H	2.06(3H,s),4.56(2H,s)
3-1-2-13	Pyridine-4-yl	H	H,H	4.66(2H,s),6.85(1H,s),7.67(2H,d,J=6.0Hz),8.77(2H,d,J=6.0Hz)
3-1-2-14	Me	I	H,H	2.49(3H,s),4.53(2H,s)
3-1-2-15	Et	I	H,H	1.31(3H,t,J=7.5Hz),2.83(2H,q,J=7.5Hz)4.53(2H,s)
3-1-2-16	TFMP	CH2OEt	H,H	1.28(3H,t,J=6.9Hz), 3.64(2H,q,J=6.9Hz),4.57(2H,s),4.73(2H,s),7.69(2H,d,J=8.4Hz),7.90(2H,d,J=8.4Hz)
3-1-2-17	4-OCF3-C6H4-	CH2OEt	H,H	1.28(3H,t,J=6.9Hz), 3.69(2H,q,J=6.9Hz),4.55(2H,s),4.72(2H,s),7.35(2H,d,J=8.7Hz),7.82(2H,d,J=8.7Hz)

Reference 12

[3-Chloromethyl-5-(4-trifluoromethyl phenyl)-isoxazole-4-yl]-methyl alcohol (3-2-1)



To a solution of 3-chloromethyl-5-(4-trifluoromethyl phenyl)-isoxazole-4-carbaldehyde (3-1-2-4, 203 mg) and methyl alcohol (5 ml) was added sodium borohydride (21 mg) under ice cooling. The mixture was stirred at room temperature for 2 hours. After the solvent was evaporated under reduced pressure, water was added to the residue. The mixture was extracted with chloroform, washed with brine and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 3) to give a title compound (210 mg) as a crystal. The yield was 87 %.



Reference 13

Process 1 Thiocarbamoylation

Dimethyl thio carbamate 2-fluoro-4-formyl phenylester (R = 3-F, R^{17} = Me, 4-1-1)

A mixture of 3-fluoro-4-hydroxy benzaldehyde (5.00 g), N,N-dimethyl thiocarbamoyl chloride (5.29 g), triethylamine (4.33 g), N,N-dimethyl amino pyridine (436 mg) and dioxane (50 ml) was stirred for 3 hours. After addition of water, the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was washed with isopropyl ether to give a title compound (7.05 g) as blackish brown crystal. The yield was 71 %.

^{1}H-NMR($CDCl_3$): 3.39(3H, s), 3.47(3H, s), 7.27–7.35(1H, m), 7.67–7.74(2H, m), 9.97(1H, s).

Process 2 Horner-Emmons reaction

3-(4-Dimethyl thiocarbamoyloxy-3-fluorophenyl) acrylic acid methyl ester (R = 3-F, R^{17} = Me, 5-1-1)

To a mixture of dimethyl thiocarbamate 2-fluoro-4-formyl phenylester (4-1-1,

7.05 g), dimethyl phosphono methyl acetate (5.89 g), lithium chloride (1.57 g) and dimethyl formamide (70 ml), was added 1,8-diazabicyclocyclo[5.4.0] undec-7-ene (5.16 g). The mixture was stirred at room temperature for 2.5 hours. After addition of water, the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was washed with isopropyl ether to give a title compound (7.50 g) as blackish brown crystal. The yield was 86 %.

^{1}H-NMR($CDCl_3$): 3.37(3H, s), 3.46(3H, s), 3.81(3H, s), 6.39(1H, d, J = 15.9 Hz), 7.12(1H, m), 7.30–7.35(2H, m), 7.63(1H, d, J = 15.9Hz).

Process 3 Transfer reaction

3-(4-Dimethylcarbamoyl sulfanil-3-fluorophenyl) acrylic acid methyl ester (R = 3-F, R^{17} = Me, 6-1-1)

A mixture of 3-(4-dimethyl thiocarbamoyloxy-3-fluorophenyl) acrylic acid methyl ester (5-1-1, 7.00 g) and diphenylether was stirred at 265 °C for 30 minutes. After cooling the reaction solution to room temperature, the solution was subjected to silica gel column chromatography eluting with chloroform to give a title compound (7.00 g) as a colorless crystal. The yield was 100 %.

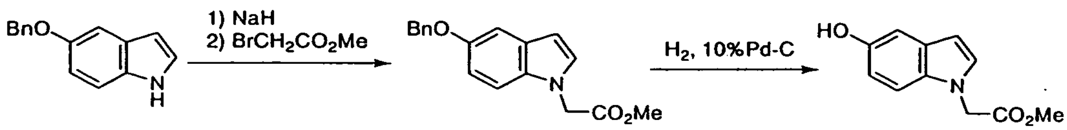
(6-1-2)-(6-1-17) were synthesized as well as the above.

Table 71

No	R	R^{17}	NMR
6-1-1	3-F	Me	3.04(3H,br),3.13(3H,br),3.82(3H,s),6.45(1H,d, J=16.2Hz),7.26-7.31(2H,m),7.48-7.53(1H,m), 7.64(1H,d,J=16.2 Hz)
6-1-2	3-OMe	Me	2.95-3.20(6H,m),3.82(3H,s),3.90(3H,s), 6.45(1H,d,J=15.9Hz),6.95-7.18(2H,m), 7.48(1H,d,J=7.8Hz), 7.67(1H, d, J=16.2 Hz)
6-1-3	2-OMe	Me	2.96-3.18(6H,m),3.80(3H,s),3.89(3H,s), 6.53(1H,d,J=16.2Hz),7.06-7.13(2H,m), 7.49(1H,d,J=8.1Hz), 7.96(1H, d, J=16.2 Hz)
6-1-4	3-Br, 5-OMe	Me	2.90-3.30(6H,m),3.82(3H,s),3.89(3H,s), 6.45(1H,d,J=15.9Hz),7.26(1H,brs), 7.48(1H,brs),7.59(1H, d, J=15.9 Hz)
6-1-5	2-OMe, 6-OMe	Me	2.90-3.20(6H,m),3.79(3H,s),3.88(6H,s), 6.73(2H,s) 6.88(1H, d, J=16.2 Hz), 8.08(1H, d, J=16.2 Hz)
6-1-6	3-OEt	Me	1.34(3H,t,J=6.9Hz),1.43(3H,t,J=6.6Hz),2.90-3.30 (6H,m),4.12(2H,q,J=6.9Hz),4.27(2H,q,J=7.2Hz), 6.43(1H,d,J=15.9Hz)7.04(1H,d,J=1.5Hz),7.12(1H,dd,J=7.8Hz, 1.8Hz),7.48(1H,d,J=7.8Hz) 7.64(1H,d,J=15.9 Hz)
6-1-7	3-Br	Me	2.95-3.23(6H,m),3.81(3H,s),6.45(1H,d,J=15.9Hz), 7.45(1H,dd,J=8.1Hz,2.1Hz),7.60(1H,d,J=16.2Hz), 7.6(1H,d,J=8.1Hz), 7.81(1H,J=2.1Hz)
6-1-8	3,5-diBr	Me	2.80-3.20(6H,m),3.74(3H,s),6.90(1H,d,J=15.9Hz), 7.60(1H,d,J=15.9Hz), 8.21(2H,s)
6-1-9	3Cl,5OMe	Me	2.90-3.30(6H,m),3.82(3H,s),3.90(3H,s),6.45(1H,d, J=16.2Hz),6.96(1H,d,J=1.5Hz),7.31(1H,d,J=1.5Hz), 7.60(1H, d, J=16.2Hz)
6-1-10	3-OMe, 5-OMe	Me	2.85-3.35(6H,m),3.82(3H,s),3.89(6H,s),6.46(1H,d, J=15.9Hz)6.76(2H,s),7.66(1H,d,J=15.9Hz)
6-1-11	2-Cl	Me	2.90-3.20(6H,m),3.82(3H,s),6.44(1H,d,J=15.9Hz), 7.36-7.60(2H,m),7.60(1H,d,J=8.1Hz), 8.06(1H,J=16.2 Hz)
6-1-12	3-Br, 5-OEt	Me	1.42(3H,t,J=7.2Hz),2.85-3.35(6H,m),3.01(3H,s), 4.10(2H,q,J=7.2Hz),6.43(1H,d,J=15.9Hz),6.97 (1H,brs),7.46(1H,brs), 7.57 (1H, d, J=15.9 Hz)
6-1-13	2-F	Me	2.95-3.15(6H,m),3.82(3H,s),6.55(1H,d,J=16.5Hz), 7.26-7.33(2H,m),7.52(1H,d,J=7.8Hz), 7.79(1H,J=16.2 Hz)
6-1-14	2-Me	Me	2.43(3H,s),3.04(3H,br),3.09(3H,br),3.81(3H,s),6.37(1H,d,J=15 .9Hz),7.33-7.35(2H,m), 7.54(1H,d,J=8.7Hz),7.94(1Hm,d,J=15.9Hz)
6-1-15	H	Me	3.06(6H,br),3.81(3H,s),6.45(1H,d,J=15.9Hz),7.51(4H,brs),7.6 8(1H,d,J=15.9Hz)
6-1-16	2-Me, 3-OMe	Me	3.02(3H,Br),3.12(3H,Br),3.82(3H,s),3.88(3H,s),6.37(1H,d,J=1 5.9Hz),7.07(1H,s),7.32(1H,s),7.92(1H,d,J=15.9Hz)
6-1-17	3-Cl	Me	3.05(3H,br),3.13(3H,br),3.81(3H,s),6.45(1H,d,J=15.9Hz),7.40(1H,dd,J=1.8Hz,8.1Hz),7.58-7.63(3H,m)

Reference 14

(5-Hydroxyindole-1-yl) acetic acid methyl ester



Process 1

(5-Henzyloxyindole-1-yl) acetic acid methyl ester

To 5-benzyloxy indole 446 mg in dimethyl formamide (5 ml) was added sodium hydride (88 mg) under ice cooling. The mixture was stirred at room temperature for 3 hours. The reaction solution was cooled with ice. Bromomethyl acetate (228 ml) was added thereto and the mixture was stirred for 1 hour 30 minutes. To the reaction solution, were added 2N hydrochloric acid and water. The mixture was extracted with ethyl acetate. The organic layer was washed successively with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was purified with silica gel column chromatography eluted with ethyl acetate: hexane (1: 4) to give a title compound (400 mg). The yield was 68 %.

^{1}H-NMR ($CDCl_3$) δ: 3.74(3H,s), 4.82(2H,s), 5.10(2H,s), 6.47(1H,dd,J = 0.6,3.3Hz), 6.94-7.50 (10H,m).

Process 2

(5-Hydroxyindole-1-yl) acetic acid methyl ester

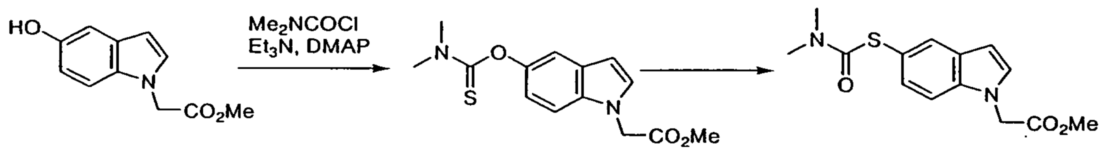
To (5-Benzyloxyindole-1-yl) acetic acid methyl ester (400 mg) in tetrahydrofuran (5 ml) - methyl alcohol (5 ml) was added 10 % palladiumcarbon (120 mg). The mixture was stirred in hydrogen atmosphere at room temperature for 3 hours. The reaction solution was filtrated and the solvent was evaporated under reduced pressure. The obtained residue was purified with silica gel column chromatography eluting with ethyl acetate: hexane (2: 3) to give a title compound (256 mg). The yield was 92 %.

^{1}H-NMR ($CDCl_3$) δ: 3.74(3H,s), 4.49(1H,s), 4.82(2H,s), 6.44(1H,d,J = 3.0Hz), 6.79(1H,dd,J = 2.7,9.0Hz), 7.04(1H,d,J = 2.7Hz), 7.06(1H,d,J = 3.0Hz), 7.10(1H,d,J =

9.0Hz).

Reference 15

(5-Dimethyl carbamoyl sulfanilindole-1-yl) acetic acid methyl ester



Process 1

(5-Dimethyl thiocarbamoyloxy indole-1-yl) acetic acid methyl ester

A mixture of (5-hydroxyindole-1-yl) acetic acid methyl ester (724 mg), N,N-dimethyl thiocarbamoyl chloride (523 mg), triethylamine (0.59 ml), N,N-dimethyl amino pyridine (43 mg) and dioxane (7 ml) was stirred for 3 hours 30 minutes. After addition of water, the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was washed with isopropyl ether – methyl alcohol to give a title compound (443 mg) as a blackish brown crystal. The yield was 43 %.

^{1}H-NMR ($CDCl_3$) δ: 3.37(3H,s), 3.48(3H,s), 3.75(3H,s), 4.84(2H,s), 6.55(1H,d,J = 3.3Hz), 6.95(1H,dd,J = 2.4,9.0Hz), 7.12(1H,d,J = 3.3Hz), 7.23(1H,d,J = 9.0Hz), 7.29(1H,d,J = 2.4Hz).

Process 2

(5-Dimethylcarbamoyl sulfanilindole-1-yl) acetic acid methyl ester

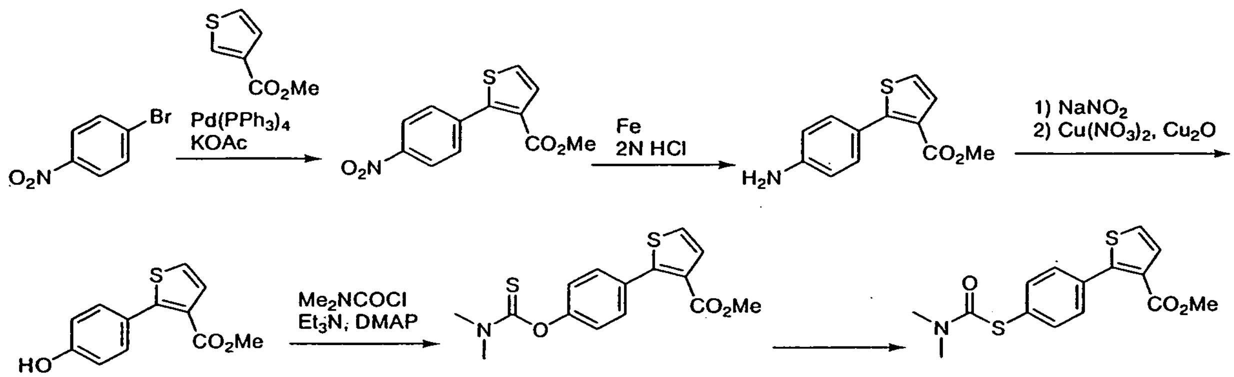
A mixture of (5-dimethyl thiocarbamoyloxyindole-1-yl) acetic acid methyl ester (214 mg) and diphenylether (3 ml) was stirred at 270 °C for 5 hours. The reaction solution was cooled to room temperature and subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 3) to give a title compound (139 mg). The yield was 65 %.

^{1}H-NMR ($CDCl_3$) δ: 3.07(6H,s), 3.73(3H,s), 4.85(2H,s), 6.55(1H,d,J = 3.3Hz),

7.10(1H,d,J = 3.3Hz), 7.08-7.35 (2H,m), 7.78(1H,d,J = 1.5Hz).

Reference 16

2-(4-Dimethyl carbamoyl sulfanilphenyl) thiophene-3-carboxylate methyl ester



Process 1

2-(4-Nitrophenyl) thiophene-3-carboxylate methyl ester

A mixture of 4-bromonitro benzene (3.49 g), thiophene-3-carboxylate methyl ester (3.44 g), tetrakis triphenylphosphine palladium (1.0g), potassium acetate (2.54 g) and toluene (35 ml) was refluxed under heating for 60 hours. To the reaction solution was added water and the mixture was extracted with ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 6) to give a title compound (2.78 g). The yield was 61 %.

^{1}H-NMR ($CDCl_3$) δ: 3.77(3H,s), 7.37(1H,d,J = 5.4Hz), 7.56(1H,d,J = 5.4Hz), 7.67(2H,d,J = 9.0Hz), 8.26(2H,d,J = 9.0Hz).

Process 2

2-(4-Aminophenyl) thiophene-3-carboxylate methyl ester

A mixture of iron (318 mg), 2N hydrochloric acid (95 ml), 2-(4-nitrophenyl) thiophene-3-carboxylate methyl ester (250 mg) and ethanol (4.8 ml) · water (1.2 ml) was refluxed for 15 minutes. After cooling, the reaction solution was filtrated and

concentrated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 2) to give a title compound (213 mg). The yield was 96 %.

^{1}H-NMR ($CDCl_3$) δ: 3.75(3H,s), 4.23(2H,brs), 6.73(2H,d,J = 8.7Hz), 7.15(1H,d,J = 5.4Hz), 7.33(2H,d,J = 8.7Hz), 7.46(1H,d,J = 5.4Hz).

Process 3

2-(4-Hydroxy phenyl) thiophene-3-carboxylate methyl ester

A suspension of 2-(4-amino phenyl) thiophene-3-carboxylate methyl ester (790 mg) in water (90 ml) - concentrated sulfuric acid (5.3 ml) was cooled to - 4 °C. A solution of sodium nitrite (237 mg) in (2.5 ml) was added dropwise to the mixture for 5 minutes. The mixture was stirred at -4 °C for 40 minutes and a solution of copper nitrate (II) (3.77 g) in water (15 ml) and copper oxide (I) (822 mg) were added thereto. The mixture was stirred at the same temperature for 20 minutes and at room temperature for 45 minutes. To the reaction solution was added water and the mixture was extracted with ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 3) to give a title compound (363 mg). The yield was 46 %.

^{1}H-NMR ($CDCl_3$) δ: 3.76(3H,s), 4.49(1H,brs), 6.84(2H,d,J = 8.4Hz), 7.19(1H,d,J = 5.7Hz), 7.39(2H,d,J = 8.4Hz), 7.48(1H,d,J = 5.7Hz).

Process 4

2-(4-Dimethyl thiocarbamoyl oxy phenyl) thiophene-3-carboxylate methyl ester

A mixture of 2-(4-hydroxy phenyl) thiophene-3-carboxylate methyl ester (530 mg), N,N-dimethyl thiocarbamoyl chloride (336 mg), triethylamine (0.38 ml), N,N-dimethyl amino pyridine (28 mg) and dioxane (6 ml) was stirred for 5 hours. After addition of water, the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The

solvent was evaporated under reduced pressure. The residue was washed with isopropyl ether - methyl alcohol to give a title compound (632 mg) as a blackish brown crystal. The yield was 87 %.

^{1}H-NMR ($CDCl_3$) δ: 3.36(3H,s), 3.48(3H,s), 3.74(3H,s), 7.11(2H,d,J = 8.7Hz), 7.24(1H,d,J = 5.4Hz), 7.50(1H,d,J = 5.4Hz), 7.51(2H,d,J = 8.7Hz).

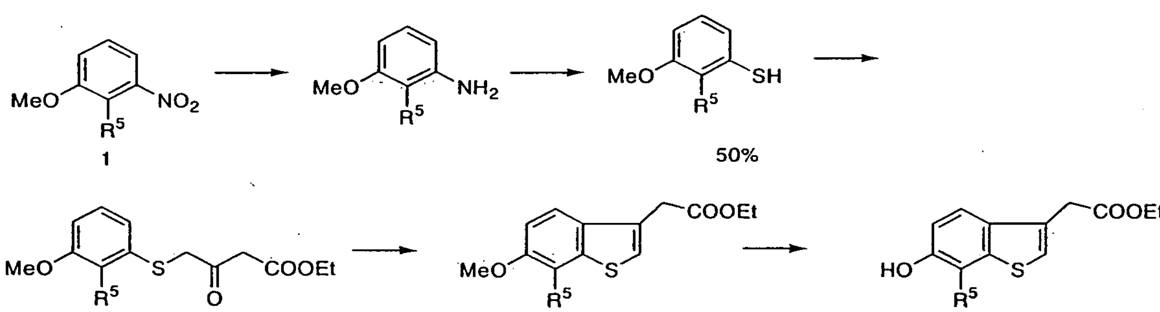
Process 5

2-(4-Dimethyl carbamoyl sulfanilphenyl) thiophene-3-carboxylate methyl ester

A mixture 2-(4-dimethyl thiocarbamoyloxy phenyl) thiophene-3-carboxylate methyl ester (660 mg) and diphenylether (6 ml) was stirred at 270 °C for 1 hour 30 minutes. The reaction solution was cooled to room temperature and subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 4) to give a title compound (601 mg). The yield was 91 %.

^{1}H-NMR ($CDCl_3$) δ: 3.06(6H,brs), 3.74(3H,s), 7.25-7.55(6H,m).

Reference 17



Process 1

3-Methoxy-2-methyl phenylamine (R^5 = Me)

A mixture of 2-methyl-3-nitroanisole (16.7 g), 10 % Pd-C (1.6 g) and ethanol (330 ml) was stirred in hydrogen atmosphere for 6 hours. The insoluble residue was filtrated and the filtrate was concentrated under reduced pressure to give a title compound (12.5 g).

NMR ($CDCl_3$): δ 2.04(3H,s), 3.71(3H,s), 6.33-6.36(2H,m), 6.94-7.00(1H,m).

Process 2

3-Methoxy-2-methyl benzenethiol (R^5 = Me)

A solution of sodium nitrite (5.92 g) in water (12 ml) was added to a mixture of 3-methoxy-2-methyl phenylamine (10.7 g), water (30 ml) and 35 % hydrochloric acid (15 ml) under ice cooling. This mixture was added to a mixture of potassium xanthate (12.5 g) and water (13 ml) at 40 °C. The mixture was stirred at 50 °C for 2 hours and ice water (50 ml) was added. The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (6.12 g). The yield was 61%.

NMR ($CDCl_3$): δ 2.17(3H,s),3.31(1H,s),3.80(3H,s),6.65(1H,d,J = 8.4Hz), 6.87(1H,dd,J = 7.5Hz),6.97-7.03(1H,m).

Process 3

4-(3-Methoxy-2-methyl phenylsulfanil)-3-oxo butanoic acid ethyl ester (R^5 = Me)

A mixture of 3-methoxy-2-methyl benzenethiol (6.1 g), ethylmalonylchloride (6.25 g), cesium carbonates (27.9 g) and acetonitrile (160 ml) was stirred at room temperature for 23 hours. The insoluble residue was filtrated and the filtrate was evaporated under reduced pressure. After addition of water, the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 2) to give a title compound (4.05 g).

NMR (CDC13) δ: 1.26 (3H, t, J = 7.2 Hz), 2.31 (3H, s), 3.60 (2H, s), 3.77 (2H,s), 3.81 (3H, s), 4.17 (2H, q, J = 7.2Hz), 6.75 (1H, d, J = 8.1 Hz), 6.89 (1H, dd, J = 8.1 Hz, 0.6 Hz), 7.08-7.14 (1H, m).

Process 4

(6-Methoxy-7-methyl benzo [b] thiophene-3-yl) ethyl acetate ester (R^5 = Me)

To methanesulfonic acid (27 ml) was added 4-(3-methoxy-2-methyl

phenylsulfanil)-3-oxo butanoic acid ethyl ester 4.50 g under ice cooling. The mixture was stirred at room temperature for 1.5 hours. To the reaction solution, was added ice water 100 ml and the mixture was extracted with ethyl acetate. The organic layer was washed with water and saturated saline solution and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography and eluted with ethyl acetate: n-hexane (1: 4) to give a title compound 1.5 g.

NMR (CDCl3) δ: 1.17 (3H, t, J = 7.2 Hz), 2.31 (3H, s), 3.84 (3H, s), 3.86 (2H, d, J = 0.9 Hz), 4.07 (2H, q, J = 7.2 Hz), 7.15 (1H, d, J = 8.7 Hz), 7.34 (1H, s), 7.56 (1H, d, J = 8.7 Hz)

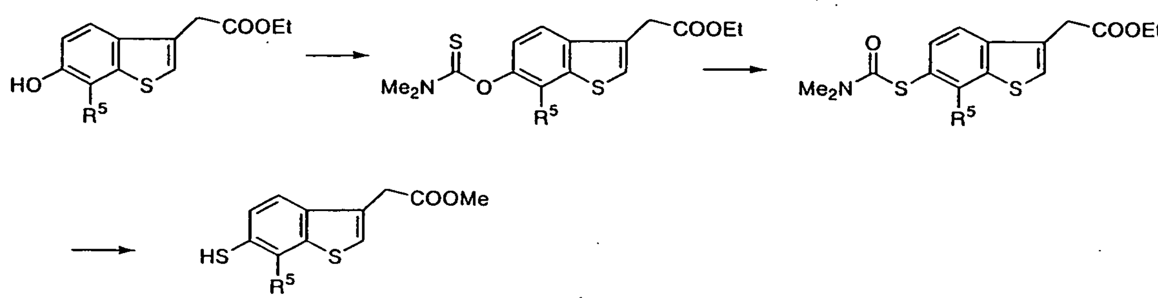
Process 5

(6-hydroxy-7-methyl benzo [b] thiophene-3-yl) ethyl acetate ester (R^5 = Me)

To a mixture of (6-methoxy-7-methyl benzo [b] thiophene-3-yl) ethyl acetate ester (4.6 g) and methylene chloride (120 ml) was added boron tribromide in methylene chloride (1M solution) at -40 °C. The reaction solution was warmed to room temperature and stirred for 0.5 hours. The reaction solution was poured into ice water (200 ml) and the organic layer was separated. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 3) to give a title compound (2.1 g).

NMR ($CDCl_3$): δ 1.78(3H,t,J = 6.9Hz), 2.28(3H,s), 3.83(2H,s), 4.08(2H,q,J = 6.9Hz), 6.95(1H,d,J = 8.4Hz), 7.28(1H,s), 7.40(1H,d,J = 8.4Hz), 9.47(1H,br).

Reference 18



Process 1

(6-Dimethyl thiocarbamoyl oxy-7-methyl benzo [b] thiophene-3-yl) ethyl acetate ester (R^5 = Me)

A mixture of (6-hydroxy-7-methyl benzo [b] thiophene-3-yl) ethyl acetate ester (2.70 g), N,N-dimethyl thiocarbamoyl chloride (1.65 g), triethylamine (1.32 g), N,N-dimethyl amino pyridine (264 mg) and acetonitrile (40 ml) was refluxed for 4 hours. The reaction solution was poured into ice water and the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 2) to give a title compound (2.95 g).

NMR ($CDCl_3$): δ 1.26(3H,s), 2.39(3H,s), 3.41(3H,s), 3.49(3H,s), 3.82(2H,s), 4.17(2H,q), 7.09(1H,d,J = 8.7Hz), 7.34(1H,s), 7.61(1H,d,J = 8.7Hz).

Process 2

(6-Dimethyl carbamoyl sulfanil-7-methyl benzo [b] thiophene-3-yl) ethyl acetate ester (R^5 = Me)

A mixture of (6-dimethyl thiocarbamoyl oxy-7-methyl benzo [b] thiophene-3-yl) ethyl acetate ester (2.90 g) and phenylxylylethane (29 ml) was stirred at 265 °C for 8 hours. The reaction solution was subjected to silica gel column chromatography eluting with n-hexane and ethyl acetate: n-hexane (1 : 2) to give a title compound (2.34 g).

NMR ($CDCl_3$): δ 1.25(3H,t,J = 7.2Hz), 2.66(3H,s), 3.04-3.14(6H,br), 3.82(2H,d,J =

0.9Hz), 4.16(2H,q,J = 7.2Hz), 7.41(1H,d,J = 0.9Hz), 7.51(1H,d,J = 8.1Hz), 7.60(1H,d,J = 8.1Hz)

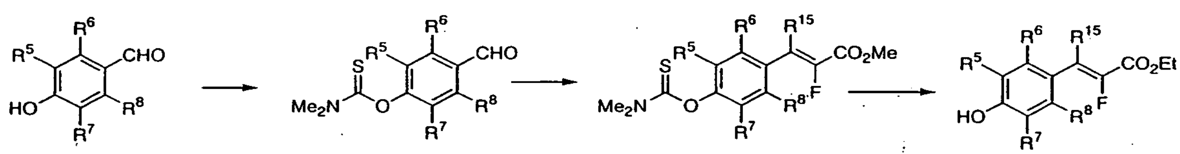
Process 3

(6-Mercapto-7-methyl benzo [b] thiophene-3-yl) acetic acid methyl ester (R^5 = Me)

A mixture of (6-dimethyl carbamoyl sulfanil-7-methyl benzo [b] thiophene-3-yl) ethyl acetate ester (2.34 g) and 1M sodium methoxide solution (methyl alcohol solution, 14.9 ml) was refluxed for 2.5 hours. The reaction solution was neutralized with 2N hydrochloric acid. The solution was extracted with ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (1.65 g).

NMR ($CDCl_3$): δ 2.57(3H,s), 3.30(1H,s), 3.69(3H,s), 3.82(2H,s), 7.28(1H,s), 7.34(1H,d,J = 8.4Hz), 7.46(1H,d,J = 8.4Hz).

Reference 19



Process 1

4-Dimethyl thiocarbamoyloxy-3-fluoro benzaldehyde (R^5 = F, $R^6 = R^7 = R^8 = R^{15}$ = H)

A mixture of 3-fluoro-4-hydroxy acetophenone (7.5 g), N,N-dimethylthiocarbamoyl chloride (7.84 g), triethylamine (6.50 g), N,N-dimethyl amino pyridine (0.65 g) and 1,4-dioxane (80 ml) was stirred at 110 °C for 4 hours. After cooling to room temperature, 2N hydrochloric acid was added. The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The resulting residue was washed with a mixed solvent of isopropyl ether and n-hexane to give a title compound (11.6 g).

NMR ($CDCl_3$): δ 3.39(3H,s), 3.47(3H,s), 7.30-7.35(1H,m), 7.67-7.73(2H,m), 9.96(1H, s).

Process 2

3-(4-Dimethyl thiocarbamoyloxy-3-fluoro phenyl)-2-fluoro acrylic acid ethyl ester (R^5 = F, R^6 = R^7 = R^8 = R^{15} = H)

A mixture of 4-dimethyl carbamoyloxy-3-fluoro benzaldehyde (1.5 g), triethyl-2-fluoro-2-phosphonoacetate 1.68 g, lithium chloride (0.34 mg), 1,8-diazabicyclo[5.4.0]undec-7-ene (1.11 g) and N,N-dimethyl formamide (15 ml) was stirred at room temperature under ice cooling for 19 hours. To the reaction solution was added water and the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 3) to give a title compound (1.84 g).

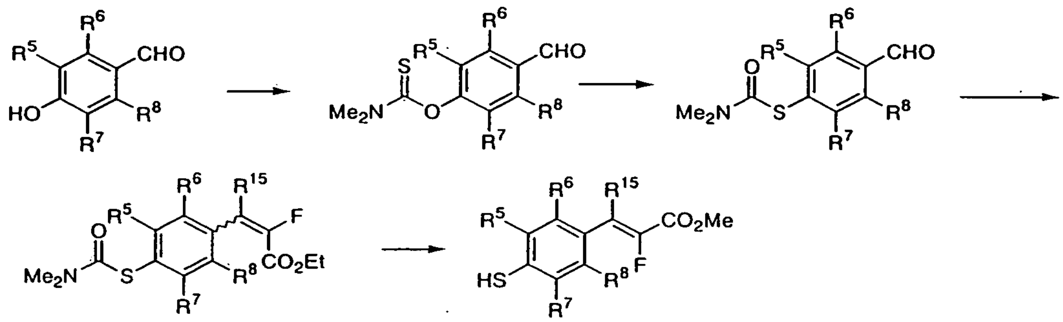
NMR ($CDCl_3$): δ 1.28(3H,t,J = 7.2Hz), 3.37(3H,s), 3.46(3H,s),4.27(2H, d,J = 7.2Hz), 6.85(1H,d,J = 7.2Hz), 6.85(1H,d,J = 21.6Hz), 7.07-7.13(1H,m), 7.21-7.24(1H,m), 7.42(1H,dd,J = 2.1Hz,11.4Hz).

Process 3

(Z)-3-(3-Fluoro-4-hydroxy phenyl)-2-fluoro acrylic acid ethyl ester (R^5 = F, R^6 = R^7 = R^8 = R^{15} = H)

A mixture of 3-(4-dimethyl thiocarbamoyl oxy-3-fluoro phenyl) acrylic acid ethyl ester (1.0 g) and 1M sodium methoxide solution (methyl alcohol solution, 6.5 ml) was stirred at 100 °C for 4.5 hours. After addition of 2N hydrochloric acid, the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 1) to give a title compound (1.18 g).

Reference 20



Process 1

4-Dimethyl thiocarbamoyloxy benzaldehyde ($R^5 = R^6 = R^7 = R^8 = R^{15} = H$)

A mixture of 4-hydroxy benzaldehyde (25 g), N,N-dimethyl thiocarbamoyl chloride (30 g), triethylamine (24.9 g), N,N-dimethyl amino pyridine (4.5 g) and 1,4-dioxane (300 ml) was stirred at 110 °C for 3 hours. The mixture was cooled to room temperature and 2N hydrochloric acid and water were added thereto. The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. After removal of the solvent under reduced pressure, the resulting residue was washed with a mixed solvent of isopropyl ether and ethyl acetate to give a title compound (35.2 g).

NMR ($CDCl_3$): δ 3.37(3H,s), 3.47(3H,s), 7.24(2H,d,J = 8.7Hz), 7.93(2H,d,J = 8.7Hz), 10.00(1H,s).

Process 2

4-dimethyl carbamoyl sulfanilbenzaldehyde ($R^5 = R^6 = R^7 = R^8 = R^{15} = H$)

A mixture of 4-dimethyl thiocarbamoyl oxy benzaldehyde (35.2 g) and biphenyl ether (350 ml) was stirred at 270 °C for 45 minutes. The reaction solution was subjected to silica gel column chromatography eluting with n-hexane and ethyl acetate : n-hexane (1 : 1) to give a title compound (32.9 g).

NMR ($CDCl_3$): δ 3.07(6H,br), 7.67(2H,d,J = 8.1Hz), 7.87(2H,d,J = 8.1Hz),10.03(1H,s).

Process 3

(E)-3-(4-Dimethyl carbamoyl sulfanilphenyl)-2-fluoro acrylic acid ethyl ester ($R^5 = R^6 = R^7 = R^8 = R^{15} = H$)

A mixture of 4-dimethyl carbamoyl sulfanilbenzaldehyde (209 mg), triethyl 2-fluoro-2-phosphonoacetate (254 mg), lithium chloride (51 mg), 1,8-diazabicyclo[5.4.0]undec-7-ene (167 mg) and N,N-dimethyl formamide (2 ml) was stirred under ice cooling for 1.5 hours. After addition of water, the mixture was extracted with diethyl ether. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (297 mg).

NMR ($CDCl_3$): δ 1.25(3H,t,J = 7.2Hz), 3.04(6H,br), 4.25(2H,q,J = 7.2Hz), 6.89(1H,d,J = 21.6Hz), 7.47(4H,s).

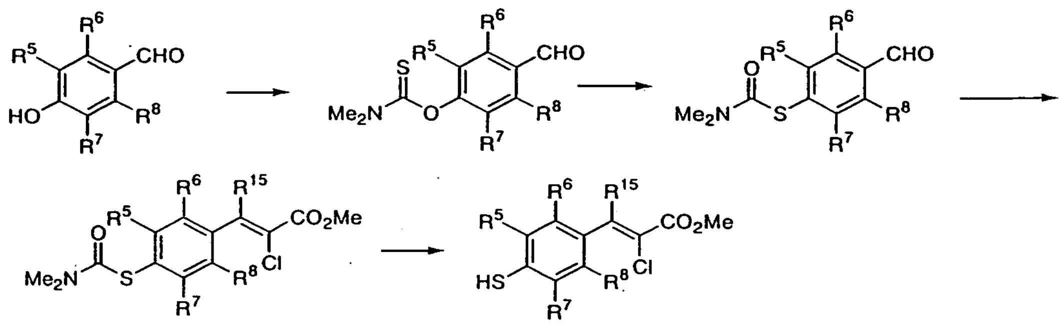
Process 4

(Z)-2-Fluoro-3-(4-mercapto phenyl) acrylic acid methyl ester ($R^5 = R^6 = R^7 = R^8 = R^{15} = H$)

A mixture of (E)-3-(4-dimethoxycarbamoyl sulfanilphenyl)-2-fluoro acrylic acid ethyl ester (297 mg) and 1M sodium methoxide solution (methyl alcohol solution, (2.1 ml) was stirred for 5.5 hours. The mixture was poured to ice water and extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (212 mg).

NMR ($CDCl_3$): δ 3.89(3H,s), 3.76(1H,s), 6.86(1H,d,J = 34.8Hz), 7.27(2H,d,J = 8.4Hz), 7.50(2H,d,J = 8.4Hz).

Reference 21



Process 1

4-Dimethyl thiocarbamoyloxy-3-methoxybenzaldehyde (R^5 = OMe, $R^6 = R^7 = R^8 = R^{15}$ = H)

A mixture of vanillin (50.0 g), N,N-dimethyl thiocarbamoyl chloride (48.7 g), triethylamine (39.9 mg), N,N-dimethyl amino pyridine (4.0 g) and 1,4-dioxane (250 ml) was stirred for 3 hours. After addition of water, the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was washed with isopropyl ether to give a title compound (68.0 g).

NMR ($CDCl_3$): δ 3.38(3H,s), 3.47(3H,s), 3.90(3H,s), 7.21-7.26(1H,m), 7.48-7.52(2H,m), 9.95(1H,s).

Process 2

4-Dimethyl carbamoyl sulfanil-3-methoxybenzaldehyde (R^5 = OMe, $R^6 = R^7 = R^8 = R^{15}$ = H)

A mixture of 4-dimethyl thiocarbamoyloxy-3-methoxybenzaldehyde (61.6 g) and biphenylether (300 ml) was stirred at 270 °C for 1 hour. The mixture was cooled to room temperature and a resulting crystal was filtrated to obtain a title compound 46.2 g.

NMR ($CDCl_3$): δ 3.09(6H,br), 3.95(3H,s), 7.44(1H,s), 7.47(1H,d,J = 1.8Hz), 7.69(1H,d,J = 7.8Hz), 9.99(1H,s).

Process 3

(Z)-2-Chloro-3-(4-dimethyl carbamoyl sulfanil-3-methoxyphenyl) acrylic acid methyl ester (R^5 = OMe, $R^6 = R^7 = R^8 = R^{15}$ = H)

To a mixture of chromium dichloride (5.00 g) and tetrahydrofuran (70 ml), was added a mixture of 4-dimethyl carbamoyl sulfanil-3-methoxybenzaldehyde (2.16 g), trichloro methyl acetate (1.61 g) and tetrahydrofuran (35 ml) at room temperature. The mixture was stirred at room temperature for 25 minutes. After addition of ice-water, the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with toluene: ethyl acetate (4: 1). The obtained crude product was recrystallized from a mixed solvent of ethyl acetate - n-hexane to give a title compound (2.36 g).

NMR ($CDCl_3$): δ 3.08(6H,br), 3.91(6H,s), 7.37-7.41(1H,m), 7.49(1H,d,J = 1.5Hz), 7.53(1H,d,J = 8.1Hz),7.90(1H,s).

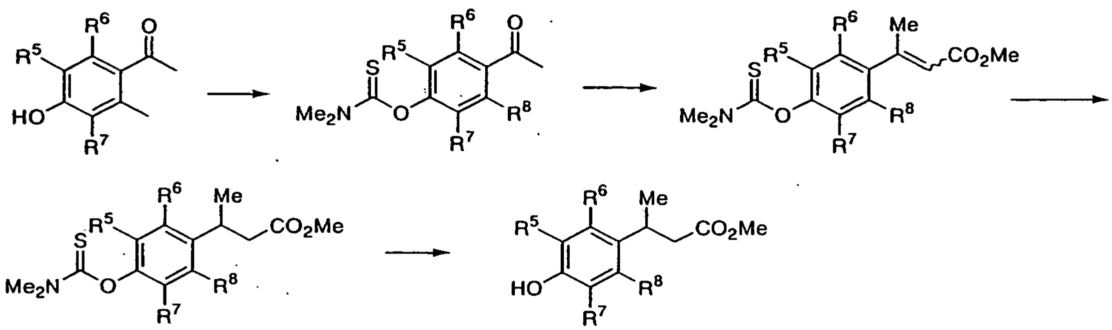
Process 4

(Z)-2-Chloro-3-(4-mercapto-3-methoxyphenyl) acrylic acid methyl ester (R^5 = OMe, $R^6 = R^7 = R^8 = R^{15}$ = H)

A mixture of (Z)-2-chloro-3-(4-dimethyl carbamoyl sulfanil-3-methoxyphenyl) acrylic acid methyl ester (2.21 g) and 1 M sodium methoxide (13.4 ml) was refluxed for 6 hours. After ice cooling, 2N hydrochloric acid was added to the reaction solution. The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (1.09 g).

NMR ($CDCl_3$): δ 3.90(3H,s), 7.29(1H,s), 7.30(1H,d,J = 1.5Hz), 7.45(1H,d,J = 1.5Hz), 7.85(1H,s).

Reference 22



Process 1

4-Dimethyl thiocarbamoyloxy-3-methoxyacetophenone (R^5 = OMe, $R^6 = R^7 = R^8$ = H)

A mixture of acetovanillone (15.11 g), N,N-dimethyl thiocarbamoyl chloride (12.8 g), N,N-dimethyl amino pyridine (1.1 g), triethylamine (13 ml) and 1,4-dioxane (100 ml) was refluxed for 1.5 hours. To the reaction solution was added water and the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was recrystallized from a mixed solvent of ethyl acetate - n-hexane to give a title compound (20.2 g).

NMR ($CDCl_3$): δ 2.61(3H,s), 3.37(3H,s), 3.47(3H,s), 3.89(3H,s), 7.13(1H,d,J = 8.1Hz), 7.57-7.61(2H,m).

Process 2

3-(4-Dimethyl thiocarbamoyl oxy-3-methoxyphenyl) crotonic acid methyl ester (R^5 = OMe, $R^6 = R^7 = R^8$ = H)

To a mixture of dimethyl phosphonomethyl acetate (17.4 g) and tetrahydrofuran (100 ml), was added potassium t-butoxide (11.3 g) at -78 °C. The mixture was stirred at room temperature for 40 minutes and 4-dimethyl thiocarbamoyl oxy-3-methoxyacetophenone (20.2 g) was added thereto. The mixture was stirred at room temperature for 16 hours. To the reaction solution was added ethyl acetate 500 ml. The mixture was washed successively with 1N hydrochloric acid, water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced

pressure and the obtained residue was washed with isopropyl ether to give a title compound (16.6 g).

Process 3

3-(4-Dimethyl thiocarbamoyl oxy-3-methoxyphenyl) butyric acid methyl ester (R^5 = OMe, R^6 = R^7 = R^8 = H)

To a mixture of 3-(4-dimethyl thiocarbamoyl oxy-3-methoxyphenyl) crotonic acid methyl ester (16.6 g) and methyl alcohol (100 ml) was added magnesium (5.23 g). The mixture was stirred at room temperature for 1.5 hours. The reaction solution was poured to a mixture of ethyl acetate (400 ml) and 1N hydrochloric acid (400 ml) and the organic layer was separated. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 1) to give a title compound (11.6 g).

NMR ($CDCl_3$): δ 1.32(3H,d,J = 6.9Hz), 2.49(2H,m), 3.22-3.34(1H,m), 3.34(3H,s), 3.45(3H,s), 3.64(3H,s), 3.82(3H,s), 6.81(2H,m), 6.96(1H,d,J = 8.7Hz).

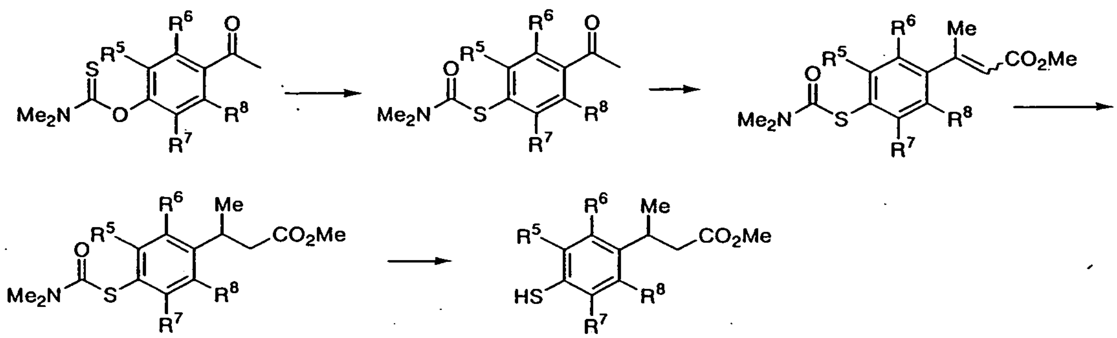
Process 4

3-(4-Dydroxy-3-methoxyphenyl) butyric acid methyl ester (R^5 = OMe, R^6 = R^7 = R^8 = H)

A mixture of 3-(4-dimethyl thiocarbamoyloxy-3-methoxyphenyl) butyric acid methyl ester (3.1 g) and 1M sodium methoxide solution (methyl alcohol solution, 23 ml) was refluxed for 2.5 hours. The reaction solution was poured into a mixture of ethyl acetate 100 ml and 2N hydrochloric acid and the organic layer was separated. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (2.10 g).

NMR ($CDCl_3$): δ 1.27(3H,d,J = 6.9Hz), 2.47-2.63(2H,m), 3.18-3.27(1H,m), 3.63(3H,s), 3.88(3H,s), 6.69-6.73(2H,m), 6.84(1H,d,J = 8.7Hz).

Reference 23



Process 1

4-Dimethyl carbamoyl sulfanil-3-methoxyacetophenone (R^5 = OMe, R^6 = R^7 = R^8 = H)

A mixture of 4-dimethyl thiocarbamoyloxy-3-methoxyacetophenone (21.7 g) and biphenylether (100 ml) was stirred at 270 °C for 1 hour. The mixture was cooled to room temperature. To the reaction solution, was added n-hexane. A crystal deposited was filtrated to obtain a title compound (18.9 g).

NMR ($CDCl_3$): δ 2.61(3H,s), 3.08(6H,br), 3.94(3H,s), 7.51-7.61(3H,m).

Process 2

3-(4-Dimethyl carbamoyl sulfanil-3-methoxyphenyl) crotonic acid methyl ester (R^5 = OMe, R^6 = R^7 = R^8 = H)

To a mixture of dimethyl phosphonomethyl acetate (16.3 g) and tetrahydrofuran (200 ml), was added potassium t-butoxide (10.6 g) at -78 °C. The mixture was stirred at room temperature for 30 minutes and 4-dimethyl thiocarbamoyl oxy-3-methoxyacetophenone (18.9 g) was added thereto. The mixture was stirred at room temperature for 2 hours. To the reaction solution were added saturated ammonium acetate water solution and water. The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was recrystallized from a mixed solvent of ethyl acetate - n-hexane to give a title compound (15.6 g).

Process 3

3-(4-Dimethyl carbamoyl sulfanil-3-methoxyphenyl) butyric acid methyl ester (R^5 = OMe, $R^6 = R^7 = R^8$ = H)

To a mixture of 3-(4-dimethyl carbamoyl sulfanil-3-methoxyphenyl) crotonic acid methyl ester (22.3 g) and methyl alcohol (200 ml) was added magnesium (4.56 g). The mixture was stirred at room temperature for 2 hours. The reaction solution was poured into a mixture of water 200 ml and 2N hydrochloric acid 250 ml, and the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was recrystallized from a mixed solvent of n-hexane - isopropyl ether to give a title compound (15.0) g.

NMR ($CDCl_3$): δ 1.30(3H,d,J = 6.9Hz), 2.50-2.68(2H,m), 3.06(6H,br), 3.24-3.33(1H,m), 3.65(3H,s), 3.87(3H,s), 6.81-6.85(2H,m), 7.38(1H,d,J = 7.8Hz).

Process 4

3-(4-Mercapto-3-methoxyphenyl) butyric acid methyl ester (R^5 = OMe, $R^6 = R^7 = R^8$ = H)

A mixture of 3-(4-dimethyl thiocarbamoyloxy-3-methoxyphenyl) butyric acid methyl ester (5.0 g), 1M sodium methoxide (34 ml) was refluxed for 2 hours. The reaction solution was poured into a mixture of 2N hydrochloric acid (100 ml) and water (100 ml) and the mixture was extracted with ether. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (3.65 g).

NMR ($CDCl_3$): δ 1.28(3H,s), 2.28-2.64(2H,m), 3.20-3.27(1H,m), 3.63(3H,s), 3.89(3H,s), 6.71-6.74(2H,m), 7.18(1H,d,J = 8.4Hz).

3-(2-Dluoro-4-mercapto phenyl) butyric acid methyl ester (R^6 = F, $R^5 = R^7 = R^8$ = H) and 3-(2-Methyl-4-mercapto phenyl) butyric acid methyl ester (R^6 = Me, $R^5 = R^7 = R^8$ = H) were obtained as well as the above.

3-(2-Fluoro-4-mercapto phenyl) butyric acid methyl ester

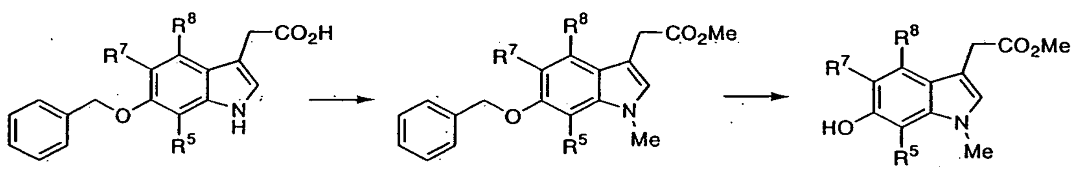
NMR (CDC13): δ 1.28(3H,d,J = 7.2Hz), 2.52-2.69(2H,m), 3.47(1H,s), 3.43-3.55(1H,m),

3.63(3H,s), 6.94-7.10(3H,m).

3-(2-Methyl-4-mercapto phenyl) butyric acid methyl ester

NMR (CDCl3): δ 1.22(3H,d,J = 6.9Hz), 2.32(3H,s), 2.46-2.61(2H,m), 3.35(1H,s), 3.41-3.53(1H,s), 3.62(3H,s), 7.02-7.11(3H,m)

Reference 24



Process 1

[6-Benzyloxy-1-methyl-1H-indole-3-yl] acetic acid methyl ester ($R^5 = R^7 = R^8 = H$)

To a mixture of [6-benzyloxy-1H-indole-3-yl] acetic acid (4.00 g) and N,N-dimethyl formamide (60 ml), was added sodium hydride (60 %) 1.71 g at 0 °C. The mixture was stirred at the same tempareture for 30 minutes. Methyl iodide (6.05 g) was added thereto and the mixture was stirred at 60 °C for 3 hours. To the reaction solution were added ice water and aqueous ammonium acetate.. The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 6) to give a title compound (1.65 g).

NMR ($CDCl_3$): δ 3.68(3H,s), 3.69(3H,s), 3.73(2H,s), 5.13(2H,s), 6.83-6.92(3H,m), 7.32-7.49(6H,m).

Process 2

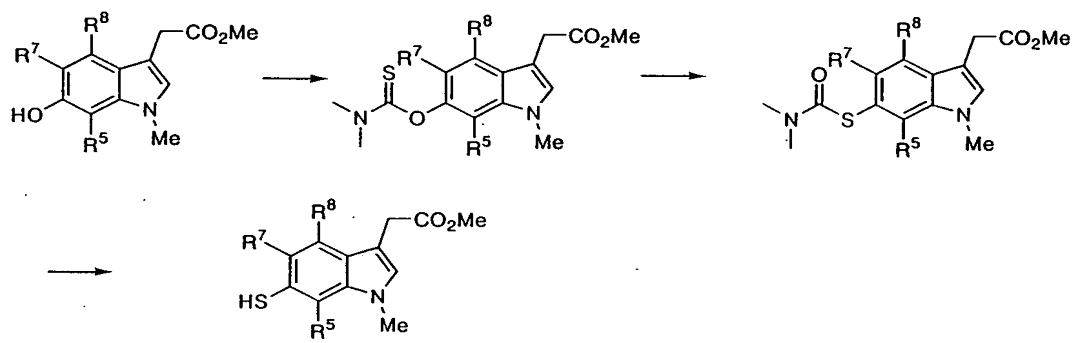
[6-Hydroxy-1-methyl-1H-indole-3-yl] acetic acid methyl ester ($R^5 = R^7 = R^8 = H$)

A mixture of 6-benzyloxy-1-methyl-1H-indole-3-yl] acetic acid methyl ester (1.65 g), 10 % Pd-C (330 mg) and tetrahydrofuran (41 ml) was stirred in hydrogen atmosphere for 1 hour. The insoluble residue was filtrated and the filtrate was concentrated under reduced pressure. The residue was subjected to silica gel column

chromatography eluting with ethyl acetate: n-hexane (1: 2) to give a title compound (615 mg).

NMR ($CDCl_3$): δ 3.61(3H,s), 3.70(3H,s), 3.72(2H,s), 6.66-6.71(2H,m), 6.88(1H,s), 7.19(1H,d,J = 8.4Hz).

Reference 25



Process 1

(6-Dimethyl thiocarbamoyl oxy-1-methyl-1H-indole-3-yl) acetic acid methyl ester ($R^5 = R^7 = R^8 = H$)

A mixture of (6-hydroxy-1-methyl-1H-indole-3-yl) acetic acid methyl ester (600 mg), N,N-dimethyl thiocarbamoyl chloride (372 mg), N,N-dimethyl amino pyridine (33 mg), triethylamine (763 mg) and dioxane (6 ml) was refluxed for 6 hours. To the reaction solution was added ice-water and the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 2) to give a title compound (724 mg).

NMR ($CDCl_3$): δ 3.38(3H,s), 3.48(3H,s), 3.69(3H,s), 3.72(3H,s), 3.74(2H,s), 6.83(1H,dd,J = 1.5,8.4Hz), 7.00(1H,d,J = 1.5Hz), 7.04(1H,s), 7.56(1H,s,J = 8.4Hz).

Process 2

(6-Dimethyl carbamoyl sulfanil-1-methyl-1H-indole-3-yl) acetic acid methyl ester ($R^5 = R^7 = R^8 = H$)

A mixture of (6-dimethyl thiocarbamoyloxy-1-methyl-1H-indole-3-yl) acetic acid methyl ester (724 mg) and biphenylether (3.6 ml) was stirred at 270 °C for 7 hours. The reaction solution was cooled to room temperature and subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 3) to give a compound (493 mg).

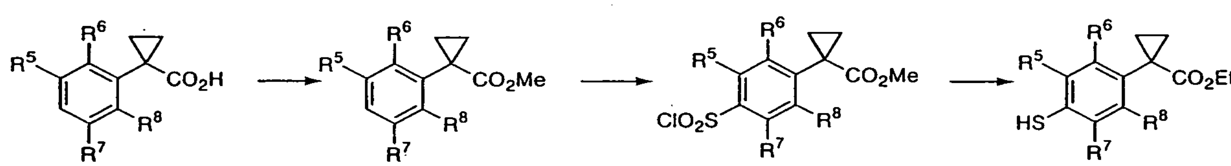
NMR ($CDCl_3$): δ 3.07(6H,br), 3.68(3H,s), 3.74(3H,s), 3.75(2H,s), 7.08(1H,s), 7.21(1H,dd,J = 1,5Hz,8.1Hz), 7.47-7.48(1H,m), 7.58(1H,d,J = 8.4Hz).

Process 3

(6-Mercapto-1-methyl-1H-indole-3-yl) acetic acid methyl ester ($R^5 = R^7 = R^8 = H$)

A mixture of (6-dimethyl carbamoyl sulfanil-1-methyl-1H-indole-3-yl) acetic acid methyl ester (493 mg), 1M sodium methoxide (3.4 ml) and methyl alcohol (5 ml) was refluxed for 4 hours. To the reaction solution was added 2N hydrochloric acid and the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (383 mg).

Reference 26



Process 1

1-Phenyl-1-cyclopropanecarboxylate methyl ester ($R^5 = R^6 = R^7 = R^8 = H$)

A mixture of 1-phenyl-1-cyclopropane carboxylic acid (8.55 g), methyl alcohol (160 ml) and strong sulfuric acid (4 ml) was refluxed for 2 hours. The reaction solution was concentrated under reduced pressure and water (100 ml) was added thereto. The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (9.16 g).

NMR ($CDCl_3$): δ 1.16-1.20(2H,m), 1.58-1.61(2H,m), 3.60(3H,s), 7.22-7.35(5H,m).

Process 2

1-(4-Chlorosulfonylphenyl)-1-cyclopropanecarboxylate methyl ester ($R^5 = R^6 = R^7 = R^8 = H$)

1-phenyl-1-cyclopropanecarboxylate methyl ester (2.00 g) was added to chlorosulfuric acid (3.0 ml) under ice cooling. The mixture was stirred at room temperature for 3 hours and the reaction solution was poured into ice-water. The resulting crystal was filtrated to give a title compound (631 mg).

NMR ($CDCl_3$): δ 1.16-1.21(2H,m), 1.45-1.50(2H,m), 3.54(3H,s), 7.25-7.28(2H,m), 7.50-7.53(2H,m).

Process 3

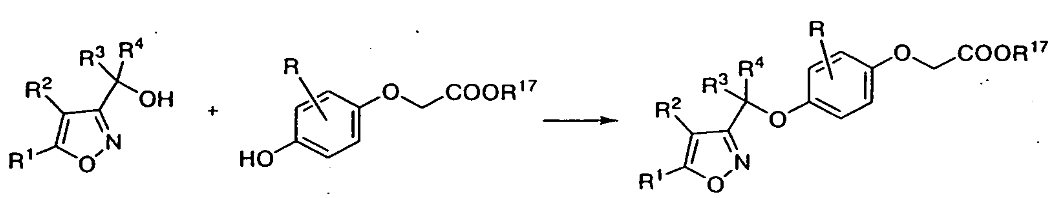
1-(4-Mercapto phenyl)-1-cyclopropanecarboxylate methyl ester ($R^5 = R^6 = R^7 = R^8 = H$)

A mixture of 1-(4-chlorosulfonylphenyl)-1-cyclopropanecarboxylate methyl ester (300 mg), tin (powder, 683 mg), 4N hydrochloric acid (1,4-dioxane solution, 1.43 ml) and methyl alcohol (1.5 ml) was refluxed for 1.5 hours. The insoluble residue was filtrated, and water was added to the filtrate. The mixture was extracted with ethyl acetate. The organic layer washed with aqueous sodium hydrogen carbonate and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (219 mg).

NMR ($CDCl_3$): δ 1.11-1.19(2H,m), 1.56-1.60(2H,m), 3.61(3H,s), 4.10(2H,q,J = 6.9Hz), 7.20(4H,s).

Example 1

(Method α-1)



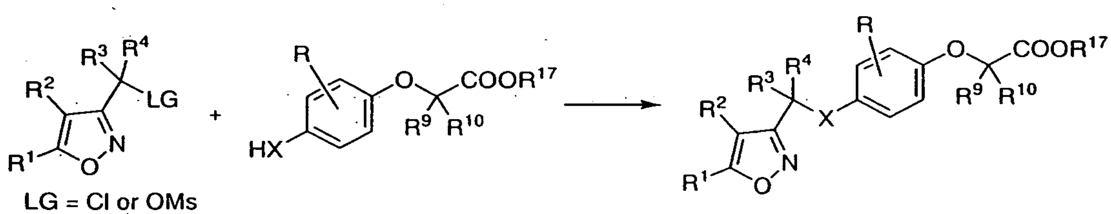
{2-Methyl-4-[5-(4-trifluoromethylphenyl)-isoxazole-3-ylmethoxy]-phenoxy}-acetic acid methyl ester (R^1 = TFMP, $R^2 = R^3 = R^4$ = H, R = 2-Me, R^{17} = Me, α-1-1)

To the mixture of [5-(4-trifluoromethylphenyl)-isoxazole-3-yl] methanol (2-1-1,243 mg), triphenylphosphine (266 mg), 4-(chlorosulfonyl-phenoxy)-acetic acid methyl ester (176 mg) and tetrahydrofuran (8 ml) was added 1,1'-(azodicarbonyl) dipiperidine (252 mg) under ice cooling and the mixture was stirred at room temperature for 20 hours. Chloroform and water were added to the reaction solution, and the organic layer was separated. After dried over anhydrous magnesium sulphate, the solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 2) to give a title compound (270 mg, the yield was 64 %.) as a colorless crystal.

This was recrystallized from a mixed solvent of ethyl acetate: hexane to give a crystal whose melting point is 107-109 °C.

Example 2.

(Method α-2)



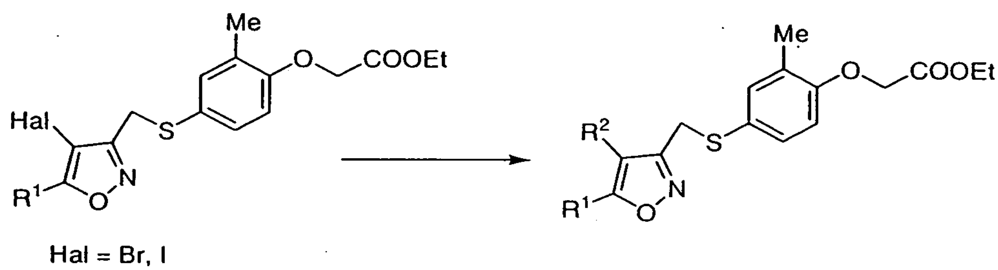
{2-Methyl-4-[5-(4-trifluoromethylphenyl)-isoxazole-3-yl methylsulfanil]-phenoxy}-acetic acid ethyl ester (R^1 = TFMP, $R^2 = R^3 = R^4$ = H, R = 2-Me, $R^9 = R^{10}$ = H, R^{17} = Et, α-2-1)

3-chloromethyl-5-(4-trifluoromethylphenyl)-isoxazole (3-1-2-1, 277) mg and (4-mercapto-2-methyl-phenoxy)-acetic acid ethyl ester (255 mg) were dissolved in acetonitrile (5 ml). To the solution was added cesium carbonate (740 mg) and the mixture was stirred at 80 °C for 2 hours. After removing acetonitrile, water was added thereto. The mixture was extracted with chloroform, washed with brine and dried over magnesium sulfate anhydrous. The solvent was evaporated under

reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 6) to give a colorless crystal. This recrystallized from ether - petroleum ether to give a title compound (358 mg) as a colorless crystal. The melting point was 63-64 °C. The yield was 75 %.

Example 3

(Method α-3)

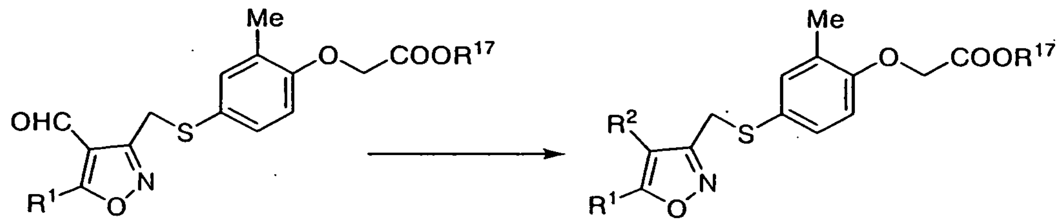


[2-Methyl-4-[4-(4-trifluoromethylbenzil)-5-(4-trifluoromethylphenyl) isoxazole-3-yl methyl sulfanil] phenoxy] acetic acid ethyl ester (Hal = Br, R^1 = TFMP, R^2 = 4-trifluoromethylbenzil, α-3-8)

Zinc (111 mg) was suspended in tetrahydrofuran (2 ml). 1,2-Dibromoethane (16 mg) was added and the mixture was stirred for 5 minutes. Chlorotrimethylsilane (9 mg) was added and the mixture was stirred for 5 minutes. To the reaction solution was added p-trifluoromethylbenzilbromide (297 mg) and the mixture was refluxed for 30 minutes. After cooling to room temperature, [4-[4-bromo-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil]-2-methylphenoxy] acetic acid ethyl ester (α-2-22, 300 mg), palladium acetate (6 mg) and tricyclohexylphosphine (16 mg) were added thereto and the mixture was refluxed for 45 minutes. After adding water, the mixture was extracted with ethyl acetate, washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 9) to give a title compound 239 mg as a colorless crystal. The yield was 68 %.

Example 4

(Method α-4)



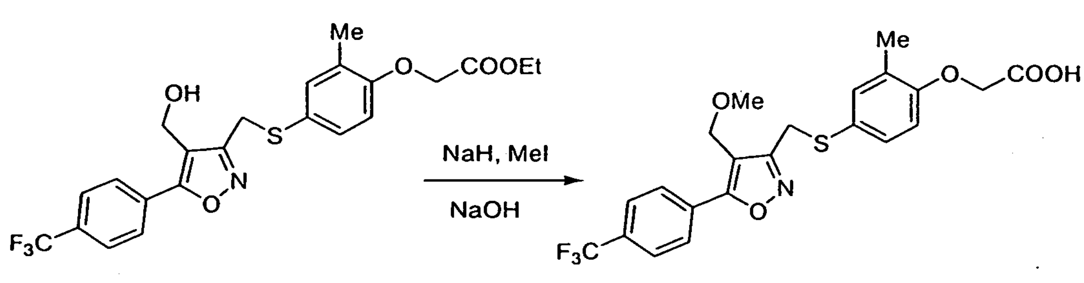
{4-[4-Butylaminomethyl-5-(4-trifluoromethylphenyl)-isoxazole-3-yl methyl sulfanil]-2-methyl- phenoxy}-acetic acid tert-butyl ester (R^1 = TFMP, R^2 = CH_2NHnBu, R^{17} = tBu, α-4-1)

Compound (α-2-16, 238 mg) and n-butylamine (43 mg) were dissolved in methanol (6 ml) and the solution was stirred at room temperature for 26 hours. Sodium borohydride (36 mg) was added, and the mixture was stirred for 1 hour. After addition of water, the mixture was extracted with chloroform, washed with brine and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure. The resulting residue was subjected to alumina chromatography eluting with ethyl acetate: hexane (1: 6) to give a title compound (225 mg) as colorless oil. The yield was 85 %.

{2-Methyl-4-[4-morpholine-4-ylmethyl-5-(4-trifluoromethylphenyl)-isoxazole-3-yl methylsulfanil]-phenoxy}-acetic acid ethyl ester (α-4-2) was obtained as well as the above.

Example 5

(Method α-5)

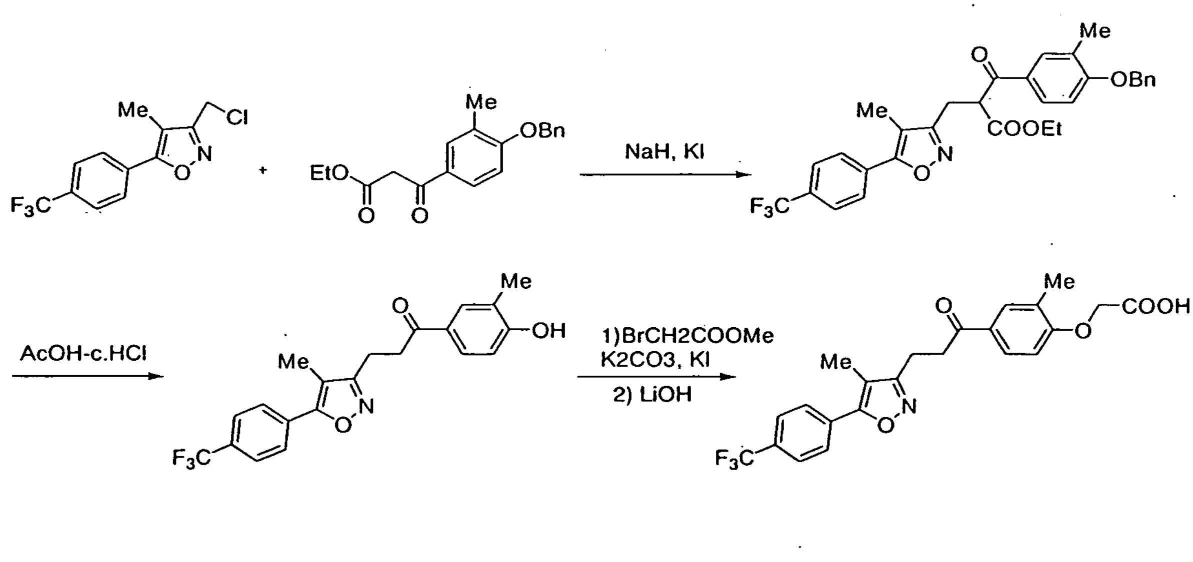


{4-[4-Methoxymethyl-5-(4-trifluoromethylphenyl)-isoxazole-3-ylmethoxy]-2-methyl-phenoxy} -acetic acid (α-5-1)

To {4-[4-hydroxymethyl-5-(4-trifluoromethyl phenyl)-isoxazole-3-ylmethoxy] -2-methyl-phenoxy}-acetic acid ethyl ester (α-2-11, 210 mg) in tetrahydrofuran (3 ml) was added sodium hydride (19 mg). The mixture was stirred at room temperature for 30 minutes. To the reaction solution was added a solution of methyl iodide (90 mg) in tetrahydrofuran (0.5 ml). The mixture was stirred for 16 hours. Under ice-cooling, 1M sodium hydroxide solution (1.5 ml) was added, and the mixture was stirred at room temperature for 5 hours. To the reaction solution were added ice and dilute hydrochloric acid to neutralize. The mixture was extracted with ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (2: 1) to give a title compound (175 mg) as a colorless crystal. The yield was 86 %. These crystals were recrystallized from a mixed solvent of ethyl acetate - isopropyl ether to give a crystal.

Example 6

(Method α-6)



Process 1 Alkylate

(3-(4-Benziloxy-3-methyl-phenyl)-2-[4-methyl-5-(4-trifluoromethylphenyl)-isoxazole-3-ylmethyl]-3-oxo-propionic acid ethyl ester (α-6-1-1)

Under ice cooling, to tetrahydrofuran (7ml) was added sodium hydride (48 mg) and added dropwise 3-(4-benziloxy-3-methyl-phenyl)-3-oxo-propionic acid ethyl ester (375 mg) in tetrahydrofuran solution (6 ml) for 15 minutes. After returning to room temperature, 3-chloromethyl-3-methyl-5-(4-trifluoromethylphenyl)-isoxazole (3-1-2-2, 276 mg) and potassium iodide (187 mg) were added, and the mixture was refluxed under heating for 17 hours. After cooling, the mixture was extracted with ethyl acetate and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography and eluted with ethyl acetate: hexane (1: 2) to give a title compound (530 mg) as colorless oil. The yield was 96 %.

Process 2 Decarboxylation

1-(4-Hydroxy-3-methyl-phenyl)-3-[4-methyl-5-(4-trifluoromethylphenyl)-isoxazole-3-yl]-propane 1-on (α-6-2-1)

To ester (α-6-1-1, 530 mg) obtained above were added acetic acid (4 ml) and concentrated hydrochloric acid (1.2 ml). The mixture was refluxed under heating for 6 hours. After cooling, the mixture was poured into ice-cooling water, neutralized with ammonia water and extracted with ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 2) to give a title compound (210 mg) as a colorless crystal. The yield was 58 %. This was recrystallized with a mixed solvent of ethyl acetate - hexane to give a crystal.

^{1}HNMR($CDCl_3$): 2.26 (3H,s), 2.27(3H,s), 3.07(2H,t, J = 7.8Hz), 3.48(2H,t, J = 7.8Hz), 6.81(1H,d, J = 8.4Hz), 7.74-7.85(6H,m).

Process 3 Alkylate

(2-Methyl-4-{3-[4-methyl-5-(4-trifluoromethylphenyl)-isoxazole-3-yl]-propionyl}-phenoxy)-acetic acid methyl ester (α-6-3-1)

To a solution of phenolic compound (α-6-2-1, 130 mg) obtained above and dimethyl formamide (3 ml), were added bromo acetic acid methyl ester (55 mg), potassium carbonate (50 mg) and potassium iodide (9 mg). The mixture was stirred at room temperature for 7 hours, poured to ice-cooling water and extracted with chloroform. The organic layer was washed with brine and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 2) to give a title compound (140 mg) as a crystal. The yield was 93 %. This was recrystallized with a mixed solvent of ethyl acetate - isopropyl ether to give a crystal.

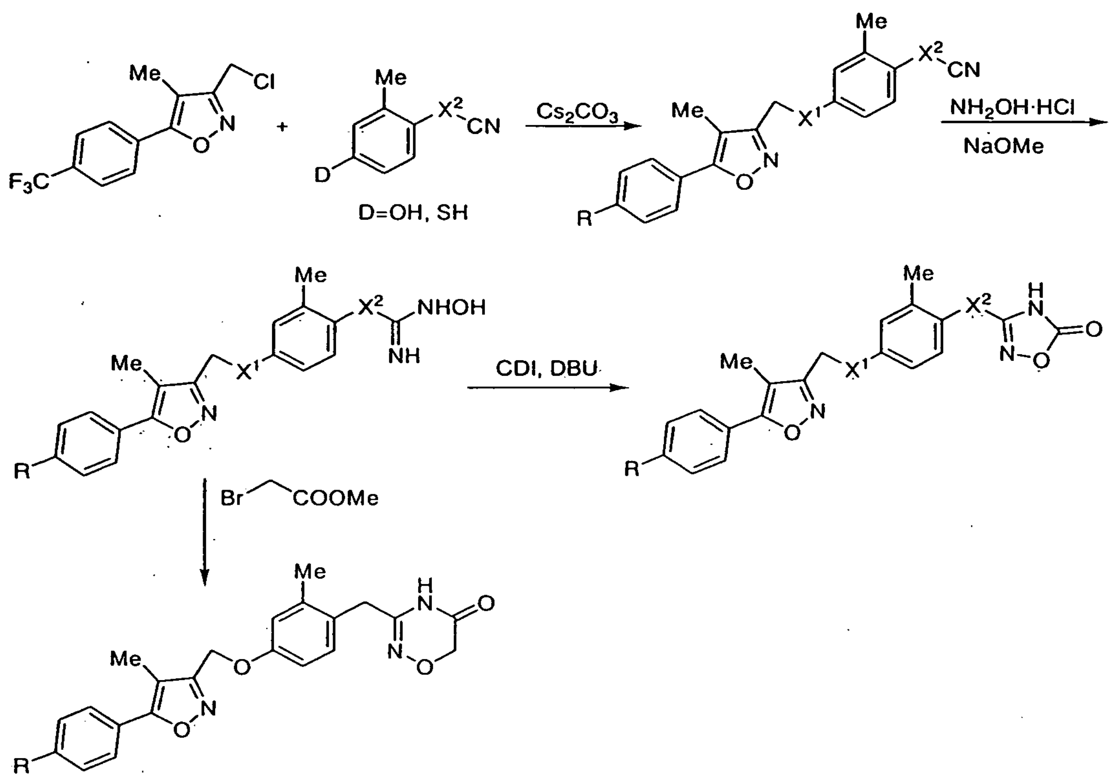
Process 4 Hydrolysis

(2-Methyl-4-{3-[4-methyl-5-(4-trifluoromethylphenyl)-isoxazole-3-yl]-propionyl}-phenoxy)-acetic acid (α-6-4-1)

The above ester (α-6-3-1, 130 mg) was dissolved in tetrahydrofuran (4.5 ml). 1M lithium hydroxide water solution (0.57 ml) was added, and the mixture was stirred at room temperature for 1 hour. Under ice-cooling, the mixture was neutralized with 1M hydrochloric acid. The solvent was concentrated under reduced pressure and the residual solution was diluted with water. A crystal, which was precipitated under ice cooling, was filtrated to give a title compound (110 mg). The yield was 87 %. This was recrystallized with a mixed solvent of ethyl acetate - isopropyl ether to give a crystal.

Example 7

(Method α-7)



Process 1

[2-Methyl-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] phenyl] acetonitrile (R = CF_3, X^1 = S, X^2 = CH_2, α-7-1-1)

A mixture of 3-chloromethyl-4-methyl-5-(4-trifluoromethylphenyl) isoxazole (3-1-2-3, 225 mg), (4-mercapto-2-methylphenyl) acetonitrile (140 mg), cesium carbonate (585 mg) and acetonitrile (5 ml) was stirred at room temperature for 20 hours. To the reaction solution was added water. The mixture was extracted with ethyl acetate and washed with water and brine. After drying over magnesium sulfate, the solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with toluene: ethyl acetate (95: 5) to give a title compound (300 mg) as a yellow crystal. The yield was 92 %.

^{1}H-NMR($CDCl_3$) : 2.29(3H, s), 2.31(3H, s), 3.63(2H, s), 4.14(2H, s), 7.26-7.28(3H, m), 7.74(2H, d, J = 8.4 Hz), 7.82(2H, d, J = 8.4 Hz)

[2-Methyl-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-ylmethoxy] phenyl] acetonitrile (α-7-1-2, X^1 = O) was obtained by the same method. The yield was 88%, Rf = 0.25 (Merck silica gel plate, Developing with ethyl acetate: hexane = 1: 3).

Process 2

N-Hydroxy-2-[2-methyl-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] phenyl] acetamidine (α-7-2-1)

A mixture of [2-methyl-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] phenyl] acetonitrile (α-7-1-1, 300 mg), hydroxylamine hydrochloride (259 mg), 28 % sodium methoxide (0.76 ml) and methanol (10 ml) was refluxed for 20 hours. The solvent was evaporated under reduced pressure. Water was added to the residue. The mixture was extracted with ethyl acetate, washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (299 mg) as a colorless crystal. The yield was 92 %.

N-Hydroxy-2-[2-methyl-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-ylmethoxy] phenyl] acetamidine (α-7-2-2, X^1 = O) was obtained by the same method. The yield was 57 %.

Process 3

3-[2-methyl-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] benzil]-4H-[1,2,4] oxadiazole-5-on (α-7-3-1)

A mixture of N-hydroxy-2-[2-methyl-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] phenyl] acetamidine (α-7-2-1, 299 mg), 1,1'-carbonyldiimidazole 123 mg, 1,8-diazabicyclo [5,4,0] undec-7-ene (419 mg) and tetrahydrofuran (10 ml) was stirred at room temperature for 1 hour. To the reaction solution was added water. The mixture was neutralized with 1M hydrochloric acid. The mixture was extracted with ethyl acetate, washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with toluene: ethyl

acetate (95: 5). The obtained crude product was recrystallized from acetone to give a title compound (133 mg) as a colorless crystal. The yield was 42 %.

Example 8

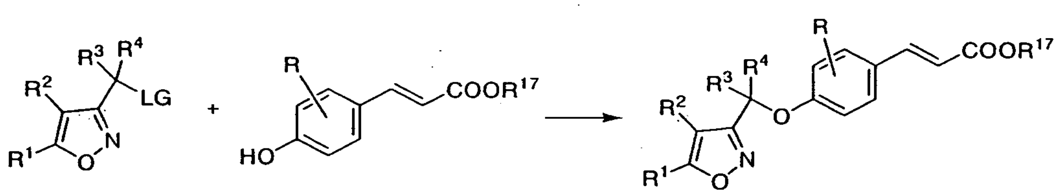
(Method α-7)

3-{2-Methyl-4-[4-methyl-5-(4-trifluoromethylphenyl)-isoxazole-3-ylmethoxy]-benzil}-4 H-[1,2,4] oxadiazin-5-on (α-7-4-1)

A mixture of N-hydroxy-2-[2-methyl-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methanol] phenyl] acetamidine (α-7-2-2, 100 mg), methyl bromoacetate (55 mg), cesium carbonate (155 mg) and dimethyl formamide (3 ml) was stirred at room temperature for 20 hours and at 100 °C for 1 hour. After addition of water, the mixture was extracted with ether, washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with chloroform: acetonitrile (95: 5) to give a title compound (40 mg) as a yellow crystal. The yield was 37 %.

Example 9

(Method α-8)



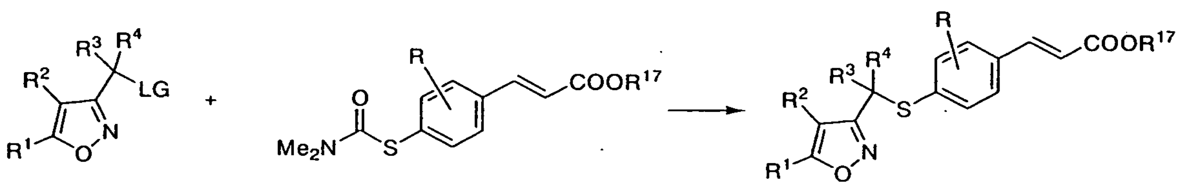
3-{2-Methyl-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methoxy] phenyl} acryl acid methylester (R^1 = TFMP, R^2 = Me, R^3 = R^4 = H, R = 2-Me, R^{17} = Me, α-8-10)

To the solution of 3-chloromethyl-4-methyl-5-(4-trifluoromethylphenyl)-isoxazole (3-1-2-3, 223 mg) and 3-(4-hydroxy-2-methylphenyl) acryl acid methylester (200 mg) in acetonitrile (8 ml), was added cesium carbonate (316 mg). The mixture was stirred at room temperature for 24 hours and at 60 °C for 3 hours. The reaction solution was filtrated and the

filtrate was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 4) and recrystallized with a mixed solvent of ethyl acetate - hexane to give a title compound (268 mg) as a colorless crystal. The yield was 74 %.

Example 10

(Method α-9)

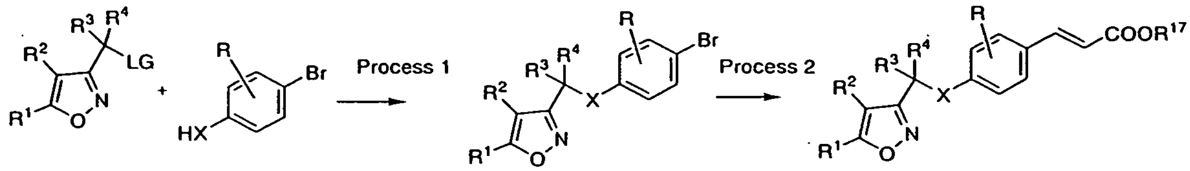


3-{3-Methoxy-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] phenyl} acryl acid methylester (R^1 = TFMP, R^2 = Me, R^3 = R^4 = H, R = 3-OMe, R^{17} = Me, α-9-8)

A mixture of 3-(4-dimethylcarbamoyl sulfanil-3-methoxyphenyl) acryl acid methylester (6-1-2, 224 mg) and 1 mol/L sodium methoxide in methanol (1.3 ml) was refluexed for 2 hours and neutralized with 1M hydrochloric acid under ice cooling. The solution was extracted with ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure. The obtained residue was dissolved in acetonitrile (4 mL). 3-chloromethyl-4-methyl-5-(4-trifluoromethyl phenyl) isoxazole (3-1-2-3, 209 mg) and cesium carbonate (296 mg) were added thereto and stirred at room temperature for 2 hours. To the reaction solution was added water. The mixture was extracted with ethyl acetate, washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with chloroform to give a title compound (227 mg) as a colorless crystal. The yield was 65 %.

Example 11

(Method α-10)



Process 1 Alkylating

3-(4-Bromo-2-fluorophenoxymethyl)-4-methyl-5-(4-trifluoromethylphenyl) isoxazole (R^1 = TFMP, R^2 = Me, R^3 = R^4 = H, R = 2-F, X = O, α-10-1-1)

A mixture of 3-chloromethyl-4-methyl-5-(trifluoromethylphenyl) isoxazole (3-1-2-3, 1.5 g), 4-bromo-2-fluorophenol (1.25 g), cesium carbonate (2.13 g) and acetonitrile (20 ml) was stirred at 75 °C for 11 hours. To the reaction solution was added water, and the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was washed with n-hexane to give a title compound (1.82 g) as a crystal. The yield was 78 %.

(α-10-1-2)-(α-10-1-5) were synthesized as well as the above.

Table 72

No.	R	X	NMR
α-10-1-1	2-F	O	2.35(3H,s),5.25(2H,s),7.00-7.30(3H,m),7.76(2H,d,J=8.1Hz), 7.84(2H,d,J=8.1Hz)
α-10-1-2	H	O	2.28(3H,s),4.12(2H,s),7.25-7.45(4H,m), 7.74(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz)
α-10-1-3	3,5-diF	O	2.40(3H,s),5.25(2H,s),7.06-7.16(2H,m), 7.76(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz)
α-10-1-4	3-CF_3	S	2.29(3H,s),4.17(2H,s),7.51(2H,d,J=8.4Hz), 7.62(1H,dd,J=8.4Hz,2.1Hz),7.74(2H,d,J=8.4Hz), 7.77(1H,d,J=2.1Hz),7.81(2H,d,J=8.4Hz)
α-10-1-5	2-CF_3	S	2.29(3H,s),4.16(2H,s),7.43(1H,dd,J=8.4Hz,2.4Hz), 7.62(1H,d,J=8.4Hz),7.65(1H,d,J=2.4Hz), 7.74(2H,d,J=8.7Hz),7.81(2H,d,J=8.7Hz)

Process 2 Heck reaction

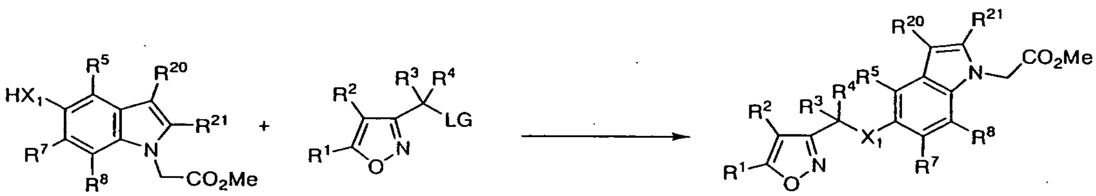
3-{3-Fluoro-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-ylmethoxy] phenyl} acryl acid methylester (R^1 = TFMP, R^2 = Me, R^3 = R^4 = H, R = 3-F, X = O, R^{17} = Me,

α-10-2-1)

A mixture of 3-(4-bromo-2-fluorophenoxymethyl)-4-methyl-5-(4-trifluoromethylphenyl) isoxazole (α-10-1-1, 0.35 g), methyl acrylate (1.06 g), palladium acetate (II) (37 mg), triethylamine (0.16 g), triphenylphosphine (86 mg) and dimethyl formamide (2 ml) was stirred in a stream of argon at 100 °C for 11 hours. To the reaction solution was added water and the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was purified with silica gel column chromatography (n-hexane /ethyl acetate) to give a title compound (0.33 mg) as a crystal. The yield was 92 %.

Example 12

(Method α-11)

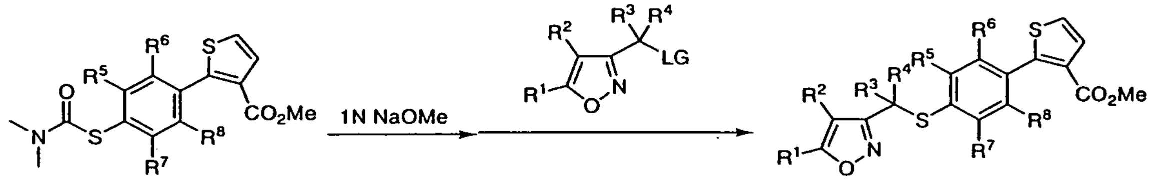


{5-[4-Methyl-5-(4-trifluoromethylphenyl) isoxazole-3-ylmethoxy] indole-1-yl} acetic acid methyl ester (R^1 = TFMP, R^2 = Me, $R^3 = R^4 = R^5 = R^7 = R^8 = R^{20} = R^{21}$ = H, X^1 = O, α-11-1)

To a solution of (5-hydroxyindole-1-yl) acetic acid methyl ester (200 mg) in acetonitrile (5 ml) were added 3-chloromethyl-4-methyl-5-(4-trifluoromethylphenyl)-isoxazole (224 mg) and cesium carbonate (318 mg). The mixture was stirred at room temperature for 15 hours and at 60 °C for 1 hour 30 minutes. The reaction solution was filtrated and the filtrate was evaporated under reduced pressure. The resulting residue was subjected to silica gel column chromatography eluting with ethyl acetate: hexane (1: 4) to give a title compound (243 mg). The yield was 67 %.

Example 13

(Method α-12)

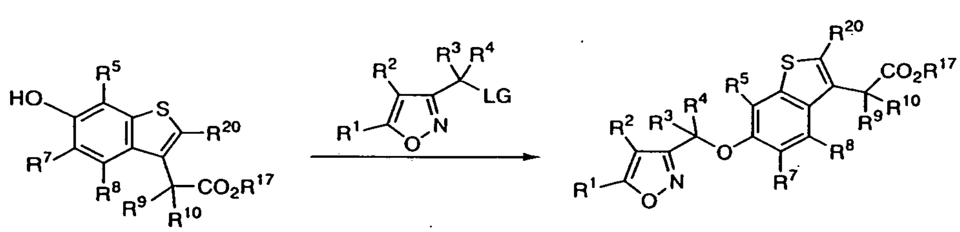


2-{4-[4-Methyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] phenyl} thiophene-3-carboxylic acid methyl ester (R^1 = TFMP, R^2 = Me, $R^3 = R^4 = R^5 = R^6 = R^7 = R^8$ = H, α-12-1)

To 2-(4-dimethyl carbamoyl sulfanilphenyl) thiophene-3-carboxylic acid methyl ester (321 mg) in methanol (7 ml) was added 1N sodium methoxide solution (methanol solution, 1.5 ml) and the mixture was refluxed under heating for 3 hours. After cooling the reaction solution, 2N hydrochloric acid and ice water were added thereto. The mixture was extracted with ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. To the obtained residue (249 mg) in acetonitrile (5 ml) were added 3-chloromethyl-4-methyl-5-(4-trifluoromethyl phenyl)-isoxazole (228 mg)and cesium carbonate (323 mg), and the mixture was stirred at room temperature for 3 hours. The reaction solution was filtrated and the filtrate was evaporated under reduced pressure. The resulting residue was recrystallized from a mixed solvent of ethyl acetate - hexane to give a title compound (349 mg). The yield was 72 %.

Example 14

(Method α-13)



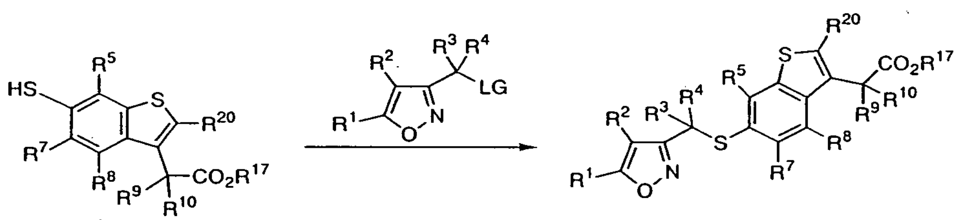
[6-[4-(Ethoxyiminomethyl)-5-(4-trifluoromethyl phenyl) isoxazole-3-yl

methoxy]-7-methyl benzo [b] thiophene-3-yl] acetic acid ethyl ester (R^1 = TFMP, R^2 = CH = NOEt, $R^3 = R^4 = R^7 = R^8 = R^9 = R^{10} = R^{20}$ = H, R^5 = Me, R^{17} = Et)

A mixture of (6-hydroxy-7-methyl benzo [b] thiophene-3-yl) acetic acid ethyl ester (201 mg), methanesulfonic acid 4-(ethoxyiminomethyl)-5-(4-trifluoromethylphenyl) isoxazole-3-yl methyl ester (314 mg), cesium carbonate (573 mg) and acetonitrile (9 ml) was stirred at room temperature for 10 minutes. The solvent was evaporated under reduced pressure. After addition of water, the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 3) to give a title compound (397 mg) . The yield was 91 %.

Example 15

(Method α-14)



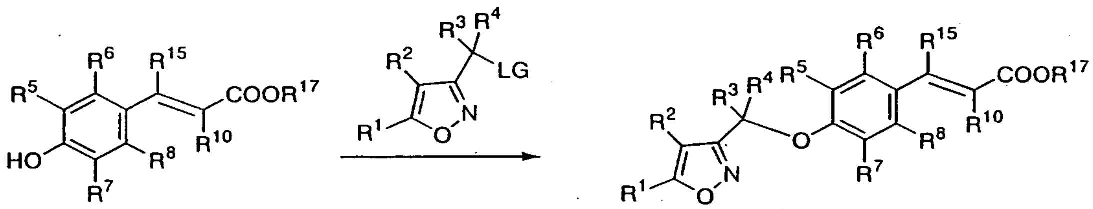
[6-[4-Ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methyl sulfamoyl]-7-methyl benzo [b] thiophene-3-yl] acetic acid methyl ester (R^1 = TFMP, R^2 = CH2OEt, $R^3 = R^4 = R^7 = R^8 = R^9 = R^{10} = R^{20}$ = H, R^5 = Me, R^{17} = Me)

A mixture of 6-mercapto-7-methylbenzo [b] thiophene-3-yl) acetic acid methyl ester (242 mg) 3-chloromethyl-4-ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole (256 mg), cesium carbonate (573 mg) and acetonitrile (8 ml) was stirred at room temperature for 18 hours. The solvent was evaporated under reduced pressure. To the residue, was added water. The mixture was extracted with ethyl acetate. The organic layer was washed with water and saturated saline solution and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography and eluted with

ethyl acetate: n-hexane (1: 3) to give a title compound 352 mg.

Example 16

(Method α-15)

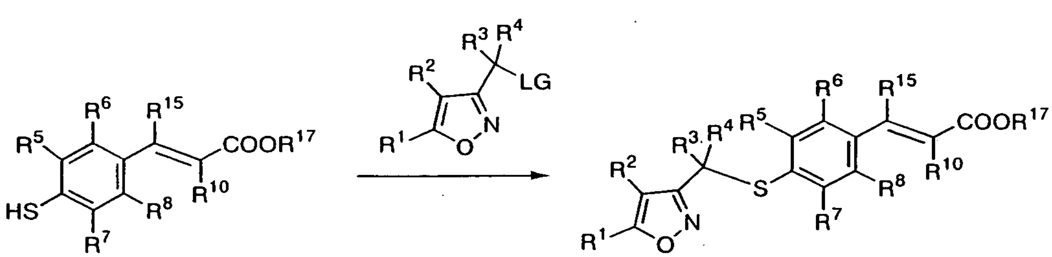


(Z)-3-[4-[4-ethoxymethyl-5-(4-trifluoromethoxyphenyl) isoxazole-3-yl methoxy]-3-fluoro phenyl]-2-fluoro acryl acid methylester (R^1 = TFMP, R^2 = CH2OEt, $R^3 = R^4 = R^6 = R^7 = R^8 = R^{15}$ = H, $R^5 = R^{10}$ = F, R^{17} = Me)

A mixture of (Z)-2-fluoro-3-(3-fluoro-4-hydroxyphenyl) acryl acid methylester (300 mg), 3-chloromethyl-4-ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole (450 mg), cesium carbonate (910 mg) and acetonitrile (20 ml) was stirred at 60°C for 17 hours. After cooling to room temperature, 2N hydrochloric acid was added thereto. The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography and eluted with ethyl acetate: n-hexane (1: 5) to give a title compound (240 mg).

Example 17

(α-16)



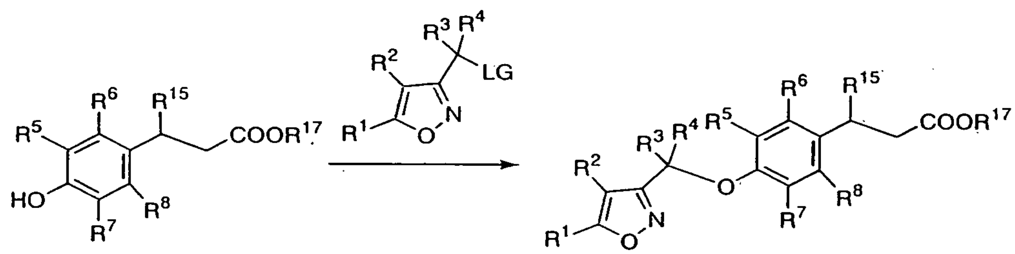
(Z)-3-[4-[4-Ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] phenyl]-2-fluoro acryl acid methylester (R^1 = TFMP, R2 = CH2OEt, $R^3 = R^4 = R^5 = R^6 =$

$R^7 = R^8 = R^{15} = H$, $R^{10} = F$, $R^{17} = Me$)

A mixture of 3-chloromethyl-4-ethoxymethyl-5-(4-trifluoromethyl phenyl) isoxazole (320 mg), (Z)-2-fluoro-3-(4-mercaptophenyl) acryl acid methylester (212 mg), cesium carbonate (391 mg) and acetonitrile (6 ml) was stirred at room temperature for 2 hours. The insoluble residue was filtrated and the filtrate was concentrated under reduced pressure. To the obtained residue was added water. The mixture was extracted with ethyl acetate. The organic layer was washed with water and saturated saline solution and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 6) to give a title compound (216 mg). The yield was 44%.

Example 18

(α-17)



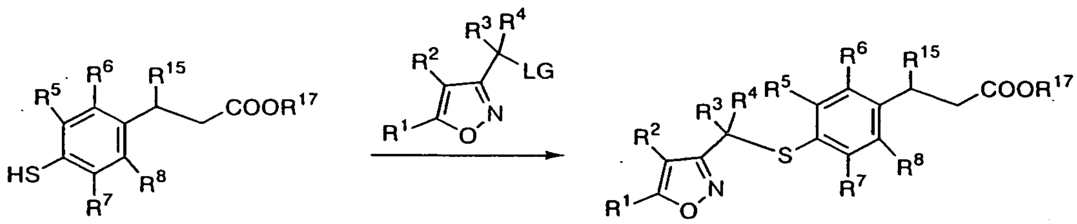
3-[4-[4-Ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-ylmethoxy]-3-methoxyphenyl] butyric acid methyl ester (R^1 = TFMP, R^2 = CH2OEt, $R^3 = R^4 = R^6 = R^7 = R^8 = H$, R^5 = OMe, R^{15} = Me, R^{17} = Me)

A mixture of 3-(4-hydroxy-3-methoxyphenyl) butyric acid methyl ester (420 mg), 3-chloromethyl-4-ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole (450 mg), cesium carbonate (1.5 g) and acetonitrile (7 ml) was stirred at 60°C for 3 hours. The reaction solution was added to a mixture of ethyl acetate (100 ml), 2N hydrochloric acid (10 ml) and water (50 ml). The organic layer was separated, washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with ethyl acetate : n-hexane (1 : 5) to give a title compound

739 mg.

Example 19

(α-18)

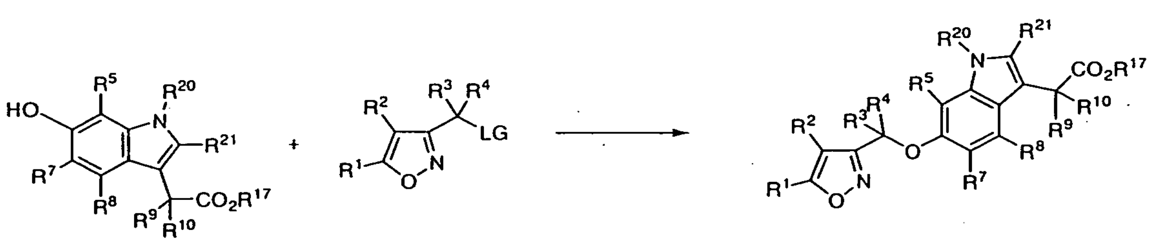


3-[4-[4-Ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-ylsulfanil]-3-methoxyphenyl] butyric acid methyl ester (R^1 = TFMP, R^2 = CH2OEt, $R^3 = R^4 = R^6 = R^7 = R^8$ = H, R^5 = OMe, R^{15} = Me, R^{17} = Me)

A mixture of 3-(4-mercapto-3-methoxyphenyl) butyric acid methyl ester (300 mg), 3-chloromethyl-4-ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole (382 mg), cesium carbonate (930 mg) and acetonitrile (6 ml) was stirred at room temperature for 2 hours. The reaction solution was poured to 0.5N hydrochloric acid (60 ml) and water (50 ml) and extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 4) to give a title compound (550 mg).

Example 20

(α-19)

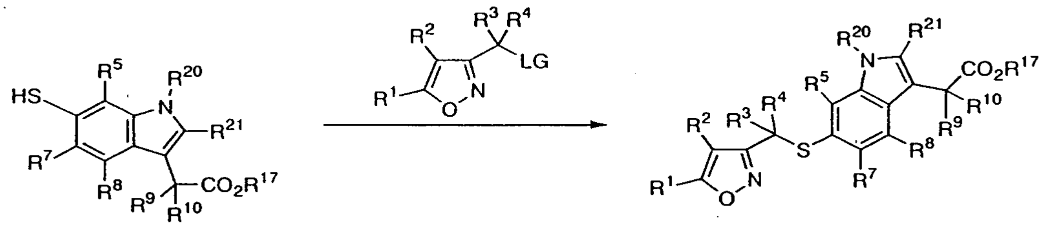


[6-[4-Ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methyloxy]-1-methyl-1H-indole -3-yl] acetic acid methyl ester (R^1 = TFMP, R^2 = CH2OEt, $R^3 = R^4 = R^5 = R^7 = R^8 = R^9 = R^{10} = R^{21}$ = H, R^{20} = Me, R^{17} = Me)

A mixture of [6-hydroxy-1-methyl-1H-indole-3-yl] acetic acid methyl ester (250 mg), 3-chloromethyl-4-ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole (401 mg), cesium carbonate (742 mg) and acetonitrile (5 ml) was stirred at 60 °C for 5 hours. To the reaction solution was added aqueous ammonium chloride. The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography and eluted with ethyl acetate: n-hexane (1: 4) to give a title compound (306 mg).

Example 21

(α-20)

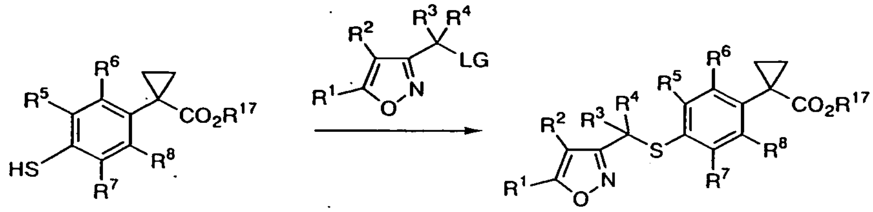


[6-[4-Ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] -1-methyl-1H-indole-3-yl] acetic acid methyl ester (R^1 = TFMP, R^2 = CH2OEt, R^3 = R^4 = R^5 = R^7 = R^8 = R^9 = R^{10} = R^{21} = H, R^{20} = Me, R^{17} = Me)

A mixture of 6-mercapto-1-methyl-1H-indole-3-yl) acetic acid methyl ester (190 mg), 3-chloromethyl-4-ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole (284 mg), cesium carbonate (526 mg) and acetonitrile (5 ml) was stirred at room temperature for 26 hours. To the reaction solution was added 2N hydrochloric acid and the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (418 mg).

Example 22

(α-21)

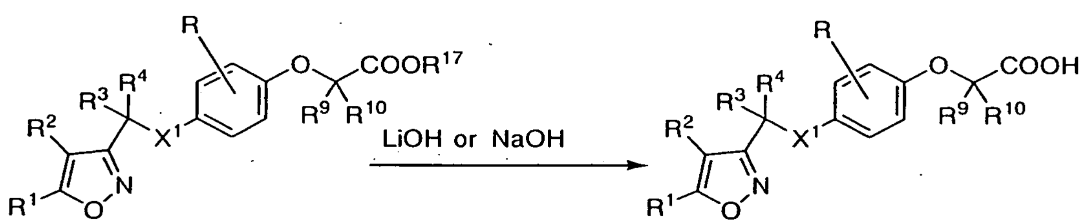


1-[4-[4-Ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] phenyl] cyclo propane carboxylic acid methyl ester (R^1 = TFMP, R^2 = CH2OEt, R^3 = R^4 = R^5 = R^6 = R^7 = R^8 = H, R^{17} = Me)

A mixture of 1-(4-mercaptophenyl)-1-cyclo propane carboxylic acid methyl ester (219 mg), 3-chloromethyl-4-ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole (300 mg), cesium carbonate (716 mg) and acetonitrile (5 ml) was stirred at room temperature for 16 hours. The insoluble residue was filtrated and the filtrate was concentrated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 10) to give a title compound (363 mg).

Example 23

(Method β-1)



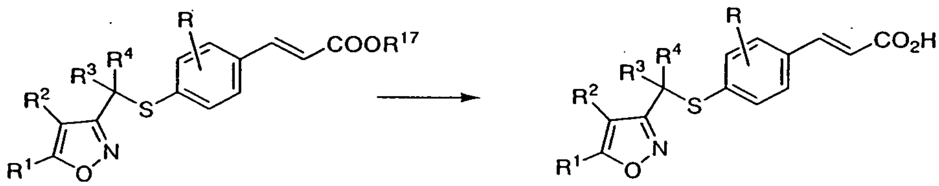
{2-Methyl-4-[5-(4-trifluoromethylphenyl)-isoxazole-3-yl methylsulfanil]-phenoxy}-acetic acid (R^1 = TFMP, R^2 = R^3 = R^4 = R^9 = R^{10} = H, R = 2-Me, X^1 = S, β-1-2)

{2-Methyl-4-[5-(4-trifluoromethyl phenyl)-isoxazole-3-yl methyl sulfanil]-phenoxy}-acetic acid ethyl ester (α-2-1, 226 mg) was dissolved in tetrahydrofuran (5 ml). 1M lithium hydroxide (1 ml) was added thereto and the

mixture was stirred at room temperature for 17 hours. Under ice cooling, 1M hydrochloric acid (1ml) was added. The solution was extracted with ethyl acetate, washed with brine and dried over magnesium sulfate anhydrous. The solvent was evaporated under reduced pressure to give a colorless solid. This was recrystallized from methanol - water to give a title compound (206 mg). The yield was 97 %.

Example 24

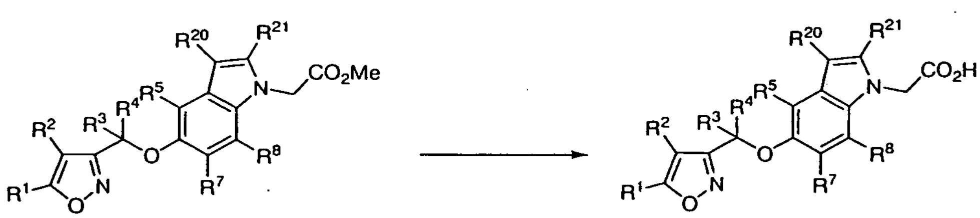
(Method β-2)



3-{3-Fluoro-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-ylmethoxy] phenyl} acrylic acid (10) (R^1 = TFMP, R^2 = Me, R^3 = R^4 = H, R = 3-F, X^1 = O, R^{17} = Me, β-2-15)

A mixture of 3-{3-fluoro-4-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-ylmethoxy] phenyl} acryl acid methylester (α-10-2-1, 0.79 g), 4N-LiOH (1.5 ml), water (3 ml) and THF (20 ml) was stirred at 55°C for 4.5 hours. The solvent was evaporated under reduced pressure and acidified with 2N-HCl. Precipitated crystals was washed with water and recrystallized from acetone to give a title compound (0.7 g). The yield was 91%

(Method β-3)

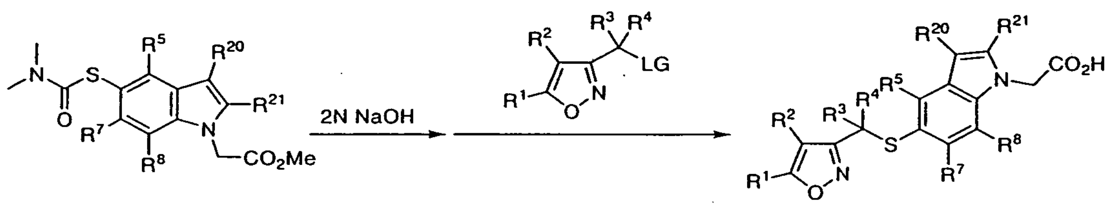


{5-[4-Methyl-5-(4-trifluoromethylphenyl) isoxazole-3-ylmethoxy] indole-1-yl} acetic acid (R^1 = TFMP, R^2 = Me, R^3 = R^4 = R^5 = R^7 = R^8 = R^{20} = R^{21} = H, β-3-1)

To {5-[4-methyl-5-(4-trifluoromethylphenyl) isoxazole-3-ylmethoxy] indole-1-yl}

acetic acid methyl ester (242 mg) in tetrahydrofuran (2.5 ml) - methanol (2.5 ml), was added 2N sodium hydroxide solution (0.41 ml) and the mixture was stirred at room temperature for 2 hours. To the reaction solution were added 2N hydrochloric acid (0.5 ml) and water. The mixture was extracted with ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was recrystallized by a mixed solvent of acetone - hexane to give a title compound (203 mg). The yield was 87 %.

(Method β-4)

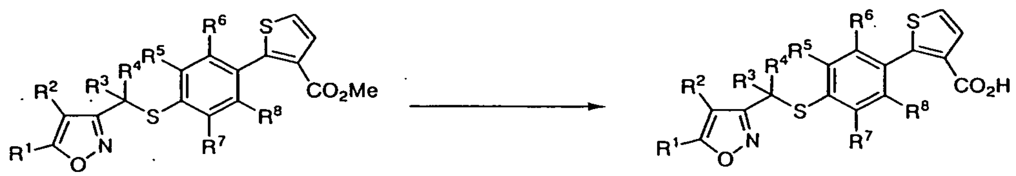


{5-[4-Methyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] indole-1-yl} acetic acid (R^1 = TFMP, R^2 = Me, $R^3 = R^4 = R^5 = R^7 = R^8 = R^{20} = R^{21}$ = H, β-4-1)

(5-Dimethyl carbamoyl sulfanilindole-1-yl) acetic acid methyl ester (220 mg) in methanol (5 ml) was added 2N sodium hydroxide solution (3 ml) and the mixture was refluxed under heating for 8 hours. To the reaction solution were added 2N hydrochloric acid and water. The mixture was extracted with ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. To the resulting residue (177 mg) in acetonitrile (5 ml) were added 3-chloromethyl-4-methyl-5-(4-trifluoromethylphenyl)-isoxazole (207mg) and cesium carbonate (290 mg). The mixture was stirred at 60 °C for 1 hour 30 minutes. To the reaction solution were added 2N hydrochloric acid and water. The mixture was extracted with ethyl acetate. The organic layer was washed with brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with chloroform: methanol (20: 1) and recrystallized from a mixed solvent of acetone -

hexane to give a title compound (50 mg). The yield was 15 %.

(Method β-5)

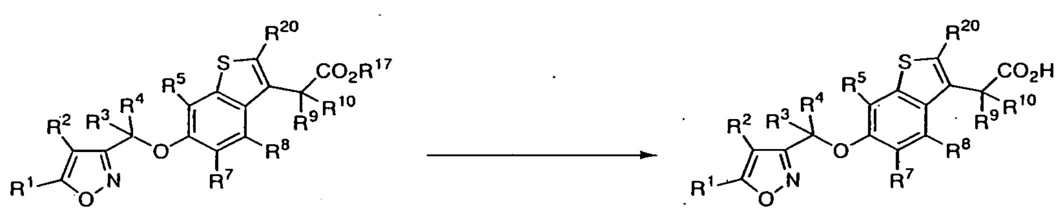


2-{4-[4-Methyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] phenyl} thiophene-3-carboxylic acid (R^1 = TFMP, R^2 = Me, $R^3 = R^4 = R^5 = R^6 = R^7 = R^8$ = H, β-5-1)

2-{4-[4-Methyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] phenyl} thiophene-3-carboxylic acid methyl ester (347 mg) in tetrahydrofuran (7 ml) - methanol (3.5 ml) was added 2N sodium hydroxide solution (0.43 ml) at room temperature and the mixture was stirred for 2 hours. To the reaction solution was added 2N sodium hydroxide solution (0.1 ml) and the mixture was stirred at 60 °C for 1 hour 30 minutes. After cooling, 2N hydrochloric acid (1.5 ml) and water (20 ml) were added to the reaction mixture. Precipitated crystals were filtrated, washed with water and dried. The obtained crude crystals were recrystallized from a mixed solvent of acetone - hexane to give a title compound (289 mg). The yield was 86 %.

Example 25

(Method β-6)



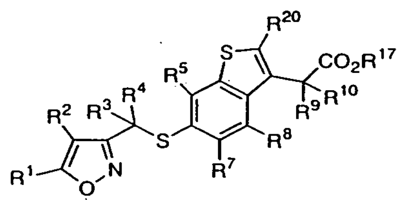
[6-[4-(Ethoxyiminomethyl)-5-(4-trifluoromethylphenyl) isoxazole-3-ylmethoxy]-7-methylbenzo [b] thiophene-3-yl] acetic acid (R^1 = TFMP, R^2 = CH = NOEt, $R^3 = R^4 = R^7 = R^8 = R^9 = R^{10} = R^{20}$ = H, R^5 = Me)

A mixture of [6-[4-(ethoxyiminomethyl)-5-(4-trifluoromethylphenyl) isoxazole-3-yl methoxy]-7-methylbenzo [b] thiophene-3-yl] acetic acid ethyl ester (R^{17} =

Et, 393 mg), 4N lithium hydroxide (0.4 ml), water (1.2 ml), methanol (4 ml) and tetrahydrofuran (4 ml) was stirred at room temperature for 8 hours. The solvent was evaporated under reduced pressure. To the residue was added 1N hydrochloric acid. After filtrating precipitated crystals, the residue was subjected to silica gel column chromatography eluting with ethyl acetate : n-hexane (3 : 1) to give a title compound (355 mg). The yield was 95 %.

Example 26

(β-7)

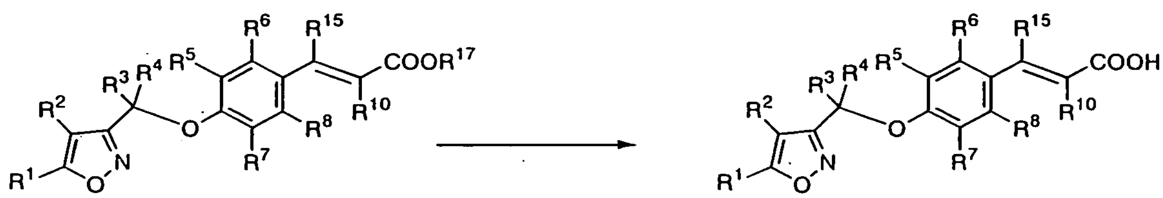


[6-[4-eEthoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfamoyl]-7-methylbenzo [b] thiophene-3-yl] acetic acid (R^1 = TFMP, R^2 = CH2OEt, $R^3 = R^4 = R^7 = R^8 = R^9 = R^{10} = R^{20}$ = H, R^5 = Me)

A mixture of [6-[4-ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfamoyl]-7-methylbenzo [b] thiophene-3-yl] acetic acid methyl ester (R^{17} = Me, 350 mg), 4N lithium hydroxide (0.33 ml), water (1 ml), methanol (4 ml) and tetrahydrofuran (4 ml) was stirred at room temperature for 1.5 hours. Under ice cooling, 1N hydrochloric acid was added thereto. Precipitated crystals were filtrated. The obtained crystal was recrystallized from a mixed solvent of ethyl acetate and n-hexane to give a title compound (310 mg).

Example 27

(Method β-8)

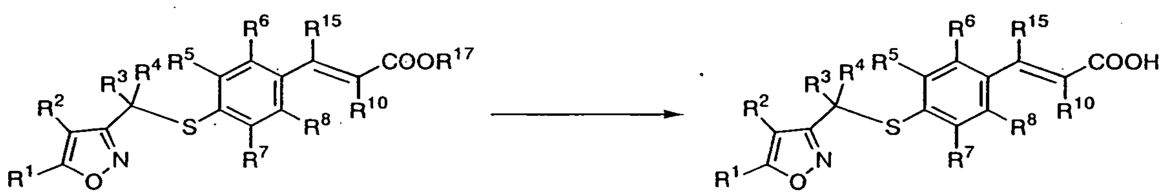


(Z)-3-[4-[4-Ethoxymethyl-5-(4-trifluoromethoxyphenyl) isoxazole-3-yl methoxy]-3-fluoro phenyl]-2-fluoro acrylic acid (R^1 = TFMP, R^2 = CH2OEt, R^3 = R^4 = R^6 = R^7 = R^8 = R^{15} = H, R^5 = R^{10} = F)

A mixture of (Z)-3-[4-[4-ethoxymethyl-5-(4-trifluoromethoxyphenyl) isoxazole-3-yl methoxy]-3-fluorophenyl]-2-fluoro acryl acid methylester (R^{17} = Me, 240 mg), 4N lithium hydroxide (1.4 ml), methanol (2 ml) and tetrahydrofuran 2 ml was stirred at room temperature for 1.5 hours. 2N hydrochloric acid was added thereto and the mixture was extracted with ethyl acetate. The organic layer was washed with water and saturated saline solution and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was recrystallized from a mixed solvent of ethyl acetate: n-hexane to give a title compound (210 mg).

Example 28

(β-9)



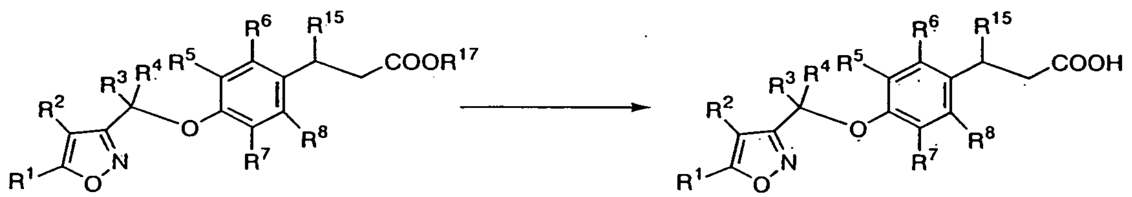
(Z)-3-[4-[4-Ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methylsulfanil] phenyl] -2-fluoro acrylic acid (R^1 = TFMP, R^2 = CH2OEt, R^3 = R^4 = R^5 = R^6 = R^7 = R^8 = R^{15} = H, R^{10} = F)

A mixture of (Z)-3-[4-[4-ethoxymethyl-5-(4-trifluoromethyl phenyl) isoxazole-3-yl methyl sulfanil] phenyl]-2-fluoro acryl acid methylester (R^{17} = Me, 200 mg), 4N lithium hydroxide (0.11 ml), water (0.33 ml), methanol (2 ml) and tetrahydrofuran (3 ml) was stirred at room temperature for 30 minutes. After removal pf the solvent under reduced pressure, water and 1N hydrochloric acid were successively added to the residue. The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue

was recrystallized from a mixed solvent of acetone - isopropyl ether to give a title compound (150 mg). The yield was 77 %.

Example 29

(β-10)

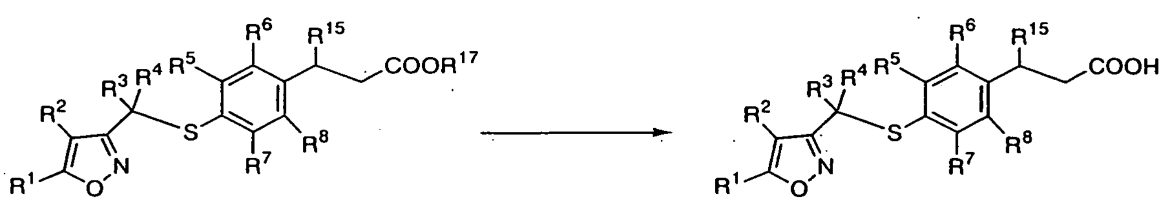


3-[4-[4-Ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-ylmethoxy]-3-methoxy phenyl] butyric acid (R^1 = TFMP, R^2 = CH2OEt, $R^3 = R^4 = R^6 = R^7 = R^8$ = H, R^5 = OMe, R^{15} = Me)

A mixture of 3-[4-[4-ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl methoxy] -3-methoxy phenyl] butyric acid methyl ester (R^{17} = Me, 739 mg), 4N lithium hydroxide (1ml), tetrahydrofuran (10 ml) and water (5 ml) was stirred at room temperature for 16 hours. To the reaction solution were added water (50 ml) and 2N hydrochloric acid (20 ml). The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was subjected to silica gel column chromatography eluting with chloroform: methanol (30 : 1) to give a title compound (363 mg).

Example 30

(β-11)



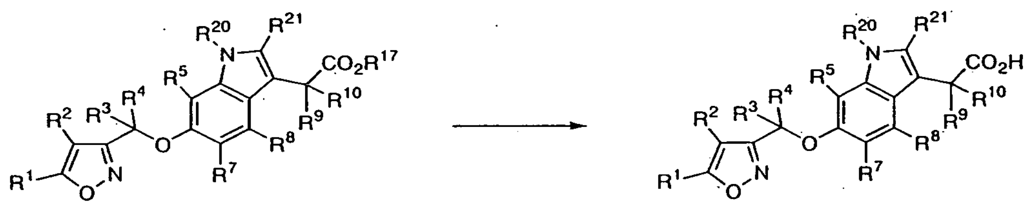
3-[4-[4-Ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-ylsulfanil]-3-methoxy phenyl] butyric acid (R^1 = TFMP, R^2 = CH2OEt, $R^3 = R^4 = R^6 = R^7 = R^8$ = H, R^5 =

OMe, R^{15} = Me)

A mixture of 3-[4-[4-ethoxymethyl-5-(4-trifluoromethylphenyl) isoxazole-3-yl sulfanil]-3-methoxy phenyl] butyric acid methyl ester (R^{17} = Me, 550 mg), 4N lithium hydroxide (2.3 ml), tetrahydrofuran (4 ml) and methanol (6 ml) was stirred at room temperature for 3 hours. To the reaction solution were added water (30 ml) and 2N hydrochloric acid (6 ml). The mixture was extracted with ether. The organic layer was washed with water and brine and dried over magnesium sulfate. After removal of the solvent under reduced pressure, the residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (1: 1). The obtained crude product was recrystallized from a mixed solvent of ethyl acetate - n-hexane to give a title compound (130 mg).

Example 31

(β-12)

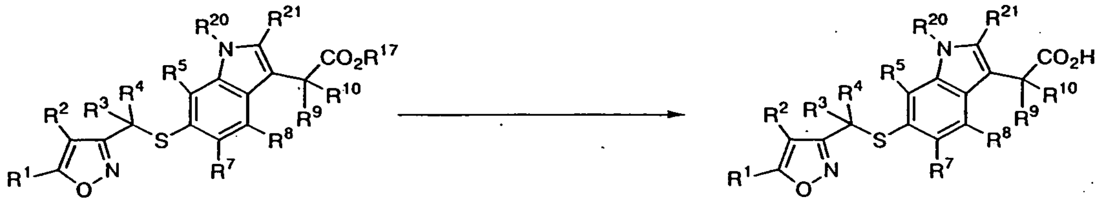


[6-[4-Ethoxymethyl-5-(4-trifluoromethyl phenyl) isoxazole-3-yl methyl oxy]-1-methyl-1H-indole-3-yl] acetic acid (R^1 = TFMP, R^2 = CH2OEt, R^3 = R^4 = R^5 = R^7 = R^8 = R^9 = R^{10} = R^{21} = H, R^{20} = Me)

A mixture of [6-[4-ethoxymethyl-5-(4-trifluoromethyl phenyl) isoxazole-3-yl methyl oxy]-1-methyl-1H-indole-3-yl] acetic acid methyl ester (R^{17} = Me, 300 mg), 4N lithium hydroxide (0.3 ml), tetrahydrofuran (6 ml) and methanol (3 ml) was stirred at room temperature for 16 hours. After addition of 2N hydrochloric acid, the mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with chloroform: methanol (25: 1). The obtained crude product was recrystallized from ethyl acetate - n-hexane to give a title compound (169 mg).

Example 32

(β-13)

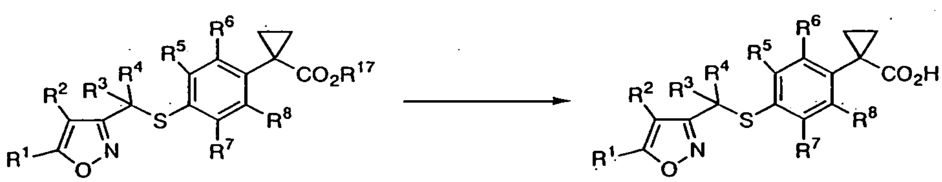


[6-[4-Ethoxymethyl-5-(4-trifluoromethyl phenyl) isoxazole-3-yl methyl sulfanil]-1-methyl-1H-indole-3-yl] acetic acid (R^1 = TFMP, R^2 = CH2OEt, $R^3 = R^4 = R^5 = R^7 = R^8 = R^9 = R^{10} = R^{21}$ = H, R^{20} = Me)

A mixture of [6-[4-ethoxymethyl-5-(4-trifluoromethyl phenyl) isoxazole-3-yl methyl sulfanil]-1-methyl-1H-indole-3-yl] acetic acid methyl ester (R^{17} = Me, 437 mg), 4N lithium hydroxide, tetrahydrofuran (9.6 ml) and methanol (4.8 ml) was stirred for 4.5 hours. To the reaction solution was added 2N hydrochloric acid. The mixture was extracted with ethyl acetate. The organic layer was washed with water and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The residue was subjected to silica gel column chromatography eluting with ethyl acetate: n-hexane (2: 1). The obtained crude product was recrystallized from a mixed solvent of ethyl acetate - n-hexane to give a title compound (217 mg).

Example 33

(β-14)



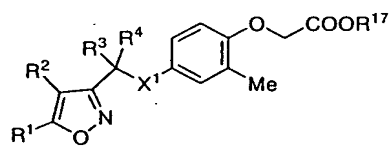
1-[4-[4-Ethoxymethyl-5-(4-trifluoromethyl phenyl) isoxazole-3-yl methyl sulfanil] phenyl] cyclo propane carboxylic acid (R^1 = TFMP, R^2 = CH2OEt, $R^3 = R^4 = R^5 = R^6 = R^7 = R^8$ = H).

A mixture of 1-[4-[4-ethoxymethyl-5-(4-trifluoromethyl phenyl) isoxazole-3-yl methyl sulfanil] phenyl] cyclo propane carboxylic acid methyl ester (R^{17} = Me, 363 mg), 4N lithium hydroxide water solution (0.42 ml), tetrahydrofuran (5 ml) and methanol

(10 ml) was stirred at room temperature for 16 hours. After addition of 2N hydrochloric acid, the mixture was extracted with ethyl acetate. The organic layer was washed with aqueous sodium hydrogencarbonate solution and brine and dried over magnesium sulfate. The solvent was evaporated under reduced pressure to give a title compound (200 mg).

The following compounds synthesized as well as the above were included in the present invention. Additionally, Table 74 continued to Table 75. Table 79 continued to Table 80 - 81. Table 83 continued to Table 84 - 87. Table 88 continued to Table 89 - 93. Table 94 continued to Table 95 - 98. Table 99 continued to Table 100 and 101. Table 102 continued to Table 103 - 105. Table 106 continued to Table 107 and 108. Table 109 continued to Table 110. Table 111 continued to Table 112 - 114. Table 115 continued to Table 116. Table 117 continued to Table 118 - 120. Table 122 continued to Table 123. Table 125 continued to Table 126. Table 127 continued to Table 128 - 131. Table 132 continued to Table 133 - 136. Table 137 continued to Table 138 - 144. Table 145 continued to Table 146 - 152. Table 153 continued to Table 154. Table 155 continued to Table 156. Table 160 continued to Table 161. Table 162 continued to Table 163.

Table 73



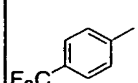
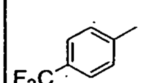
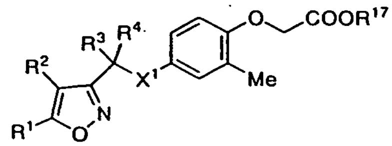
No	Synthetic method	R1	R2	X1	R3,R4	R17	mp	NMR(CDCl3 or DMSO-d6)
α-1-2	α-1		Me	O	H,H	Me	oil	2.29(3H,s),2.32(3H,s),3.80(3H,s),4.61(2H,s)5.13(2H,s),6.67(1H,d,J=9.0Hz),6.79(1H,dd,J=9.0,2.7Hz),6.86(1H,d,J=2.7Hz),7.75(2H,d,J=8.1Hz),7.84(2H,J=8.1Hz)
α-1-3	α-1		Me	O	Me,Me	Me	oil	1.76(6H,s),2.20(3H,s),2.37(3H,s),3.78(3H,s),4.56(2H,s),6.49-6.50(2H,m), 6.67(1H,m),7.75(2H,dJ=8.1Hz),7.84(2H,d,J=8.1Hz)

Table 74



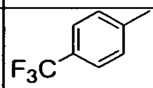
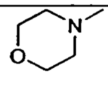
No	Synthetic method	R1	R2	X1	R3,R4	R17	mp	NMR(CDCl3 or DMSO-d6)
α-2-2	α-2		Me	S	H,H	Et	63-64	1.29(3H,t,J=7.2Hz),2.23(3H,s),2.24(3H,s),4.03(2H,s),4.25(2H,q,J=7.2Hz),4.61(2H,s)6.61(1H,d,J=8.4Hz),7.18(1H,dd,J=8.4,2.1Hz),7.23(1H,J=2.1Hz),7.74(2H,d,J=8.1Hz),7.82(2H,d,J=8.1Hz)
α-2-4	α-2		Me	S	H,H	Et	58-59	1.30(3H,t,J=7.2Hz),1.91(3H,s)2.25(3H,s),3.34(4H,t,J=4.8Hz),3.79(4H,t,J=4.8Hz),3.87(2H,s),4.26(2H,q,J=7.2Hz),4.61(2H,s),6.62(1H,d,J=8.4Hz),7.71-7.22(2H,m)

Table 75

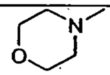
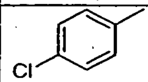
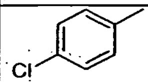
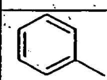
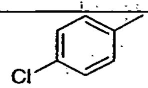
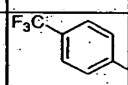
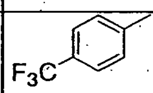
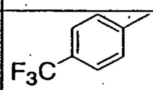
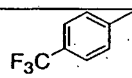
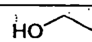
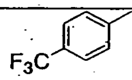
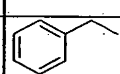
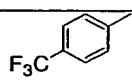
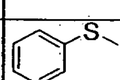
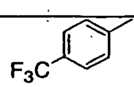
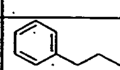
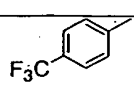
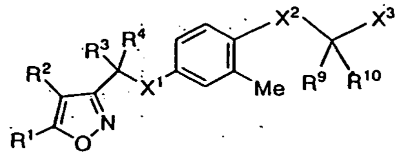
No	Synthetic method	R1	R2	X1	R3,R4	R17	mp	NMR(CDCl3 or DMSO-d6)
α-2-5	α-2		Me	O	H,H	Me	112-113	1.99(3H,s)2.27(3H,s),3.37(4H,t,J=4.8Hz),3.78-3.81(4H,m),4.60(2H,s),4.93(2H,s),6.65(1H,d,J=8.7Hz),6.76(1H,dd,J=8.7,3.0Hz),6.83(1H,dJ=3.0Hz)
α-2-6	α-2		Me	S	H,H	Et	oil	1.28(3H,t,J=7.2Hz),2.19(3H,s),2.24(3H,s),4.01(2H,s),4.25(2H,q,J=7.2Hz),4.61(2H,s)6.61(1H,d,J=8.7Hz),7.18(1H,dd,J=8.4,2.4Hz),7.22(1H,J=2.4Hz),7.46(2H,d,J=8.4Hz),7.63(2H,d,J=8.4Hz)
α-2-7	α-2			S	H,H	Et	oil	1.29(3H,t,J=7.2Hz),2.22(3H,s),3.93(3H,s),4.25(2H,q,J=7.2Hz),4.61(2H,s)6.58(1H,d,J=9.0Hz),7.12-7.14(2H,m),7.26-7.32(5H,m),7.42-7.45(4H,m)
α-2-8	α-2			S	H,H	Et	oil	1.29(3H,t,J=7.2Hz),2.21(3H,s),3.93(3H,s),4.25(2H,q,J=7.2Hz),4.61(2H,s)6.57(1H,d,J=8.1Hz),7.07-7.12(2H,m),7.29-7.46(6H,m),7.70(2H,d,J=8.1Hz)
α-2-9	α-2		Me	S	H,Et	Et	oil	1.07(3H,t,J=7.5Hz),1.28(3H,t,J=7.2Hz),1.98-2.17(2H,m),2.21(3H,s),2.26(3H,s),4.03(1H,dd,J=8.4,7.5Hz),4.24(2H,q,J=7.2Hz),4.60(2H,s),6.57(1H,d,J=8.1Hz),7.09-7.14(2H,m),7.74(2H,dJ=8.4Hz),7.81(2H,d,J=8.4Hz)
α-2-10	α-2		Me	S	H, 4-F-C6H4	Et	oil	1.28(3H,t,J=7.2Hz),2.09(3H,s),2.20(3H,s),4.22(2H,q,J=7.2Hz),4.60(2H,s),5.28(1H,s),6.55(1H,d,J=8.4Hz),6.95-7.03(2H,m),7.06-7.14(2H,m),7.32-7.38(2H,m),7.73(2H,dJ=8.4Hz),7.80(2H,d,J=8.4Hz)
α-2-11	α-2			S	H,H	Et	oil	1.28(3H,t,J=7.2Hz),2.23(3H,s),4.11(2H,s),4.24(2H,q,J=7.2Hz),4.61(2H,s),4.66(2H,s),6.60(1H,d,J=8.4Hz),7.15(1H,dd,J=8.4,2.4Hz),7.22(1H,d,J=2.4Hz),7.77(2H,d,J=8.1Hz),796(2H,d,J=8.1Hz)
α-2-12	α-2			S	H,H	Et	oil	1.29(3H,t,J=6.9Hz),2.23(3H,s),3.82(2H,s),4.10(2H,s),4.25(2H,q,J=6.9Hz),4.61(2H,s),6.60(1H,d,J=8.4Hz),7.11-7.73(7H,m),7.68(2H,d,J=8.1Hz),7.76(2H,d,J=8.1Hz)
α-2-13	α-2			S	H,H	Et	oil	1.29(3H,t,J=7.2Hz),2.23(3H,s),3.96(2H,s),4.25(2H,q,J=7.2Hz),4.60(2H,s),6.59(1H,d,J=8.1Hz),7.07-7.28(7H,m),7.70(2H,d,J=9.0Hz),8.22(2H,d,J=9.0Hz)
α-2-14	α-2	Me	I	S	H,H	Et	53-54	1.29(3H,t,J=7.2Hz),2.24(3H,s),2.44(3H,s),3.92(2H,s),4.26(2H,q,J=7.2Hz),4.61(2H,s),6.61(1H,d,J=8.4Hz),7.17(1H,dd,J=8.4,2.4Hz),7.19(1H,d,J=2.4Hz)
α-2-15	α-2			S	H,H	Et	oil	1.29(3H,t,J=7.2Hz),2.25(3H,s),2.92-2.99(4H,m),3.79(2H,s),4.26(2H,q,J=7.2Hz),4.61(2H,s),6.61(1H,d,J=8.4Hz),7.09-7.26(7H,m),7.70(4H,s)
α-2-16	α-3		OHC-	S	H,H	tBu	oil	1.47(9H,s),2.24(3H,s),4.28(2H,s),4.51(2H,s),6.60(1H,d,J=8.4Hz),7.18-7.24(2H,m),7.84(2H,d,J=8.7Hz),8.03(2H,d,J=8.7Hz),10.10(1H,d,J=0.6Hz)

Table 76



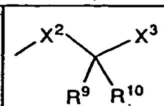
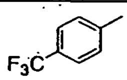
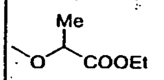
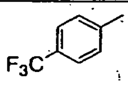
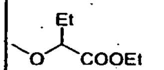
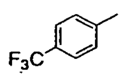
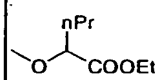
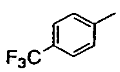
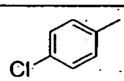
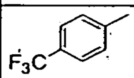
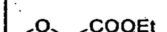
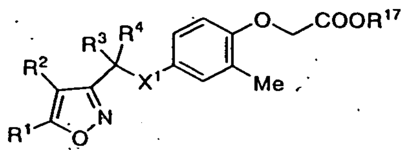
No	Synthetic method	R1	R2	X1	R3,R4		mp	NMR(CDCl3 or DMSO-d6)
α-2-17	α-2		Me	S	H,H		oil	1.23(3H,t,J=7.2Hz),1.66(3H,d,J=6.9Hz),2.22(3H,s),4.02(2H,s),4.20(2H,q,J=7.7Hz),4.71(1H,q,J=6.9Hz),6.79(2H,d,J=9.0Hz),7.33(2H,d,J=9.0Hz),7.74(2H,d,J=8.1Hz),7.82(2H,d,J=8.1Hz)
α-2-18	α-2		Me	S	H,H		oil	1.06(3H,t,J=7.2Hz),1.23(3H,t,J=7.2Hz),1.93-2.02(2H,m),2.22(3H,s),4.03(2H,s),4.16-4.23(2H,m),4.51(1H,t,J=6.3Hz),6.80(2H,d,J=9.0Hz),7.32(2H,d,J=9.0Hz),8.13(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz)
α-2-19	α-2		Me	S	H,H		oil	0.97(3H,t,J=7.2Hz),1.23(3H,t,J=7.2Hz), 1.48-1.57(2H,m),1.86-1.96(2H,m),2.22(3H,s),4.02(2H,s),4.19(2H,q,J=7.2Hz),4.54-4.58(1H,m),6.79(2H,d,J=9.0Hz),7.32(2H,d,J=9.0Hz),7.74(2H,d,J=8.1Hz),7.81(2H,d,J=8.1Hz)
α-2-20	α-2		Me	S	H,nPr		oil	0.90(3H,t,J=7.2Hz),1.27(3H,t,J=7.2Hz),1.55-1.62(2H,m),2.22(3H,s),2.59(2H,t,J=7.5Hz),4.02(2H,s),4.24(2H,q,J=7.2Hz),4.61(2H,s),6.62(1H,d,J=8.1Hz),7.17-7.22(2H,m),7.74(2H,d,J=8.3Hz),7.81(2H,d,J=8.
α-2-21	α-2		Br	S	H,H		55-57	1.29(3H,t,J=7.2Hz),2.24(3H,s),4.02(2H,s),4.25(2H,q,J=7.2Hz),4.61(2H,s),6.61(1H,d,J=8.4Hz),7.19-7.26(2H,m),7.48(2H,d,J=9.0Hz),7.98(2H,d,J=9.
α-2-22	α-2		Br	S	H,H			1.30(3H,t,J=7.2Hz),2.25(3H,s),4.04(2H,s),4.25(2H,q,J=7.2Hz),4.61(2H,s),6.62(1H,d,J=8.4Hz),7.19-7.22(2H,m),7.77(2H,d,J=9.0Hz),8.16(2H,d,J=9

Table 77



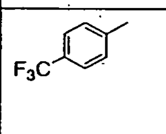
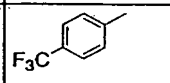
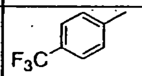
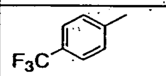
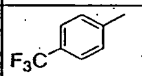
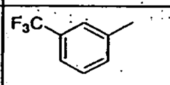
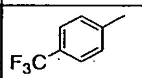
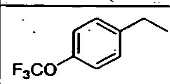
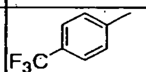
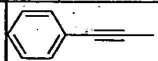
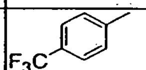
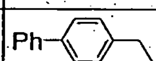
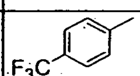
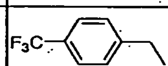
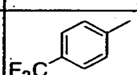
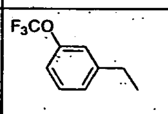
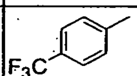
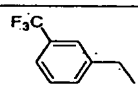
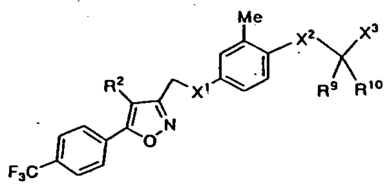
No	Synthetic method	R1	R2	X1	R3,R4	R17	mp	NMR(CDCl3 or DMSO-d6)
α-3-1	α-3	Me		S	H,H	Et	oil	1.30(3H,t,J=7.2Hz),2.21(3H,s),2.40(3H,s),3.98(2H,s),4.26(2H,q,J=7.2Hz),4.61(2H,s),6.56(1H,d,J=8.4Hz),7.06-7.12(2H,m),7.41(2H,d,J=8.1Hz),7.68(2H,d,J=8.1Hz)
α-3-2	α-3	Me		O	H,H	Me	105-107	2.25(3H,s),2.48(3H,s),3.78(3H,s),4.59(2H,s),5.01(2H,s),6.61-6.72(3H,m),7.50(2H,d,J=8.4Hz),7.68(2H,d,J=8.4Hz)
α-3-3	α-3			S	H,H	Et	oil	1.28(3H,t,J=7.2Hz),2.21(3H,s),3.94(2H,s),4.25(2H,q,J=7.2Hz),4.61(2H,s),6.57(1H,d,J=8.4Hz),6.90(1H,d,J=9.0Hz),7.07-7.12(2H,m),7.43(3H,m),7.56(2H,s),7.72(2H,d,J=8.4Hz)
α-3-4	α-3			S	H,H	Et	oil	1.29(3H,t,J=7.2Hz),2.21(3H,s),3.95(2H,s),4.25(2H,q,J=7.2Hz),4.61(2H,s),6.58(1H,d,J=9.0Hz),7.09(2H,m),7.51-7.74(8H,m)
α-3-5	α-3			S	H,H	Et	oil	1.29(3H,t,J=7.2Hz),2.23(3H,s),3.83(2H,s),4.12(2H,s),4.25(2H,q),4.61(2H,s),6.59(1H,d,J=8.4Hz),7.09-7.14(6H,m),7.71-7.72(4H,m)
α-3-6	α-3			S	H,H	Et	oil	1.28(3H,t,J=7.2Hz),2.19(3H,s),4.13(2H,s),4.24(2H,q,J=7.2Hz),4.56(2H,s),6.58(1H,d,J=8.4Hz),7.23(3H,m),7.41-7.42(2H,m),7.52-7.55(2H,m),7.77(2H,d,J=9.0Hz),8.30(2H,d,J=9.0Hz)
α-3-7	α-3			S	H,H	Et		Rf=0.34 (EtOAc:Hexane=1:3 Merck silica gel)
α-3-8	α-3			S	H,H	Et	oil	1.29(3H, t, J=7.2 Hz), 2.22(3H, s), 3.83(2H, s), 4.15(2H, s), 4.25(2H, q, J=7.2 Hz), 4.61(2H, s), 6.59(1H, d, J=7.8Hz), 7.09-7.12(2H, m), 7.23(2H, d, J=8.1Hz), 7.55(2H, d, J=8.1Hz), 7.71(4H, s)
α-3-9	α-3			S	H,H	Et	oil	1.29(3H,t,J=6.9Hz),2.23(3H,s),3.84(2H,s),4.15(2H,s),4.25(2H,q,J=7.2Hz),4.61(2H,s),6.60(1H,d,J=8.1Hz),6.99-7.14(5H,m),7.29-7.35(1H,m),7.70-7.71(4H,m)
α-3-10	α-3			S	H,H	Et	oil	1.29(3H,t,J=7.2Hz),2.23(3H,s),3.83(2H,s),4.14(2H,s),4.25(2H,q,J=7.2Hz),4.61(2H,s),6.60(1H,d,J=8.4Hz),7.09-7.13(2H,m),7.29-7.53(4H,m),7.71(4H,s)

Table 78



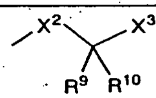
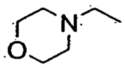
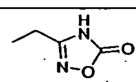
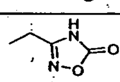
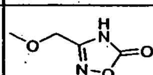
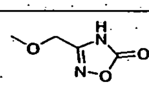
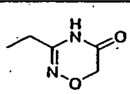
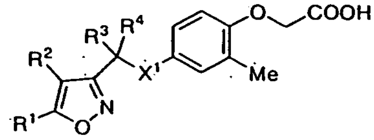
No	Synthetic method	R2	X1		mp	NMR(CDCl3 or DMSO-d6)
α-4-1	α-4	nBuNHCH2-	S	OCH2COOtBu		0.93(3h,t,J=7.5Hz),1.33-1.60(13H,m),2.24(3H,s),2.69 (2H,t,J=6.9Hz), 3.73(2H,s),4.12(2H,s),4.50(2H,s), 6.59 (1H,d,J=8.4Hz),7.15(1H,dd,J=8.4,2.1Hz), 7.21(1H, d,
α-4-2	α-4		S	OCH2COOEt		1.29(3H,t,J=7.2Hz),2.25(3H,s),2.44(4H,m),3.54(2H,s),3.68(4H,m), 4.19(2H,q,J=7.2Hz),4.19(2H,s),4.25(2H, q, J=7.2 Hz),4.61(2H,s),6.61 (1H,d,J=8.4Hz), 7.18(1H, dd, J=8.4,2.1Hz),7.22(1H,m), 7.75(2H,d,
α-5-1	α-5	-CH2OMe	S	OCH2COOH	105-107	2.24(3H,s), 3.43(3H,s),4.12(2H,s), 4.46(2H,s),4.66 (2H,s), 6.65(1H,d, J=8.5Hz),7.18-7.24(2H,m),7.76(2H, d,J=8.7Hz),7.88(2H,d,J=8.7Hz)
α-6-3-1	α-6	Me	CH2CO	OCH2COOMe	133-134	2.26(3H,s),2.33(3H,s),3.08(2H,t,J=7.5Hz),3.50(2H,t,J=7.5Hz),6.72(1H,d,J=9.0Hz)),7.72-7.87(6H,m).
α-6-4-1	α-6	Me	CH2CO	OCH2COOH	191-194	2.27(3H,s),2.34(3H,s),3.08(2H,t,J=7.2Hz),3.50(2H,t,J=7.2Hz),4.72(2H,s),6.77(1H,d,J=9.0Hz),7.73-7.88(6H,m).
α-7-2-1	α-7	Me	S	CH2C(=NH)NHOH		MS m/e 452 (MH+)
α-7-2-2	α-7	Me	O	CH2C(=NH)NHOH	152-154	2.32(6H,s),3.42(2H,s),5.17(2H,s),6.8-6.90(2H,m),7.14(1H,d,J=7.8Hz),7.75(2H,d,J=8.1Hz),7.84(2H,d,J=8.1Hz) MS m/e 420 (MH+)
α-7-3-1	α-7	Me	S		203-204.5	2.29(3H,s),2.31 (3H,s), 3.83(2H,s),4.06(2H,s),7.11-7.22(3H,m), 7.76(2H,d,J=8.6Hz),7.82
α-7-3-2	α-7	Me	O		190-192	2.33(6H,s),3.80(2H,s),5.18(2H,s),6.86(2H,m),7.15(1H,d,J=8.1Hz),7.77(2H,d,J=8.7Hz),7.87(2H,d,J=8.7Hz)
α-7-3-3	α-7	Me	S		156.5-158.5	2.18(3H,s),2.28(3H,s),4.01(2H,s),4.97(2H,s),6.75(1H,d,J=8.4Hz),7.19-7.21(2H,m),7.74(2H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz),9.93(1H,br)
α-7-3-4	α-7	Me	O		163-165	2.24(3H,s),2.32(3H,s),4.96(2H,s),5.14(2H,s),6.80-6.88(3H,m),7.75(2H,d,J=8.6Hz),7.84(2H,d,J=8.6Hz)
α-7-4-1	α-7	Me	O		166.5-168.5	2.32(3H,s), 2.34(3H,s), 3.68(2H,s),4.18(2H,s),5.19(2H,s),6.87-6.90(2H, m),7.12(1H,d, J=8.1Hz), 7.24 (1H,br),7.75(2H,d,J=8.4Hz), 7.85(2H, d, J=8.4Hz)

Table 79



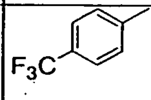
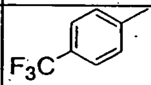
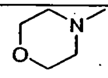
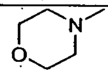
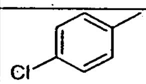
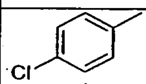
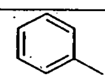
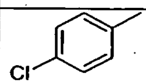
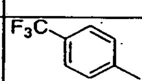
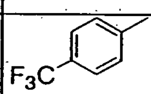
No	Synthetic method	R1	R2	X1	R3,R4	mp	NMR(CDCl3 or DMSO-d6)
β-1-3	β-1		Me	S	H,H	129-131	2.24(3H,s),2.25(3H,s),4.04(2H,s),4.67(2H,s),6.65(1H,d,J=8.1Hz),7.18-7.23(2H,m),7.74(2H,d,J=8.1Hz),7.82(2H,d,J=8.1Hz)
β-1-4	β-1		Me	O	H,H	136-138	2.28(3H,s),2.31(3H,s)4.62(2H,s),5.13(2H,s),6.71(1H,d,J=9.0),6.80(1H,dd,J=9.0,2.7Hz),6.87(1H,d,J=2.7Hz),7.75(2H,d,J=8.1Hz),7.84(2H,d,J=8.1Hz)
β-1-6	β-1		Me	S	H,H	134-136	1.88(3H,s)2.15(3H,s),3.24-3.27(4H,m),3.67(4H,t,J=4.8Hz),3.94(2H,s),4.69(2H,s),6.77(1H,d,J=8.4Hz)7.15-7.21(2H,m),13.00(1H,brs)
β-1-7	β-1		Me	O	H,H	126-127	1.94(3H,s)2.17(3H,s),3.28-3.32(4H,m),3.67-3.70(4H,m),4.61(2H,s),4.90(2H,s),6.72-6.86(3H,m)12.89(1H,brs)
β-1-8	β-1		Me	S	H,H	157-159	2.21(3H,s),2.24(3H,s),4.02(2H,s),4.66(2H,s),6.65(1H,d,J=8.4Hz),7.20(1H,dd,J=8.4,2.4Hz),7.22(1H,m),746(2H,d,J=9.0Hz),7.63(2H,d,J=9.0Hz)
β-1-9	β-1			S	H,H	131-132	2.22(3H,s),3.93(3H,s),4.66(2H,s)6.62(1H,d,J=9.0Hz),7.14-7.16(2H,m),7.27-7.33(5H,m),7.42-7.45(4H,m)
β -1-10	β-1			S	H,H	131-133	2.22(3H,s),3.93(3H,s),4.67(2H,s)6.62(1H,d,J=8.1Hz),7.10-7.14(2H,m),7.30-7.47(6H,m),7.70(2H,d,J=8.1Hz)
β -1-11	β-1		Me	O	Me,Me	115-116	1.76(6H,s),2.20(3H,s),2.37(3H,s),3.78(3H,s),4.56(2H,s),6.49-6.50(2H,m),6.67(1H,m),7.75(2H,dJ=8.1Hz),7.84(2H,d,J=8.1Hz)

Table 80

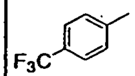
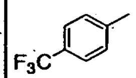
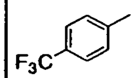
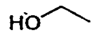
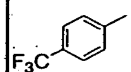
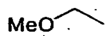
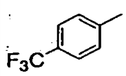
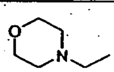
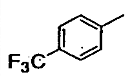
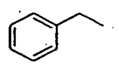
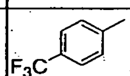
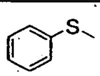
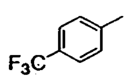
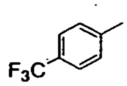
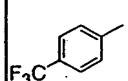
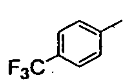
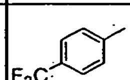
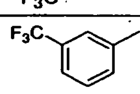
No	Synthetic method	R1	R2	X1	R3,R4	mp	NMR(CDCl3 or DMSO-d6)
β-1-12	β-1		Me	S	H,Et	115-117	1.07(3H,t,J=7.5Hz),1.98-2.16(2H,m), 2.20(3H,s),2.29(3H,s),4.04(1H,t,J=7.5Hz), 4.65(2H,s),6.61(1H,d,J=8.1Hz), 7.10-7.14(2H,m), 7.74(2H,dJ=8.4Hz),7.81(2H,d,J=8.4Hz)
β-1-13	β-1		Me	S	H, 4-F-C6H4	110-112	2.29(3H,s),2.20(3H,s),4.67(2H,s),5.29(1H,s),6.59(1H,d,J=8.4Hz), 6.96-7.15(4H,m),7.32-7.37(2H,m),7.73(2H,dJ=8.4Hz),7.79(2H,d,J=8.4Hz)
β-1-14	β-1			S	H,H	138-139	2.23(3H,s),4.11(2H,s),4.66(2H,d,J=3.6),3.34(1H,br.s),6.64(1H,d,J=8.4Hz),7.16-7.29(2H,m),7.77(2H,d,J=8.4Hz),7.95(2H,d,J=8.4Hz)
β-1-15	β-1			S	H,H	105-107	2.24(3H,s),3.43(3H,s),4.12(2H,s),4.46(2H,s),4.66(2H,s),6.65(1H,d,J=8.5Hz),7.18-7.24(2H,m),7.76(2H,d,J=8.7Hz),7.88(2H,d,J=8.7Hz)
β-1-16	β-1			S	H,H	oil 183-186 (as HClsalt)	2.23(3H,s),2.49(4H,m),3.62(2H,s),3.69(4H,m),4.18(2H,s),4.64(2H,s),6.65(1H,d,J=9.0Hz),7.18-7.21(2H,m),7.74(2H,d,J=7.8Hz),790(2H,d,J=7.8Hz)
β-1-17	β-1			S	H,H	138-139	2.23(3H,s),3.83(2H,s),4.12(2H,s),4.66(2H,s),6.64(1H,d,J=9.0Hz),7.11-7.16(2H,m),7.24-7.31(m,5H),7.08(2H,d,J=8.4Hz),7.76(2H,d,J=8.4Hz)
β-1-18	β-1			S	H,H	123-124	2.23(3H,s),3.97(2H,s),4.67(2H,s),6.63(1H.d,J=8.1Hz),7.08-7.26(7H,m), 7.70(2H,d,J=8.4Hz),8.22(2H,d,J=8.4Hz)
β-1-19	β-1	Me	I	S	H,H	126-127	2.24(3H,s),2.44(3H,s),3.92(2H,s),4.66(2H,s),6.64(1H,d,J=8.1Hz),7.18(2H,dd,J=8.1,1.8Hz),7.22(2H,d,J=1.8Hz)
β-1-20	β-1	Me		S	H,H	oil	2.21(3H,s),2.40(3H,s),3.98(2H,s),4.66(2H,s),6.60(1H,d,J=8.1Hz),7.08-7.12(2H,m),7.42(2H,d,J=8.1Hz),7.68(2H,d,J=8.1Hz)
β-1-21	β-1	Me		O	H,H	153-154	2.25(3H,s),2.49(3H,s),4.62(2H,s),5.02(2H,s),6.65-6.73(3H,m),7.50(2H,d,J=8.4Hz),7.68(2H,d,J=8.4Hz)
β-1-22	β-1			S	H,H	136.5-137.5	2.22(3H,s),3.95(2H,s),4.67(2H,S),6.62(1H,d,J=8.1Hz),7.11-7.14(2H,m),7.47(2H,d,J=8.4Hz),7.60(4H,s),7.72(2H,d,J=8.4Hz)
β-1-23	β-1			S	H,H	128-129.5	2.22(3H,s),3.95(2H,s),4.67(2H,s),6.62(1H,d,J=9.0Hz),7.13-7.15(2H,m),7.50-7.74(8H,m)

Table 81

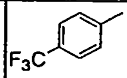
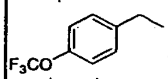
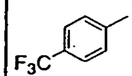
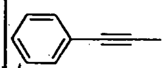
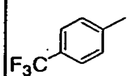
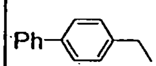
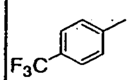
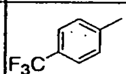
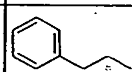
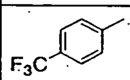
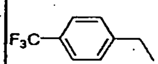
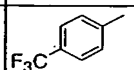
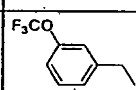
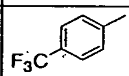
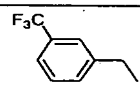
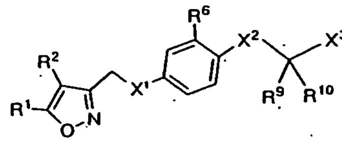
No	Synthetic method	R1	R2	X1	R3,R4	mp	NMR(CDCl3 or DMSO-d6)
β-1-24	β-1			S	H,H	135-136	2.23(3H,s),3.84(2H,s),4.12(2H,s),4.67(2H,s),6.64(1H,d,J=9.0Hz),7.11-7.14(6H,m),7.71-7.72(4H,m)
β-1-25	β-1			S	H,H	196-197.5	2.19(3H,s),4.13(2H,s),4.55(2H,s),6.63(1H,d,J=8.4Hz),7.28(2H,m), 7.41-7.43(3H,s),7.53(2H,s),7.79(2H,d,J=8.4Hz),8.31(2H,d,J=8.4Hz)
β-1-26	β-1			S	H,H	137-138	2.22(3H,s),3.87(2H,s),4.16(2H,s),4.65(2H,s),6.63(1H,d,J=9.0Hz),7.14-7.21(4H,m),7.34-7.56(7H,m),7.70(2H,d,J=8.1Hz),7.78(2H,d,J=8.1Hz)
β-1-27	β-1		BuNHCH2-	S	H,H	177-178	0.84(3h,t,J=7.2Hz),1.22-1.45(4H,m),2.14(3H,s), 2.56(2H,t,J=7.2Hz),3.72(2H,s),4.27(2H,s),4.63(2H,s),6.76(1H,d,J=8.4Hz),7.15-7.23(2H,m),7.91(2H,d,J=8.4Hz), 8.08(2H,d,J=8.4Hz)
β-1-28	β-1			S	H,H	150-152	2.24(3H,s),2.93-2.30(4H,m),3.79(2H,s),4.67(2H,s),6.65(1H,d,J=8.1Hz),7.09-7.29(7H,m),7.70(4H,s)
β-1-29	β-1			S	H,H	141.5-142.5	2.23(3H,s),3.84(2H,s),4.12(2H,s),4.67(2H,s),6.64(1H,d,J=9.0Hz),7.11-7.13(2H,m),7.24(2H,d,J=8.7Hz),7.56(2H,d,J=8.7Hz),7.71(4H,s)
β-1-30	β-1			S	H,H	130-132	2.23(3H,s),3.85(2H,s),4.13(2H,s),4.67(2H,s),6.64(1H,d,J=9.6Hz),6.99-7.15(5H,m),7.30-7.35(1H,m),7.71(4H,s)
β-1-31	β-1			S	H,H	127-128.5	2.23(3H,s),3.84(2H,s),3.84(2H,s),4.67(2H,s),6.63(1H,d,J=8.4Hz),7.11-7.14(2H,m),7.27-7.53(4H,m),7.71(4H,s)

Table 82



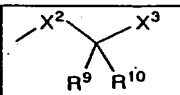
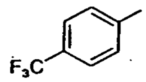
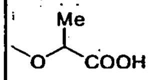
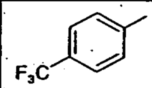
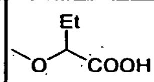
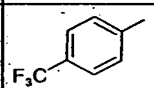
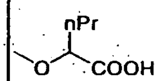
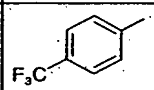
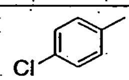
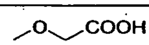
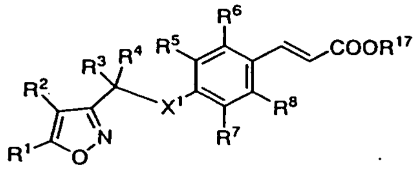
No	Synthetic method	R1	R2	X1	R6		mp	NMR(CDCl3 or DMSO-d6)
β-1-32	β-1		Me	S	H		121-122	1.65(3H,d,J=6.9Hz),2.24(3H,s),4.03(2H,s),4.77(1H,q,J=6.9Hz),6.82(2H,d,J=9.0Hz),7.34(2H,d,J=9.0Hz),7.74(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)
β-1-33	β-1		Me	S	H		116-118	1.09(3H,t,J=7.5Hz),1.99-2.04(2H,m),2.24(3H,s),4.03(2H,s),4.56-4.60(1H,m),6.82(2H,d,J=8.7Hz),7.33(2H,d,J=8.7Hz),7.73(2H,d,J=8.5Hz),7.81(2H,d,J=8.5Hz)
β-1-34	β-1		Me	S	H		75.5-77.5	0.97(3H,t,J=7.2Hz),1.50-1.60(2H,m),1.91-2.00(2H,m),2.24(3H,s),4.03(2H,s),4.61-4.65(1H,m),6.82(2H,d,J=8.7Hz),7.35(2H,d,J=8.7Hz),7.73(2H,d,J=8.7Hz),7.81(2H,
β-1-35	β-1		Me	S	nPr		85-87	0.89(3H,t,J=7.2Hz),1.51-1.63(2H,m),2.24(3H,s),2.58(2H,t,J=7.2Hz),4.03(2H,s),4.66(2H,m),6.70(1H,d,J=8.4Hz),7.17-7.24(2H,m),7.74(2H,d,J=8.6Hz),7.81(2H,d,J=8.6Hz)
β-1-36	β-1		Br	S	H		150-151	2.24(3H,s),4.03(2H,s),4.66(2H,s),6.65(1H,d,J=8.4Hz),7.21-7.26 (2H,m), 7.47 (2H,d,J=8.7Hz), 7.97(2H,d,J=8.7Hz)

Table 83



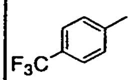
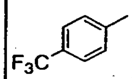
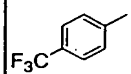
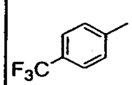
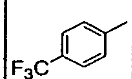
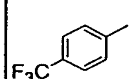
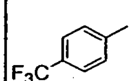
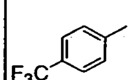
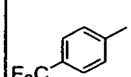
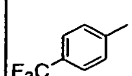
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R17	Mp	NMR(CDCl3 or DMSO-d6)
α-8-1	α-8		Me	O	H,H	H	H	H	H	DPM		2.32(3H,s), 5.23(2H,s), 6.45(1H,d,J=15.9 Hz), 7.01(1H,s), 7.05(2H,d,J=9.0Hz), 7.20-7.40(10H,m), 7.51(2H,d,J=8.7Hz), 7.71(1H,d,J=15.9Hz), 7.75(2H,d,J=8.7Hz), 7.84(2H,d,J=8.7Hz)
α-8-2	α-8		Me	O	H,H	OMe	H	H	H	DPM		2.34(3H,S),3.01(3H,s),5.20(2H,s), 6.45 (1H,d,J=15.9Hz), 7.00-7.41(13H,m), 7.02(1H,s), 7.69(1H,d,J=15.9Hz), 7.74(2H,d,J=8.7Hz), 7.83(2H,d,J=8.7Hz)
α-8-3	α-8		CO2Me	O	H,H	H	H	H	H	DPM		3.81(3H,s),5.41(2H,s),6.46(1H,d,J=16.2Hz),7.02-7.42(14H,m),7.52(1H,d,J=8.7Hz), 7.72(1H,d,J=16.2Hz),7.78(2H,d,J=8.4Hz), 8.09(2H,d,J=8.4Hz)
α-8-4	α-8		OCH2 CF3	O	H,H	H	H	H	H	Me		4.44(2H,q,J=7.8Hz), 5.27(2H,s), 6.47(1H, d,J=16.2Hz); 7.01(1H,s)7.04(2H,d,J=8.7 Hz), 7.24-7.44(10H,m),7.53(2H,d,J=9Hz), 7.71(1H,d,J=15.9Hz), 7.77(2H,d,J=8.4Hz),8.03(2H,d,J=8.4Hz)
α-8-5	α-8		CH2O CH3	O	H,H	H	H	H	H	DPM		3.42(3H,s),4.50(2H,s),5.29(2H,s),6.46(1H, d,J=16.2Hz),7.01-7.06(2H,m),7.26-7.41 (12H,m),7.52(1H,d,J=8.7Hz),7.71(1H,d,J= 16.2Hz),7.78(2H,d,J=8.4Hz),7.93(2H,d,J= 8.4Hz).
α-8-6	α-8		H	O	H, 4-F-C6H4	H	H	H	H	DPM		6.40(1H,d,J=15.9Hz),6.51(1H,s),6.62(1H,s),7.00-7.13(5H,m),7.28-7.39(10H,m), 7.45-7.56(4H,m),7.67(1H,d,J=15.9Hz), 7.70(2H,d,J=8.7Hz),7.85(2H,d,J=8.7Hz)
α-8-7	α-8		CO2Me	O	H,H	H	Me	H	H	tBu		1.54(9H,S),2.43(3H,S),3.81(3H,S),5.38(2H ,s),6.22(1H,d,J=15.9Hz),6.83-6.91(2H,m), 7.54(1H,d,J=9.3Hz),7.78(2H,d,J=8.1Hz),7. 83(1H,d,J=15.9Hz),8.09(2H,d,J=8.1Hz)
α-8-8	α-8		CH2O CH3	O	H,H	H	Me	H	H	Me		2.44(3H,S),3.42(3H,S),3.80(3H,S),4.50(2H ,s),5.27(2H,s),6.28(1H,d,J=15.9Hz),6.85-6.93(2H,m),7.53(1H,d,J=8.4Hz),7.74(2H,d, J=8.7Hz),7.92(2H,d,J=15.9Hz), 7.93(1H,d,J=8.7Hz)
α-8-9	α-8		H	O	H, 4-F-C6H4	H	Me	H	H	Me		2.40(3H,S),3.79(3H,S),6.25(1H,d,J=15.6H z),6.50(1H,S),6.62(1H,S),6.83-6.90(2H, m),7.06-7.15(2H,m),7.46-7.56(3H,m), 7.70(2H,d,J=8.4Hz),7.83-7.92(3H,m)
α-8-10	α-8		Me	O	H,H	H	Me	H	H	Me		2.32(3H,S),2.44(3H,S),3.80(3H,S),5.21(2H ,s),6.28(1H,d,J=15.9Hz),6.84-6.92(2H,m), 7.54(1H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz),7. 84(2H,d,J=8.4Hz),7.91(1H,d,J=15.9Hz)

Table 84

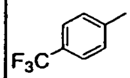
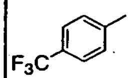
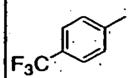
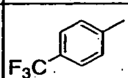
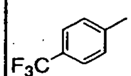
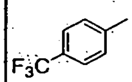
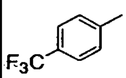
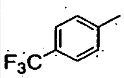
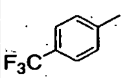
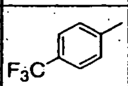
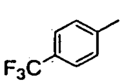
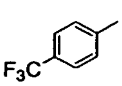
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R17	Mp	NMR(CDCl3 or DMSO-d6)
α-8-11	α-8		CH2OEt	O	H,H	OMe	H	H	H	Me		1.26(3H,t,J=6.9Hz),3.58(2H,q,J=6.9Hz),3.90(3H,s),4.60(2H,s),5.35(2H,s),6.45(1H,d,J=15.9Hz),7.02(1H,s),7.06-7.13(3H,m),7.27-7.42(10H,m),7.69(1H,d,J=15.9Hz),7.77(2H,d,J=8.4Hz),7.94(1H,d,J=8.1Hz)
α-8-12	α-8		CH2OEt	O	H,H	H	Me	H	H	Me		1.23(3H,t,J=6.9Hz),2.44(3H,s),3.58(2H,q,J=6.9Hz),3.80(3H,s),4.54(2H,s),5.27(2H,s),6.28(1H,d,J=15.9Hz),6.87-6.91(2H,m),7.54(1H,d,J=8.1Hz),7.77(2H,d,J=8.4Hz),7.92(1H,d,J=15.9Hz),7.93(2H,d,J=8.41Hz)
α-9-1	α-9		CH2OCH3	S	H,H	H	H	H	H	Me		3.44(3H,s),3.80(3H,s),4.29(2H,s),4.51(2H,s),6.40(1H,d,J=15.9Hz),7.40-7.47(4H,m),7.63(1H,d,J=15.9Hz),7.76(2H,dJ=8.4Hz),7.85(2H,d,J=8.4Hz)
α-9-2	α-9		Me	S	H,H	OCF3	H	H	H	Me		2.31(3H,s),3.81(3H,s),4.11(2H,s),6.41(1H,d,J=15.9Hz),7.34-7.60(4H,m),7.74(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)
α-9-3	α-9		H	S	H, 4-F-C6H4	H	Me	H	H	Me		2.35(3H,S),3.80(3H,S),5.68(1H,S),6.31(1H,d,J=15.9Hz),6.70(1H,S),7.01-7.10(2H,m),7.12-7.18(2H,m),7.39-7.48(3H,m),7.71(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz)7.86(1H,d,J=15.9Hz)
α-9-4	α-9		Me	S	H,H	H	Me	H	H	Me		2.29(3H,S),2.41(3H,S),3.81(3H,S),4.19(2H,s),6.33(1H,d,J=15.9Hz),7.22-7.28(2H,m),7.49(1H,d,J=9.0Hz),7.74(1H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz),7.90(2H,d,J=15.9Hz)
α-9-5	α-9		CH2OMe	S	H,H	H	Me	H	H	Me		2.41(3H,S),3.44(3H,S),3.81(3H,s),4.28(2H,s),4.50(2H,s),6.33(1H,d,J=15.9Hz),7.24-7.26(2H,m),7.49(1H,d,J=9.0Hz),7.76(2H,d,J=9.0Hz),7.86(2H,d,J=9.0Hz),7.90(1H,d,J=15.9Hz)
α-9-6	α-9		H	S	H, 4-F-C6H4	H	H	H	H	Me		3.79(3H,s),6.38(2H,d,J=16.2Hz),6.69(1H,s),7.02-7.08(2H,m),7.31-7.40(6H,m),7.60(1H,d,J=16.2Hz),7.71(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz)
α-9-7	α-9		Me	S	H,H	F	H	H	H	Me		2.31(3H,s),3.81(3H,s),4.19(2H,s),6.41(1H,d,J=15.9Hz),7.22-7.27(2H,m),7.45-7.50(1H,m),7.59(1H,d,J=15.9Hz),7.75(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz)
α-9-8	α-9		Me	S	H,H	OMe	H	H	H	Me		2.28(3H,s),3.73(3H,s),3.87(3H,s),4.35(2H,s),6.71(1H,d,J=15.9Hz),7.29-7.47(3H,m),7.63(1H,d,J=15.9Hz),7.88-7.97(4H,m)
α-9-9	α-9		CF3	S	H,H	H	Me	H	H	Me		2.41(3H,S),3.80(3H,s),4.27(2H,s),6.34(1H,d,J=15.9Hz),7.25-7.28(2H,m),7.48-7.51(1H,d,J=8.7Hz),7.78(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz),7.90(1H,d,J=15.9Hz)
α-9-10	α-9		CH2OEt	S	H,H	H	Me	H	H	Me		1.27(3H,t,J=6.9Hz),2.41(3H,S),3.60(2H,q,J=6.9Hz),3.80(3H,s),4.28(2H,s),4.55(2H,s),6.33(1H,d,J=15.6Hz),7.23-7.26(2H,m),7.47-7.50(1H,m),7.75(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz),7.90(1H,d,J=15.6Hz)

Table 85

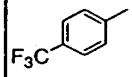
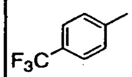
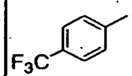
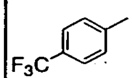
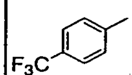
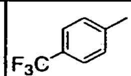
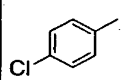
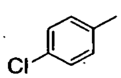
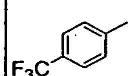
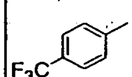
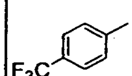
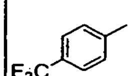
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R17	Mp	NMR(CDCl3 or DMSO-d6)
α-9-11	α-9		Me	S	H,H	H	OMe	H	H	Me		2.30(3H,S),3.79(3H,s),3.89(3H,s),4.21(2H,s),6.49(1H,d,J=16.2Hz),6.95-6.99(2H,m),7.41(1H,d,J=8.4Hz),7.74(2H,d,J=8.7Hz),7.82(2H,d,J=8.7Hz),7.90(1H,d,J=16.2Hz)
α-9-12	α-9		Me	S	H,H	OEt	H	H	H	Me		1.50(3H,t,J=7.2Hz),2.31(3H,s),3.81(3H,s),4.15(3H,q,J=7.2Hz),4.19(2H,s),6.39(1H,d,J=15.9Hz),6.97(1H,d,J=1.2Hz),7.08(1H,dd,J=1.2Hz,9.0Hz),7.42(1H,d,J=9.0Hz),7.62(1H,d,J=15.9Hz),7.73(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)
α-9-13	α-9		Me	S	H,H	OMe	H	Br	H	Me		2.35(3H,s),3.81(3H,s),3.92(3H,s),4.11(2H,s),6.41(1H,d,J=15.9Hz),6.93(1H,d,J=1.5Hz),7.36(1H,d,J=1.5Hz),7.54(1H,d,J=15.9Hz),7.73(2H,d,J=8.4Hz),7.79(2H,d,J=8.4Hz)
α-9-14	α-9		Me	S	H,H	H	OMe	H	OMe	Me		2.31(3H,S),3.78(3H,s),3.88(6H,s),4.23(2H,s),6.62(2H,s),6.82(1H,d,J=16.2Hz),7.74(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz),8.04(1H,d,J=16.2Hz),
α-9-15	α-9		Me	S	H,H	OEt	H	Br	H	Me		1.52(3H,t,J=7.2Hz),2.35(3H,s),3.09(3H,s),4.15(2H,s),4.14(2H,q,J=7.2Hz),6.39(1H,d,J=16.2Hz),6.92(1H,d,J=1.8Hz),7.33(1H,d,J=1.8Hz),7.52(1H,d,J=15.9Hz),7.73(2H,d,J=8.4Hz),7.79(2H,d,J=8.4Hz)
α-9-16	α-9		Me	S	H,H	Br	H	Br	H	Me		2.34(3H,S),3.81(3H,s),4.16(2H,s),6.42(1H,d,J=15.9Hz),7.48(1H,d,J=15.9Hz),7.72-7.76(4H,m),7.80(2H,d,J=8.7Hz)
α-9-17	α-9		H	S	H,H	H	Me	H	H	Me		2.39(3H,s),3.80(3H,S),4.19(2H,s),6.32(1H,d,J=15.9Hz),6.52(1H,s),7.17-7.20(2H,m),7.40-7.45(3H,m),7.67(2H,d,J=8.4Hz),7.89(1H,d,J=15.9Hz)
α-9-18	α-9		H	S	H,H	OMe	H	H	H	Me		3.80(3H,s),3.93(3H,S),4.18(2H,s),6.39(1H,d,J=15.9Hz),6.54(1H,s),7.07(1H,dd,J=7.8,1.5Hz),7.32(1H,d,J=8.1Hz),7.40-7.43(2H,m),7.62(1H,d,J=15.9Hz),7.64-7.67(2H,m)
α-9-19	α-9		H	S	H,H	H	Me	H	H	Me		2.40(3H,s),3.80(3H,s),4.21(2H,s),6.32(1H,d,J=15.9Hz),6.63(1H,s),7.18-7.20(2H,m),7.47(1H,d,J=8.7Hz),7.71(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz),7.89(1H,d,J=15.9Hz)
α-9-20	α-9		H	S	H,H	OMe	H	H	H	Me		3.80(3H,s),3.93(3H,s),4.20(2H,s),6.39(1H,d,J=15.9Hz),6.64(1H,s),6.97(1H,d,J=1.5Hz),7.07(1H,dd,J=1.5Hz,8.1Hz),7.32(1H,d,J=8.1Hz),7.62(1H,d,J=15.9Hz),7.30(2H,d,J=8.1Hz),7.84(2H,d,J=8.1Hz)
α-9-21	α-9		CH2OEt	S	H,H	OMe	H	H	H	Me		1.27(3H,t,J=7.2Hz),3.61(2H,q,J=7.2Hz),3.81(3H,s),3.93(3H,s),4.27(2H,s),4.57(2H,s),6.40(1H,d,J=15.9Hz),6.98(1H,d,J=1.5Hz),7.09(1H,dd,J=7.8,1.5Hz),7.43(1H,d,J=7.8Hz),7.63(1H,d,J=15.9Hz),7.75(2H,d,J=8.1Hz),7.86(1H,d,J=8.1Hz)
α-9-22	α-9		Me	S	H,H	OMe	H	H	Me	Me		2.30(3H,s),2.36(3H,s),3.82(3H,s),3.90(3H,s),4.17(2H,s),6.34(1H,d,J=15.9Hz),7.00(1H,s),7.25(1H,s),7.72-7.93(5H,m)

Table 86

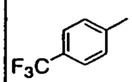
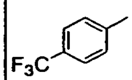
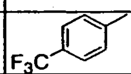
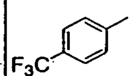
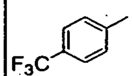
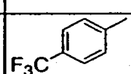
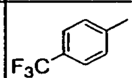
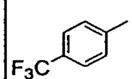
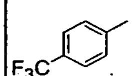
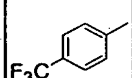
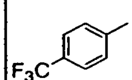
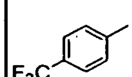
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R17	Mp	NMR(CDCl3 or DMSO-d6)
α-9-23	α-9		CH2OMe	S	H,H	OMe	H	H	H	Me		3.44(3H,s),3.81(3H,s),3.93(3H,s),4.26(2H,s),4.52(2H,s),6.41(1H,d,J=16.4Hz),6.98(1H,d,J=1.8Hz),7.09(1H,dd,J=1.8Hz,8.1Hz),7.43(1H,d,J=8.1Hz),7.63(1H,d,J=15.9Hz),7.75(2H,d,J=8.7Hz),7.86(2H,d,J=8.7Hz)
α-9-24	α-9		Me	S	H,H	Cl	H	H	H	Me		2.32(3H,s),3.81(3H,s),4.23(2H,s),6.40(1H,d,J=16.8Hz),7.37-7.41(1H,m),7.52-7.60(3H,m),7.74(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)
α-10-2-2	α-10		Me	S	H,H	H	H	H	H	Me		2.29(3H,s),3.80(3H,s),4.19(2H,s),6.40(1H,d,J=15.9Hz),7.40-7.84(9H,m)
α-10-2-1	α-10		Me	O	H,H	F	H	H	H	Me		2.35(3H,s),3.00(3H,s),5.31(2H,s), 6.31(1H,d,J=15.9Hz),7.10-7.34(3H,m),7.59(1H,dj=15.9Hz),7.76(2H,d,J=8.1Hz),7.84(2H,d,J=8.1Hz)
α-10-2-3	α-10		Me	O	H,H	F	H	F	H	Me		2.41(3H,s),3.81(3H,s),5.32(2H,s),6.34(1H,d,J=15.9Hz),7.083(2H,dj=8.7Hz),7.52(1H,d,J=15.9Hz),7.76(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz)
α-10-2-4	α-10		Me	S	H,H	CF3	H	H	H	Me		2.31(3H,s),3.816(3H,s),4.247(2H,s),6.463(1H,d,J=15.9Hz),7.60-7.80(8H,m)
α-10-2-5	α-10		Me	S	H,H	H	CF3	H	H	Me		2.31(3H,s),3.82(3H,s),4.22(2H,s),6.39(1H,d,J=15.9Hz),7.56-8.06(4H,m),7.74(2H,d,J=8.7Hz),7.82(2H,d,J=8.7Hz)
α-X-1			CF3	S	H,H	OMe	H	H	H	Me		3.81(3H,s),3.93(3H,s),4.25(2H,s),6.41(1H,d,J=15.9Hz)),6.91(1H,d,J=1.5Hz),7.07(1H,dd,J=7.8Hz,1.5Hz),7.41(1H,d,J=7.8Hz),7.63(1H,d,J=15.9Hz),7.77(2H,dJ=8.1Hz),7.83(2H,d,J=8.1Hz)
α-X-2			CH2OCH2CF3	S	H,H	OMe	H	H	H	Me		3.81(3H,s),3.92(3H,s),3.96(2H,q,J=8.4Hz),4.25(2H,s),4.77(2H,s),6.40(1H,d,J=15.6Hz)),6.98(1H,d,J=1.8Hz),7.08(1H,dd,J=7.8Hz,1.8Hz),7.40(1H,d,J=7.8Hz),7.62(1H,d,J=15.6Hz),7.76(2H,dJ=8.4Hz),7.85(2H,d,J=8.4Hz)
α-X-3			CH2O(CH2)2OMe	S	H	OMe	H	H	H	Me		3.39(3H,s),3.57-3.60(2H,m),3.69-3.72(2H,m),3.81(3H,s),3.92(3H,s),4.28(2H,s),4.66(2H,s),6.40(1H,d,J=15.9Hz)),6.97(1H,d,J=1.8Hz),7.09(1H,dd,J=8.1Hz,1.8Hz),7.43(1H,d,J=8.1Hz),7.63(1H,d,J=15.9Hz),7.74(2H,dJ=8.4Hz),7.89(2H,d,J=8.4Hz)
α-X-4			CH2OnPr	S	H,H	OMe	H	H	H	Me		0.95(3H,t,J=7.5Hz),1.59-1.71(2H,m),3.50(2H,d,J=6.6Hz),3.81(3H,s),3.92(3H,s),4.26(2H,s),4.56(2H,s),6.40(1H,d,J=15.9Hz),6.97(1H,d,J=1.8Hz),7.08(1H,dd,J=7.8Hz,1.8Hz),7.42(1H,d,J=7.8Hz),7.63(1H,d,J=15.9Hz),7.74(2H,dJ=8.1Hz),7.87(2H,d,J=8.1Hz)
α-X-5			CH2OnPr	S	H,H	H	OMe	H	OMe	Me		0.97(3H,t,J=7.5Hz),160-1.72(2H,m),3.51(2H,d,J=6.6Hz),3.78(3H,s),3.87(6H,s),4.32(2H,s),4.57(2H,s),6.63(2H,s),6.81(1H,d,J=16.5Hz),7.75(2H,dJ=8.4Hz),7.86(2H,d,J=8.4Hz),8.04(1H,d,J=16.5Hz)

Table 87

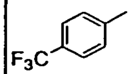
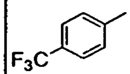
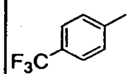
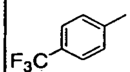
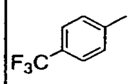
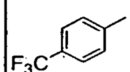
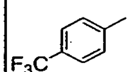
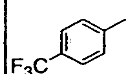
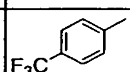
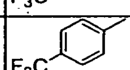
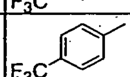
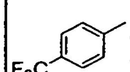
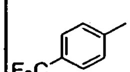
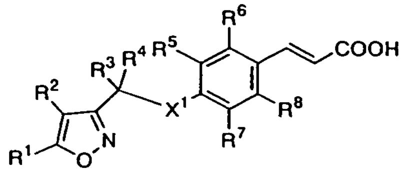
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R17	Mp	NMR(CDCl3 or DMSO-d6)
α-X-6			Et	S	H,H	H	OMe	H	OMe	Me		1.29(3H,t,J=7.5Hz),2.76(2H,q,J=7.5Hz),3.78(3H,s),3.88(6H,s),4.24(2H,s),6.63(2H,s),6.82(1H,d,J=16.2Hz),7.44(2H,dJ=8.4Hz),7.81(2H,d,J=8.4Hz),8.04(1H,d,J=16.2Hz)
α-X-7			CO2H	S	H,H	H	OMe	H	OMe	Me		3.62(2H,q,J=10.2),,3.78(3H,s),388(6H,s),4.33(2H,s),6.58(2H,s),6.81(1H,d,J=16.5Hz),7.79(4H,brs),8.03(1H,d,J=16.5Hz)
α-X-8			CH2OCH2cPr	S	H,H	H	OMe	H	OMe	Me		0.22-0.27(2H,m),0.56-0.63(2H,m),1.06-1.19(1H,m),3.40(2H,d,J=7.2Hz),3.78(3H,s),3.87(6H,s),4.33(2H,s),4.59(2H,s),6.63(2H,s),6.81(1H,d,J=16.2Hz),7.75(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz),8.04(1H,d,J=16.2Hz)
α-X-9			Me	S	H,H	Cl	H	H	H	Me		2.32(3H,s), 3.81(3H,s), 4.23(2H,s),6.40(1H,d,J=16.8Hz), 7.37-7.41(1H,m), 7.52-7.60(3H,m), 7.74(2H,d,J=8.4Hz), 7.81(2H,d,J=8.4Hz)
α-X-10			Me	S	H,H	H	F	H	F	Me		2.30(3H,s),3.81(3H,s),4.21(2H,s),6.68(1H,d,J=16.5Hz),6.99(2H,d,J=9.3Hz),7.70(1H,d,J=16.5Hz),7.75(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz)
α-X-11			CH2OEt	S	H,H	H	OMe	H	OMe	Me		1.28(3H,t,J=6.9Hz),3.62(2H,q,J=6.9Hz),3.78(3H,s),3.88(6H,s),4.32(2H,s),4.58(2H,s),6.63(2H,s),6.81(1H,d,J=16.5Hz),7.76(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz),8.04(1H,d,J=16.5Hz)
α-X-12			Me	S	H,H	Me	H	H	H	Me		2.30(3H,s),2.36(3H,s),3.80(3H,s),4.18(2H,s),6.40(1H,d,J=16.0Hz),7:33(2H,m),7.46(1H,d,J=8.1Hz),7.62(1H,d,J=16.0Hz),7.74(2H,d,J=8.1Hz),7.82(2H,d,J=8.1Hz)
α-X-13			Me	S	H,H	H	Me	H	Me	Me		2.21(3H,s),2.47(6H,s),3.80(3H,s),3.87(2H,s),6.41(1H,d,J=15.9Hz),7:24(2H,s,),7.58(1H,dJ=15.9Hz),7.74(2H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz)
α-X-14			Me	S	H,H	H	Cl	H	H	Me		
α-X-15			Me	S	H,H	H	F	H	H	Me		
α-X-16			Me	S	H,H	Me	H	Me	H	Me		
α-X-17			Me	S	H,H	Et	H	H	H	Me		1.21(3H,t,J=7.5Hz),2.29(3H,s),2.74(2H,q,J=7.5Hz),3.80(3H,s),4.18(2H,s),6.41(1H,d,J=16.2Hz),7.30~7.50(3H,m),7.63(1H,d,J=15.9Hz),7.74(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)
α-X-18			CONH2	S	H,H	H	OMe	H	OMe	Me		

Table 88



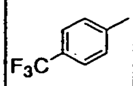
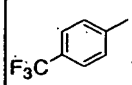
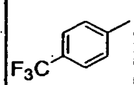
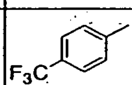
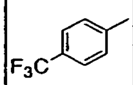
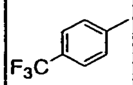
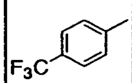
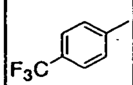
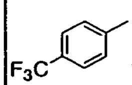
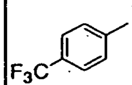
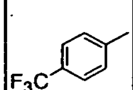
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	mp	NMR(CDCl3 or DMSO-d6)
β-2-1	β-2		Me	O	H,H	H	H	H	H	224-224.5	2.35(3H,s), 5.25(2H,s), 6.32(1H,d,J=15.6Hz), 7.07(2H,d,J=8.7Hz), 7.54(2H,d,J=8.7Hz), 7.65(1H,d,J=16.2Hz), 7.78(2H,d,J=8.4Hz), 7.88(2H,d,J=8.4Hz)
β-2-2	β-2		Me	O	H,H	OMe	H	H	H	235-235.5	2.38(3H,s), 3.93(3H,s), 5.30(2H,s), 6.33(1H,d,J=15.9Hz), 7.01-7.20(3H,m), 7.64(1H,d,J=15.9Hz), 7.782(2H,d,J=8.4Hz), 7.87(2H,d,J=8.4Hz)
β-2-3	β-2		CO2Me	O	H,H	H	H	H	H	201-203	3.83(3H,s),5.43(2H,s),6.33(1H,d,J=15.9Hz),7.06(2H,d,J=8.7Hz),7.54(2H,d,J=8.7Hz), 7.66(1H,d,J=15.9Hz),7.80(2H,d,J=8.7Hz), 8.10(2H,d,J=8.7Hz)
β-2-4	β-2		Me	S	H,H	H	H	H	H	214.5-215.5	2.31(3H,s), 4.25(2H,s), 7.36-7.52(4H,m), 7.64(1H,d,J=15.9Hz), 7.77(2H,d,J=8.4Hz), 7.85(2H,d,J=8.4Hz)
β-2-5	β-2		OCH2CF3	O	H,H	H	H	H	H		4.86(2H,q,J=9.0Hz), 5.45(2H,s), 6.42(1H,d,J=15.9Hz), 7.14(2H,d,J=8.1Hz), 7.56(1H,d,J=15.9Hz), 7.69(2H,d,J=8.4Hz), 7.97(2H,d,J=8.4Hz),8.07(2H,d,J=8.4Hz)
β-2-6	β-2		Me	NH	H,H	H	H	H	H		2.26(3H,S), 4.45(2H,d,J=5.7Hz), 6.18(1H,d,J=15.9Hz),6.72(2H,d,J=8.4Hz), 6.82-6.90(1H,m),7.36-7.50(3H,m), 7.91(2H,d,J=8.4Hz), 7.96(2H,d,J=8.4Hz)
β-2-7	β-2		CH2OCH3	O	H,H	H	H	H	H	215-217	3.43(3H,s),4.52(2H,s),5.03(2H,s),6.32(1H,d,J=15.9Hz),7.06(2H,d,J=8.7Hz),7.53(2H,d,J=8.7Hz),7.65(1H,d,J=15.9Hz),7.79(2H,d,J=8.7Hz),7.93(2H,d,J=8.7Hz)
β-2-8	β-2		H	O	H, 4-F-C6H4	H	H	H	H	211-213	5.71(1H,s),6.38(1H,d,J=15.9Hz),6.76(1H,s),7.02-7.08(2H,m),7.33-7.50(6H,m), 7.59(1H,d,J=15.9Hz),7.72(2H,d,J=8.7Hz), 7.87(2H,d,J=8.7Hz)
β-2-9	β-2		CH2OCH3	S	H,H	H	H	H	H	182-183	3.45(3H,s),4.29(2H,s),4.52(2H,s),6.39(1H,d,J=16.2Hz),7.42(2H,d,J=8.7Hz),7.47(2H,d,J=8.7Hz),7.63(1H,d,J=16.2Hz),7.77(2H,d,J=8.1Hz),7.87(2H,d,J=8.1Hz)
β-2-10	β-2		CO2Me	O	H,H	H	Me	H	H	195-196	2.46(3H,S),3.82(3H,S),5.40(2H,s),6.30(1H,d,J=15.6Hz),6.85-6.94(2H,m),7.60(1H,d,J=8.4Hz),7.78(2H,d,J=8.4Hz),8.03(1H,d,J=15.6Hz),8.09(2H,d,J=8.4Hz)
β-2-11	β-2		CH2OCH3	O	H,H	H	Me	H	H	179-180	CDCl3 δ (300 MHz) 2.46(3H,S),3.42(3H,S),4.51(2H,s),5.28(2H,s),6.30(1H,d,J=15.9Hz),6.87-6.96(2H,m), 7.59(1H,d,J=8.4Hz),7.78(2H,d,J=8.7Hz),7.93(2H,d,J=8.7Hz),8.02(1H,d,J=15.9Hz)

Table 89

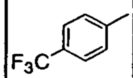
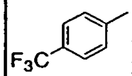
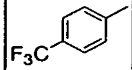
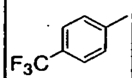
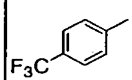
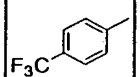
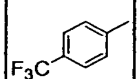
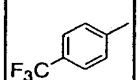
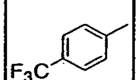
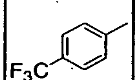
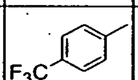
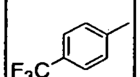
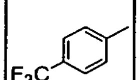
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	mp	NMR(CDCl3 or DMSO-d6)
β-2 -12	β-2		H	O	H, 4-F-C6 H4	H	Me	H	H	220-221	2.41(3H,S),6.26(1H,d,J=15.9Hz),6.51(1H,S),6.62(1H,S),6.86-6.93(2H,m),7.06-7.16(2H,m),7.48-7.58(3H,m),7.70(2H,d,J=9.0Hz),7.86(2H,d,J=9.0Hz)7.97(1H,d,J=15.9Hz)
β-2 -13	β-2		Me	O	H,H	H	Me	H	H	206-207	2.32(3H,S),2.46(3H,S),5.22(2H,s),6.30(1H,d,J=15.6Hz),6.86-6.96(2H,m),7.59(1H,d,J=8.4Hz),7.76(2H,d,J=8.7Hz),7.85(2H,d,J=8.7Hz),8.02(1H,d,J=15.6Hz)
β-2 -14	β-2		Me	S	H,H	OCF3	H	H	H	260-265	2.30(3H,S),4.51(2H,s),6.64(1H,d,J=16.2Hz),7.60(1H,d,J=15.9Hz),7.70-7.84(3H,m),7.91(2H,d,J=8.7Hz),7.95(2H,d,J=8.7Hz)
β-2 -15	β-2		Me	O	H,H	F	H	H	H	261-262.5	2.30(3H,S), 5.43(2H,s), 6.49(1H,d,J=15.9Hz), 7.34-7.60(2H,m),7.54(1H,d,J=15.9Hz),7.71(1H,d,J=12.3Hz), 7.93(2H,d,J=8.4Hz), 8.00(2H,d,J=8.4Hz),
β-2 -16	β-2		Me	O	H,H	F	H	F	H		2.35(3H,S), 5.36(2H,s), 6.61(1H,d,J=16.2Hz), 7.51(1H,d,J=16.2Hz),7.62(2H,d,J=9.6Hz), 7.93(2H,d,J=8.1Hz), 8.00(2H,d,J=8.1Hz),
β-2 -17	β-2		H	S	H, 4-F-C6 H4	H	Me	H	H	195-196	2.37(3H,S),5.70(1H,S),6.32(1H,d,J=15.9Hz),6.70(1H,S),7.01-7.10(2H,m),7.13-7.20(2H,m),7.42-7.52(3H,m),7.72(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz)7.95(1H,d,J=15.9Hz)
β-2 -18	β-2		Me	S	H,H	H	Me	H	H	218-219	2.28(3H,S),2.36(3H,S),4.42(2H,s),6.42(1H,d,J=15.9Hz),7.24-7.34(2H,m),7.67(1H,d,J=8.1Hz),7.74(1H,d,J=15.9Hz),7.91(2H,d,J=8.7Hz),7.96(2H,d,J=8.7Hz)
β-2 -19	β-2		CH2OMe	S	H,H	H	Me	H	H	184.5-187	2.42(3H,S),3.44(3H,S),4.29(2H,s),4.51(2H,s),6.35(1H,d,J=15.9Hz),7.25-7.27(2H,m),7.52(1H,d,J=9.0Hz),7.76(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz),7.99(1H,d,J=15.9Hz)
β-2 -20	β-2		H	S	H, 4-F-C6 H4	H	H	H	H	191.5-193.5	5.71(1H,s),6.39(1H,d,J=16.2Hz),6.69(1H,s),7.02-7.08(2H,m),7.32-7.49(6H,m),7.68(1H,d,J=16.2Hz),7.71(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz)
β-2 -21	β-2		CO2Me	S	H,H	H	Me	H	H	171-172.5	2.43(3H,s),3.88(3H,s),4.41(2H,s),6.35(1H,d,J=16.2Hz),7.27(2H,m),7.53(1H,d,J=8.7Hz),7.76(2H,d,J=8.4Hz),8.00(1H,d,J=16.2Hz),8.04(2H,d,J=8.4Hz)
β-2 -22	β-2		CO2Me	S	H,H	H	H	H	H	161.5-163	3.88(3H,s),4.43(2H,s),6.41(1H,d,J=16.2Hz),7.42-7.50(4H,m),7.72(1H,d,J=16.2Hz),7.76(2H,d,J=8.4Hz),8.04(2H,d,J=8.4Hz)
β-2 -23	β-2		Me	S	H,H	F	H	H	H	219-220.5	2.32(3H,s),4.19(2H,s),6.40(1H,d,J=15.9Hz),7.23-7.27(2H,m),7.44-7.50(1H,m),7.58(1H,d,J=15.9Hz),7.69(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz)
β-2 -24	β-2		Me	S	H,H	OMe	H	H	H	209-210	2.31(3H,s),3.94(3H,s),4.18(2H,s),6.40(1H,d,J=15.9Hz),7.02(1H,d,J=1.5Hz),7.10(1H,dd,J=1.5Hz,7.8Hz),7.42(1H,d,J=7.8Hz),7.63(1H,d,J=15.9Hz),7.74(2H,d,J=8.1Hz),7.82(2H,d,J=8.1Hz)

Table 90

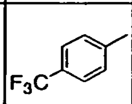
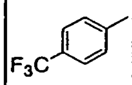
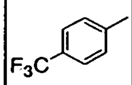
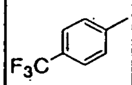
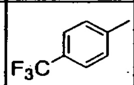
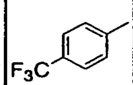
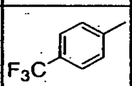
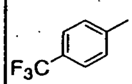
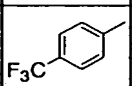
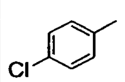
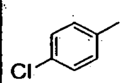
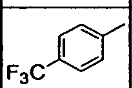
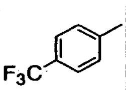
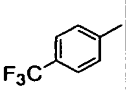
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	mp	NMR(CDCl3 or DMSO-d6)
β-2 -25	β-2		CF3	S	H,H	H	Me	H	H	194-196	2.42(3H,S),4.27(2H,s),6.32(1H,d,J=15.9Hz),7.25-7.28(2H,m),7.51(1H,d,J=8.7Hz),7.79(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz),7.91(1H,d,J=15.9Hz)
β-2 -26	β-2		CH2OEt	S	H,H	H	Me	H	H	178-180	1.27(3H,t,J=6.9Hz),2.43(3H,S),3.60(2H,q,J=6.9Hz),4.30(2H,s),4.56(2H,s),6.34(1H,d,J=15.9Hz),7.25-7.28(2H,m),7.75(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz),7.99(1H,d,J=15.9Hz)
β-2 -27	β-2		Me	S	H,H	H	OMe	H	H	199-201	2.30(3H,S),3.89(2H,s),4.22(2H,s),6.47(1H,d,J=16.2Hz),6.96-7.00(2H,m),7.43(1H,d,J=8.4Hz),7.75(2H,d,J=8.7Hz),7.82(2H,d,J=8.7Hz),7.92(1H,d,J=16.2Hz)
β-2 -28	β-2		Me	S	H,H	OEt	H	H	H	215-216	1.50(3H,t,J=7.2Hz),2.31(3H,s),4.16(3H,q,J=7.2Hz),4.20(2H,s),6.39(1H,d,J=15.9Hz),6.99(1H,d,J=1.2Hz),7.10(1H,dd,J=1.2Hz,7.8Hz),7.44(1H,d,J=7.8Hz),7.70(1H,d,J=15.9Hz),7.74(2H,d,J=8.7Hz),7.82(2H,d,J=8.7Hz)
β-2 -29	β-2		Me	S	H,H	OMe	H	Br	H	246-247	2.30(3H,s),3.86(3H,s),4.18(2H,s),6.70(1H,d,J=15.9Hz),7.39(1H,s),7.51(1H,d,J=15.9Hz),7.58(1H,s),7.90(4H,s)
β-2 -30	β-2		Me	S	H,H	H	OMe	H	OMe	176.5-178	2.301(3H,S), 3.879(6H,s), 4.527(2H,s), 6.637(1H,d,J=16.2Hz), 6.761(2H,s), 7.848(1H,d,J=16.2Hz), 7.906(2H,d,J=8.7Hz), 7.964(2H,d,J=8.7Hz)
β-2 -31	β-2		Me	S	H,H	Br	H	H	H	220.5-222	2.310(3H,S), 4.515(2H,s), 6.535(1H,d,J=15.9Hz), 7.535(1H,d,J=15.9Hz), 7.615(1H,d,J=8.4Hz),7.75-8.10(6H,m),
β-2 -32	β-2		Me	S	H,H	OEt	H	Br	H	228-229	1.36(3H,t,J=6.6Hz),2.30(3H,s),4.14(2H,q,J=6.6Hz),4.21(2H,s),6.69(1H,d,J=15.6Hz),7.37(1H,s),7.50(1H,d,J=15.6),7.56(1H,s),7.90(4H,s)
β-2 -33	β-2		Me	S	H,H	Br	H	Br	H	243-245	2.33(3H,S),4.16(2H,s),6.41(1H,d,J=15.9Hz),7.47(1H,d,J=15.9Hz),7.74(2H,br.s),7.75(2H,d,J=8.4Hz),7.81(2H,d,J=8.7Hz)
β-2 -34	β-2		H	S	H,H	H	Me	H	H	186-188	2.41(3H,S),4.20(2H,s),6.33(1H,d,J=15.9Hz),6.53(1H,s),7.19-7.21(2H,m),7.40-7.45(2H,m),7.51(1H,d,J=9.0Hz),7.65-7.70(2H,m),7.98(1H,d,J=15.9Hz)
β-2 -35	β-2		H	S	H,H	OMe	H	H	H	185-187.5	3.94(3H,S),4.19(2H,s),6.39(1H,d,J=15.9Hz),6.54(1H,s),7.08(1H,dd,J=7.8,1.5Hz),7.32(1H,d,J=8.1Hz),7.40-7.44(2H,m),7.62-7.67(2H,m),7.68(1H,d,J=15.9Hz)
β-2 -36	β-2		Me	S	H,H	OMe	H	OMe	H	241.5-242.5	2.28(3H,S), 3.78(6H,s), 4.04(2H,s), 6.66(1H,d,J=15.9Hz), 6.98(2H,brs), 7.54(1H,d,J=15.9Hz), 7.91(4H,brs)
β-2 -37	β-2		Me	S	H,H	OMe	H	Cl	H	234.5-235.5	2.30(3H,S),3.06(3H,s),4.17(2H,s), 6.71(1H,d,J=15.9Hz), 7.36(1H,brs),7.45(1H,brs),7.52(1H,d,J=15.9Hz),7.80-8.00(4H,m)
β-2 -38	β-2		H	S	H,H	H	Me	H	H	179.5-181.5	2.40(3H,s),4.12(2H,s),6.31(1H,d,J=15.9Hz),6.66(1H,s),7.19-7.21(2H,m),7.50(1H,d,J=8.4),7.72(2H,d,J=8.1Hz),7.87(2H,d,J=8.1Hz),7.90(1H,d,J=15.9)

Table 91

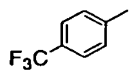
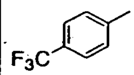
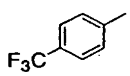
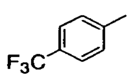
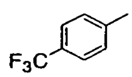
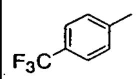
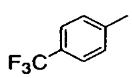
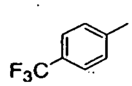
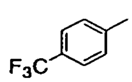
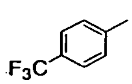
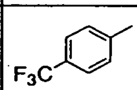
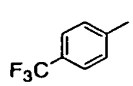
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	mp	NMR(CDCl3 or DMSO-d6)
β-2 -39	β-2		H	S	H,H	OMe	H	H	H	207-209	3.95(3H,s),4.21(2H,s),6.39(1H,d,J=16.2Hz),6.68(1H,s),7.02(1H,d,J=1.5Hz),7.08(1H,dd,J=1.5Hz,8.1Hz),7.33(2H,d,J=8.1Hz),7.62(1H,d,J=16.2Hz),7.72(2H,d,J=8.1Hz),7.86(2H,d,J=8.1)
β-2 -40	β-2		CH2OEt	S	H,H	OMe	H	H	H	188-190	1.27(3H,t,J=7.2Hz),3.62(2H,q,J=7.2Hz),3.94(3H,s),4.28(2H,s),4.58(2H,s),6.41(1H,d,J=15.9Hz),7.00(1H,d,J=1.5Hz),7.12(1H,dd,J=7.8,1.5Hz),7.45(1H,d,J=8.1Hz),7.72(1H,d,J=15.9Hz),7.75(2H,d,J=8.1Hz),7.86(1H,d,J=8.1Hz)
β-2 -41	β-2		CH2OEt	O	H,H	OMe	H	H	H	203-204	1.21(3H,t,J=7.2Hz),3.59(2H,q,J=7.2Hz),3.910(3H,s),4.61(2H,s),5.35(2H,s),6.31(1H,d,J=15.9Hz),7.06-7.14(3H,m),7.64(1H,d,J=15.9Hz),7.77(2H,d,J=8.1Hz),7.94(1H,d,J=8.1Hz)
β-2 -42	β-2		CH2OEt	O	H,H	H	Me	H	H	189-191	1.22(3H,t,J=7.2Hz),2.46(3H,s),3.59(2H,q,J=7.2Hz),4.55(2H,s),5.29(2H,s),6.30(1H,d,J=15.9Hz),6.88-6.93(2H,m),7.59(1H,d,J=8.7Hz),7.77(2H,d,J=8.1Hz),7.94(2H,d,J=8.1Hz),8.01(1H,d,J=15.9Hz)
β-2 -43	β-2		Me	S	H,H	CF3	H	H	H	236-237	2.28(3H,S), 4.57(2H,s), 6.69(1H,d,J=15.9Hz), 7.64(1H,d,J=15.9Hz), 7.82-8.08(7H,m),
β-2 -44	β-2		Me	S	H,H	H	CF3	H	H	189-190	2.30(3H,S), 4.56(2H,s), 6.64(1H,d,J=15.6Hz), 7.68-7.83(3H,m), 7.91(2H,d,J=8.7Hz), 7.97(2H,d,J=8.7Hz), 8.01(1H,d,J=8.4Hz)
β-2 -45	β-2		Me	S	H,H	OMe	H	H	Me		2.30(3H,s),2.36(3H,s),3.91(3H,s),4.17(2H,s),6.31(1H,d,J=15.9Hz),7.03(1H,s),7.24(1H,s),7.72-7.83(4H,m), 7.90(1H,d,J=15.9Hz)
β-2 -46	β-2		CH2OMe	S	H,H	OMe	H	H	H		3.45(3H,s),3.93(3H,s),4.26(2H,s),4.53(2H,s),6.39(1H,d,J=15.9Hz),7.01-7.11(2H,m),7.42(1H,d,J=7.8Hz),7.63(1H,d,J=15.9Hz),7.76(2H,d,J=8.1Hz),7.86(2H,d,J=8.1Hz)
β-2 -47	β-2		Me	S	H,H	H	Cl	H	H	225-226	2.29(3H,S), 4.52(2H,s), 6.61(1H,d,J=15.9Hz), 7.41(1H,dd,J=8.4Hz,1.8Hz),7.63(1H,d,J=1.8Hz),7.81(1H,d,J=15.9Hz),7.89(1H,d,J=8.4Hz), 7.91(2H,d,J=8.7Hz), 7.96(2H,d,J=8.7Hz),
β-2 -49	β-2		Me	S	H,H	H	F	H	H	221-222	2.29(3H,S), 4.51(2H,s), 6.56(1H,d,J=16.2Hz), 7.24-7.47(2H,m), 7.59(1H,d,J=16.2Hz), 7.78(1H,t,J=8.1Hz), 7.90(2H,d,J=8.7Hz), 7.96(2H,d,J=8.7Hz)
β-2 -50	β-2		Me	S	H,H	Me	H	Me	H	241-241.5	2.19(3H,S), 2.39(6H,s),4.01(2H,s), 6.53(1H,d,J=14.4Hz), 7.40-7.54(3H,m),792(4H,brs)
β-2 -51	β-2		Me	S	H,H	Cl	H	H	H		2.33(3H,s),4.24(2H,s),6.39(1H,d,J=15.9Hz),7.41(1H,dd,J=1.5Hz),8.4Hz),7.53-7.55(2H,m),7.56(1H,d,J=15.9Hz),7.75(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz)

Table 92

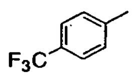
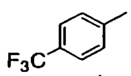
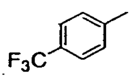
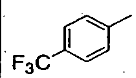
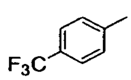
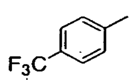
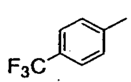
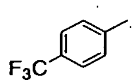
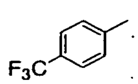
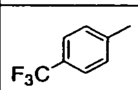
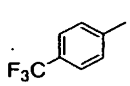
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	mp	NMR(CDCl3 or DMSO-d6)
β-X-1			CF3	S	H,H	OMe	H	H	H	190-192	3.94(3H,s),4.26(2H,s),6.42(1H,d,J=16.2Hz))7.01(1H,d,J=1.5Hz),7.09(1H,dd,J=7.8Hz,1.5Hz),7.43(1H,d,J=7.8Hz),7.71(1H,d,J=16.2Hz),7.77(2H,dJ=8.7Hz),7.83(2H,d,J=8.7Hz)
β-X-2			CH2OCH2CF3	S	H,H	OMe	H	H	H	212-214	3.92(3H,s),3.97(2H,q,J=8.7Hz),4.25(2H,s),4.77(2H,s),6.39(1H,d,J=16.2Hz)),7.00(1H,d,J=1.5Hz),7.09(1H,dd,J=7.8Hz,1.5Hz),7.40(1H,d,J=7.8Hz),7.62(1H,d,J=16.2Hz),7.76(2H,dJ=8.1Hz),7.85(2H,d,J=8.1Hz)
β-X-3			CH2O(CH2)2OMe	S	H	OMe	H	H	H	146-148	3.39(3H,s),3.57-3.60(2H,m),3.69-3.72(2H,m),3.93(3H,s),4.29(2H,s),4.66(2H,s),6.40(1H,d,J=15.9Hz)),6.99(1H,d,J=1.8Hz),7.11(1H,dd,J=7.8Hz,1.5Hz),7.45(1H,d,J=7.8Hz),7.71(1H,d,J=15.9Hz),7.74(2H,dJ=8.4Hz),7.89(2H,d,J=8.4Hz)
β-X-4			CH2OnPr	S	H,H	OMe	H	H	H	174-176	0.96(3H,t,J=7.5Hz),1.60-1.72(2H,m),3.51(2H,d,J=6.6Hz),3.94(3H,s),4.28(2H,s),4.57(2H,s),6.41(1H,d,J=16.2Hz)),7.00(1H,d,J=1.8Hz),7.12(1H,dd,J=7.8Hz,1.8Hz),7.45(1H,d,J=7.8Hz),7.72(1H,d,J=16.2Hz),7.75(2H,dJ=8.4Hz),7.87(2H,d,J=8.4Hz)
β-X-5			CH2OnPr	S	H,H	H	OMe	H	OMe	166-167	0.97(3H,t,J=7.5Hz),161-1.72(2H,m),3.52(2H,d,J=6.6Hz),3.89(6H,s),4.33(2H,s),4.57(2H,s),6.63(2H,s),6.82(1H,d,J=16.5Hz),7.75(2H,dJ=8.4Hz),7.85(2H,d,J=8.4Hz),8.14(1H,d,J=16.5Hz)
β-X-6			Et	S	H,H	H	OMe	H	OMe	174-175	1.29(3H,t,J=7.5Hz),2.76(2H,q,J=7.5Hz),3.89(6H,s),4.25(2H,s),6.63(2H,s),6.83(1H,d,J=16.5Hz),7.74(2H,dJ=8.4Hz),7.81(2H,d,J=8.4Hz),8.14(1H,d,J=16.5Hz)
β-X-7			CO2H	S	H,H	H	OMe	H	OMe	219-221 (dec)	3.74(2H,s),,3.87(6H,s),4.35(2H,s),6.61(2H,s),6.80(1H,d,J=16.2Hz),7.76(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz),8.05(1H,d,J=16.5Hz)
β-X-8			CH2OCH2cPr	S	H,H	H	OMe	H	OMe	165-167	0.22-0.27(2H,m),0.57-0.63(2H,m),1.06-1.19(1H,m),3.40(2H,d,J=6.9Hz),3.89(6H,s),4.34(2H,s),4.60(2H,s),6.63(2H,s),6.82(1H,d,J=16.2Hz),7.75(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz),8.13(1H,d,J=16.2Hz)
β-X-9			Me	S	H,H	Cl	H	H	H	219-220	2.33(3H,s), 4.24(2H,s), 6.39(1H,d,J=15.9Hz), 7.41(1H,dd,J=1.5Hz, 8.4Hz), 7.53-7.55(2H,m), 7.56(1H,d,J=15.9Hz), 7.75(2H,d,J=8.4Hz), 7.82(2H,d,J=8.4Hz)
β-X-10			Me	S	H,H	H	F	H	F	215-217	2.29(3H,s),4.57(2H,s),6.51(1H,d,J=16.5Hz),7.35(2H,d,J=9.9Hz),7.48(1H,d,J=16.5Hz),7.91(2H,d,J=8.4Hz),7.96(2H,d,J=8.4 Hz)
β-X-11			CH2OEt	S	H,H	H	OMe	H	OMe	147-148	1.16(3H,t,J=6.9Hz),3.56(2H,q,J=6.9Hz),3.87(6H,s),4.53 (2H,s), 4.58 (2H,s),6.63(1H,d,J=16.2Hz),6.76(2H,s),7.84(1H,d,J=16.2Hz),7.94(2H,d,J=8.4Hz),8.01(2H,d,J=8.4Hz)

Table 93

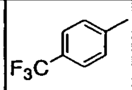
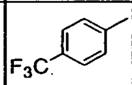
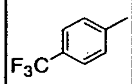
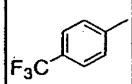
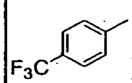
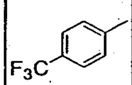
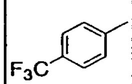
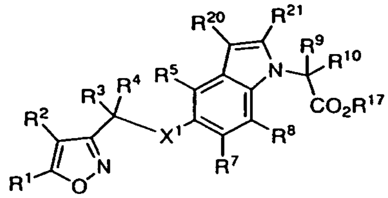
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	mp	NMR(CDCl3 or DMSO-d6)
β-X-12			Me	S	H,H	Me	H	H	H	196-198	2.27(3H,s),2.28(3H,s),4.41(2H,s),6.45(1H,d,J=16.2Hz),7.51(1H,d,J=16.2Hz),7.54(3H,m),7.94(4H,m)
β-X-13			Me	S	H,H	H	Me	H	Me	248-249	2.19(3H,s),2.38(6H,s),4.52(2H,s),6.54(1H,d,J=15.9Hz),7.46(2H,s,),7.48(1H,dJ=15.9Hz),7.92(4H,brs)
β-X-14			Me	S	H,H	H	Cl	H	H	225-226	2.29(3H,s),4.52(2H,s),6.61(1H,d,J=15.9Hz),7.41(1H,d,J=8.4Hz),7.63(1H,t,J=1.8Hz),7.89(1H,d,J=8.4Hz),7.91(2H,d,J=8.7Hz),7.96(2H,d,J=8.7Hz)
β-X-15			Me	S	H,H	H	F	H	H	221-222	2.29(3H,s),4.51(2H,s),6.56(1H,d,J=16.2Hz),7.24-7.47(2H,m),7.59(1H,d,J=16.2Hz),7.78(1H,t,J=8.1Hz)7.90(2H,d,J=8.7Hz),7.96(2H,d,J=8.7Hz)
β-X-16			Me	S	H,H	Me	H	Me	H	241-241.5	2.19(3H,s),2.39(6H,s),4.01(2H,s),6.53(1H,d,J=14.4Hz),7.40-7.54(3H,m),7.92(4H,brs)
β-X-17			Me	S	H,H	Et	H	H	H	198.5-199.5	1.14(3H,t,J=7.2Hz),2.28(3H,s),2.66(2H,q,J=7.2Hz),4.41(2H,s),6.52(1H,d,J=15.9Hz),7.50-7.62(4H,m)7.90(2H,d,J=8.7Hz),7.94(2H,d,J=8.7Hz)
β-X-18			CONH2	S	H,H	H	OMe	H	OMe	226-227	1.04(3H,t,J=6Hz),3.87(6H,s),4.55(2H,s),6.64(1H,d,J=16.2Hz),6.73(2H,s),7.84(1H,d,J=16.2Hz),7.80-8.14(2H,m),7.94(2H,d,J=8.4Hz),8.04(2H,d,J=8.4Hz)

Table 94



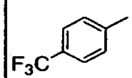
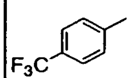
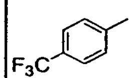
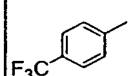
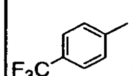
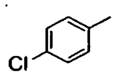
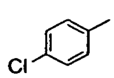
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21	R17	mp	NMR(CDCl3 or DMSO-d6)
α-11-1	α-11		Me	O	H,H	H	H	H	H	H	H	H	Me		2.34(3H,s),3.75(3H,s),4.83(2H,s),5.23(2H,s),6.51(1H,d,J=3.0Hz),6.97(1H,dd,J=2.4,9.0Hz), 7.08(1H,d,J=3.0Hz), 7.16(1H,d,J=9.0Hz),7.27(1H,d,J=2.4Hz),7.75(2H,d,J=9.0Hz),7.85(2H,d,J=9.0Hz).
α-11-2	α-11		Me	O	H,H	H	H	H	Me	H	H	H	Et		1.21(3H,t,J=7.2Hz),1.80(3H,d,J=7.2Hz),2.34(3H,s),4.16(2H,q,J=7.2Hz), 5.07(1H,q,J=7.2Hz),5.22(2H,s),6.51(1H,d,J=3.0Hz),6.95(1H,dd,J=8.7,2.4Hz),7.25(3H),7.74(2H,d,J=8.7Hz),7.84(2H,d,J=8.7Hz)
α-11-3	α-11		Me	O	H,H	H	H	H	nPr	H	H	H	Et		0.93(3H,t.J=7.2Hz),1.22(3H,t,J=7.2Hz),1.23(2H),2.17(2H),2.34(3H,s),4.15(2H,q,J=7.2Hz),4.92(1H,dd,J=9.3,6.3Hz),5.22(2H,s),6.51(1H,d,J=3.3Hz),6.95(1H,dd,J=9.0,2.4Hz),7.26(3H),7.74(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz)
α-11-4	α-11		CH2OEt	S	H,H	H	H	H	H	H	H	H	Me		1.25(3H,t,J=6.9Hz),3.56(2H,q,J=6.9Hz),3.74(3H,s),4.18(2H,s),4.47(2H,s), 4.83(2H,s),6.50(1H,dd,J=3.0,0.9Hz), 7.09(1H,d,J=3.0Hz),7.17(1H,d,J=8.7Hz),7.31(1H,dd,J=8.7,1.8Hz),7.74(3H),7.88(2H,d,J=8.7Hz)
α-11-5	α-11		CH2OnPr	S	H,H	H	H	H	H	H	H	H	Me		0.94(3H,t,J=7.2Hz),1.63(2H),3.46(2H,t,J=6.6Hz),3.74(3H,s),4.18(2H,s), 4.46(2H,s),4.83(2H,s),6.50(1H,dd,J=3.0,0.9Hz),7.09(1H,d,J=3.0Hz),7.17(1H,d,J=8.4Hz),7.30(1H,dd,J=8.4,1.8Hz),7.74(3H),7.89(2H,d,J=8.7Hz)
α-11-6	α-11		Me	O	H,H	Me	H	H	H	H	H	H	Me		2.33(3H,s),2.45(3H,s),3.74(3H,s),4.82(2H,s),5.17(2H,s),6.53(1H,d,J=3.3Hz),7.04(2H,s),7.08(1H,d,J=3.3Hz), 7.46(2H,d,J=8.7Hz),7.67(2H,d,J=8.7Hz)
α-11-7	α-11		Me	S	H,H	H	H	H	H	H	H	H	Me		2.18(3H,s),3.74(3H,s),4.07(2H,s),4.83(2H,s),6.50(1H,dd,J=3.3,0.6Hz),7.08(1H,d,J=3.3Hz),7.17(1H,d,J=8.7Hz), 7.29(1H,dd,J=8.7,1.8Hz),7.44(2H,d,J=8.7Hz),7.62(2H,d,J=8.7Hz),7.74(1H,d,J=1.8Hz)

Table 95

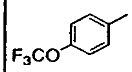
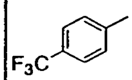
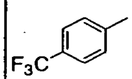
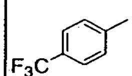
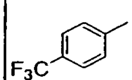
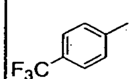
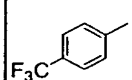
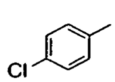
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21	R17	mp	NMR(CDCl3 or DMSO-d6)
α-11 -8	α-11		Me	O	H,H	Me	H	H	H	H	H	H	Me		2.34(3H,s),2.45(3H,s),3.74(3H,s), 4.82(2H,s),5.17(2H,s),6.53(1H,d,J=3.0Hz),7.04(2H,s),7.08(1H,d,J=3.0Hz), 7.34(2H,d,J=9.0Hz),7.76(2H,d,J=9.0 Hz)
α-11 -9	α-11		CH=NOEt	O	H,H	Me	H	H	H	H	H	H	Me		1.25(3H,t,J=7.2Hz),2.47(3H,s), 3.75(3H,s),4.13(2H,q,J=7.2Hz),4.83(2H,s),5.35(2H,s),6.53(1H,dd,J=3.3,0.6 Hz),7.07(3H),7.77(2H,d,J=8.1Hz),7.93(2H,d,J=8.1Hz),8.23(1H,s)
α-11 -10	α-11		CH2OnPr	O	H,H	H	H	H	H	H	H	H	Me		0.92(3H,t,J=7.2Hz),1.57-1.68(2H,m), 3.50(2H,d,J=6.6Hz),3.74(3H,s), 4.57(2H,s),4.83(2H,s),5.28(2H,s), 6.51(1H,dd,J=3.3Hz,J=0.9Hz)), 6.96(1H,dd,J=8.7Hz,J=2.4Hz),7.08(1H,d,J=3.3Hz),7.16(1H,d,J=9.0Hz),7.26(1H,d,J=0.9Hz),7.76(2H,dJ=8.1Hz), 7.97(2H,d,J=8.1Hz)
α-11 -11	α-11		CH2OCH2 cPr	S	H,H	H	H	H	H	H	H	H	Me		0.19-0.24(2H,m),0.53-0.60(2H,m), 1.03-1.16(1H,m),3.35(2H,d,J=7.2Hz), 3.74(3H,s),4.19(2H,s),4.48(2H,s),4.83(2H,s),6.50(1H,dd,J=3.3Hz,0.9Hz), 7.08-7.31(3H,m),7.72-7.75(3H,m), 7.90(1H,d,J=8.7Hz)
α-11 -12	α-11		Me	S	H,H	H	H	H	H	H	Me	Me	Me		2.18(3H,s),2.19(3H,s),2.29(3H,s),. 3.73(3H,s),4.08(2H,s),4.76(2H,s), 7.07(1H,d,J=8.7Hz), 7.22(1H,dd,J=8.7Hz,J=1.5Hz),7.57(1H,d,J=1.5Hz),7.71-7.81(4H,m)
α-11 -13	α-11		CH2OEt	S	H,H	H	H	H	H	H	Me	Me	Me		1.24(3H,t,J=6.9Hz),2.18(3H,s), 2.29(3H,s),3.56(2H,q,J=6.9Hz),.3.73(3H,s),4.17(2H,s),4.45(2H,s), 4.75(2H,s),7.06(1H,d,J=8.4Hz),7.22(1H,dd,J=8.4Hz,J=1.5Hz),7.58(1H,d,J=1.5Hz),7.74(2H,d,J=8.1Hz),),788(2H,d,J=8.1Hz)
α-11 -14	α-11		CH=NOEt	S	H,H	H	H	H	H	H	H	H	Me		1.35(3H,t,J=7.2Hz), 3.74(3H,s), 4.24(2H,q,J=7.2Hz), 4.32(2H,s), 4.83(2H,s), 5.01(1H,dd,J=0.9Hz, 3.3Hz), 7.08(1H,d,J=3.3Hz), 7.17(1H,d,J=8.4Hz), 7.31(1H,dd, J=1.8Hz,8.4Hz), 7.74-7.85(5H,m), 8.17(1H,s)
α-11 -15	α-11		CH2OEt	S	H,H	Me	H	H	H	H	H	H	Me		1.23(3H,t,J=6.9Hz), 2.65(3H,s), 3.53 (2H,q,J=6.9Hz), 3.74(3H,s), 4.06(2H, s), 4.40(2H,s), 4.82(2H,s), 6.56(1H,d, J=3.3Hz), 7.02(1H,d,J=8.4Hz), 7.08 (1H,d,J=3.3Hz), 7.35(1H,d,J=8.4Hz), 7.45(2H,d,J=8.7Hz), 7.69(2H,d,J=8.7Hz)

Table 96

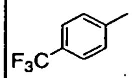
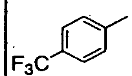
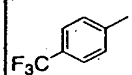
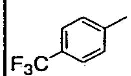
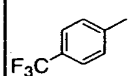
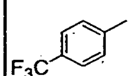
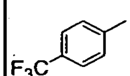
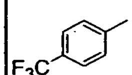
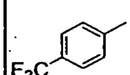
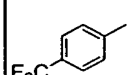
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21	R17	mp	NMR(CDCl3 or DMSO-d6)
α-11-16	α-11		Me	O	H,H	H	H	H	H	H	nPr	H	Me		1.00(3H,t,J=7.2Hz),1.68-1.76(2H,m),2.35(3H,s),2.69(2H,t,J=7.5Hz),3.74(3H,s),4.77(2H,s),5.24(2H,s),6.86(1H,s),6.96(1H,dd,J=8.7,2.4Hz),7.16(1H,d,J=8.7Hz),7.20(1H,d,J=2.4Hz),7.75(2H,d,J=8.7Hz),7.85(2H,d,J=8.7Hz)
α-11-17	α-11		Me	O	H,H	H	H	H	H	H	Et	H	Me		1.32(3H,t,J=7.2Hz),2.39(3H,s),2.75(2H,q,J=7.2Hz)3.76(3H,s),4.79(2H,s),5.21(2H,s),6.86(1H,s),6.96(1H,dd,J=9.0,2.4Hz),7.12(1H,d,J=9.0Hz),7.20(1H,d,J=2.4Hz),7.74(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz)
α-11-18	α-11		Me	O	H,H	H	H	H	H	H	CN	H	Me		2.38(3H,s)3.80(3H,s),4.88(2H,s),5.23(2H,s),7.09(1H,dd,J=9.0,2.4Hz),7.24(1H,d,J=9Hz),7.36(1H,d,J=2.4Hz),7.60(1H,s),7.76(2H,d,J=9.0Hz),7.86(2H,d,J=9.0Hz)
α-11-19	α-11		Me	S	H,H	H	H	H	H	H	H	H	Me		2.22(3H,s),3.75(3H,s),4.09(2H,s),4.84(2H,s),6.51(1H,d,J=3.3Hz),7.08-7.32(3H,m),7.66-7.78(3H,m),7.81(2H,d,J=8.4Hz).
α-11-20	α-11		Me	O	H,H	H	H	H	H	H	H	Me	Me		2.34(3H,s),2.38(3H,s),3.74(3H,s),4.77(2H,s),5.21(2H,s),6.25(1H,s),6.88(1H,dd,J=2.9Hz,8.8Hz),7.08(1H,d,J=8.8Hz),7.17(1H,d,J=2.9Hz),7.74(2H,d,J=8.7Hz),7.84(2H,d,J=8.7Hz).
α-11-21	α-11		CH2OEt	O	H,H	H	H	H	H	H	H	H	Me		1.24(3H,t,J=6.9Hz),3.60(2H,q,J=6.9Hz),3.75(3H,s),4.58(2H,s),4.83(2H,s),5.28(2H,s),6.51(1H,d,J=3.0Hz),6.94-7.28(4H,m),7.76(2H,d,J=8.7Hz),7.96(2H,d,J=8.7Hz).
α-11-22	α-11		Me	O	H,H	H	OMe	H	H	H	H	H	Me		2.38(3H,s),3.76(3H,s),3.92(3H,s),4.81(2H,s),5.25(2H,s),6.45(1H,d,J=3.0Hz),6.73(1H,s),6.97(1H,d,J=3.0Hz),7.27(1H,s),7.74(2H,d,J=8.7Hz),7.84(2H,d,J=8.7Hz).
α-11-23	α-11		Me	O	H,H	Me	H	H	H	H	H	H	Me		2.37(3H,s),2.46(3H,s),3.74(3H,s),4.82(2H,s),5.19(2H,s),6.53(1H,d,J=3.0Hz),7.04(2H,s),7.09(1H,d,J=3.0Hz),7.753(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz).
α-11-24	α-11		CH2OEt	O	H,H	Me	H	H	H	H	H	H	Me		1.25(3H,t,J=7.0Hz),2.46(3H,s),3.61(2H,q,J=7.0Hz),3.75(3H,s),4.61(2H,s),4.83(2H,s),5.24(2H,s),6.53(1H,d,J=3.0Hz),7.05(2H,s),7.09(1H,d,J=3.0Hz),7.97(2H,d,J=8.7Hz),7.77(2H,d,J=8.7Hz).
α-11-25	α-11		Me	O	H,H	H	H	H	H	H	Me	H	Me		2.30(3H,s),2.35(3H,s),3.74(3H,s),4.77(2H,s),5.24(2H,s),6.86(1H,s),6.96(1H,dd,J=2.4Hz,8.7Hz),7.12(1H,d,J=8.7Hz),7.18(1H,d,J=2.4Hz),7.75(2H,d,J=8.7Hz),7.85(2H,d,J=8.7Hz).

Table 97

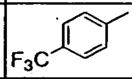
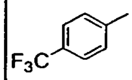
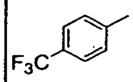
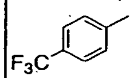
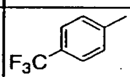
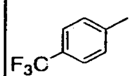
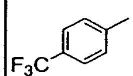
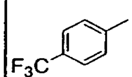
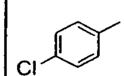
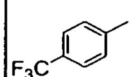
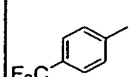
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21	R17	mp	NMR(CDCl3 or DMSO-d6)
α-11 -26	α-11		Me	O	H,H	Et	H	H	H	H	H	H	Me		
α-11 -27	α-11		Me	O	H,H	Me	H	H	H	H	Me	H	Me		2.37(3H,s),2.49(3H,s),2.62(3H,s),3.74(3H,s),4.73(2H,s),5.15(2H,s),6.80(1H,s),6.95(1H,d,J=8.4Hz),7.01(1H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz).
α-11 -28	α-11		Me	S	H,H	OMe	H	H	H	H	H	H	Me		2.41(3H,s),3.76(3H,s),4.08(3H,s),4.81(2H,s),5.22(2H,s),6.66(1H,d,J=3.3Hz),6.87(1H,d,J=8.4Hz),7.00-7.07(2H,m),7.75(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz).
α-11 -29	α-11		Me	O	H,H	CH2 OMe	H	H	H	H	H	H	Me		2.37(3H,s),3.40(3H,s),3.74(3H,s),4.82(2H,s),4.84(2H,s),5.23(2H,s),6.68(1H,d,J=3.3Hz),7.06-7.20(3H,m), 7.75(2H,d,J=8.4Hz), 7.86(2H,d,J=8.4Hz).
α-11 -30	α-11		CH2OEt	S	H,H	Me	H	H	H	H	H	H	Me		
α-11 -31	α-11		Me	O	H,H	H	H	H	H	H	CH=N OMe	H	Me		Rf=0.75 (hexane/AcOEt=1/1)
α-11 -32	α-11		Me	O	H,H	H	H	H	H	H	CH=N OEt	H	Me		Rf=0.4 (hexane/AcOEt=2/1)
α-11 -33	α-11		Me	S	H,H	Me	H	H	H	H	H	H	Me		2.18(3H,s),2.65(3H,s),3.74(3H,s),3.99(2H,s),4.83(2H,s),6.56(1H,d,J=3.3Hz),7.03(1H,d,J=8.7Hz),7.08(1H,d,J=3.3Hz),7.35(1H,d,J=8.7Hz),7.73(2H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz).
α-11 -34	α-11		Me	O	H,H	Me	H	H	H	H	Me	H	Me		2.33(3H,s),2.49(3H,s),2.61(3H,s),3.73(3H,s),4.72(2H,s),5.13(2H,s),6.80(1H,s),6.95(1H,d,J=8.7Hz),7.01(1H,d,J=8.7Hz),7.47(2H,d,J=8.7Hz),7.67(2H,d,J=8.7Hz).
α-11 -35	α-11		CH2OEt	O	H,H	Me	H	H	H	H	Me	H	Me		1.25(3H,t,J=7.0Hz),2.49(3H,s),2.62(3H,s),3.61(2H,q,J=7.0Hz),3.74(3H,s),4.61(2H,s),4.73(2H,s),5.20(2H,s),6.81(1H,s),6.96(1H,d,J=9.0Hz), 7.02(1H,d,J=9.0Hz),7.77(2H,d,J=8.4Hz),7.97(2H,d,J=8.4Hz).
α-11 -36	α-11		H	S	H, p-FC6H4	H	H	H	H	H	H	H	Me		3.74(3H,s),4.82(2H,s),5.49(1H,s),6.48 (1H,dd,J=3.3,0.9Hz),6.68(1H,s),7.01(2H,dd,J=8.7,8.7Hz),7.08(1H,d,J=3.3Hz),7.11(1H,dd,J=8.4,0.9Hz),7.20(1,dd,J=8.4,1.2Hz),7.41(2H,dd,J=8.7,5.4Hz),7.67-7.72(3H,m),7.85(2H,d,J=8.4Hz)

Table 98

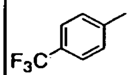
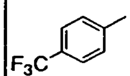
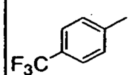
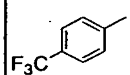
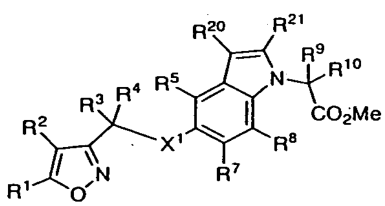
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21	R17	mp	NMR(CDCl3 or DMSO-d6)
α-11 -37	α-11		CH=NOnPr	O	H,H	Me	H	H	H	H	H	H	Me		0.91(3H,t,J=7.5Hz),1.62-1.70(2H,m), 2.48(3H,s),3.75(3H,s),4.03(2H,t,J=6.9Hz),4.84(2H,s),5.36(2H,s),6.54(1H,d,J=3.3Hz),7.03-7.10(3H,m),7.78(2H,d, J=8.7Hz),7.94(2H,d,J=8.7Hz), 8.25(1H, s)
α-11 -38	α-11		Et	O	H,H	Me	H	H	H	H	Me	H	Me		1.31(3H,t,J=7.5Hz),2.49(3H,s),2.62(3H,s),2.82(2H,q,J=7.5Hz),.3.74(3H,s),4.73(2H,s),5.15(2H,s),6.81(1H,s),6.96(1H,d,J=8.7Hz),7.02(1H,d,J=8.7Hz),7.76(2H,d,J=8.7Hz),),785(2H,d,J=8.7Hz)
α-11 -39	α-11		CH2OEt	S	H,H	Me	H	H	H	H	Me	H	Me		1.25(3H,t,J=6.9Hz),2.48(3H,s),2.85(3H,s),3.55(2H,q,J=6.9Hz),.3.73(3H,s),4.05(2H,s),4.42(2H,s),4.74(2H,s),6.81(1H,s),6.94(1H,d,J=8.4Hz)7.31(1h,d,J=8.4Hz),7.75(2H,d,J=8.7Hz),7.89(2H,d,J=8.7Hz)
α-11 -40	α-11		Me	S	H,H	Me	H	H	H	H	Me	H	Me		2.19(3H,s),2.47(3H,s),2.85(3H,s),3.73(3H,s),3.96(2H,s),4.73(2H,s),6.81(1H,s),6.93(1H,d,J=8.4Hz),7.31(1H,d,J=8.4Hz),7.73(2H,d,J=8.7Hz),),7.80(2H,d,J=8.7Hz)

Table 99



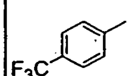
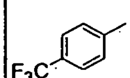
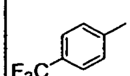
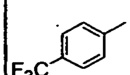
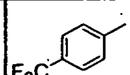
No	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21
AA-1		Me	S	H,H	H	H	H	H	H	H	H
AA-2		Me	O	H,H	H	H	H	Me	H	H	H
AA-3		Me	S	H,H	H	H	H	Me	H	H	H
AA-4		Me	O	H,H	H	H	H	Et	H	H	H
AA-5		Me	S	H,H	H	H	H	Et	H	H	H

Table 100

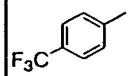
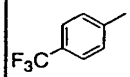
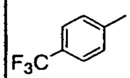
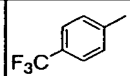
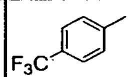
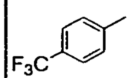
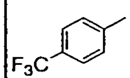
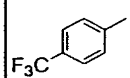
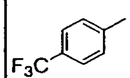
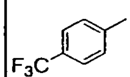
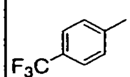
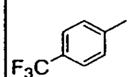
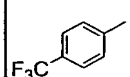
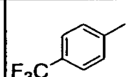
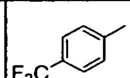
No	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21
AA-7		Me	S	H,H	H	H	H	nPr	H	H	H
AA-8		Me	O	H,H	H	H	H	Me	Me	H	H
AA-9		Me	S	H,H	H	H	H	Me	Me	H	H
AA-11		Me	S	H,H	H	H	H	H	H	H	Me
AA-12		Me	O	H,H	H	H	H	H	H	H	OMe
AA-13		Me	S	H,H	H	H	H	H	H	H	OMe
AA-14		Me	O	H,H	H	H	H	H	H	Me	Me
AA-16		Me	O	H,H	H	H	H	H	H	Me	H
AA-17		Me	S	H,H	H	H	H	H	H	Me	H
AA-19		Me	S	H,H	H	H	H	H	H	Et	H
AA-21		Me	S	H,H	H	H	H	H	H	nPr	H
AA-22		Me	O	H,H	H	H	H	H	H	CH2CH2NMe2	H
AA-23		Me	S	H,H	H	H	H	H	H	CH2CH2NMe2	H
AA-24		Me	O	H,H	H	H	H	H	H	CH2CONH2	H
AA-25		Me	S	H,H	H	H	H	H	H	CH2CONH2	H

Table 101

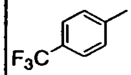
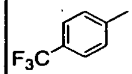
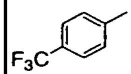
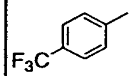
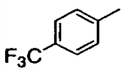
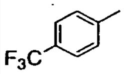
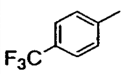
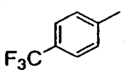
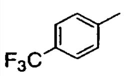
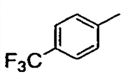
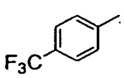
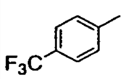
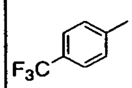
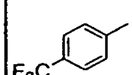
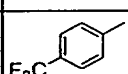
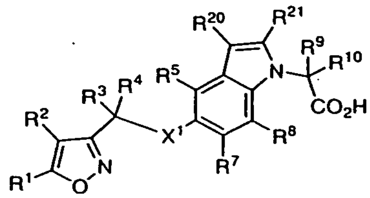
No	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21
AA-26		Me	O	H,H	H	H	H	H	H	CH2CH2OH	H
AA-27		Me	S	H,H	H	H	H	H	H	CH2CH2OH	H
AA-28		Me	O	H,H	H	H	H	H	H	CH2CH2OMe	H
AA-29		Me	S	H,H	H	H	H	H	H	CH2CH2OMe	H
AA-30		Me	O	H,H	H	OMe	H	H	H	H	H
AA-31		Me	S	H,H	H	OMe	H	H	H	H	H
AA-32		Me	O	H,H	H	Me	H	H	H	H	H
AA-33		Me	S	H,H	H	Me	H	H	H	H	H
AA-34		Me	O	H,H	H	H	Me	H	H	H	H
AA-35		Me	S	H,H	H	H	OMe	H	H	H	H
AA-36		Me	O	H,H	H	H	OMe	H	H	H	H
AA-37		Me	S	H,H	H	H	Me	H	H	H	H
AA-38		MeOCH2	O	H,H	H	H	H	H	H	H	H
AA-39		MeOCH2	S	H,H	H	H	H	H	H	H	H
AA-40		EtOCH2	O	H,H	H	H	H	H	H	H	H

Table 102



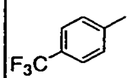
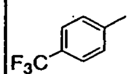
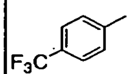
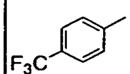
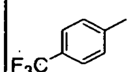
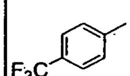
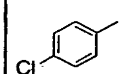
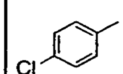
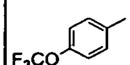
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21	mp	NMR(CDCl3 or DMSO-d6)
β-3-1	β-3		Me	O	H,H	H	H	H	H	H	H	H	159-160	2.34(3H,s),4.88(2H,s),5.23(2H,s),6.52(1H,d,J=3.0Hz), 6.98(1H,dd,J=2.4,9.0Hz),7.08(1H,d,J=3.0Hz),7.17(1H,d,J=9.0Hz),7.27(1H,d,J=2.4Hz),7.75(2H,d,J=8.4Hz),7.84(2H,d,J=8.4 Hz).
β-4-1	β-4		Me	S	H,H	H	H	H	H	H	H	H	139-141	2.23(3H,s),4.18(2H,s),4.79(2H,s),6.36(1H,d,J=2.7Hz), 7.12-7.36 (2H,m),7.63(1H,S),7.90(2H,d,J=9.0Hz),7.94(2H,d,J=9.0 Hz).
β-3-2	β-3		Me	O	H,H	H	H	H	Me	H	H	H	184-186	1.70(3H,d,J=7.2Hz),2.31(3H,s),5.24(2H,s),5.27(1H,q,J=7.2Hz),6.40(1H,d,J=3.0Hz),6.88(1H,dd,J=9.0,2.4Hz),7.25(1H,d,J=2.4Hz),7.35(1H,d,J=9.0Hz),7.43(1H,d,J=3.0Hz),7.92(2H,d,J=8.7Hz),7.99(2H,d,J=8.7Hz)
β-3-3	β-3		Me	O	H,H	H	H	H	nPr	H	H	H	139-141	0.84(3H,t,J=7.2Hz),1.10(2H),2.11(2H,q,J=7.2Hz),2.31(3H,s),5.13(1H,t,J=7.2Hz),5.24(2H,s),6.41(1H,d,J=3.0Hz),6.88(1H,dd,J=9.0,2.4Hz),7.25(1H,d,J=2.4Hz),7.40(1H,d,J=9.0Hz),7.42(1H,d,J=3.0Hz),7.92(2H,d,J=8.7Hz),7.99(2H,d,J=8.7Hz)
β-4-2	β-4		CH2OEt	S	H,H	H	H	H	H	H	H	H	152-154	1.13(3H,t,J=6.9Hz),3.51(2H,q,J=6.9Hz),4.22(2H,s),4.49(2H,s),4.92(2H,s),6.39(1H,d,J=2.7Hz),7.18(1H,dd,J=8.4,1.8Hz),7.34(2H),7.65(1H,d,J=1.8Hz),7.93(2H,d,J=8.7Hz),7.98(2H,d,J=8.7Hz)
β-4-3	β-4		CH2OnPr	S	H,H	H	H	H	H	H	H	H	159-161	0.85(3H,t,J=7.2Hz),1.53(2H),3.42(2H,t,J=6.6Hz),4.23(2H,s),4.49(2H,s),5.00(2H,s),6.40(1H,d,J=3.0Hz),7.19(1H,dd,J=8.4,1.8Hz),7.36(2H),7.66(1H,d,J=1.8Hz),7.92(2H,d,J=8.7Hz),7.98(2H,d,J=8.7Hz)
β-3-4	β-3		Me	O	H,H	Me	H	H	H	H	H	H	195-197	2.29(3H,s),2.33(3H,s),4.94(2H,s),5.17(2H,s),6.40(1H,d,J=3.3Hz),7.03(1H,d,J=9.0Hz),7.17(1H,d,J=9.0Hz)7.29(1H,d,J=3.3Hz),7.63(2H,d,J=8.7Hz),7.78(2H,d,J=8.7Hz)
β-4-4	β-4		Me	S	H,H	H	H	H	H	H	H	H	164-166	2.18(3H,s),4.18(2H,s),4.99(2H,s),6.41(1H,d,J=3.0Hz),717(1H,dd,J=8.4,1.8Hz),7.35(2H),7.60(2H,d,J=8.7Hz),7.64(1H,d,J=1.8Hz),7.72(2H,d,J=8.7Hz)
β-3-5	β-3		Me	O	H,H	Me	H	H	H	H	H	H	178-180	2.30(3H,s),2.33(3H,s),4.94(2H,s),5.18(2H,s),6.40(1H,dd,J=3.3,0.6Hz),7.03(1H,d,J=9.0Hz),7.17(1H,d,J=9.0Hz),7.29(1H,d,J=3.3Hz),7.56(2H,d,J=8.7Hz),7.90(2H,d,J=8.7Hz)

Table 103

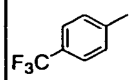
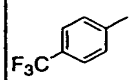
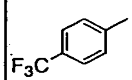
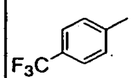
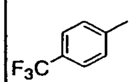
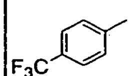
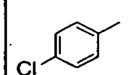
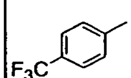
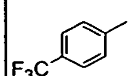
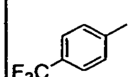
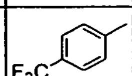
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21	mp	NMR(CDCl3 or DMSO-d6)
β-3-6	β-3		CH=NOEt	O	H,H	Me	H	H	H	H	H	H	172-174	1.17(3H,t,J=6.9Hz),2.32(3H,s),4.06(2H,q,J=6.9Hz),4.95(2H,s),5.34(2H,s),6.40(1H,d,J=2.7Hz),7.02(1H,d,J=8.7Hz),7.17(1H,d,J=8.7Hz),7.29(1H,d,J=2.7Hz),7.95(2H,d,J=8.4Hz),8.10(2H,d,J=8.4Hz),8.36(1H,s)
β-3-7	β-3		CH2OnPr	O	H,H	H	H	H	H	H	H	H	131-132	0.92(3H,t,J=7.2Hz),1.56-1.68(2H,m),3.49(2H,d,J=6.6Hz),4.57(2H,s),4.87(2H,s),5.28(2H,s),6.52(1H,d,J=3.0Hz),6.96(1H,dd,J=8.7Hz,J=2.4Hz),7.07(1H,d,J=3.0Hz),7.15(1H,d,J=8.7Hz),7.26(1H,d,J=2.4Hz),7.76(2H,dJ=8.4Hz),7.97(2H,d,J=8.4Hz)
β-4-5	β-4		CH2OCH2cPr	S	H,H	H	H	H	H	H	H	H	140-142	0.19-0.24(2H,m),0.53-0.60(2H,m),1.04-1.16(1H,m),3.35(2H,d,J=6.9Hz),4.18(2H,s),4.50(2H,s),4.85(2H,s),6.50(1H,d,J=3.3Hz),7.07(1H,d,J=3.3Hz),7.16(1H,d,J=8.4Hz),7.29(1H,dd,J=8.4Hz,1.8Hz),7.72-7.75(3H,m),7.90(1H,d,J=8.7Hz)
β-4-6	β-4		Me	S	H,H	H	H	H	H	H	Me	Me	132-133	2.17(3H,s),2.20(3H,s),2.28(3H,s),4.07(2H,s),4.77(2H,s),7.05(1H,d,J=8.4Hz),7.21(1H,dd,J=8.4Hz,J=1.5Hz),7.57(1H,d,J=1.5Hz),7.72(2H,d,J=8.4Hz),7.79(2H,d,J=8.4Hz)
β-4-7	β-4		CH2OEt	S	H,H	H	H	H	H	H	Me	Me	122-125	1.24(3H,t,J=6.9Hz),2.17(3H,s),2.28(3H,s),3.56(2H,q,J=6.9Hz),4.17(2H,s),4.46(2H,s),4.77(2H,s),7.06(1H,d,J=8.1Hz),7.23(1H,dd,J=8.1Hz,J=1.5Hz),7.57(1H,d,J=1.5Hz),7.74(2H,d,J=8.1Hz),),7.87(2H,d,J=8.1Hz)
β-4-8	β-4		CH=NOEt	S	H,H	H	H	H	H	H	H	H	159-160	1.35(3H,t,J=6.9Hz), 4.24(2H,q,J=6.9Hz), 4.31(2H,s), 4.85(2H,s), 6.51(1H,dd, J=0.9Hz,3.3Hz), 7.06(1H,d,J=3.3Hz), 7.17(1H,d,J=8.4Hz), 7.31(1H,dd,J=1.5Hz, 8.4Hz), 7.73-7.84(5H,m), 8.18(1H,s)
β-4-9	β-4		CH2OEt	S	H,H	Me	H	H	H	H	H	H	170-172	1.23(3H,t,J=6.9Hz), 2.64(3H,s), 3.53(2H,q,J=6.9Hz), 4.05(2H,s), 4.40(2H,s), 4.80(2H,s), 7.05(2H,d,J=8.4Hz), 7.09(1H,m), 7.34(1H,d,J=8.4Hz), 7.46(2H,d,J=8.7Hz), 7.68(2H,d,J=8.7Hz)
β-3-8	β-3		Me	O	H,H	H	H	H	H	H	nPr	H	163-164	0.99(3H,t,J=7.2Hz),1.68-1.75(2H,m), 2.35(3H,s),2.69(2H,t,J=7.2Hz),4.81(2H,s),5.24(2H,s),6.84(1H,s),6.97(1H,dd,J=8.7,2.4Hz),7.12(1H,d,J=8.7Hz),7.20(1H,d,J=2.4Hz), 7.75(2H,d,J=8.7Hz),7.84(2H,d,J=8.7Hz)
β-3-9	β-3		Me	O	H,H	H	H	H	H	H	Et	H	145-147	1.32(3H,t,J=7.2Hz),2.38(3H,s),2.75(2H,q,J=7.2Hz),4.82(2H,s),5.23(2H,s)6.86(1H,s),6.97(1H,dd,J=9.0,2.7Hz),7.13(1H,d,J=9Hz),7.21(1H,d,J=2.7Hz),7.75(2H,d,J=9.0Hz),7.84(2H,d,J=9.0Hz)
β-3-10	β-3		Me	O	H,H	H	H	H	H	H	CN	H	207-209	2.38(3H,s)4.91(2H,s),5.23(2H,s),7.10(1H,dd,J=9.0,2.7Hz),7.32(1H,d,J=9Hz),7.35(1H,s), 7.74(1H,s),7.78(2H,d,J=9.0Hz),7.89(2H,d,J=9.0Hz)
β-4-10	β-4		Me	S	H,H	H	H	H	H	H	H	H	208-209	2.23(3H,s),4.18(2H,s),4.79(2H,s),6.36(1H,d,J=2.7Hz), 7.12-7.36 (2H,m), 7.63(1H,S), 7.90(2H,d,J=9.0Hz),7.94(2H,d,J=9.0 Hz).

Table 104

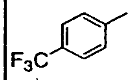
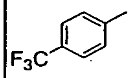
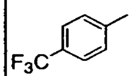
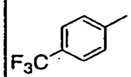
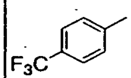
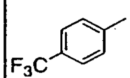
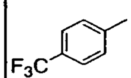
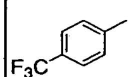
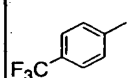
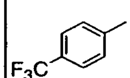
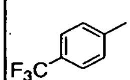
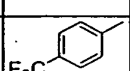
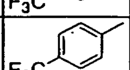
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21	mp	NMR(CDCl3 or DMSO-d6)
β-3 -11	β-3		Me	O	H,H	H	H	H	H	H	H	Me	204-205	2.38(3H,s),2.39(3H,s),4.81(2H,s),5.21(2H,s),6.27(1H,s),6.89(1H,dd,J=2.4Hz,9.0Hz),7.09(1H,d,J=9.0Hz),7.17(1H,d,J=2.4Hz),7.74(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz).
β-3 -12	β-3		CH2OEt	O	H,H	H	H	H	H	H	H	H	143-144	1.24(3H,t,J=7.0Hz),3.60(2H,q,J=7.0Hz),4.58(2H,s),4.88(2H,s),5.28(2H,s),6.52(1H,d,J=3.0Hz),6.97(1H,dd,J=3.0Hz,9.0Hz),7.08(1H,d,J=3.0Hz),7.16(1H,d,J=9.0Hz),7.26(1H,d,J=3.0Hz),7.76(2H,d,J=7.8Hz),7.96(2H,d,J=7.8Hz).
β-3 -13	β-3		Me	O	H,H	H	OMe	H	H	H	H	H	188-189	2.38(3H,s),3.91(3H,s),4.86(2H,s),5.25(2H,s),6.47(1H,d,J=3.0Hz),6.74(1H,s),6.97(1H,d,J=3.0Hz),7.28(1H,s),7.74(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz).
β-3 -14	β-3		Me	O	H,H	Me	H	H	H	H	H	H	202-203	2.30(3H,s),2.34(3H,s),4.95(2H,s),5.20(2H,s),6.41(1H,d,J=3.0Hz),7.04(1H,d,J=8.7Hz),7.18(1H,d,J=9.0Hz),7.30(1H,d,J=3.0Hz),7.93(2H,d,J=8.4Hz),8.00(2H,d,J=8.4Hz).
β-3 -15	β-3		CH2OEt	O	H,H	Me	H	H	H	H	H	H	196-197	1.23(3H,t,J=6.9Hz),2.34(3H,s),3.53(2H,q,J=6.9Hz),4.59(2H,s),4.95(2H,s),5.23(2H,s),6.41(1H,d,J=3.0Hz),7.04(1H,d,J=9.0Hz),7.18(1H,d,J=9.0Hz),7.30(1H,d,J=3.0Hz),7.97(2H,d,J=8.1Hz),8.05(2H,d,J=8.1Hz).
β-3 -16	β-3		Me	O	H,H	H	H	H	H	H	Me	H	160-161	2.30(3H,s),2.35(3H,s),4.81(2H,s),5.24(2H,s),6.84(1H,s),6.96(1H,dd,J=2.4Hz,9.0Hz),7.11(1H,d,J=9.0Hz),7.18(1H,d,J=2.4Hz),7.75(2H,d,J=8.1Hz),7.84(2H,d,J=8.1Hz).
β-3 -17	β-3		Me	O	H,H	Et	H	H	H	H	H	H	211-212	1.25(3H,t,J=7.5Hz),2.38(3H,s),2.93(2H,q,J=7.2Hz),4.88(2H,s),5.20(2H,s),6.56(1H,d,J=3.0Hz),7.06-7.12(3H,m),7.75(2H,d,J=8.7Hz),7.86(2H,d,J=8.7Hz).
β-3 -18	β-3		Me	O	H,H	Me	H	H	H	H	Me	H	119-121	2.37(3H,s),2.49(3H,s),2.62(3H,s),4.78(2H,s),5.15(2H,s),6.81(1H,s),6.96(1H,d,J=8.7Hz),7.02(1H,d,J=8.7Hz),7.75(2H,d,J=9.0Hz),7.86(2H,d,J=9.0 Hz).
β-4 -11	β-4		Me	S	H,H	OMe	H	H	H	H	H	H	167-168	2.40(3H,s),4.08(3H,s),4.85(2H,s),5.22(2H,s),6.67(1H,d,J=3.3Hz),6.88(1H,d,J=9.0Hz),7.02-7.08(2H,m),7.75(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz).
β-3 -19	β-3		Me	O	H,H	CH2OMe	H	H	H	H	H	H		2.34(3H,s),3.24(3H,s),4.65(2H,s),4.97(2H,s),5.23(2H,s),6.49(1H,d,J=3.3Hz),7.09(1H,d,J=9.0Hz),7.30-7.38(2H,m),7.93(2H,d,J=8.4Hz),8.00(2H,d,J=8.4Hz).
β-4 -12	β-4		CH2OEt	S	H,H	Me	H	H	H	H	H	H	182-184	1.23(3H,t,J=7.2Hz),2.64(3H,s),3.55(2H,q,J=7.2Hz),4.08(2H,s),4.43(2H,s),4.86(2H,s),6.57(1H,d,J=3.3Hz),7.03(1H,d,J=8.7Hz),7.07(1H,d,J=3.3Hz),7.36(1H,d,J=8.7Hz),7.74(2H,d,J=8.7Hz),7.87(2H,d,J=8.7Hz).
β-3 -20	β-3		Me	O	H,H	H	H	H	H	H	CH=N OMe	H	196-198	
β-3 -21	β-3		Me	O	H,H	H	H	H	H	H	CH=N OEt	H	170-171	

Table 105

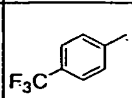
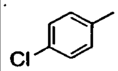
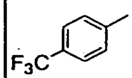
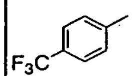
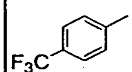
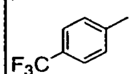
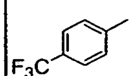
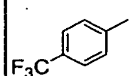
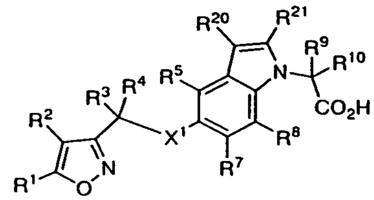
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21	mp	NMR(CDCl3 or DMSO-d6)
β-4 -13	β-4		Me	S	H,H	Me	H	H	H	H	H	H	202-204	2.20(3H,s),2.64(3H,s),3.99(2H,s),4.86(2H,s),6.55(1H,d,J=3.3Hz),7.03(1H,d,J=8.1Hz),7.07(1H,d,J=3.3Hz),7.35(1H,d,J=8.1Hz),7.73(2H,d,J=8.4Hz),7.79(2H,d,J=8.4Hz).
β-3 -22	β-3		Me	O	H,H	Me	H	H	H	H	Me	H	120-122	2.33(3H,s),2.48(3H,s),2.61(3H,s),4.77(2H,s),5.13(2H,s),6.80(1H,s),6.95(1H,d,J=8.7Hz),7.02(1H,d,J=8.7Hz),7.47(2H,d,J=8.7Hz),7.67(2H,d,J=8.7Hz).
β-3 -23	β-3		CH2OEt	O	H,H	Me	H	H	H	H	Me	H	107-108	1.25(3H,t,J=7.0Hz),2.49(3H,s),2.62(3H,s),3.61(2H,q,J=7.0Hz),4.60(2H,s),4.77(2H,s),5.21(2H,s),6.81(1H,s),6.97(1H,d,J=9.0Hz),7.03(1H,d,J=9.0Hz),7.77(2H,d,J=9.0Hz),7.97(2H,d,J=9.0 Hz).
β-4 -14	β-4		H	S	H, p-FC6H4	H	H	H	H	H	H	H	147-148	4.98(2H,s),5.81(1H,s),6.39(1H,d,J=3.0Hz),7.18(2H,dd,J=9.0,8.9Hz),7.18-7.20(1H,m),7.33(1H,d,J=8.7Hz),7.34(1H,d,J=3.0Hz),7.51(1H,s),7.60(2H,dd,J=8.9,5.4Hz),7.65(1H,s),7.89(2H,d,J=8.4Hz),8.09(2H,d,J=8.4Hz)
β-3 -24	β-3		CH=NOnPr	O	H,H	Me	H	H	H	H	H	H	125.0-127.0	0.80(3H,t,J=7.5Hz),1.49-1.61(2H,m),2.30(3H,s),3.93(2H,t,J=6.9Hz),4.88 (2H, s), 5.32(2H,s),6.38(1H,d,J=3.3Hz),6.91(1H,d,J=8.7Hz),7.14(1H,d,J=8.7Hz),7.27(1H,d,J=3.3Hz),7.93(2H,d,J=8.4Hz),8.08(2H,d,J=8.4Hz),8.35 (1H, s)
β-3 -25	β-3		Et	O	H,H	Me	H	H	H	H	Me	H	114-116	1.30(3H,t,J=7.2Hz),2.48(3H,s),2.62(3H,s),2.82(2H,q,J=7.2Hz),4.76(2H,s),5.15(2H,s),6.79(1H,s),6.96(1H,d,J=8.7Hz),7.02(1H,d,J=8.7Hz),7.75(2H,d,J=8.4Hz),),785(2H,d,J=8.4Hz)
β-4 -15	β-4		CH2OEt	S	H,H	Me	H	H	H	H	Me	H	139-142	1.24(3H,t,J=6.9Hz),2.47(3H,s),2.83(3H,s),3.55(2H,q,J=6.9Hz),4.05(2H,s),4.43(2H,s),4.76(2H,s),6.79(1H,s),6.93(1H,d,J=8.7Hz)7.32(1h,d,J=8.7Hz),7.74(2H,d,J=8.4Hz),),788(2H,d,J=8.4Hz)
β-4 -16	β-4		Me	S	H,H	Me	H	H	H	H	Me	H	162-165	2.19(3H,s),2.48(3H,s),2.84(3H,s),3.95(3H,s),4.72(2H,s),6.81(1H,s),6.96(1H,d,J=8.4Hz),7.30(1H,d,J=8.4Hz),7.73(2H,d,J=8.7Hz),),7.80(2H,d,J=8.7Hz)

Table 106



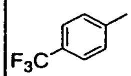
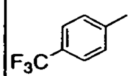
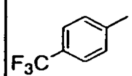
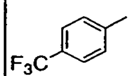
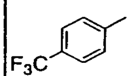
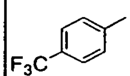
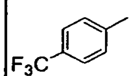
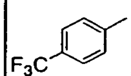
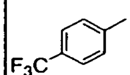
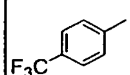
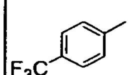
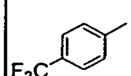
No	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21
BB-2		Me	S	H,H	H	H	H	Me	H	H	H
BB-3		Me	O	H,H	H	H	H	Et	H	H	H
BB-4		Me	S	H,H	H	H	H	Et	H	H	H
BB-6		Me	S	H,H	H	H	H	nPr	H	H	H
BB-7		Me	O	H,H	H	H	H	Me	Me	H	H
BB-8		Me	S	H,H	H	H	H	Me	Me	H	H
BB-10		Me	S	H,H	H	H	H	H	H	H	Me
BB-11		Me	O	H,H	H	H	H	H	H	H	OMe
BB-12		Me	S	H,H	H	H	H	H	H	H	OMe
BB-13		Me	O	H,H	H	H	H	H	H	Me	Me
BB-15		Me	O	H,H	H	H	H	H	H	Me	H
BB-16		Me	S	H,H	H	H	H	H	H	Me	H

Table 107

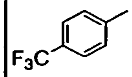
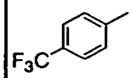
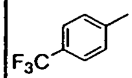
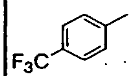
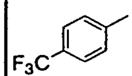
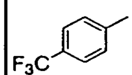
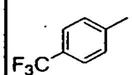
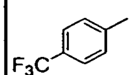
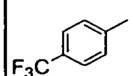
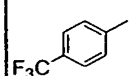
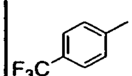
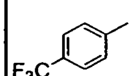
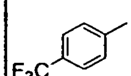
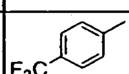
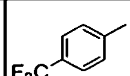
No	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21
BB-18		Me	S	H,H	H	H	H	H	H	Et	H
BB-20		Me	S	H,H	H	H	H	H	H	nPr	H
BB-21		Me	O	H,H	H	H	H	H	H	CH2CH2NMe2	H
BB-22		Me	S	H,H	H	H	H	H	H	CH2CH2NMe2	H
BB-23		Me	O	H,H	H	H	H	H	H	CH2CONH2	H
BB-24		Me	S	H,H	H	H	H	H	H	CH2CONH2	H
BB-25		Me	O	H,H	H	H	H	H	H	CH2CH2OH	H
BB-26		Me	S	H,H	H	H	H	H	H	CH2CH2OH	H
BB-27		Me	O	H,H	H	H	H	H	H	CH2CH2OMe	H
BB-28		Me	S	H,H	H	H	H	H	H	CH2CH2OMe	H
BB-29		Me	O	H,H	H	OMe	H	H	H	H	H
BB-30		Me	S	H,H	H	OMe	H	H	H	H	H
BB-31		Me	O	H,H	H	Me	H	H	H	H	H
BB-32		Me	S	H,H	H	Me	H	H	H	H	H
BB-33		Me	O	H,H	H	H	Me	H	H	H	H

Table 108

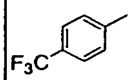
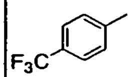
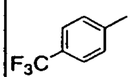
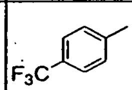
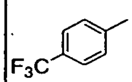
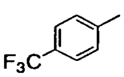
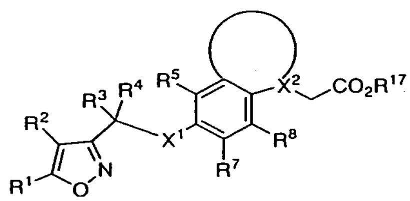
No	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R20	R21
BB-34		Me	S	H,H	H	H	OMe	H	H	H	H
BB-35		Me	O	H,H	H	H	OMe	H	H	H	H
BB-36		Me	S	H,H	H	H	Me	H	H	H	H
BB-37		MeOCH 2	O	H,H	H	H	H	H	H	H	H
BB-38		MeOCH 2	S	H,H	H	H	H	H	H	H	H
BB-39		EtOCH2	O	H,H	H	H	H	H	H	H	H

Table 109



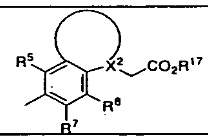
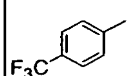
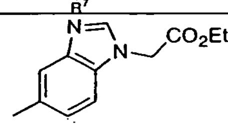
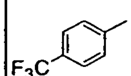
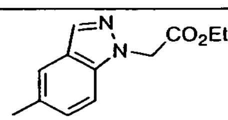
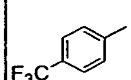
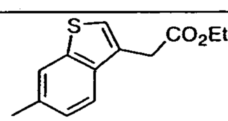
No	Synthetic method	R1	R2	X1	R3,R4		mp	NMR(CDCl3 or DMSO-d6)
α-13 -1	α-13		Me	O	H,H			1.28(3H,t,J=7.2Hz),2.33(3H,s),4.25(2H,q,J=7.2Hz),4.86(2H,s),5.25(2H,s),7.02(2H,d,J=8.7Hz),7.71(2H,d,J=9.0Hz),7.74(2H,d,J=8.4Hz),7.83(2H,d,J=9.0Hz)
α-13 -2	α-13		Me	O	H,H			1.25(3H,t,J=7.2Hz),2.34(3H,s),4.22(2H,q,J=7.2Hz),5.12(2H,s),5.24(2H,s),7.15(1H,dd,J=9.0Hz,2.4Hz),7.28(2H,m),7.75(2H,d,J=8.1Hz),7.84(2H,d,J=8.4Hz),7.97(1H,d,J=0.9Hz)
α-13 -3	α-13		Me	O	H,H			1.25(3H,t,J=7.2Hz),2.34(3H,s),3.81(2H,s),4.16(2H,q,J=7.2Hz),5.27(2H,s),7.12(1H,dd,J=8.7,2.4Hz),7.21(1H,s),7.49(1H,d,J=2.4Hz),7.68(1H,d,J=8.7Hz),7.75(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz)

Table 110

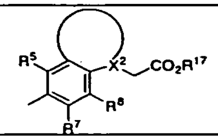
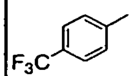
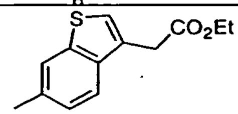
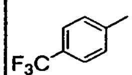
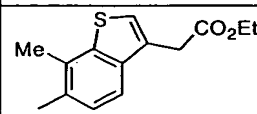
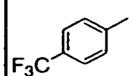
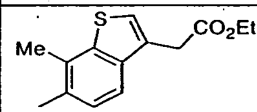
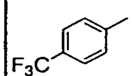
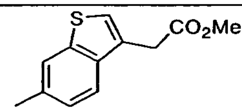
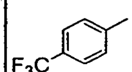
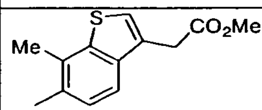
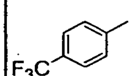
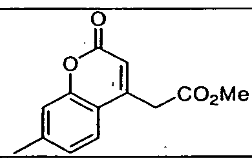
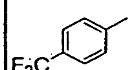
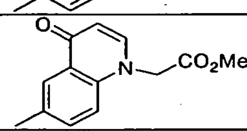
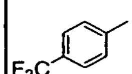
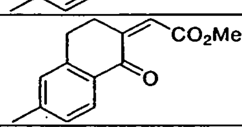
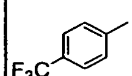
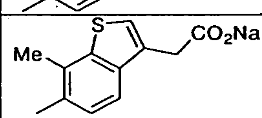
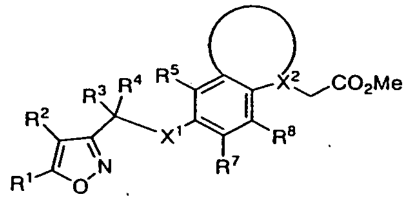
No	Synthetic method	R1	R2	X1	R3,R4		mp	NMR(CDCl3 or DMSO-d6)
α-14-1	α-14		Me	S	H,H			1.21(3H,t,J=7.2Hz),2.24(3H,s),3.66(2H,s),4.15(2H,q,J=7.2Hz),4.19(2H,s),7.38(1H,d,J=1.8Hz),7.43(1H,dd,J=8.4,1.8Hz),7.69(1H,dd,J=8.4,1.2Hz),7.73(2H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz),7.92(1H,d,J=1.2Hz)
α-13-4	α-13		CH2OEt	O	H,H			1.24(3H,t,J=7.2Hz),1.26((3H,d,J=7.2Hz),2.45(3H,s),3.59(2H,t,J=6.9Hz),3.82(2H,s),4.17(2H,q,J=7.2Hz),4.58(2H,s),5.33(2H,s),7.22(1H,d,J=8.7Hz),7.23(1H,d,J=0.9Hz),7.60(1H,d,J=8.7Hz),7.78(2H,d,J=8.7Hz),),796(2H,d,J=8.7Hz)
α-13-5	α-13		CH=NOEt	O	H,H			1.21(3H,t,J=7.2Hz),1.25(3H,d,J=7.2Hz),2.45(3H,s),3.81(1H,d,J=0.9Hz),4.06(2H,t,J=7.2Hz),4.17(2H,q,J=6.9Hz),5.43(2H,s),7.19(1H,d,J=8.7Hz),7.22(1H,d,J=0.9Hz),7.58(1H,d,J=8.7Hz),7.77(1H,d,J=8.1Hz),7.91(2H,d,J=8.1Hz),8.21(1H,s)
α-14-2	α-14		CH2OEt	S	H,H			1.26(3H,t,J=6.9Hz),2.64(3H,s),3.58(2H,t,J=6.9Hz),3.70(3H,s),3.83(2H,s),4.19(2H,s),4.50(2H,s),7.36(1H,s),7.52-7.57(2H,m),7.75(2H,d,J=8.7Hz),787(2H,d,J=8.7Hz)
α-14-3	α-14		Me	S	H,H			2.25(3H,s),,2.63(3H,s),3.70(3H,s),3.83(2H,d,J=0.9Hz),4.09(2H,s),7.36(1H,s),7.52-7.57(2H,m),7.73(2H,d,J=8.4Hz),780(2H,d,J=8.4Hz)
α-13-6	α-13		Me	O	H,H			2.32(3H,s),3.48(5H,s),5.27(2H,s),6.26(1H,s),6.97-7.25(2H,m),7.52(1H,d,J=9.3Hz),7.76(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz).
α-14-4	α-14		Me	S	H,H			
α-14-5	α-14		Me	S	H,H			
α-14-6	α-14		Me	S	H,H			1.29(3H,d,J=6.9Hz),2.49-2.64(2H,m),3.20-3.32(1H,m),3.62(3H,s),3.83(2H,s),3.90(3H,s),4.21(2H,s),6.73-6.76(2H,m),7.33(1H,d,J=8.1Hz),7.75-7.82(4H,m)

Table 111



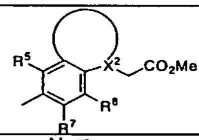
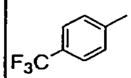
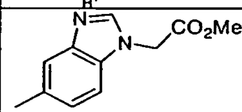
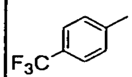
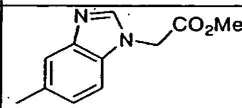
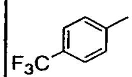
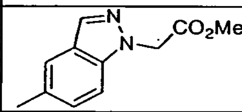
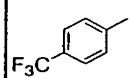
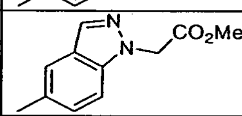
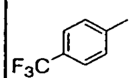
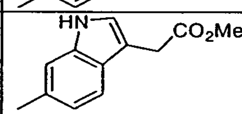
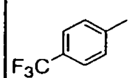
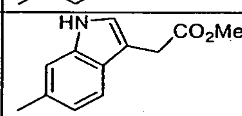
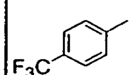
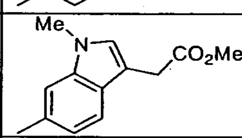
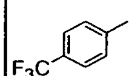
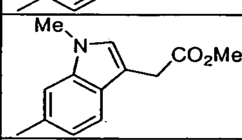
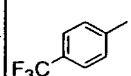
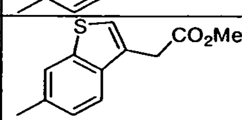
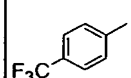
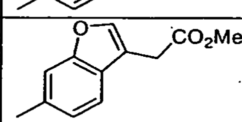
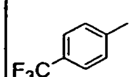
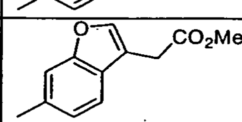
No	R1	R2	X1	R3,R4	
AAA-1		Me	O	H,H	
AAA-2		Me	S	H,H	
AAA-3		Me	O	H,H	
AAA-4		Me	S	H,H	
AAA-5		Me	O	H,H	
AAA-6		Me	S	H,H	
AAA-7		Me	O	H,H	
AAA-8		Me	S	H,H	
AAA-9		Me	O	H,H	
AAA-11		Me	O	H,H	
AAA-12		Me	S	H,H	

Table 112

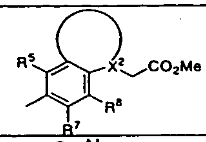
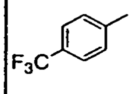
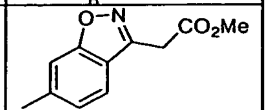
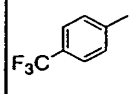
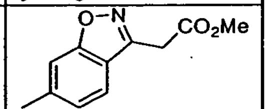
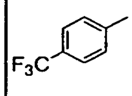
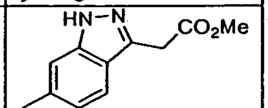
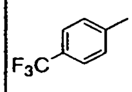
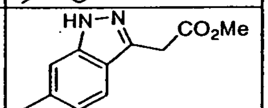
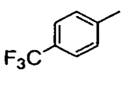
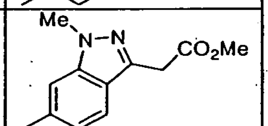
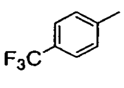
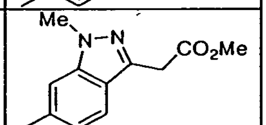
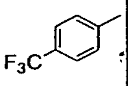
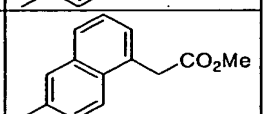
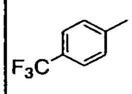
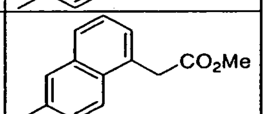
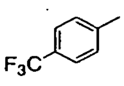
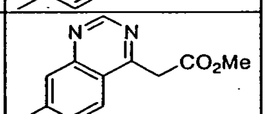
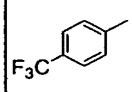
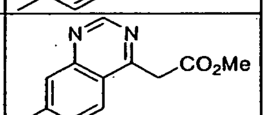
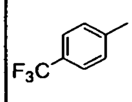
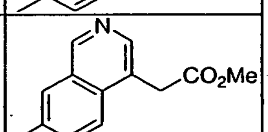
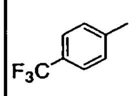
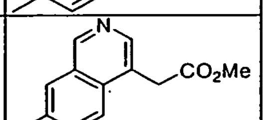
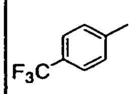
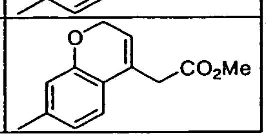
No	R1	R2	X1	R3,R4	
AAA-13		Me	O	H,H	
AAA-14		Me	S	H,H	
AAA-15		Me	O	H,H	
AAA-16		Me	S	H,H	
AAA-17		Me	O	H,H	
AAA-18		Me	S	H,H	
AAA-19		Me	O	H,H	
AAA-20		Me	S	H,H	
AAA-21		Me	O	H,H	
AAA-22		Me	S	H,H	
AAA-23		Me	O	H,H	
AAA-24		Me	S	H,H	
AAA-25		Me	O	H,H	

Table 113

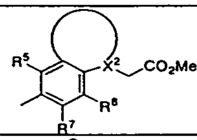
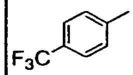
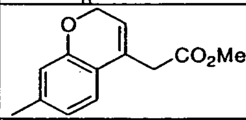
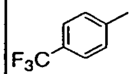
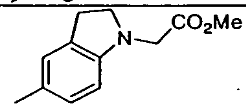
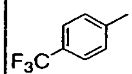
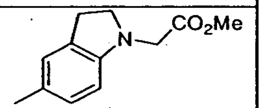
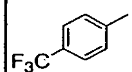
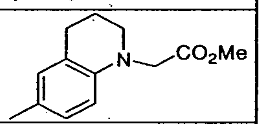
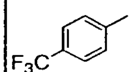
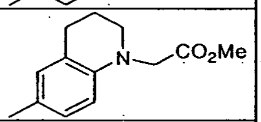
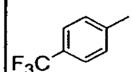
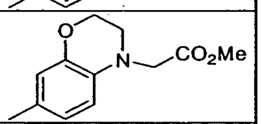
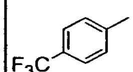
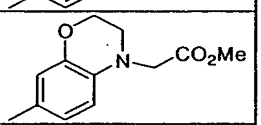
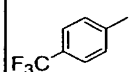
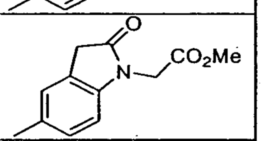
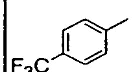
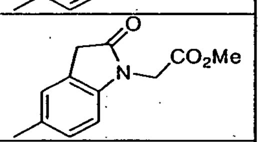
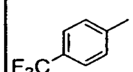
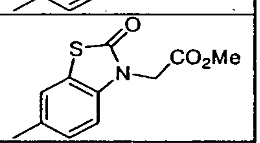
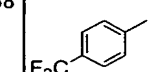
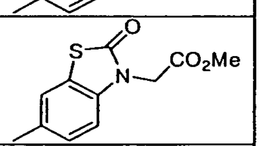
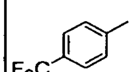
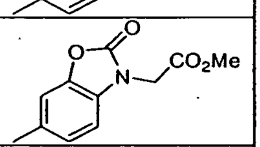
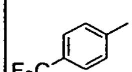
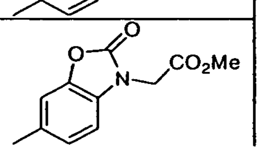
No	R1	R2	X1	R3,R4	
AAA-26		Me	S	H,H	
AAA-27		Me	O	H,H	
AAA-28		Me	S	H,H	
AAA-29		Me	O	H,H	
AAA-30		Me	S	H,H	
AAA-31		Me	O	H,H	
AAA-32		Me	S	H,H	
AAA-35		Me	O	H,H	
AAA-36		Me	S	H,H	
AAA-37		Me	O	H,H	
AAA-38		Me	S	H,H	
AAA-39		Me	O	H,H	
AAA-40		Me	S	H,H	

Table 114

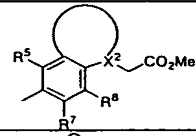
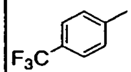
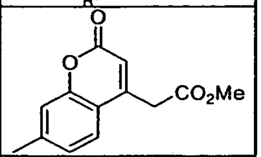
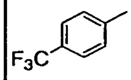
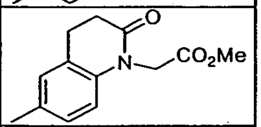
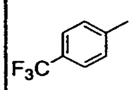
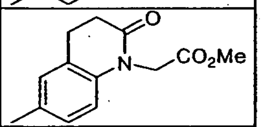
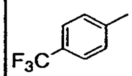
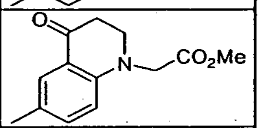
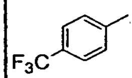
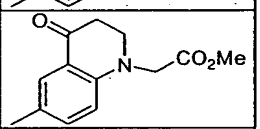
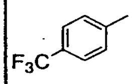
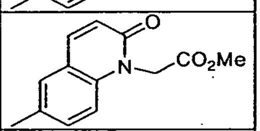
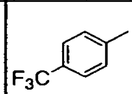
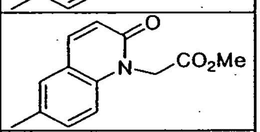
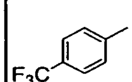
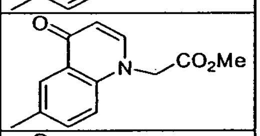
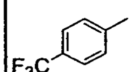
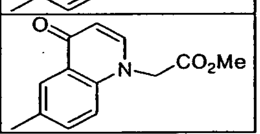
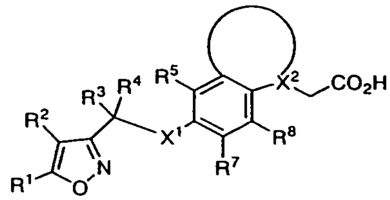
No	R1	R2	X1	R3,R4	
AAA-42		Me	S	H,H	
AAA-43		Me	O	H,H	
AAA-44		Me	S	H,H	
AAA-45		Me	O	H,H	
AAA-46		Me	S	H,H	
AAA-47		Me	O	H,H	
AAA-48		Me	S	H,H	
AAA-49		Me	O	H,H	
AAA-50		Me	S	H,H	

Table 115



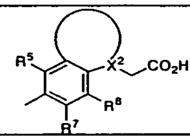
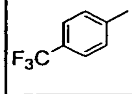
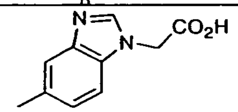
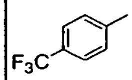
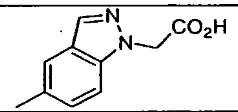
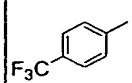
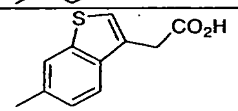
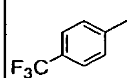
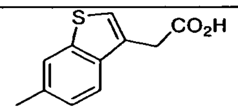
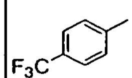
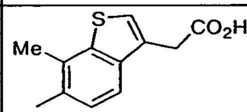
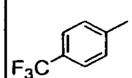
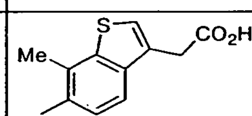
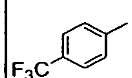
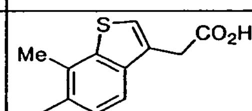
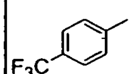
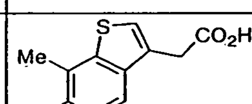
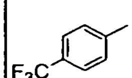
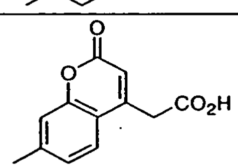
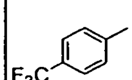
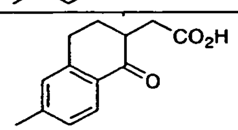
No	Synthetic method	R1	R2	X1	R3,R4		mp	NMR(CDCl3 or DMSO-d6)
β-6-1	β-6		Me	O	H,H		221-222	2.37(3H,s),4.95(2H,s),5.27(2H,s),7.09(2H,m),7.66(1H,d,J=8.7Hz),7.78(2H,d,J=8.4Hz),7.88(2H,d,J=8.1Hz),8.11(1H,s)
β-6-2	β-6		Me	O	H,H		237-238.5	2.35(3H,s),5.12(2H,s),5.25(2H,s),7.18(1H,m),7.33(1H,m),7.75-7.98(4H,m),7.98(1H,s)
β-6-3	β-6		Me	O	H,H		163-164	2.33(3H,s),3.87(2H,s),5.27(2H,s),7.16(1H,dd,J=8.7,2.4Hz),7.21(1H,s),7.51(1H,d,J=2.4Hz),7.68(1H,d,J=8.7Hz),7.76(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz)
β-7-1	β-7		Me	S	H,H		143	2.27(3H,s),3.87(2H,s),4.18(2H,s),7.38(1H,d,J=1.8Hz),7.43(1H,dd,J=8.4,1.8Hz),7.67(1H,d,J=8.4Hz),7.73(2H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz),7.92(1H,d,J=1.2Hz)
β-6-4	β-6		CH2OEt	O	H,H		181-182	1.33(3H,t,J=7.2Hz),2.45(3H,s),3.59(2H,t,J=7.2Hz),3.86(2H,d,J=0.9Hz),4.58(2H,s),5.32(2H,s),7.23(1H,d,J=8.7Hz),7.24(1H,d,J=0.9Hz)),7.58(1H,d,J=8.7Hz),7.77(2H,d,J=8.7Hz),),795(2H,d,J=8.7Hz)
β-6-5	β-6		CH=NOEt	O	H,H		160-162	1.20(3H,t,J=6.9Hz),2.45(3H,s),3.86(1H,d,J=0.9Hz),4.05(2H,t,J=6.9Hz),,5.43(2H,s),7.19(1H,d,J=8.1Hz),7.24(1H,d,J=0.9Hz),7.56(1H,d,J=8.1Hz),7.77(2H,d,J=8.1Hz),7.90(2H,d,J=8.1Hz),),8.21(1H,s)
β-7-2	β-7		CH2OEt	S	H,H		163-164	1.25(3H,t,J=6.9Hz),2.64(3H,s),3.57(2H,q,J=6.9Hz),3.86(2H,s),4.19(2H,s),4.50(2H,s),7.38(1H,s),7.52-7.57(2H,m),7.74(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz)
β-7-3	β-7		Me	S	H,H		190-191	2.25(3H,s),2.63(3H,s),3.82(2H,s),4.09(2H,s),7.39(1H,s),7.51-7.60(2H,m),7.74(2H,d,J=8.7Hz),),7.80(2H,d,J=8.7Hz)
β-6-6	β-6		Me	O	H,H		176-177	2.32(3H,s),3.78(2H,s),5.27(2H,s),6.30(1H,s),6.98-7.04(2H,m),7.52(1H,d,J=9.6Hz),7.76(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz).
β-7-4	β-7		Me	S	H,H			1.97(1H,m),2.24(1H,m),2.30(3H,s),2.48(1H,m),2.98(2H,m),3.06(2H,m),4.25(2H,s),7.27(2H,m),7.72~7.83(4H,m),7.94(1H,d,J=8.1Hz)

Table 116

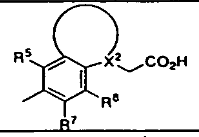
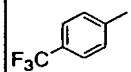
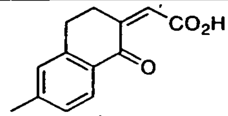
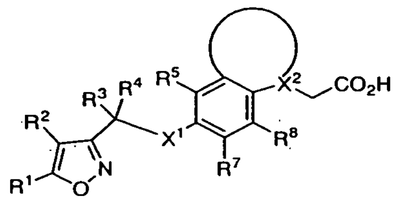
No	Synthetic method	R1	R2	X1	R3,R4		mp	NMR(CDCl3 or DMSO-d6)
β-7-5	β-7		Me	S	H,H			2.30(3H,s),3.00(2H,t,J=6.9Hz),3.42(2H,td,J=6.3Hz,1.8Hz),4.27(2H,s),6.89(2H,t,J=1.8Hz),7.33(1H,m),7.74(1H,d,J=8.4Hz),7.81(1H,d,J=8.7Hz)

Table 117



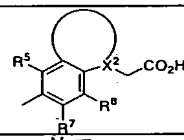
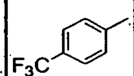
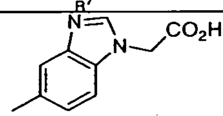
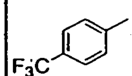
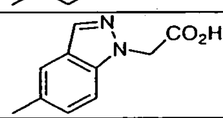
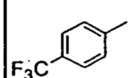
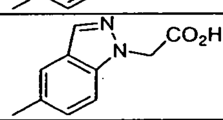
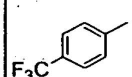
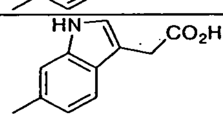
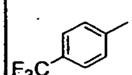
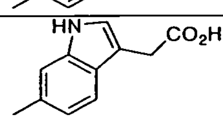
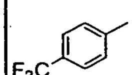
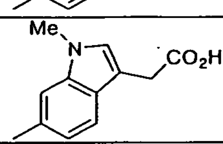
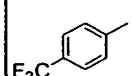
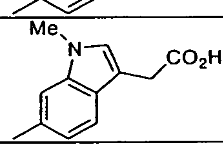
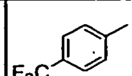
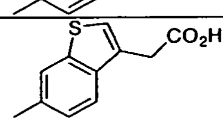
No	R1	R2	X1	R3,R4	
BBB-2		Me	S	H,H	
BBB-3		Me	O	H,H	
BBB-4		Me	S	H,H	
BBB-5		Me	O	H,H	
BBB-6		Me	S	H,H	
BBB-7		Me	O	H,H	
BBB-8		Me	S	H,H	
BBB-9		Me	O	H,H	

Table 118

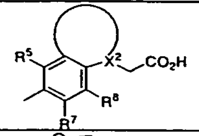
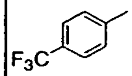
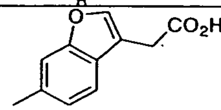
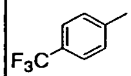
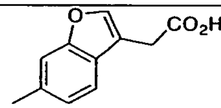
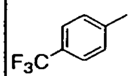
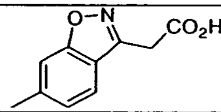
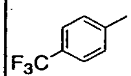
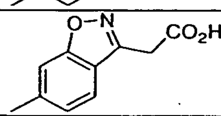
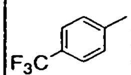
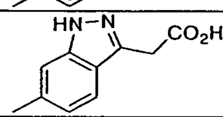
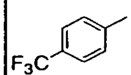
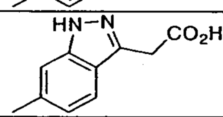
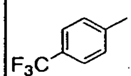
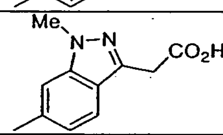
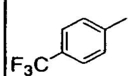
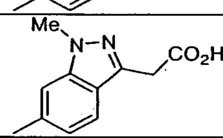
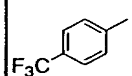
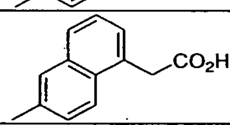
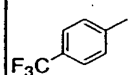
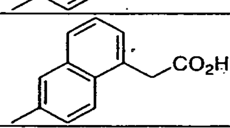
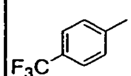
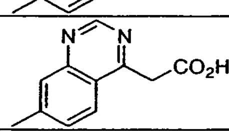
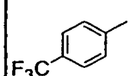
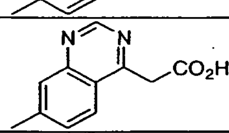
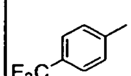
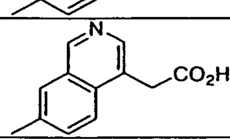
No	R1	R2	X1	R3,R4	
BBB-11		Me	O	H,H	
BBB-12		Me	S	H,H	
BBB-13		Me	O	H,H	
BBB-14		Me	S	H,H	
BBB-15		Me	O	H,H	
BBB-16		Me	S	H,H	
BBB-17		Me	O	H,H	
BBB-18		Me	S	H,H	
BBB-19		Me	O	H,H	
BBB-20		Me	S	H,H	
BBB-21		Me	O	H,H	
BBB-22		Me	S	H,H	
BBB-23		Me	O	H,H	

Table 119

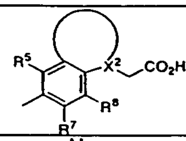
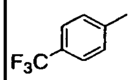
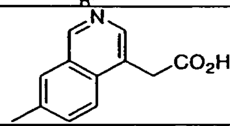
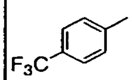
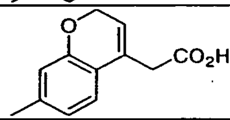
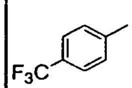
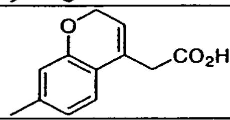
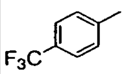
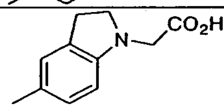
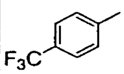
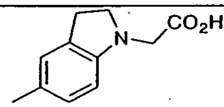
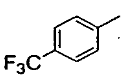
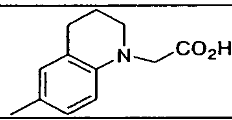
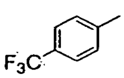
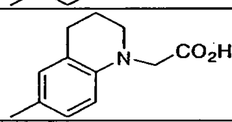
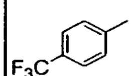
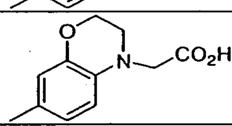
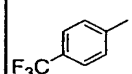
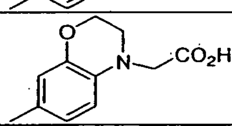
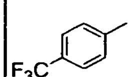
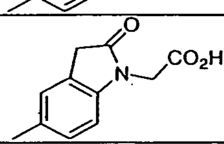
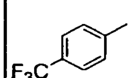
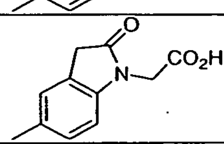
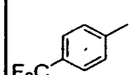
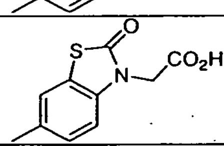
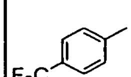
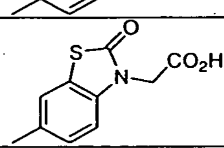
No	R1	R2	X1	R3,R4	
BBB-24		Me	S	H,H	
BBB-25		Me	O	H,H	
BBB-26		Me	S	H,H	
BBB-27		Me	O	H,H	
BBB-28		Me	S	H,H	
BBB-29		Me	O	H,H	
BBB-30		Me	S	H,H	
BBB-31		Me	O	H,H	
BBB-32		Me	S	H,H	
BBB-35		Me	O	H,H	
BBB-36		Me	S	H,H	
BBB-37		Me	O	H,H	
BBB-38		Me	S	H,H	

Table 120

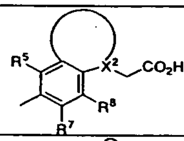
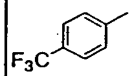
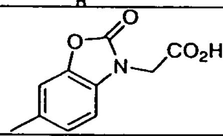
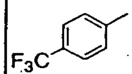
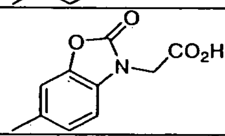
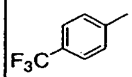
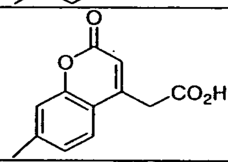
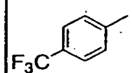
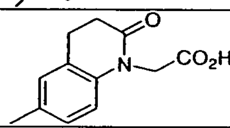
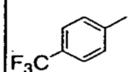
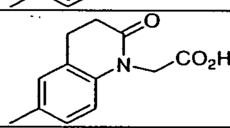
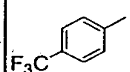
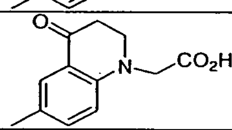
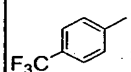
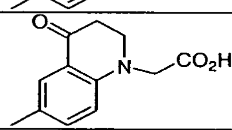
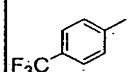
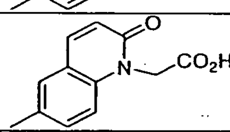
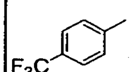
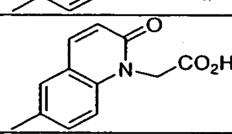
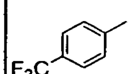
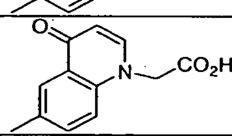
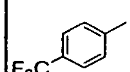
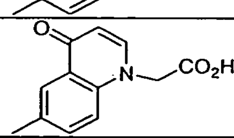
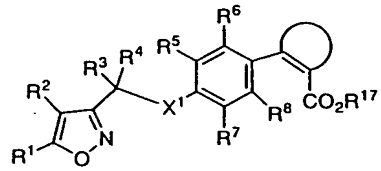
No.	R1	R2	X1	R3,R4	
BBB-39		Me	O	H,H	
BBB-40		Me	S	H,H	
BBB-42		Me	S	H,H	
BBB-43		Me	O	H,H	
BBB-44		Me	S	H,H	
BBB-45		Me	O	H,H	
BBB-46		Me	S	H,H	
BBB-47		Me	O	H,H	
BBB-48		Me	S	H,H	
BBB-49		Me	O	H,H	
BBB-50		Me	S	H,H	

Table 121



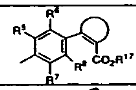
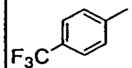
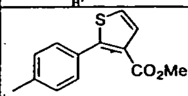
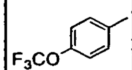
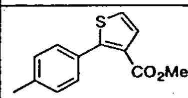
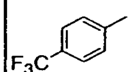
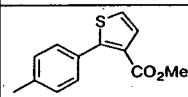
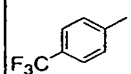
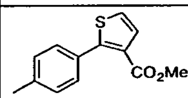
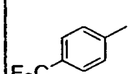
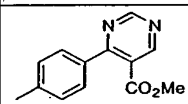
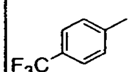
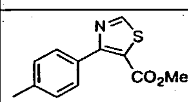
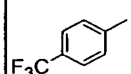
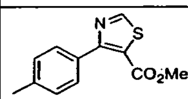
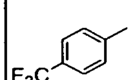
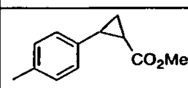
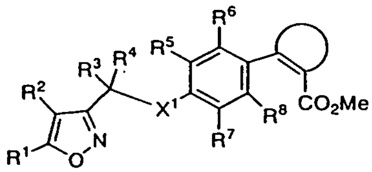
No	Synthetic method	R1	R2	X1	R3,R4		mp	NMR(CDCl3 or DMSO-d6)
α-12-1	α-12		Me	S	H,H			2.29(3H,s),3.74(3H,s),4.21(2H,s),7.23-7.52(6H,m),7.74(2H,d,J=8.7Hz), 7.83(2H,d,J=8.7Hz).
α-12-2	α-12		CH2OEt	S	H,H			1.27(3H,t,J=6.9Hz), 3.60 (2H,q,J=6.9Hz), 3.74(3H,s), 4.29(2H,s), 4.53(2H,s), 7.24(2H,d,J=5.4Hz), 7.33(2H,d,J=9.0Hz), 7.43(2H,s), 7.49(2H,d,J=5.4Hz), 7.79(2H,d,J=9.0Hz)
α-12-3	α-12		CH2OEt	S	H,H			1.29(3H,t,J=6.93Hz),3.61(3H,t,J=6.9Hz),3.74(3H,s),4.30(2H,s),4.55(2H,s),7.24(1H,d,J=5.4Hz),7.44(4H,s),7.50(1H,d,J=5.4Hz),7.76(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz).
α-12-4	α-12		CH2OnPr	S	H,H			0.97(3H,t,J=7.4Hz),1.57-1.73(2H,m), 3.51(3H,t,J=6.6Hz),3.74(3H,s),4.30(2H,s), 4.55(2H,s),7.24(1H,d,J=5.4Hz),7.44(4H,s), 7.50(1H,d,J=5.4Hz),7.75(2H,d,J=8.4Hz),7.89(2H,d,J=8.4Hz).
α-XXX-1			Me	O	H,H			1.21(3H,t,J=7.2Hz),2.33(3H,s),4.29(2H,q,J=7.2Hz),5.27(2H,s),7.13(2H,d,J=8.7Hz),7.65(2H,d,J=8.7Hz),7.76(2H,d,J=8.7Hz),7.85(2H,d,J=8.7Hz),9.03(1H,s),9.35(1H,s)
α-XXX-2			Me	O	H,H			2.34(3H,s),3.85(3H,s),5.26(2H,s),7.11(2H,d,J=8.7Hz),7.76(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz),7.85(2H,d,J=8.7Hz)8.88(1H,s)
α-XXX-3			Me	O	H,H			2.33(3H,s),2.74(3H,s),3.81(3H,m),5.25(2H,s),7.09(2H,d,J=9.0Hz),7.76(4H,d,J=8.7Hz),7.85(2H,d,J=8.1Hz)
α-XXX-4			Me	S	H,H			1.28(1H,m),1.60(1H,m),1.87(1H,m),2.27(3H,s),2.48(1H,m),3.71(3H,s),4.10(2H,s),7.02(2H,d,J=8.4Hz),7.32(2H,d,J=8.4Hz),7.74(2H,d,J=8.1Hz),7.81(2H,d,J=8.1Hz)

Table 122



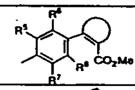
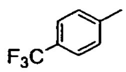
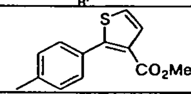
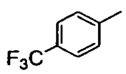
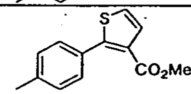
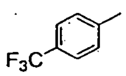
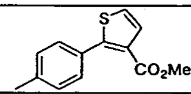
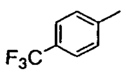
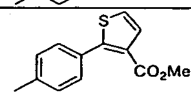
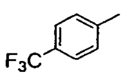
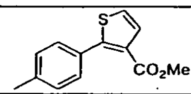
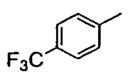
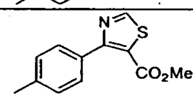
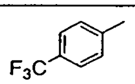
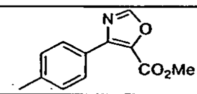
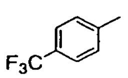
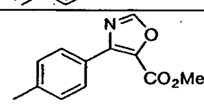
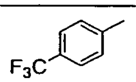
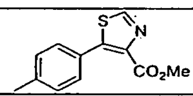
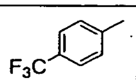
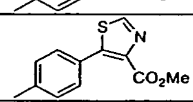
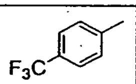
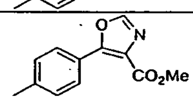
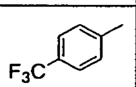
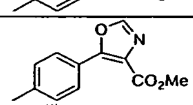
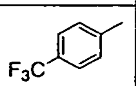
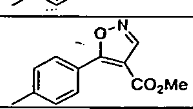
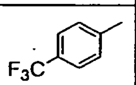
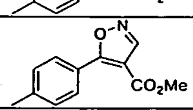
No	R1	R2	X1	R3,R4	
AAAA-1		Me	O	H,H	
AAAA-2		MeOCH2	O	H,H	
AAAA-3		MeOCH2	S	H,H	
AAAA-4		EtOCH2	O	H,H	
AAAA-5		EtOCH2	S	H,H	
AAAA-7		Me	S	H,H	
AAAA-8		Me	O	H,H	
AAAA-9		Me	S	H,H	
AAAA-10		Me	O	H,H	
AAAA-11		Me	S	H,H	
AAAA-12		Me	O	H,H	
AAAA-13		Me	S	H,H	
AAAA-14		Me	O	H,H	
AAAA-15		Me	S	H,H	

Table 123

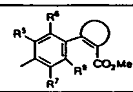
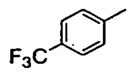
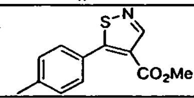
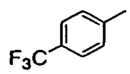
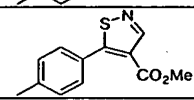
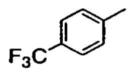
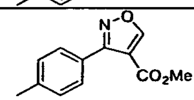
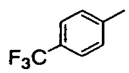
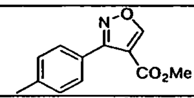
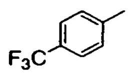
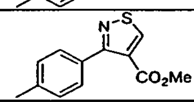
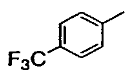
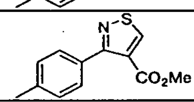
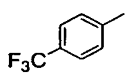
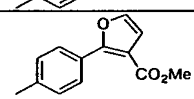
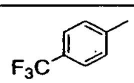
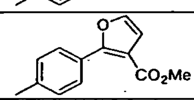
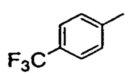
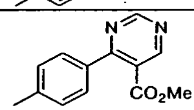
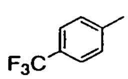
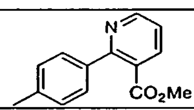
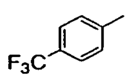
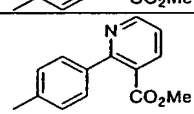
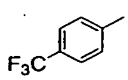
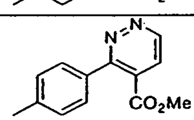
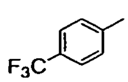
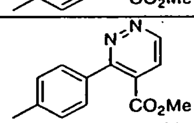
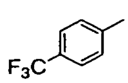
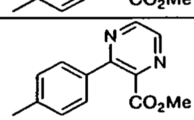
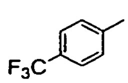
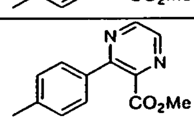
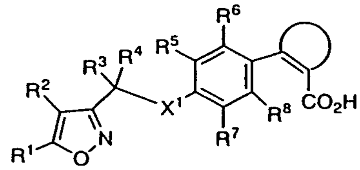
No	R1	R2	X1	R3,R4	
AAAA-16		Me	O	H,H	
AAAA-17		Me	S	H,H	
AAAA-18		Me	O	H,H	
AAAA-19		Me	S	H,H	
AAAA-20		Me	O	H,H	
AAAA-21		Me	S	H,H	
AAAA-22		Me	O	H,H	
AAAA-23		Me	S	H,H	
AAAA-25		Me	S	H,H	
AAAA-26		Me	O	H,H	
AAAA-27		Me	S	H,H	
AAAA-28		Me	O	H,H	
AAAA-29		Me	S	H,H	
AAAA-30		Me	O	H,H	
AAAA-31		Me	S	H,H	

Table 124



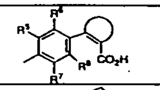
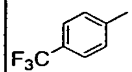
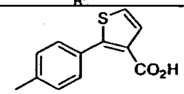
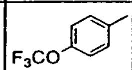
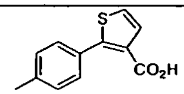
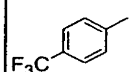
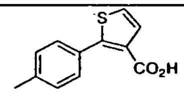
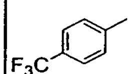
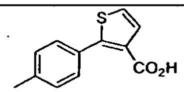
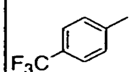
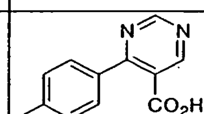
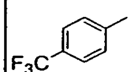
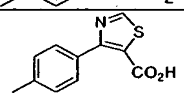
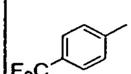
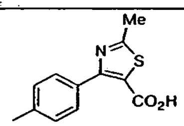
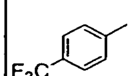
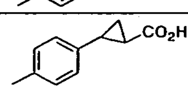
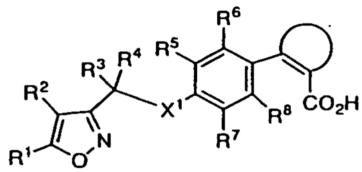
No	Synthetic method	R1	R2	X1	R3,R4		mp	NMR(CDCl3 or DMSO-d6)
β-5-1	β-5		Me	S	H,H		139-141	2.52(3H,s),4.20(2H,s),7.26(1H,d,J=5.4Hz),7.41(2H,d,J=8.7Hz),7.45(2H,d,J=8.7Hz),7.54(1H,d,J=5.4Hz),7.72(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz).
β-5-2	β-5		CH2OEt	S	H,H		106-107	1.26(3H,t,J=6.9Hz), 3.59(2H,q,J=6.9Hz), 4.29(2H,s), 4.52(2H,s), 7.24-7.54(8H,m), 7.79(2H,d,J=9.0Hz)
β-5-3	β-5		CH2OEt	S	H,H		127-128	1.27(3H,t,J=6.9Hz),3.60(3H,t,J=6.9Hz),4.31(2H,s),4.54(2H,s),7.24-7.29(1H,m),7.40-7.56(5H,m),7.75(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz).
β-5-4	β-5		CH2OnPr	S	H,H		132-133	0.96(3H,t,J=7.3Hz),1.57-1.74(2H,m),3.50(3H,t,J=7.3Hz),4.30(2H,s),4.54(2H,s),7.25(1H,d,J=5.4Hz),7.42(2H,d,J=8.7Hz),7.46(2H,d,J=8.7Hz),7.53(1H,d,J=5.4Hz),7.74(2H,d,J=8.1Hz),7.88(2H,d,J=8.1Hz).
β-XXX-1			Me	O	H,H		182	2.33(3H,s), 5.27(2H,s), 7.14(2H,d,J=6.9Hz),7.71-7.77(4H,m), 7.83(2H,d,J=8.4Hz), 9.18(1H,s), 9.37(1H,s)
β-XXX-2			Me	O	H,H		258-259	2.36(3H,s),5.27(2H,s),7.11(2H,m),7.80(4H,m),7.86(2H,m),8.92(1H,s)
β-XXX-3			Me	O	H,H		233-234	2.31(3H,s),2.68(3H,s),5.34(2H,s),7.12(2H,d,J=8.7Hz),7.74(2H,d,J=8.7Hz),7.93(2H,d,J=8.4Hz),8.00(2H,d,J=8.4Hz)
β-5-5	β-5		Me	S	H,H		153-155	1.37(1H,m),1.63(1H,m),1.88(1H,m),2.27(3H,s),2.51(1H,m),4.10(2H,s),7.04(2H,d,J=8.4Hz),7.33(2H,d,J=8.4Hz),7.74(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz)

Table 125



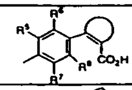
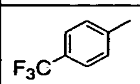
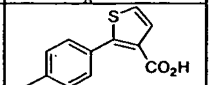
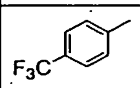
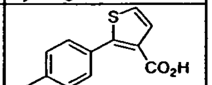
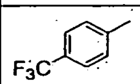
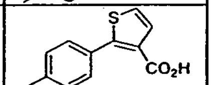
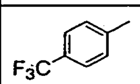
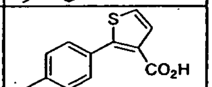
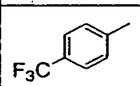
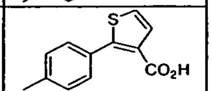
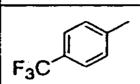
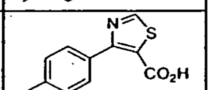
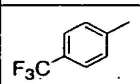
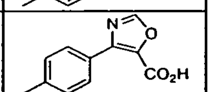
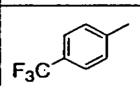
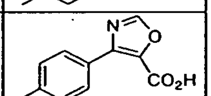
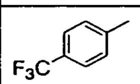
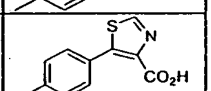
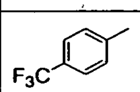
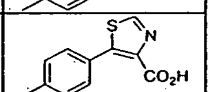
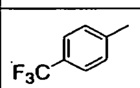
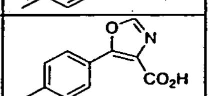
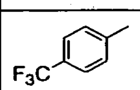
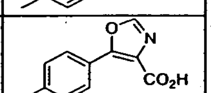
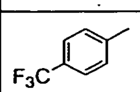
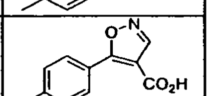
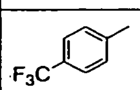
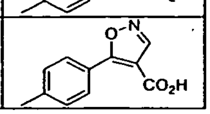
No	R1	R2	X1	R3,R4	
BBBB-1		Me	O	H,H	
BBBB-2		MeOCH2	O	H,H	
BBBB-3		MeOCH2	S	H,H	
BBBB-4		EtOCH2	O	H,H	
BBBB-5		EtOCH2	S	H,H	
BBBB-7		Me	S	H,H	
BBBB-8		Me	O	H,H	
BBBB-9		Me	S	H,H	
BBBB-10		Me	O	H,H	
BBBB-11		Me	S	H,H	
BBBB-12		Me	O	H,H	
BBBB-13		Me	S	H,H	
BBBB-14		Me	O	H,H	
BBBB-15		Me	S	H,H	

Table 126

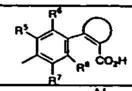
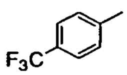
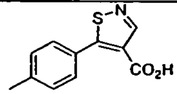
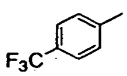
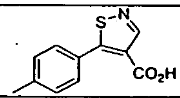
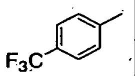
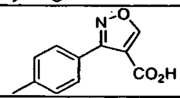
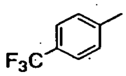
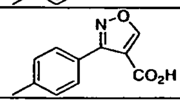
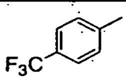
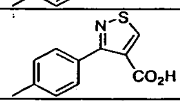
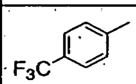
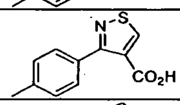
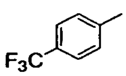
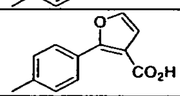
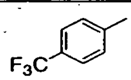
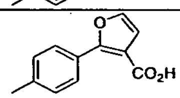
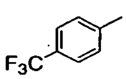
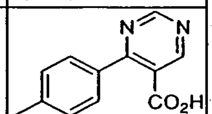
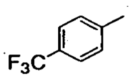
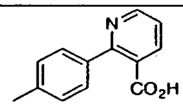
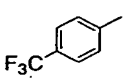
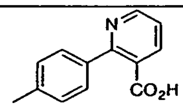
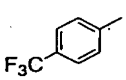
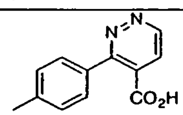
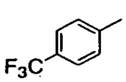
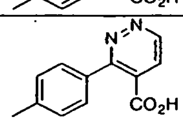
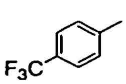
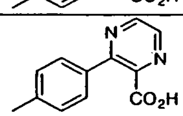
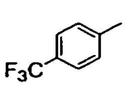
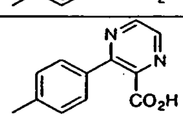
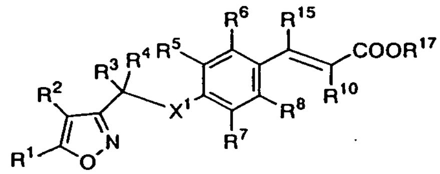
No	R1	R2	X1	R3,R4	
BBBB-16		Me	O	H,H	
BBBB-17		Me	S	H,H	
BBBB-18		Me	O	H,H	
BBBB-19		Me	S	H,H	
BBBB-20		Me	O	H,H	
BBBB-21		Me	S	H,H	
BBBB-22		Me	O	H,H	
BBBB-23		Me	S	H,H	
BBBB-25		Me	S	H,H	
BBBB-26		Me	O	H,H	
BBBB-27		Me	S	H,H	
BBBB-28		Me	O	H,H	
BBBB-29		Me	S	H,H	
BBBB-30		Me	O	H,H	
BBBB-31		Me	S	H,H	

Table 127



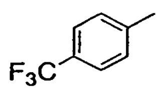
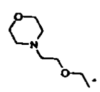
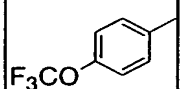
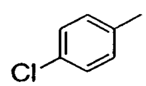
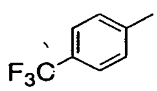
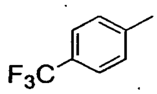
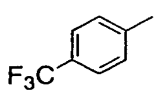
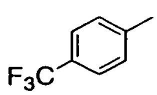
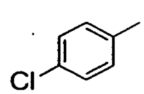
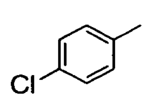
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R10	R15	R17	mp	NMR(CDCl3 or DMSO-d6)
α-16 -1	α-16			S	H,H	OMe	H	H	H	F	H	Me		2.57(6H),3.71(6H),3.89(3H,s),3.91(3H,s),4.29(2H,s),4.63(2H,s),6.87(1H,d,J=35.1Hz),7.16(2H),7.44(1H,d,J=8.4Hz),7.74(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz)
α-16 -2	α-16		CH2OEt	S	H,H	OMe	H	H	H	F	H	Me		1.26(3H,t,J=6.9Hz),3.60(2H,q,J=6.9Hz),3.89(3H,s),3.91(3H,s),4.26(2H,s),4.55(2H,s),6.88(1H,d,J=35.1Hz),7.16(2H),7.32(2H,d,J=9.0Hz),7.44(1H,d,J=8.4Hz),7.78(2H,d,J=9.0Hz)
α-16 -3	α-16		CH2OEt	S	H,H	OMe	H	H	H	F	H	Me		1.26(3H,t,J=6.9Hz),3.59(2H,q,J=6.9Hz),3.89(3H,s),3.91(3H,s),4.26(2H,s),4.54(2H,s),6.88(1H,d,J=34.8Hz),7.16(2H),7.45(3H),7.67(2H,d,J=8.4Hz)
α-16 -4	α-16		Me	S	H,H	OMe	H	H	H	Cl	H	Me		2.31(3H,s),3.90(3H,s),3.93(3H,s),4.20(2H,s),7.37(1H,dd,J=8.1,1.5Hz),7.44(1H,d,J=1.5Hz),748(1H,d,J=8.1Hz),7.73(2H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz),7.86(1H,s)
α-16 -5	α-16		CH2OEt	S	H,H	OMe	H	H	H	Cl	H	Me		1.27(3H,t,J=6.9Hz),3.61(2H,q,J=6.9Hz),3.90(3H,s),3.93(3H,s),4.29(2H,s),4.57(2H,s)7.35(1H,dd,J=8.4,1.5Hz),7.44(1H,d,J=1.5Hz),7.48(1H,d,J=8.4Hz),7.74(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz),7.86(1H,s)
α-16 -6	α-16		CH=NOMe	S	H,H	OMe	H	H	H	Cl	H	Me		3.90(3H,s),3.93(3H,s),3.99(3H,s),4.43(2H,s),7.39(1H,dd,J=8.1,1.5Hz),7.44(1H,d,J=1.5Hz),7.52(1H,d,J=8.1Hz),7.77(2H,d,J=8.7Hz),7.82(2H,d,J=8.7Hz),7.86(1H,s),8.17(1H,s)
α-16 -7	α-16		CH=NOEt	S	H,H	OMe	H	H	H	Cl	H	Me		1.38(3H,t,J=6.9Hz),3.90(3H,s),3.92(3H,s),4.23(2H,q,J=6.9Hz),4.43(2H,s),7.38(1H,dd,J=8.1,1.5Hz),7.44(1H,d,J=1.5Hz),7.51(1H,d,J=8.1Hz),7.75(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz),7.86(1H,s),8.19(1H,s)
α-16 -8	α-16		CH2OEt	S	H,H	OMe	H	H	H	Cl	H	Me		1.26(3H,t,J=6.9Hz),3.59(2H,q,J=6.9Hz),3.90(3H,s),3.92(3H,s),4.27(2H,s),4.54(2H,s),7.36(1H,dd,J=8.1,1.5Hz),7.46(1H,d,J=1.5Hz),7.46(2H,d,J=8.7Hz),7.48(1H,d,J=8.1Hz),7.67(2H,d,J=8.7Hz),7.85(1H,s)
α-16 -9	α-16		CH=NOEt	S	H,H	OMe	H	H	H	Cl	H	Me		1.33(3H,t,J=7.2Hz),3.90(3H,s),3.92(3H,s),4.22(2H,q,J=7.2Hz),4.41(2H,s),7.38(1H,dd,J=8.1,1.5Hz),7.44(1H,d,J=1.5Hz),7.47(2H,d,J=8.7Hz),7.51(1H,d,J=8.1Hz),7.62(2H,d,J=8.7Hz),7.86(1H,s),8.17(1H,s)

Table 128

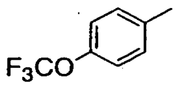
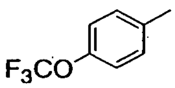
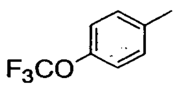
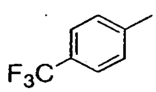
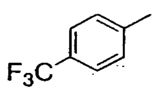
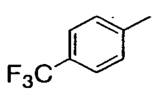
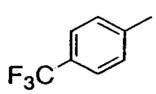
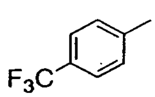
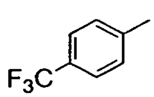
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R10	R15	R17	mp	NMR(CDCl3 or DMSO-d6)
α-16 -10	α-16		CH2OEt	S	H,H	OMe	H	H	H	Cl	H	Me		1.27(3H,t,J=6.9Hz),3.60(2H,q,J=6.9Hz),3.90(3H,s),3.93(3H,s),4.28(2H,s),4.55(2H,s),7.33(2H,d,J=9.0Hz),7.36(1H,dd,J=8.1,1.5Hz),7.44(1H,d,J=1.5Hz),7.47(1H,d,J=8.1Hz),7.78(2H,d,J=9.0Hz),7.86(1H,s)
α-16 -11	α-16		CH2OnPr	S	H,H	OMe	H	H	H	Cl	H	Me		0.95(3H,t,J=7.5Hz),1.65(2H),3.50(2H,t,J=6.6Hz),3.90(3H,s),3.93(3H,s),4.28(2H,s),4.54(2H,s),7.32(2H,d,J=8.7Hz),7.36(1H,dd,J=8.1,1.5Hz),7.44(1H,d,J=1.5Hz),7.47(1H,d,J=8.1Hz),7.78(2H,d,J=8.7Hz),7.86(1H,s)
α-16 -12	α-16		CH=NOEt	S	H,H	OMe	H	H	H	Cl	H	Me		1.33(3H,t,J=6.9Hz),3.90(3H,s),3.92(3H,s),4.23(2H,q,J=6.9Hz),4.42(2H,s),7.34(2H,d,J=9.0Hz),7.38(1H,dd,J=8.1,1.5Hz),7.44(1H,d,J=1.5Hz),7.51(1H,d,J=8.1Hz),7.73(2H,d,J=9.0Hz),7.86(1H,s),8.17(1H,s)
α-16 -13	α-16		CH2OnPr	S	H,H	OMe	H	H	H	F	H	Me		0.96(3H,t,J=7.5Hz),160-1.71 (2H,m),3.51(2H,d,J=6.3Hz),3.90(3H,s),3.91(3H,s),4.27(2H,s),4.56(2H,s),6.88(1H,d,J=34.8Hz),7.15-7.18 (2H,m),7.44(1H,dJ=8.4Hz),7.74(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz)
α-16 -14	α-16		CH2CF3	S	H,H	OMe	H	H	H	F	H	Me		3.66(2H,q,J=10.2),,3.90(3H,s),391(3H,s),4.28(2H,s),6.88(1H,d,J=34.8Hz),7.14-7.17(2H,m),7.41 (1H,dJ=8.4Hz),7.77-7.78(4H,m)
α-16 -15	α-16		Et	S	H,H	OMe	H	H	H	F	H	Me		1.29(3H,t,J=7.5Hz),2.76(2H,q,J=7.5Hz),3.90(3H,s),3.92(3H,s),4.19(2H,s),6.89(1H,d,J=34.8Hz),7.15-7.19 (2H,m),7.44(1H,dJ=8.7Hz),7.73(2H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz)
α-16 -16	α-16		CH2OCH2 cPr	S	H,H	OMe	H	H	H	F	H	Me		0.22-0.27(2H,m),0.55-0.62(2H,m),1.06-1.19(1H,m),3.40(2H,d, J=6.9Hz),3.90(3H,s),391(3H,s),4.28(2H,s),4.59(2H,s),6.95(1H,d,J=34.2Hz),7.18(1H,d,J=8.4Hz),7.19(1H,s),7.45(1H,d,J=8.4Hz),7.74(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz)
α-16 -17	α-16		Me	S	H,H	H	H	H	H	F	H	Me		
α-16 -18	α-16		CH2OEt	S	H,H	H	H	H	H	F	H	Me		1.27(3H,t,J=6.9Hz), 3.60(2H,q,J=6.9Hz), 3.89(3H,s),4.30(2H,s),4.55(2H,s), 6.87(1H,d,J=35.1),7.43(2H,d,J=8.4Hz),7.57(2H,d,J=8.4Hz),7.75(2H,d,J=8.1Hz),7.84(2H,d,J=8.1Hz)

Table 129

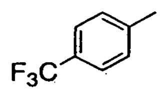
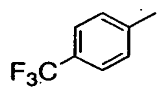
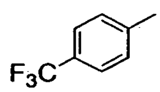
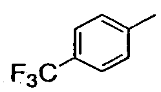
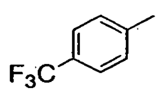
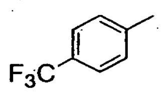
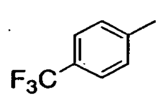
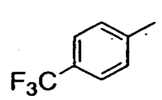
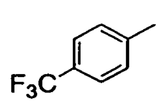
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R10	R15	R17	mp	NMR(CDCl3 or DMSO-d6)
α-16-19	α-16		CH2OMe	S	H,H	H	H	H	H	F	H	Me		3.44(3H,s), 3.89(3H,s), 4.29(2H,s), 4.50(2H,s), 6.87(1H,d,J=35.1Hz), 7.42(2H,d,J=8.7Hz), 7.57(2H,d, J=8.7HZ), 7.75(2H,d,J=8.4Hz), 7.85(2H,d,J=8.4Hz)
α-16-20	α-16		CH2OEt	S	H,H	H	H	H	H	Cl	H	Me		1.27(3H,t,J=6.9Hz), 3.60(2H,q, J=6.9Hz), 3.90(3H,s), 4.32(2H,s), 4.56(2H,s), 7.45(2H,d,J=8.4Hz), 7.74-7.87(7H,m)
α-16-21	α-16		H	S	H, 4-F-C6H4	OMe	H	H	H	F	H	Me		3.88(3H,s), 3.92(3H,s), 5.85(1H,s), 6.73(1H,s), 6.83(1H,d,J=35.1Hz), 7.00-7.07(3H,m), 7.15(1H,s), 7.25(1H,d,J=7.8Hz),7.44-7.49 (2H,m),7.70(2H,d,J=8.1Hz),7.84(2H, d,J=8.1Hz)
α-16-22	α-16		CH2OCH2CH2F	S	H,H	OMe	H	H	H	F	H	Me		3.76(1H,t,J=4.2Hz), 3.86(1H,t, J=4.2Hz),3.90(3H,s),3.91(3H,s),4.28 (2H,s),4.53(1H,t,J=3.9Hz),4.67(2H,s),4.69(1H,t,J=3.9Hz),6.88(1H,d,J=3 5.1Hz),7.15-7.18(2H,m), 7.43(1H,d,J=8,1Hz), 7.75(2H,d, J=8.7Hz),7.87(2H,d,J=8.7Hz)
α-16-23	α-16		CH2SnPr	S	H,H	OMe	H	H	H	F	H	Me		0.95(3H,t,J=7.2Hz),1.59(2H,m),2.49 (2H,t,J=7.2Hz),3.87(2H,s),3.90(3H,s),3.91(3H,s),4.34(2H,s),6.88(1H, d,J=35.1Hz),7.15-7.18(2H,m),7.45 (1H,d,J=8.4Hz), 7.75(2H,d, J=8.7Hz),7.87(2H,d,J=8.7Hz)
α-16-24	α-16		CH2SO2nPr	S	H,H	OMe	H	H	H	F	H	Me		1.08(3H,t,J=7.5Hz),1.91(2H,m),3.04 (2H,m),3.89-3.90(6H,m),4.45 (2H,s),4.50(2H,s),6.88(1H,d,J=34.8 Hz),7.15-7.17(2H,m),7.42(1H,d, J=8.4Hz),7.77(2H,d,J=8.1Hz),7.97(2H,d,J=8.1Hz)
α-16-25	α-16		CH2OiPr	S	H,H	OMe	H	H	H	F	H	Me		1.25(6H,d,J=6.3Hz),3.76(1H,m),3.89 (3H,s),3.91(3H,s),4.27(2H,s),4.56(2 H,s),6.88(1H,d,J=35.1Hz),7.15-7.17 (2H,m),7.45(1H,d,J=8.4Hz),7.74(2H, d,J=8.4Hz),7.86(2H,d,J=8.4Hz)
α-16-26	α-16		CH2OnPr	S	H,H	H	H	H	H	F	H	Me		0.96(3H,t,J=7.5Hz),1.60-1.72 (2H,m),3.50(2H,t,J=6.6Hz),3.89(3H, s),4.30(2H,s),4.55(2H,s),6.88(1H,d, J=34.8Hz),7.43(2H,d,J=8.7Hz),7.57 (2H,d,J=8.7Hz),7.75(2H,d,J=8.1Hz), 7.87(2H,d,J=8.1Hz)
α-16-27	α-16		CH2OEt	S	H,H	OMe	H	H	H	F	H	Me		1.25(3H,t,J=7.5Hz),2.55(2H,q,J=7.5 Hz),3.87-3.91(8H,m),4.34(2H,s), 6.88(1H,d,J=34.8Hz),7.15-7.18(2H, m),7.45(1H,d,J=8.7Hz), 7.76 (2H, d, J=8.4 Hz), 7.87 (2H, d, J=8.4 Hz)

Table 130

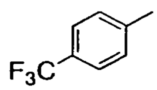
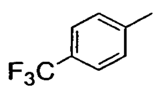
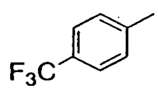
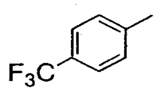
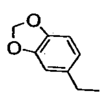
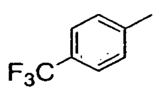
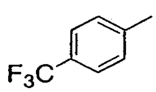
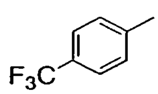
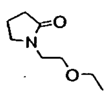
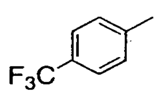
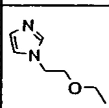
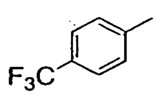
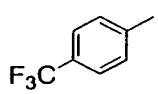
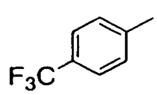
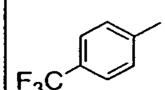
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R10	R15	R17	mp	NMR(CDCl3 or DMSO-d6)
α-16 -28	α-16		CH=NO nPr	S	H,H	OMe	H	H	H	F	H	Me		0.97(3H,t,J=7.5Hz),1.68-1.81 (2H,m),3.89-3.91(6H,m),4.13 (2H,t,J=6.9Hz),4.41(2H,s),6.87(1H,d, J=35.1Hz),7.17-7.19(2H,m),7.47 (1H,d,J=8.4Hz),7.76(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz), 8.20 (1H,s)
α-16 -29	α-16		CH=NOEt	S	H,H	H	H	H	H	Cl	H	Et		1.35(3H,t,J=7.2Hz),1.38(3H,t,J=7.2 Hz),4.24(2H,q,J=7.2Hz),4.35(2H,q, J=7.2Hz), 4.46 (2H, s), 7.47 (2H, d, J=8.4 Hz), 7.75-7.84 (7H, m), 8.20 (1H, s)
α-16 -30	α-16		CH=NO (CH2)2F	S	H,H	OMe	H	H	H	F	H	Me		3.90 (3H, s), 3.91(3H, s), 4.38 (2H, s),4.41(2H,d,J=28.8Hz),4.70 (2H, d, J=47.4Hz),6.89(1H,d,J=34.8Hz),7.17 -7.19(2H,m),7.47(1H,d,J=8.4Hz), 7.76 (2H, d, J=8.4 Hz), 7.81 (2H, d, J=8.4 Hz), 8.28 (1H, s)
α-16 -31	α-16			S	H,H	OMe	H	H	H	F	H	Me		3.88 (3H, s), 3.89 (3H, s), 3.98 (2H, s),4.07(2H,s),5.94(2H,s),6.57-6.60 (2H,m),6.72(1H,d,J=8.4Hz),6.87(1H,d ,J=35.1Hz),7.13-7.16(2H,m),7.36 (1H,d,J=8.4Hz),7.68(2H,d,J=8.7Hz),7.74(2H,d,J=8.7Hz)
α-16 -32	α-16		Me	S	H,H	H	H	H	H	CN	H	Me		
α-16 -33	α-16		Me	S	H,H	Me	H	H	H	F	H	Me		
α-16 -34	α-16			S	H,H	OMe	H	H	H	F	H	Me		
α-16 -35	α-16			S	H,H	OMe	H	H	H	F	H	Me		
α-16 -36	α-16		CH2OMe	S	H,H	OMe	H	H	H	F	H	Me		
α-16 -37	α-16		Me	S	H,H	H	H	H	H	OMe	H	Me		2.08(3H,s),2.28(3H,s),3.81(3H,s),5.04 (2H,s),6.89(2H,dt,J=8.4Hz),7.07(1H,d ,J=9.3Hz),7.29(2H,d,J=8.4Hz),7.36(1 H,s)7.37(1H,d,J=4.5Hz)
α-16 -38	α-16		Me	S	H,H	H	H	H	H	H	Me	Me		2.30(3H,s),2.56(3H,s),4.24(3H,s),5.27 (2H,s),7.08(2H,dt,J=9.0Hz),7.46(2H,d ,J=8.4Hz),7.75(1H,s)7.81(2H,d,J=9.0 Hz),7.88(2H,d,J=8.4Hz)
α-16 -39	α-16		Me	S	H,H	H	H	H	H	Me	Me	Me		2.15(3H,s),2.27(2H,d,J=6.9Hz),2.28(3 H,s),4.16(3H,s),5.22(2H,s),7.08(2H,d, J=8.4Hz),7.41(2H,d,J=8.7Hz),7.76(2 H,d,J=8.7Hz),7.84(2H,d,J=8.4Hz)

Table 131

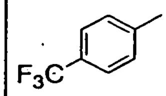
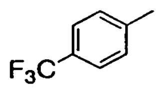
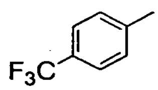
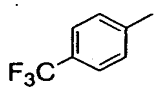
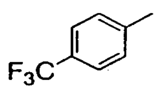
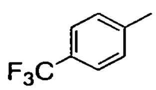
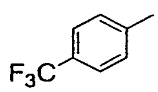
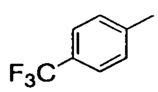
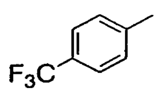
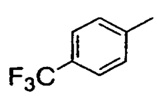
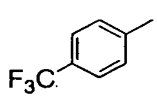
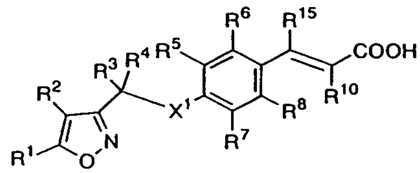
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R10	R15	R17	mp	NMR(CDCl3 or DMSO-d6)
α-16 -40	α-16		Me	S	H,H	H	H	H	H	H	Et	Me		
α-16 -41	α-16		Me	S	H,H	H	H	H	H	Cl	H	Me		2.29(3H,s),3.89(3H,s),4.22(2H,s),7.44(2H,d,J=8.4Hz),7.70-7.86(7H,m)
α-16 -42	α-16		Me	S	H,H	H	H	H	H	Me	H	Me		
α-16 -43	α-16		Me	S	H,H	OMe	H	H	H	Me	H	Me		Rf=0.33 (n-hexane/AcOEt=2/1)
α-16 -44	α-16		Me	S	H,H	OMe	H	H	H	Cl	H	Me		2.31(3H,s), 3.90(3H,s), 3.93(3H,s), 4.20(2H,s),7.37(1H,dd,J=1.5Hz,8.1Hz), 7.44(1H,d,J=1.5Hz),7.48(1H,d,J=8.1Hz),7.73(2H,d,J=8.4Hz), 7.80(2H,d,J=8.4Hz), 7.86(1H,s).
α-16 -45	α-16		Me	S	H,H	OMe	H	H	H	F	H	Me		
α-16 -46	α-16		Me	S	H,H	Et	H	H	H	F	H	Tbu		1.21(3H,t,J=7.5Hz),1.57(9H,s),2.29(3H,s),2.74(2H,q,J=7.5Hz),4.18(2H,s),6.77(1H,d,35.1Hz),7.28~7.50(3H,m),7.74(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)
α-16 -47	α-16		CH2OEt	S	H,H	OMe	H	H	H	F	H	Me		
α-16 -48	α-16		CH=NOMe	S	H,H	OMe	H	H	H	F	H	Me		
α-16 -49	α-16		CH=NOEt	S	H,H	OMe	H	H	H	F	H	Me		1.34(3H,t,J=7.2Hz),3.90(3H,s),3.91(3H,s),4.24(2H,q,J=6.9Hz),4.41(2H,s),6.89(1H,d,J=35.1Hz),7.14~7.30(2H,m)7.48(1H,t,J=8.4Hz),7.76(2H,d,J=8.7Hz),7.82(2H,d,J=8.7Hz),8.20(1H,s)
α-15 -1	α-15		CH2OEt	O	H,H	F	H	H	H	F	H	Me		1.22(3H,t,J=6.9Hz),3.60(2H,q,J=6.9Hz),3.89(3H,s),4.58(2H,s),5.37(2H,s),4.30(2H,s),6.84(1H,d,J=34.2Hz),7.18(1H,t,J=8.7Hz),7.34(1H,d,J=8.4Hz),7.49(1H,d,J=12.6Hz),7.77(2H,d,J=8.4Hz),7.92(2H,d,J=8.4Hz)

Table 132



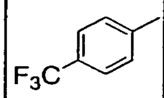
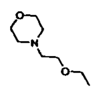
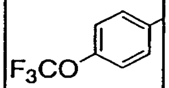
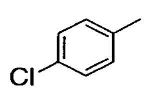
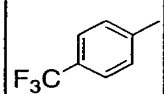
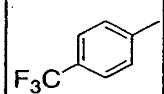
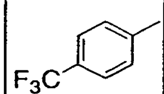
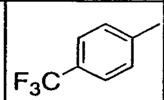
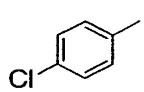
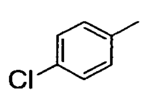
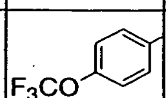
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R10	R15	mp	NMR(CDCl3 or DMSO-d6)
β-9-1	β-9			S	H,H	OMe	H	H	H	F	H	94-97	2.74(4H),2.88(2H),3.62(4H),3.74(2H),3.84(3H,s),4.41(2H,s),4.64(2H,s),7.02(1H,d,J=36.3Hz),7.31(2H),7.48(1H,d,J=8.4Hz),7.93(2H,d,J=8.4Hz),8.00(2H,d,J=8.4Hz)
β-9-2	β-9		CH2OEt	S	H,H	OMe	H	H	H	F	H	217-219	1.14(3H,t,J=6.9Hz),3.54(2H,q,J=6.9Hz),3.84(3H,s),4.35(2H,s),4.53(2H,s),7.02(1H,d,J=36.6Hz),7.30(2H),7.47(1H,d,J=8.4Hz),7.57(2H,d,J=9.0Hz),7.90(2H,d,J=9.0Hz)
β-9-3	β-9		CH2OEt	S	H,H	OMe	H	H	H	F	H	175-177	1.14(3H,t,J=7.2Hz),3.53(2H,q,J=7.2Hz),3.84(3H,s),4.34(2H,s),4.52(2H,s),7.02(1H,d,J=36.6Hz),7.30(2H),7.47(1H,d,J=8.4Hz),7.64(2H,d,J=8.7Hz),7.78(2H,d,J=8.7Hz)
β-9-4	β-9		Me	S	H,H	OMe	H	H	H	Cl	H	183-185	2.29(3H,s),3.86(3H,s),4.38(2H,s),7.54(3H),7.90(2H,d,J=8.7Hz),7.94(1H,s),7.95(2H,d,J=8.7Hz)
β-9-5	β-9		CH2OEt	S	H,H	OMe	H	H	H	Cl	H	173-175	1.15(3H,t,J=6.9Hz),3.55(2H,q,J=6.9Hz),3.86(3H,s),4.40(2H,s),4.57(2H,s),7.54(3H),7.93(1H,s),7.94(2H,d,J=8.4Hz),7.99(2H,d,J=8.4Hz)
β-9-6	β-9		CH=NOMe	S	H,H	OMe	H	H	H	Cl	H	205-207	3.85(3H,s),3.91(3H,s),4.49(2H,s),7.54(3H),7.93(1H,s),7.93(2H,d,J=8.4Hz),8.03(2H,d,J=8.4Hz),8.35(1H,s)
β-9-7	β-9		CH=NOEt	S	H,H	OMe	H	H	H	Cl	H	184-186	1.26(3H,t,J=6.9Hz),3.84(3H,s),4.15(2H,q,J=6.9Hz),4.94(2H,s),7.55(3H),7.93(1H,s),7.93(2H,d,J=8.4Hz),8.03(2H,d,J=8.4Hz),8.35(1H,s)
β-9-8	β-9		CH2OEt	S	H,H	OMe	H	H	H	Cl	H	154-156	1.14(3H,t,J=7.2Hz),3.53(2H,q,J=7.2Hz),3.86(3H,s),4.37(2H,s),4.52(2H,s),7.53(3H),7.64(2H,d,J=8.4Hz),7.78(2H,d,J=8.4Hz),7.93(1H,s)
β-9-9	β-9		CH=NOEt	S	H,H	OMe	H	H	H	Cl	H	206-208	1.25(3H,t,J=6.9Hz),3.84(3H,s),4.14(2H,q,J=6.9Hz),4.47(2H,s),753(3H),7.64(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz),7.94(1H,s),8.30(1H,s)
β-9-10	β-9		CH2OEt	S	H,H	OMe	H	H	H	Cl	H	174-176	1.15(3H,t,J=6.9Hz),3.54(2H,q,J=6.9Hz),3.86(3H,s),4.38(2H,s),4.54(2H,s),7.55(5H),7.86(2H,d,J=8.4Hz),7.94(1H,s)

Table 133

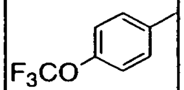
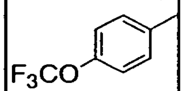
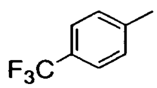
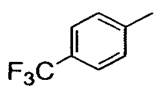
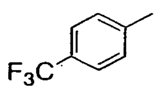
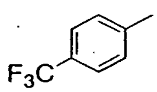
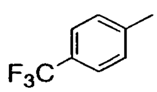
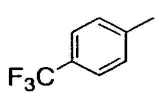
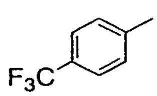
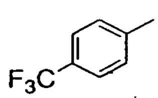
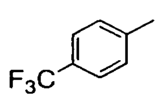
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R10	R15	mp	NMR(CDCl3 or DMSO-d6)
β-9 -11	β-9		CH2OnPr	S	H,H	OMe	H	H	H	Cl	H	159-161	0.85(3H,t,J=7.2Hz),1.53(2H),3.44(2H,t,J=6.3Hz),3.86(3H,s),4.38(2H,s),4.54(2H,s),7.55(5H),7.91(2H,d,J=8.7Hz),7.93(1H,s)
β-9 -12	β-9		CH=NOEt	S	H,H	OMe	H	H	H	Cl	H	179-181	1.25(3H,t,J=7.2Hz),3.84(3H,s),4.14(2H,q,J=7.2Hz),4.48(2H,s),7.55(5H),7.93(1H,s),7.95(2H,d,J=8.7Hz),8.31(1H,s)
β-9 -13	β-9		CH2OnPr	S	H,H	OMe	H	H	H	F	H	203-204	0.96(3H,t,J=7.2Hz),1.60-1.72(2H,m),3.52(2H,d,J=6.6Hz),3.92(3H,s),4.28(2H,s),4.58(2H,s),6.95(1H,d,J=34.2Hz),7.17-7.19(2H,m),7.45(1H,dJ=8.4Hz),7.74(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz)
β-9 -14	β-9		CH2CF3	S	H,H	OMe	H	H	H	F	H	211-214	3.66(2H,q,J=10.2),3.91(3H,s),4.27(2H,s),6.90(1H,d,J=34.5Hz),7.14-7.20(2H,m),7.40(1H,dJ=8.1Hz),7.75-7.71(4H,m)
β-9 -15	β-9		Et	S	H,H	OMe	H	H	H	F	H	217-218	1.29(3H,t,J=7.5Hz),2.76(2H,q,J=7.5Hz),3.92(3H,s),4.19(2H,s),6.91(1H,d,J=34.8Hz),7.16-7.20(2H,m),7.43(1H,dJ=8.1Hz),7.73(2H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz)
β-9 -16	β-9		CH2OCH2 cPr	S	H,H	OMe	H	H	H	F	H	214-217	0.22-0.27(2H,m),0.55-0.62(2H,m),1.06-1.17(1H,m),3.40(2H,d,J=6.9Hz),3.91(3H,s),4.28(2H,s),4.59(2H,s),6.91(1H,d,J=34.5Hz),7.15-7.19(2H,m),7.44(1H,d,J=6.9Hz),7.74(2H,d,J=8.1Hz),7.89(2H,d,J=8.4Hz)
β-9 -17	β-9		Me	S	H,H	H	H	H	H	F	H	193-194.5	2.29(3H,s), 4.20(2H,s), 6.90(1H,d,J=35.1Hz), 7.42(2H,d,J=8.4Hz), 7.58(2H,d,J=8.4Hz), 7.58(2H,d,J=8.4Hz), 7.82(2H,d,J=8.4Hz)
β-9 -18	β-9		CH2OEt	S	H,H	H	H	H	H	F	H	173-175	1.28(3H,t,J=6.9Hz), 3.61(2H,q,J=6.9Hz), 4.31(2H,s), 4.57(2H,s), 6.96(1H,d,J=34.5Hz), 7.44(2H,d,J=8.4Hz),7.59(2H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz),
β-9 -19	β-9		CH2OMe	S	H,H	H	H	H	H	F	H	167-168	3.45(3H,s), 4.31(2H,s), 4.52(2H,s), 6.95(1H,d,J=34.8Hz), 7.44(2H,d,J=8.4H), 7.60(2H,d,J=8.4Hz), 7.76(2H,d,J=8.4Hz), 7.86(2H,d,J=8.4Hz)
β-9 -20	β-9		CH2OEt	S	H,H	H	H	H	H	Cl	H	157-158	1.28(3H,t,J=6.9Hz), 3.61(2H,q,J=6.9Hz), 4.33(2H,s), 4.57(2H,s), 7.47(2H,d,J=8.4Hz), 7.74-7.87(6H,m), 7.93(1H,s)
β-9 -21	β-9		H	S	H, 4-F-C6H4	OMe	H	H	H	F	H	170-171	3.93(3H,s), 5.87(1H,s), 6.73(1H,s), 6.81(1H,d,J=35.1Hz), 6.99-7.28(5H,m),7.45-7.50(2H,m), 7.70(2H,d,J=8.7Hz), 7.85(2H,d,J=8.7Hz)

Table 134

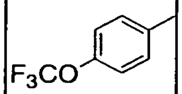
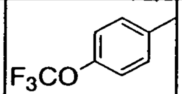
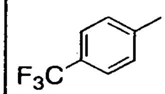
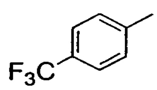
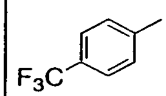
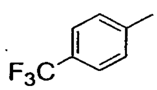
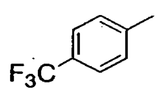
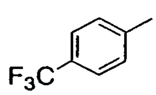
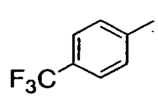
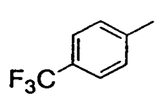
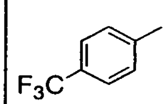
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R10	R15	mp	NMR(CDCl3 or DMSO-d6)
β-9 -11	β-9		CH2OnPr	S	H,H	OMe	H	H	H	Cl	H	159-161	0.85(3H,t,J=7.2Hz),1.53(2H),3.44(2H,t,J=6.3Hz),3.86(3H,s),4.38(2H,s),4.54(2H,s),7.55(5H),7.91(2H,d,J=8.7Hz),7.93(1H,s)
β-9 -12	β-9		CH=NOEt	S	H,H	OMe	H	H	H	Cl	H	179-181	1.25(3H,t,J=7.2Hz),3.84(3H,s),4.14(2H,q,J=7.2Hz),4.48(2H,s),7.55(5H),7.93(1H,s),7.95(2H,d,J=8.7Hz),8.31(1H,s)
β-9 -13	β-9		CH2OnPr	S	H,H	OMe	H	H	H	F	H	203-204	0.96(3H,t,J=7.2Hz),1.60-1.72(2H,m),3.52(2H,d,J=6.6Hz),3.92(3H,s),4.28(2H,s),4.58(2H,s),6.95(1H,d,J=34.2Hz),7.17-7.19(2H,m),7.45(1H,dJ=8.4Hz),7.74(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz)
β-9 -14	β-9		CH2CF3	S	H,H	OMe	H	H	H	F	H	211-214	3.66(2H,q,J=10.2),3.91(3H,s),4.27(2H,s),6.90(1H,d,J=34.5Hz),7.14-7.20(2H,m),7.40(1H,dJ=8.1Hz),7.75-7.71(4H,m)
β-9 -15	β-9		Et	S	H,H	OMe	H	H	H	F	H	217-218	1.29(3H,t,J=7.5Hz),2.76(2H,q,J=7.5Hz),3.92(3H,s),4.19(2H,s),6.91(1H,d,J=34.8Hz),7.16-7.20(2H,m),7.43(1H,dJ=8.1Hz),7.73(2H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz)
β-9 -16	β-9		CH2OCH2 cPr	S	H,H	OMe	H	H	H	F	H	214-217	0.22-0.27(2H,m),0.55-0.62(2H,m),1.06-1.17(1H,m),3.40(2H,d,J=6.9Hz),3.91(3H,s),4.28(2H,s),4.59(2H,s),6.91(1H,d,J=34.5Hz),7.15-7.19(2H,m),7.44(1H,d,J=6.9Hz),7.74(2H,d,J=8.1Hz),7.89(2H,d,J=8.4Hz)
β-9 -17	β-9		Me	S	H,H	H	H	H	H	F	H	193-194.5	2.29(3H,s), 4.20(2H,s), 6.90(1H,d,J=35.1Hz), 7.42(2H,d,J=8.4Hz), 7.58(2H,d,J=8.4Hz), 7.58(2H,d,J=8.4Hz), 7.82(2H,d,J=8.4Hz)
β-9 -18	β-9		CH2OEt	S	H,H	H	H	H	H	F	H	173-175	1.28(3H,t,J=6.9Hz), 3.61(2H,q,J=6.9Hz), 4.31(2H,s), 4.57(2H,s), 6.96(1H,d,J=34.5Hz), 7.44(2H,d,J=8.4Hz),7.59(2H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz),
β-9 -19	β-9		CH2OMe	S	H,H	H	H	H	H	F	H	167-168	3.45(3H,s), 4.31(2H,s), 4.52(2H,s), 6.95(1H,d,J=34.8Hz), 7.44(2H,d,J=8.4H), 7.60(2H,d,J=8.4Hz), 7.76(2H,d,J=8.4Hz), 7.86(2H,d,J=8.4Hz)
β-9 -20	β-9		CH2OEt	S	H,H	H	H	H	H	Cl	H	157-158	1.28(3H,t,J=6.9Hz), 3.61(2H,q,J=6.9Hz), 4.33(2H,s), 4.57(2H,s), 7.47(2H,d,J=8.4Hz), 7.74-7.87(6H,m), 7.93(1H,s)
β-9 -21	β-9		H	S	H, 4-F-C6H4	OMe	H	H	H	F	H	170-171	3.93(3H,s), 5.87(1H,s), 6.73(1H,s), 6.81(1H,d,J=35.1Hz), 6.99-7.28(5H,m),7.45-7.50(2H,m), 7.70(2H,d,J=8.7Hz), 7.85(2H,d,J=8.7Hz)

Table 135

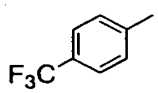
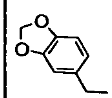
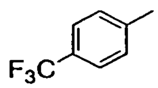
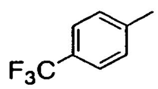
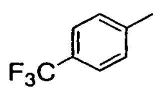
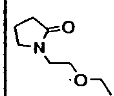
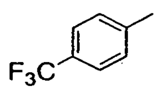
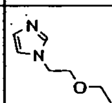
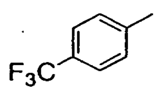
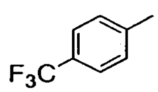
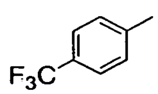
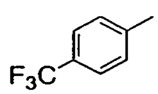
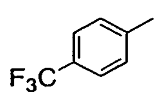
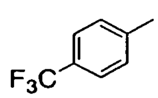
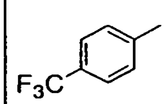
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R10	R15	mp	NMR(CDCl3 or DMSO-d6)
β-9 -31	β-9			S	H,H	OMe	H	H	H	F	H	183.5 - 186.0	3.81(3H,s),4.08(2H,s),4.17(2H,s), 5.95(2H,s),6.57(1H,dd,J=8.1,1.5Hz), 6.69(1H,d,J=1.5Hz), 6.79 (1H, d, J=8.1Hz),7.02(1H,d,J=36.6Hz), 7.277.29(2H,m),7.38(1H,d,J=8.4Hz), 7.87(4H, m)
β-9 -32	β-9		Me	S	H,H	H	H	H	H	CN	H	250-255	2.28(3H,s),4.48(2H,s),7.53(2H,d,J=8.4Hz),7.93(7H,m)
β-9 -33	β-9		Me	S	H,H	Me	H	H	H	F	H	214-216	2.32(3H,s),2.37(3H,s),4.20(2H,s),6.95(1H,d,J=32.1Hz),7.48(3H,m),7.75(2H,d,J=8.7Hz),7.83(2H,d,J=8.7Hz)
β-9 -34	β-9			S	H,H	OMe	H	H	H	F	H	158-160	
β-9 -35	β-9			S	H,H	OMe	H	H	H	F	H	148-150	
β-9 -36	β-9		CH2OMe	S	H,H	OMe	H	H	H	F	H	221-222	
β-9 -37	β-9		Me	S	H,H	H	H	H	H	OMe	H	157-160	2.30(3H,s),3.80(3H,s),4.21(2H,s),7.07(1H,s),7.42(2H,d,J=8.7Hz),7.70(2H,d,J=8.4Hz,),7.74(2H,d,J=8.7Hz),7.82(2H,d,J=8.4Hz)
β-9 -38	β-9		Me	S	H,H	H	H	H	H	H	Me	223-226	2.30(3H,s),2.53(3H,s),4.20(2H,s),6.13(1H,s),7.43(4H,brd,J=4.8Hz),7.76(2H,d,J=8.1Hz),7.84(2H,d,J=8.4Hz)
β-2 -39	β-9		Me	S	H,H	H	H	H	H	Me	Me	145-145	1.78(3H,q,J=1.5Hz),2.28(3H,s),2.33(3H,q,J=1.5Hz),4.17(2H,s),7.08(1H,d,J=8.4Hz),7.09(1H,d,J=8.1Hz),7.42(2H,d,J=8.1Hz),7.74(2H,d,J=8.1Hz), 7.82(2H,d,J=8.4Hz)
β-2 -40	β-9		Me	S	H,H	H	H	H	H	H	Et	174-175	1.07(3H,t,J=7.5Hz),2.29(3H,s),3.09(2H,q,J=7.5Hz),4.20(2H,s),6.04(1H,s),4.14(2H,s),7.41(4H,brs),7.74(2H,d,J=8.4Hz),7.82(2H,d,J=8.1Hz)
β-9 -41	β-9		Me	S	H,H	H	H	H	H	Cl	H	198.5 - 199.5	2.29(3H,s),4.48(2H,s),7.53(2H,d,J=8.4Hz),7.84~8.00(7H,m)
β-9 -42	β-9		Me	S	H,H	H	H	H	H	Me	H	172-173	2.02(3H,s),2.28(3H,s),3.85(3H,s),4.42(2H,s),7.44(2H,d,J=8.4Hz),7.48(2H,d,J=8.4Hz),7.55(1H,s),7.91(2H,d,J=8.7Hz),7.95(2H,d,J=8.7Hz)

Table 136

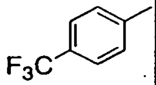
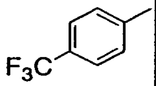
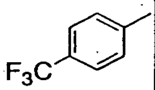
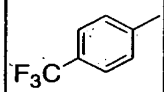
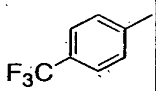
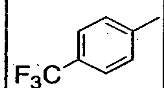
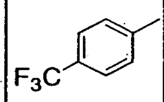
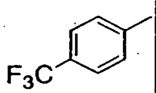
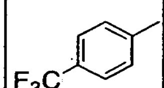
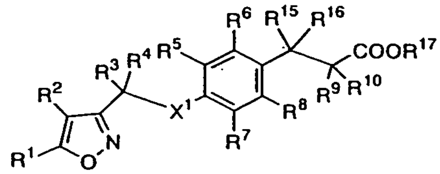
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R10	R15	mp	NMR(CDCl3 or DMSO-d6)
β-9 -43	β-9		Me	S	H,H	OMe	H	H	H	Me	H	174.5-175.5	2.05(3H,s),2.28(3H,s),3.85(3H,s),4.32(2H,s),7.04-7.12(2H,m),7.46(1H,d,J=8.4Hz),7.90(2H,d,J=8.7Hz),7.95(2H,d,J=8.7Hz)
β-9 -44	β-9		Me	S	H,H	OMe	H	H	H	Cl	H		2.29(3H,s), 3.86(3H,s), 4.38(2H,s), 7.51-7.58(3H,m), 7.89-7.97(5H,m)
β-9 -45	β-9		Me	S	H,H	OMe	H	H	H	F	H	211.5-213	2.28(3H,s)3.84(3H,s),4.36(2H,s),7.03(1H,d,J=36.6Hz),7.2-7.36(3H,m),7.50(1H,d,J=8.1Hz),7.91(2H,d,J=8.7Hz),7.95(2H,d,J=8.7Hz)
β-9 -46	β-9		Me	S	H,H	Et	H	H	H	F	H	200-201	1.14(3H,t,J=7.5Hz),2.28(3H,s),2.26(2H,q,J=7.5Hz),4.42(2H,s),6.99(1H,d,J=36.9Hz),7.50-7.62(3H,m)7.91(2H,d,J=8.4Hz),7.95(2H,d,J=8.4Hz)
β-9 -47	β-9		CH2OEt	S	H,H	OMe	H	H	H	F	H	250-255 (decom.)	1.15(3H,t,J=6.9Hz),3.54(2H,q,J=6.9Hz),3.83(3H,s)4.32(2H,s),4.55(2H,s),6.73(1H,d,J=37.2Hz),7.14-7.28(2H,m),7.41(1H,d,J=8.1Hz),7.94(2H,d,J=8.7Hz),8.00(2H,d,J=8.7Hz)
β-9 -48	β-9		CH=NOMe	S	H,H	OMe	H	H	H	F	H	245-250 (decom.)	3.81(3H,s),3.92(3H,s),4.01(2H,s),6.74(1H,d,J=36.9Hz),7.14-7.22(2H,m),7.40(1H,d,J=8.4Hz),7.93(2H,d,J=8.7Hz),8.03(2H,d,J=8.7Hz),8.34(1H,s)
β-9 -49	β-9		CH=NOEt	S	H,H	OMe	H	H	H	F	H	209-210.5	1.26(3H,t,J=7.2Hz),3.82(3H,s),4.15(2H,q,J=6.9Hz),4.47(2H,s),7.02(1H,d,J=36.6Hz),7.30(1H,s),7.31(1H,d,J=8.1Hz),7.49(1H,d,J=8.1Hz),7.93(2H,d,J=8.4Hz),8.03(2H,d,J=8.4Hz),8.35(1H,s)
β-8 -1	β-8		CH2OEt	O	H,H	F	H	H	H	F	H	205-206	1.08(3H,t,J=6.9Hz),3.50(2H,q,J=6.9Hz),4.57(2H,s),5.46(2H,s),7.02(1H,d,J=36.3Hz),7.45(1H,t,J=8.7Hz),7.55(1H,d,J=9Hz),7.58(1H,t,J=12.9Hz),7.97(2H,d,J=8.4Hz),8.04(2H,d,J=8.4Hz)
β-9 -50	β-9		Me	S	H,H	H	H	H	H	H	Et		MS *m/z* 448 (M+H)$^+$

Table 137



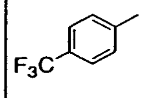
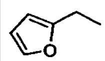
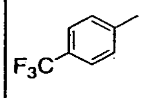
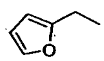
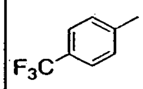
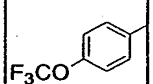
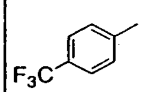
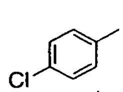
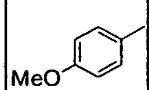
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	R17	mp	NMR(CDCl3 or DMSO-d6)
α-18-1	α-18			S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.28(3H,d,J=6.9Hz),2.57(2H),3.25(1H),3.63(3H,s),3.85(3H,s),4.05(2H,s),4.09(2H,s),6.02(1H),6.29(1H),6.74(2H),7.30(1H,d,J=7.8Hz),7.35(1H),7.72(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)
α-18-2	α-18			S	H,H	H	H	H	H	H	H	Me	H	Me		1.27(3H,d,J=6.9Hz),2.56(2H),3.25(1H),3.61(3H,s),4.05(2H,s),4.06(2H,s),6.03(1H),6.30(1H),7.15(2H,d,J=8.1Hz),7.31(2H,d,J=8.1Hz),7.35(1H),7.73(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz)
α-18-3	α-18		CH2O(CH2)2F	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.28(3H,t,J=7.2Hz),2.49-2.64(2H,m),3.19-3.31(1H,m),3.63(3H,s),3.73-3.76(1H,m),3.83-3.86(1H,m),3.88(3H,s),4.19(2H,s),4.51-4.53(1H,m),4.64(2H,s),4.67-4.69(1H,m),6.73-6.77(2H,m),7.32(1H,d,J=7.8Hz),7.75(2H,dJ=8.4Hz),7.90(2H,d,J=8.4Hz)
α-18-4	α-18		CH2OEt	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.25(3H,t,J=6.9Hz),1.28((3H,d,J=7.2Hz),2.48-2.64(2H,m),3.19-3.31(1H,m),3.58(2H,q,J=7.2Hz),3.62(3H,s),3..88(3H,s),4.17(2H,s),4.51(2H,s),6.72-6.76(2H,m),7.30-7.34(2H,m),7.77-7.82(2H,m)
α-18-5	α-18		(CH2)2OEt	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.16(3H,t,J=6.9Hz),1.29((3H,d,J=7.2Hz),2.49-2.65(2H,m),2.99(2H,t,J=6.6Hz),3.20-3.32(1H,m),3.47(2H,q,J=6.9Hz),3.63(3H,s),3.68(2H,q,J=6.6Hz),3..88(3H,s),4.17(2H,s),6.73-6.77(2H,m),7.33(1H,d,J=7.8Hz),7.72(2H,d,J=8.4Hz),),7.90(2H,d,J=8.4Hz)
α-18-6	α-18		CH2OEt	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.25(3H,t,J=6.9Hz),1.28((3H,d,J=6.9Hz),2.48-2.64(2H,m),3.19-3.31(1H,m),3.57(2H,q,J=6.9Hz),3.63(3H,s),3..88(3H,s),4.17(2H,s),4.51(2H,s),6.71-6.77(2H,m),7.32(1H,d,J=7.8Hz),7.44-7.48(2H,m),7.66-7.71(2H,m)
α-18-7	α-18		Me	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.28(3H,d,J=6.9Hz),2.20(3H,s),2.48-2.65(2H,m),3.19-3.31(1H,m),3.63(3H,s),3.86(3H,s),3.88(3H,s),4.07(2H,s),6.70-6.79(2H,m),6.96-7.00(2H,m),7.34(1H,d,J=7.8Hz),7.60-7.63(2H,m)

Table 138

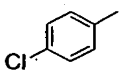
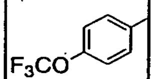
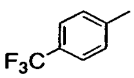
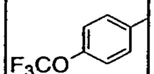
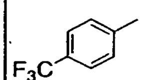
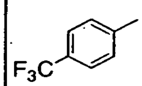
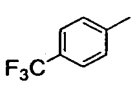
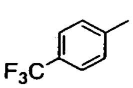
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	R17	mp	NMR(CDCl3 or DMSO-d6)
α-18-8	α-18		CH=NOEt	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.28(3H,d,J=6.9Hz),1.33(3H,t,J=7.2Hz), 2.48-2.65(2H,m), 3.19-3.31(1H,m), 3.63(3H,s), 3.87(3H,s),4.21(2H,q,J=7.2Hz),4.29(2H,s),6.72-6.76(2H,m),7.33(1H,d,J=7,8Hz),7.47(2H,d,J=8.4Hz),7.64(2H,d,J=8.4Hz),8.16(1H,s)
α-18-9	α-18		CH=NOEt	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.9Hz),1.33(3H,t,J=6.9Hz), 2.48-2.45(2H,m), 3.22-3.29(1H,m),3.63(3H,s),3.87(3H,s),4.22(2H,d,J=6.9Hz),4.29(2H,s), 6.72-6.76(2H,m),7.32-7.35(3H,m),7.75(2H,d,J=8.7Hz), 8.16(1H,s)
α-18-10	α-18		CH2OMe	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.28(3H,d,J=6.9Hz),2.48-2.64(2H,m),3.19-3.31(1H,m),3.62(3H,s),3.88(3H,s),4.18(2H,s),4.48(2H,s),6.70(2H,m),7.32(1H,d,J=7.8Hz),7.74(2H,d,J=8.1Hz), 7.87(2H,d,J=8.1Hz)
α-18-11	α-18		CH2OnPr	S	H,H	OMe	H	H	H	H	H	Me	H	Me		0.94(3H,t,J=7.5Hz),1.28(3H,d,J=6.6Hz),1.61-1.65(2H,m),2.48-2.64(2H,m),3.22-3.29(1H,m), 3.48(2H,t,J=6.6Hz),3.63(3H,s), 3.88(3H,s),4.17(2H,s),4.51(2H,s), 6.73-6.76(2H,m),7.31-7.33(3H,m), 7.75(2H,d,J=8.7Hz)
α-18-12	α-18		Me	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.28(3H,d,J=7.2Hz),2.26(3H,s),2.47-2.62(2H,m),3.22-3.29(1H,m), 3.62(3H,s),3.89(3H,s),4.10(2H,s), 6.73-6.76(2H,m),7.32(1H,d, J=7.8Hz),7.73(2H,d,J=8.1Hz), 7.80(2H,d,J=8.1Hz)
α-18-13	α-18		CH=NOnPr	S	H,H	OMe	H	H	H	H	H	Me	H	Me		0.98(3H,t,J=7.5Hz),1.29(3H,d,J=6.9Hz),1.69-1.81(2H,m),2.48-2.65(2H,m),3.19-3.32(1H,m), 3.63(3H,s),3.88(3H,s),4.13(2H,t, J=6.9Hz),4.30(2H,s),6.72-6.76(2H,m),7.33(1H,d,J=7.8Hz),7.75(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz),8.20(1H,s)
α-18-14	α-18		CH=NO(CH2)2F	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.29(3H,d,J=7.2Hz),2.49-2.65(2H,m),3.20-3.32(1H,m),3.63(3H,s),3.8(3H,s),4.28(2H,s),4.39(2H,d,J=28.5Hz),4.69(2H,d,J=47.4Hz),6.73-6.77(2H,m),7.32(1H,d, J=7.5Hz),7.76(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz), 8.26 (1H, s)
α-18-15	α-18		(CH2)2OMe	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.9Hz),2.49-2.65(2H,m),2.99(2H,t,J=6.9Hz),3.22-3.35(4H,m),3.63(3H,s),3.64(2H,t, J=6.9Hz),3.88(3H,s),4.15(2H,s),6.72-6.77(2H,m),7.33(1H,d,J=7.8Hz),7.73(2H,d,J=8.4Hz),7.88(2H, d,J=8.4Hz)

Table 139

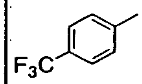
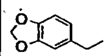
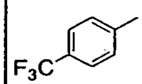
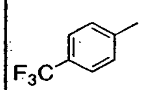
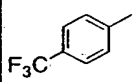
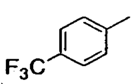
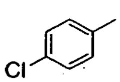
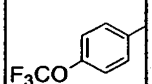
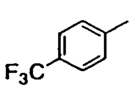
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	R17	mp	NMR(CDCl3 or DMSO-d6)
α-18-16	α-18			S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.9Hz),2.49-2.65(2H,m),3.20-3.32(1H,m),3.62(3H,s),3.84(3H,s),3.91(2H,s),4.05(2H,s),5.93(2H,s),6.56-6.59 (2H,m),6.70-6.76(3H,m),7.29(1H,d,J=8.4Hz),7.68(2H,d,J=8.4Hz),7.74 (2H,d,J=8.4Hz)
α-18-17	α-18		CH=NO cPen	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.9Hz),1.6-1.8(8H,m),2.48-2.65(2H,m),3.19-3.31(1H,m),3.63(3H,s),3.87(3H,s),4.30(2H,s),4.78(1H,m),6.72-6.76(2H,m),7.32(1H,d,J=7.8Hz), 7.75(2H,d,J=8.7Hz),7.84(2H,d,J=8.7Hz), 8.16(1H,s)
α-18-18	α-18		CH=NOiPr	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.9Hz),1.32(6H,d,J=6.6Hz),2.48-2.65(2H,m),3.19-3.31(1H,m),3.63(3H,s),3.87(3H,s),4.30(2H,s),4.41-4.49(1H,m),6.72-6.76(2H,m),7.32(1H,d,J=7.8Hz),7.75(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz),8.18(1H,s)
α-18-19	α-18		CH=NOMe	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.9Hz),2.48-2.65(2H,m),3.20-3.29(1H,m),3.63(3H,s),3.88(3H,s),3.97(3H,s),4.30(2H,s),6.73-6.79(2H,m),7.34(1H,d,J=7.5Hz),7.75(2H,d,J=8.4Hz),7.83(2H, d, J=8.4 Hz), 8.15 (1H, s)
α-18-20	α-18		CH=NO (CH2)2Cl	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.6Hz),2.49-2.66(2H,m),3.20-3.32(1H,m),3.64(3H,s),3.78(2H,t,J=5.7Hz),3.88(3H,s),4.28(2H,s),4.38(2H,t,J=5.7Hz),6.73-6.77(2H,m),7.32(1H,d,J=7.5Hz), 7.77 (2H, d, J=8.4 Hz), 7.82 (2H, d, J=8.4 Hz), 8.26 (1H, s)
α-18-21	α-18		CH2OnPr	S	H,H	OMe	H	H	H	H	H	Me	H	Me		0.94(3H,t,J=7.5Hz),1.28(3H,d,J=7.2Hz),1.60-1.67(2H,m),2.48-2.64(2H,m),3.19-3.31(1H,m),3.47(2H,t,J=6.6Hz),3.63(3H,s),3.88(3H,s),4.17(2H,s),4.50(2H,s),6.72-6.76(2H,m),7.32(1H,d,J=7.8Hz), 7.45 (2H, d, J=8.4 Hz), 7.70 (2H, d, J=8.4 Hz)
α-18-22	α-18		CH=NOMe	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.29(3H,d),2.48-2.65(2H,m),3.19-3.32(1H,m),3.63(3H,s),3.88(3H,s),3.97(3H,s),4.29(2H,s),6.73-6.77(2H,m),7.32-7.35(3H,m),7.75(2H,d,J=8.7 Hz), 8.13 (1H, s)
α-18-23	α-18		Me	S	H,H	H	H	H	H	H	Me	H	H	Me		1.14(3H,d,J=6.6Hz),2.25(3H,s),2.64(2H,m),3.00(2H,m),3.62(3H,s),4.11(2H,s),7.09(2H,d,J=8.1Hz),7.33(2H,d,J=8.1Hz),7.74(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)

Table 140

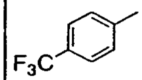
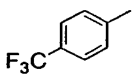
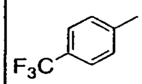
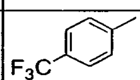
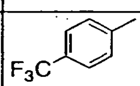
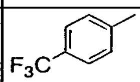
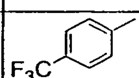
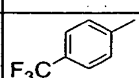
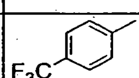
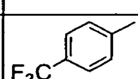
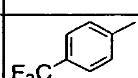
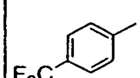
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	R17	mp	NMR(CDCl3 or DMSO-d6)
α-18-24	α-18		CH2OEt	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.27(6H,m),2.57(2H,m),3.26(1H,m),3.58(2H,m),3.63(3H,s),3.88(3H,s),4.19(2H,s),4.53(2H,s),6.73(1H,s,),6.75(1H,d,J=7.8Hz),7.32(1H,d,J=7.8Hz),7.74(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz)
α-18-25	α-18		CH2OnPr	S	H,H	OMe	H	H	H	H	H	Me	H	Me		0.95(3H,t,,J=7.5Hz),1.28(3H,d,J=6.9Hz),1.65(2H,m),2.57(2H,m),3.26(1H,m),3.49(2H,t,J=6.6Hz),3.62(3H,s),3.88(3H,s),4.18(2H,s),4.53(2H,s),6.73(1H,s,),6.75(1H,d,J=7.2Hz),7.33(1H,d,J=7.2Hz),7.74(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz)
α-18-26	α-18		CH2OCH2cPr	S	H,H	OMe	H	H	H	H	H	Me	H	Me		0.24(1H,m),0.58(1H,m),1.11(1H,m),1.28(3H,d,J=6.9Hz),2.56(2H,m),3.24(1h,dd,J=6.9Hz),3.38(2H,d,J=6.9Hz),3.62(3H,s),3.88(3H,s),4.19(2H,s),4.56(2H,s),6.73(1H,s,),6.75(1H,d,J=7.2Hz),7.32(1H,d,J=7.2Hz),7.74(2H,d,J=8.4Hz),7.90(2H,d,J=8.4Hz)
α-17-1	α-17		CH2OEt	O	H,H	OMe	H	H	H	H	H	Me	H	Me		
α-17-2	α-17		CH2OnPr	O	H,H	OMe	H	H	H	H	H	Me	H	Me		
α-17-3	α-17		Me	O	H,H	OMe	H	H	H	H	H	Me	H	Me		
α-17-4	α-17		CH2OEt	O	H,H	F	H	H	H	H	H	Me	H	Me		
α-17-5	α-17		CH2OnPr	O	H,H	F	H	H	H	H	H	Me	H	Me		
α-17-6	α-17		Me	O	H,H	F	H	H	H	H	H	Me	H	Me		
α-18-27	α-18		CH2OEt	S	H,H	H	H	H	H	H	H	Me	Me	Me		
α-18-28	α-18		Me	S	H,H	H	H	H	H	H	H	Me	Me	Me		
α-18-29	α-18		Me	S	H,H	H	H	H	H	H	H	Me	H	Me		2.09(3H,s),2.30(3H,s),2.59(2H,m),3.22(2H,m),4.11(3H,s),5.17(2H,s),7.15(2H,d,J=8.4Hz),7.34(2H,d,J=8.1Hz),7.73(2H,d,J=8.7Hz),7.81(d,J=8.1Hz)

Table 141

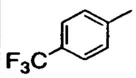
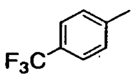
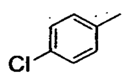
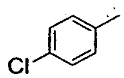
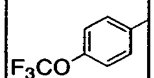
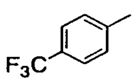
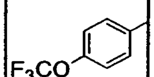
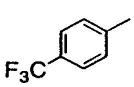
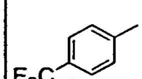
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	R17	mp	NMR(CDCl3 or DMSO-d6)
α-18 -30	α-18		CH2OEt	S	H,H	H	H	H	H	H	H	Me	H	Me		1.25(3H,t,J=6.9Hz),1.26(3H,d,J=7.2Hz),2.55(2H),3.27(1H),3.58(2H,q,J=6.9Hz),3.61(3H,s),4.21(2H,s),4.50(2H,s),7.15(2H,d,J=8.1Hz),7.35(2H,d,J=8.1Hz),7.75(2H,dJ=8.4Hz),7.87(2H,d,J=8.4Hz)
α-18 -31	α-18		CH2OnPr	S	H,H	H	H	H	H	H	H	Me	H	Me		0.95(3H,t,J=7.5Hz),1.27(3H,d,J=6.9Hz),1.65(2H),2.55(2H),3.23(1H),3.48(2H,q,J=6.9Hz),3.61(3H,s),4.21(2H,s),4.50(2H,s),7.15(2H,d,J=8.1Hz),7.35(2H,d,J=8.1Hz),7.75(2H,dJ=8.4Hz),7.89(2H,d,J=8.4Hz)
α-18 -32	α-18		Me	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.28(3H,d,J=8.4Hz),2.21(3H,s),2.55(2H)3.23(1H),3.62(3H,s),3.88(3H,s),4.07(2H,s),6.72-6.76(2H,m),7.32(1H,d,J=8.4Hz),7.44(2H,d,J=8.4Hz),7.61(2H,dJ=8.4Hz)
α-18 -33	α-18		Me	S	H,H	H	H	H	H	H	H	Me	H	Me		1.26(3H,d,J=6.9Hz),2.20(3H,s),2.55(2H)3.24(1H),3.61(3H,s),4.09(3H,s),7.14(2H,d,J=8.1Hz),7.34(1H,d,J=8.4Hz),7.44(2H,d,J=8.4Hz),7.62(2H,dJ=8.4Hz)
α-18 -34	α-18		Me	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.27(3H,d,J=6.9Hz),2.23(3H,s),2.56(2H)3.25(1H),3.62(3H,s),3.88(3H,s),4.08(2H,s),6.72-6.76(2H,m),7.32(1H,d,J=8.4Hz),7.71(2H,d,J=8.4Hz)
α-18 -35	α-18		Me	S	H,H	F	H	H	H	H	H	Me	H	Me		1.27(3H,d,J=6.9Hz),2.27(3H,s),2.55(2H)3.25(1H),3.62(3H,s),4.09(2H,s),6.91-7.00(2H,m),7.35(1H,t,J=8.1Hz),7.73(2H,dJ=8.4Hz),7.81(2H,d,J=8.4Hz)
α-18 -36	α-18		CH2OEt	S	H,H	F	H	H	H	H	H	Me	H	Me		1.25(3H,t,J=8.4Hz),1.26(3H,t,J=6.9Hz),2.55(2H)3.26(1H),3.59(2H,q,J=6.9Hz),3.62(3H,s),4.18(2H,s),4.53(2H,s),6.95(2H,d,J=8.7Hz),7.32-7.39(3H,m),7.79(2H,dJ=8.7Hz)
α-18 -37	α-18		CH2OEt	S	H,H	F	H	H	H	H	H	Me	H	Me		1.26(3H,d,J=6.9Hz),1.27(3H,d,J=8.1Hz),2.55(2H)3.27(1H),3.61(2H,q,J=8.2Hz),3.62(3H,s),6.95(2H,d,J=9.6Hz),7.37(1H,t,J=7.5Hz),7.75(2H,dJ=8.4Hz),7.83(2H,d,J=8.4Hz)
α-18 -38	α-18		CH=NOEt	S	H,H	F	H	H	H	H	H	Me	H	Me		1.27(3H,d,J=8.1Hz),1.34(3H,t,J=7.2Hz),2.55(2H)3.25(1H),3.62(3H,s),4.26(2H,q,,J=7.2Hz),4.31(2H,s),6,04(2H,d,J=9.4Hz),7.36(1H,t,J=8.2Hz),7.82(2H,d,J=8.2Hz)

Table 142

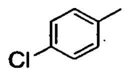
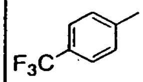
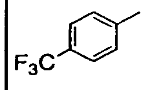
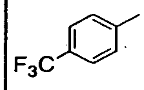
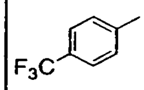
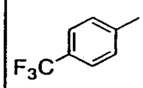
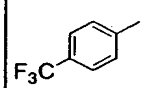
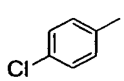
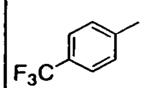
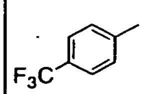
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	R17	mp	NMR(CDCl3 or DMSO-d6)
α-18-39	α-18		CH2OEt	S	H,H	F	H	H	H	H	H	Me	H	Me		1.25(3H,t,J=7.2Hz),2.54(2H),3.24(1H),3.58(2H,q,J=7.2Hz),3.62(3H,s),6.93(2H,d,J=9.6Hz),7.37(1H,t,J=7.2Hz),7.46(2H,d,J=8.4Hz),7.68(2H,d,J=8.4Hz)
α-18-40	α-18		Me	S	H,H	H	F	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.9Hz),2.27(3H,s),2.52-2.70(2H,m),3.44-3.57(1H,m),3.62(3H,s),4.13(2H,s),7.07-7.15(3H,m),7.73-7.83(4H,m)
α-18-41	α-18		CH2OEt	S	H,H	H	F	H	H	H	H	Me	H	Me		1.27(3H,t,J=6.9Hz),1.29(3H,d,J=6.9Hz),2.61(2H),3.59(2H,q,J=6.9Hz),3.63(3H,s),4.23(2H,s),4.53(2H,s),7.08-7.15(3H,m),7.75(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz)
α-18-42	α-18		CH2OnPr	S	H,H	H	F	H	H	H	H	Me	H	Me		0.97(3H,t,J=7.2Hz),1.28(3H,d,J=6.9Hz),1.64(2H),2.61(2H),3.49(3H,s),3.62(3H,s),4.23(2H,s),4.52(2H,s),7.07-7.14(3H,m),7.75(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz)
α-18-43	α-18		CH=NOEt	S	H,H	H	F	H	H	H	H	Me	H	Me		1.29(3H,dJ=6.9Hz),1.34(3H,t,J=6.9Hz),2.61(2H),3.53(1H),3.62(3H,s),4.23(2H,qJ=6.9Hz),4.37(2H,s),7.10-7.15(3H,m),7.76(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz)
α-18-44	α-18		Me	S	H,H	H	Me	H	H	H	H	Me	H	Me		1.22(3H,d,J=7.2Hz),2.24(3H,s),2.34(3H,s),2.55(2H),3.51(1H,),3.62(3H,s),4.11(2H,s),7.09-7.24(3H,m),7.71(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz)
α-18-45	α-18		CH=NOEt	S	H,H	H	Me	H	H	H	H	Me	H	Me		1.22(3H,d,J=6.9Hz),2.35(3H,t,J=7.2Hz),2.34(3H,s),2.55(2H),3.49(1H,),3.63(3H,s),4.22(2H),4.35(2H,s)7.10(1H,d,J=8.1Hz),7.22(1H,d,J=4.8Hz),7.76(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz)
α-18-46	α-18		CH2OEt	S	H,H	H	Me	H	H	H	H	Me	H	Me		1.21(3H,d,J=6.9Hz),1.25(3H,t,J=6.9Hz),2.33(3H,s),2.55(2H),3.48(1H,),3.56(2H,q,J=6.9Hz),3.62(3H,s),4.19(2H,s),4.47(2H,s),7.10(1H,d,J=8.1Hz),7.19-7.25(2H,m),7.46(2H,d,J=8.4Hz),7.67(2H,d,J=8.4Hz)
α-18-47	α-18		CH2OEt	S	H,H	H	Me	H	H	H	H	Me	H	Me		1.22(3H,d,J=6.9Hz),1.26(3H,t,J=6.9Hz),2.33(3H,s),2.55(2H),3.48(1H,),3.57(2H,q,J=6.9Hz),3.62(3H,s),4.01(2H,s),4.50(2H,s),7.13(1H,d,J=7.8Hz),7.19-7.25(2H,m),7.75(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz)
α-18-48	α-18		CH=NOEt	S	H,H	H	H	H	H	H	H	Me	H	Me		1.27(3H,t,J=7.2Hz),1.35(3H,t,J=7.2Hz),2.47-2.64(2H,m),3.18-3.31(1H,m),3.62(3H,s),4.23(2H,q,J=7.2Hz),4.35(2H,s),7.15(2H,d,J=8.1Hz),7.37(2H,d,J=8.1Hz),7.76(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz)

Table 143

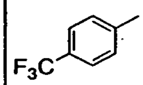
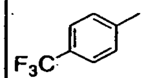
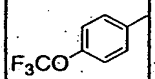
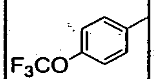
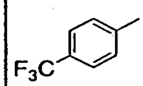
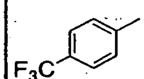
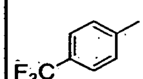
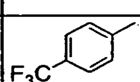
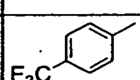
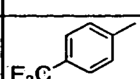
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	R17	mp	NMR(CDCl3 or DMSO-d6)
α-18-49	α-18		CH=NOEt	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.29(3H,t,J=6.9Hz),1.33(3H,t,J=6.9Hz),2.48-2.65(2H,m),3.17-3.32(1H,m),3.63(3H,s),3.87(3H,s),4.22(2H,q,J=6.9Hz),4.30(2H,s),6.70-6.80(2H,m),7.33(1H,d,J=7.8Hz),7.75(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz),8.18(1H,s)
α-18-50	α-18		CH2CN	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.9Hz),2.49-2.64(2H,m),3.20-3.32(1H,m),3.62(3H,s),3.83(2H,s),3.90(3H,s),4.21(2H,s),6.73-6.76(2H,m),7.33(1H,d,J=8.1Hz),7.75-7.82(4H,m)
α-18-51	α-18		CH=NOMe	S	H,H	F	H	H	H	H	H	Me	H	Me		1.27(3H,d,J=6.9Hz),2.47-2.63(2H,m),3.22-3.30(1H,m),3.62(3H,s),3.97(3H,s),4.31(2H,s),6.92-7.40(5H,m),7.72(2H,d,J=9Hz),8.11(1H,s)
α-18-52	α-18		CH=NOEt	S	H,H	F	H	H	H	H	H	Me	H	Me		1.27(3H,d,J=6.9Hz),1.34(3H,t,J=7.2Hz),2.47-2.63(2H,m),3.20-3.32(1H,m),3.63(3H,s),4.25(2H,q,J=6.9Hz),4.31(2H,s),6.94(2H,d,J=9.0Hz),7.30-7.40(3H,m),7.73(2H,d,J=9.0Hz),8.15(1H,s)
α-18-53	α-18		CH=NOMe	S	H,H	F	H	H	H	H	H	Me	H	Me		1.27(3H,d,J=6.9Hz),2.47-2.63(2H,m),3.20-3.30(1H,m),3.62(3H,s),3.98(3H,s),4.32(2H,s),6.9-6.97(2H,m),7.37(1H,t,J=7.8Hz),7.76(2H,d,J=7.8Hz),7.81(2H,d,J=7.8Hz),8.13(1H,s)
α-18-54	α-18		CH=NOMe	S	H,H	H	F	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.9Hz),2.52-2.70(2H,m),3.45-3.55(1H,m),3.63(3H,s),3.99(3H,s),4.38(2H,s),7.10-7.20(3H,m),7.77(2H,d,J=9.0Hz),7.81(2H,d,J=8.4Hz),8.15(1H,s)
α-18-55	α-18		CH=NOEt	S	H,H	H	F	H	H	H	H	Me	H	Me		1.29(3H,d,J=7.2Hz),1.34(3H,t,J=7.2Hz),2.50-2.70(2H,m),3.45-3.58(1H,m),3.63(3H,s),4.22(2H,q,J=7.2Hz),4.36(2H,s),7.10-7.20(3H,m),7.35(2H,d,J=9.0Hz),7.73(2H,d,J=9.0Hz)8.15(1H,s)
α-18-56	α-18		Me	S	H,H	H	Cl	H	H	H	H	Me	H	Me		
α-18-57	α-18		CH2OEt	S	H,H	H	Cl	H	H	H	H	Me	H	Me		
α-18-58	α-18		CH=NOEt	S	H,H	H	Cl	H	H	H	H	Me	H	Me		

Table 144

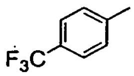
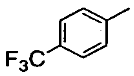
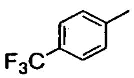
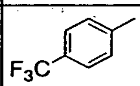
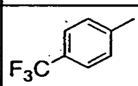
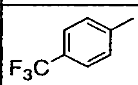
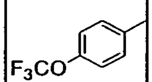
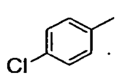
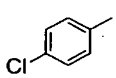
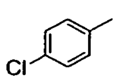
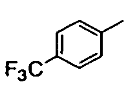
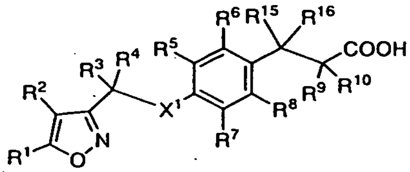
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	R17	mp	NMR(CDCl3 or DMSO-d6)
α-18-59	α-18		Me	S	H,H	OMe	H	H	F	H	H	Me	H	Me		
α-18-60	α-18		CH2OEt	S	H,H	OMe	H	H	F	H	H	Me	H	Me		
α-18-61	α-18		CH=NOEt	S	H,H	OMe	H	H	F	H	H	Me	H	Me		
α-18-62	α-18		Me	S	H,H	OMe	H	H	Cl	H	H	Me	H	Me		
α-18-63	α-18		CH2OEt	S	H,H	OMe	H	H	Cl	H	H	Me	H	Me		
α-18-64	α-18		CH=NOEt	S	H,H	OMe	H	H	Cl	H	H	Me	H	Me		
α-18-65	α-18		CH=NOMe	S	H,H	H	F	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.9Hz),2.52-2.72(2H,m),3.45-3.55(1H,m),3.63(3H,s),3.98(3H,s),4.37(2H,s),7.10-7.17(3H,m),7.35(2H,d,J=9.0Hz),7.72(2H,d,J=8.7Hz),8.12(1H,s)
α-18-66	α-18		CH=NOMe	S	H,H	H	F	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.9Hz),2.52-2.70(2H,m),3.44-3.60(1H,m),3.63(3H,s),3.98(3H,s),4.37(2H,s),7.10-7.17(3H,m),7.49(2H,d,J=9.0Hz),7.62(2H,d,J=8.7Hz),8.13(1H,s)
α-18-67	α-18		CH=NOMe	S	H,H	F	H	H	H	H	H	Me	H	Me		1.27(3H,d,J=6.9Hz),2.47-2.63(2H,m),3.19-3.32(1H,m),3.62(3H,s),3.97(3H,s),4.31(2H,s),6.91-6.98(2H,m),7.37(1H,t,J=7.8Hz),7.48(2H,d,J=8.7Hz),7.61(2H,d,J=8.7Hz),8.11(1H,s)
α-18-68	α-18		CH=NOMe	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.28(3H,d,J=6.9Hz),2.48-3.32(3H,m),3.63(3H,s),3.87(3H,s),3.96(3H,s),4.29(2H,s),6.70-6.80(2H,m),7.34(1H,t,J=7.8Hz),7.47(2H,d,J=9Hz),7.63(2H,d,J=8.7Hz),8.12(1H,s)
α-18-69	α-18		CH2CN	S	H,H	OMe	H	H	H	H	H	Me	H	Me		1.29(3H,d,J=6.9Hz),2.49-2.64(2H,m),3.20-3.32(1H,m),3.62(3H,s),3.83(2H,s),3.90(3H,s),4.21(2H,s),6.73-6.76(2H,m),7.33(1H,d,J=8.1Hz),7.75-7.82(4H,m)

Table 145



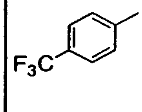
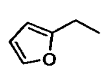
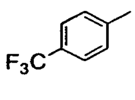
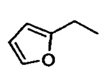
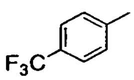
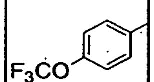
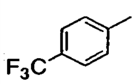
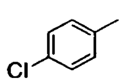
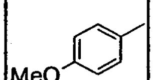
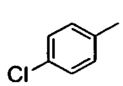
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	mp	NMR(CDCl3 or DMSO-d6)
β-11-1	β-11			S	H,H	OMe	H	H	H	H	H	Me	H	oil	1.31(3H,d,J=6.9Hz),2.60(2H),3.24(1H),3.85(3H,s),4.05(2H,s),4.08(2H,s),6.02(1H),6.29(1H);6.74(2H),7.30(1H,d,J=7.8Hz),7.34(1H),7.72(2H,d,J=8.4Hz),7.801(2H,d,J=8.4Hz).
β-11-2	β-11			S	H,H	H	H	H	H	H	H	Me	H	oil	1.29(3H,d,J=6.9Hz),2.59(2H),3.24(1H),4.04(2H,s),4.06(2H,s),6.03(1H),6.30(1H),7.15(2H,d,J=8.4Hz),7.32(2H,d,J=8.4Hz),7.35(1H),7.72(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)
β-11-3	β-11		CH2O(CH2)2F	S	H,H	OMe	H	H	H	H	H	Me	H		1.30(3H,t,J=6.9Hz),2.52-2.68(2H,m),3.18-3.30(1H,m),72-3.75(1H,m),3.82-3.85(1H,m),3.87(3H,s),4.19(2H,s),4.50-4.53(1H,m),4.63(2H,s),4.66-4.68(1H,m),6.73-6.80(2H,m),7.32(1H,d,J=8.4Hz),7.74(2H,d J=8.4Hz),7.89(2H,d,J=8.4Hz)
β-11-4	β-11		CH2OEt	S	H,H	OMe	H	H	H	H	H	Me	H		1.25(3H,t,J=7.2Hz),1.30((3H,d,J=7.2Hz),2.52-2.68(2H,m),3.18-3.30(1H,m),3.57(2H,q,J=7.2Hz),3.88(3H,s),4.17(2H,s),4.51(2H,s),6.71-6.77(2H,m),7.30-7.34(2H,m),7.77-7.81(2H,m)
β-11-5	β-11		(CH2)2OEt	S	H,H	OMe	H	H	H	H	H	Me	H		1.15(3H,t,J=7.2Hz),1.32((3H,d,J=6.9Hz),2.54-2.69(2H,m),2.90(2H,t,J=6.6Hz),3.19-3.31(1H,m),3.46(2H,q,J=7.2Hz),3.63(2H,t,J=6.6Hz),3..87(3H,s),4.14(2H,s),6.63-6.78(2H,m),7.33(1H,d,J=7.8Hz),7.72(2H,d,J=8.4Hz),),7.89(2H,d,J=8.4Hz)
β-11-6	β-11		CH2OEt	S	H,H	OMe	H	H	H	H	H	Me	H		1.24(3H,t,J=6.9Hz),1.30((3H,d,J=6.9Hz),2.52-2.68(2H,m),3.18-3.30(1H,m),3.56(2H,q,J=6.9Hz),3..878(3H,s),4.16(2H,s),4.50(2H,s),6.72-6.77(2H,m),7.33(1H,d,J=7.5Hz),7.42-7.47(2H,m),7.66-7.70(2H,m)
β-11-7	β-11		Me	S	H,H	OMe	H	H	H	H	H	Me	H		1.31(3H,d,J=6.9Hz),2.20(3H,s),2.53-2.69(2H,m),3.19-3.31(1H,m),3.86(3H,s),3.88(3H,s),4.07(2H,s),6.73(1H,s),6.76(1H,d,J=7.8Hz),6.96-7.03(2H,m),7.34(1H,d,J=7.8Hz),7.59-7.63(2H,m)
β-11-8	β-11		CH=NOEt	S	H,H	OMe	H	H	H	H	H	Me	H	101-103	1.31(3H,d,J=7.2Hz), 1.33(3H,t,J=6.9Hz), 2.52-2.69(2H,m), 3.18-3.30(1H,m), 3.67(3H,s), 4.12(2H,q,J=6.9Hz), 4.29(2H,s), 6.72-6.77(2H,m),7.34(1H,d,J=7.8Hz),7.47(2H,d,J=8.4Hz),7.64(2H,d,J=8.4Hz), 8.15(1H,s)

Table 146

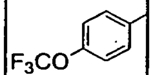
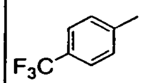
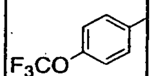
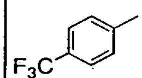
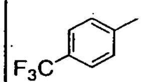
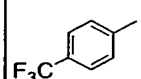
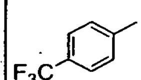
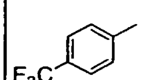
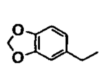
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	mp	NMR(CDCl3 or DMSO-d6)
β-11-9	β-11		CH=NOEt	S	H,H	OMe	H	H	H	H	H	Me	H	84-86	1.30-1.35(6H,m),2.52-2.70(2H,m),3.21-3.28(1H,m),3.87(3H,s),4.21(2H,q,J=6.9Hz),4.29(2H,s),6.73-6.77(2H,m),7.32-7.35(3H,m),7.75(2H,d,J=8.7Hz),8.15(1H,s)
β-11-10	β-11		CH2OMe	S	H,H	OMe	H	H	H	H	H	Me	H	oil	1.31(3H,d,J=6.9Hz),2.52-2.69(2H,m),3.18-3.30(1H,m),3.42(3H,s),3.88(3H,s),4.18(2H,s),4.48(2H,s),6.73-6.77(2H,m),7.33(1H,d,J=8.1Hz),7.74(2H,d,J=8.1Hz),7.87(2H,d,J=8.1Hz)
β-11-11	β-11		CH2OnPr	S	H,H	OMe	H	H	H	H	H	Me	H	oil	0.94(3H,t,J=7.2Hz),1.31(3H,d,J=6.9Hz),1.58-1.70(2H,m),2.52-2.69(2H,m),3.19-3.30(1H,m),3.48(2H,t,J=6.6Hz),3.88(3H,s),4.17(2H,s),4.50(2H,s),6.73-6.77(2H,m),7.30-7.34(3H,m),7.80(2H,d,J=9.0Hz)
β-11-12	β-11		Me	S	H,H	OMe	H	H	H	H	H	Me	H	115.5 - 117.5	1.31(3H,d,J=6.9Hz),2.26(3H,s),2.53-2.69(2H,m),3.21-3.31(1H,m),3.88(3H,s),4.10(2H,s),6.73-6.77(2H,m),7.33(1H,d,J=8.1Hz),7.73(2H,d,J=8.1Hz),7.80(2H,d,J=8.1Hz)
β-11-13	β-11		CH=NO nPr	S	H,H	OMe	H	H	H	H	H	Me	H	71.0-72.0	0.97(3H,t,J=7.5Hz),1.31(3H,d,J=6.9Hz),1.71-1.80(2H,m),2.52-2.70(2H,m),3.21-3.31(1H,m),3.87(3H,s),4.13(2H,t,J=6.9Hz),4.30(2H,s),6.73(1H,s),6.76(1H,d,J=7.8Hz),7.34(1H,d,J=7.8Hz),7.75(2H,d,J=8.1Hz),7.84(2H,d,J=8.1Hz),8.19(1H,s)
β-11-14	β-11		CH=NO (CH2)2F	S	H,H	OMe	H	H	H	H	H	Me	H	92.0-93.5	1.31(3H,d,J=6.9Hz),2.52-2.70(2H,m),3.19-3.31(1H,m),3.87(3H,s),4.28(2H,s),4.38(2H,d,J=28.5Hz),4.68(2H,d,J=47.4Hz),6.74-6.78(2H,m),7.33(1H,d,J=7.8Hz),7.76(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz),8.25(1H,s)
β-11-15	β-11		(CH2)2OMe	S	H,H	OMe	H	H	H	H	H	Me	H	80.0-81.0	1.32(3H,d,J=6.9Hz),2.54-2.69(2H,m),2.89(2H,t,J=6.9Hz),3.21-3.33(4H,m),3.59(2H,t,J=6.9Hz),3.87(3H,s),4.13(2H,s),6.74-6.78(2H,s),7.33(1H,d,J=7.8Hz),7.73(2H,d,J=8.7Hz),7.86(2H,d,J=8.7Hz)
β-11-16	β-11			S	H,H	OMe	H	H	H	H	H	Me	H	70.0-72.0	1.31 (3H, d, J=7.2 Hz), 2.53-2.59 (2H,m),3.21-3.28(1H,m),3.83(3H,s),3.90(2H,s),4.04(2H,s),5.94(2H,s),6.55-6.58(2H,m),6.70-6.76(3H,m),7.28(1H,d,J=8.1Hz),7.68(2H,d,J=8.4Hz),7.74(2H,d,J=8.4 Hz)

Table 147

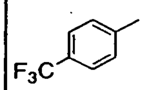
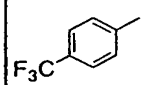
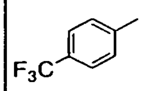
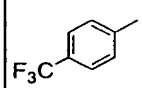
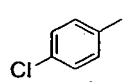
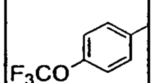
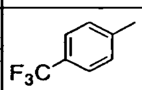
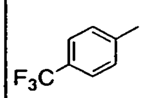
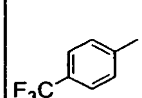
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	mp	NMR(CDCl3 or DMSO-d6)
β-11-17	β-11		CH=NOcPen	S	H,H	OMe	H	H	H	H	H	Me	H	71.0-72.5	1.32(3H,d,J=6.9Hz),1.59-1.86(8H,m),2.53-2.70(2H,m),3.21-3.29(1H,m),3.87(3H,s),4.30(2H,s),4.78(1H,m),6.73-6.77(2H,m),7.33(1H,d,J=7.8Hz),7.75(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz),8.16(1H,s)
β-11-18	β-11		CH=NOiPr	S	H,H	OMe	H	H	H	H	H	Me	H	86.0-87.0	1.30-1.33(9H,m),2.53-2.70(2H,m),3.19-3.31(1H,m),3.87(3H,m),4.30(2H,s),4.39-4.51(1H,m),6.73-6.78(2H,m),7.34(1H,d,J=7.8Hz),7.75(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz),8.18(1H,s)
β-11-19	β-11		CH=NOMe	S	H,H	OMe	H	H	H	H	H	Me	H	83.0-84.0	1.31(3H,d,J=6.9Hz),2.53-2.70(2H,m),3.19-3.31(1H,m),3.87(3H,s),3.97(3H,s),4.30(2H,s),6.73-6.77(2H,m),7.35(1H,d,J=7.8Hz),7.75(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz),8.15 (1H, s)
β-11-20	β-11		CH=NO(CH2)2Cl	S	H,H	OMe	H	H	H	H	H	Me	H	105.5-107.0	1.32(3H,d,J=6.9Hz),2.53-2.70(2H,m),3.19-3.31(1H,m),3.77(2H,t,J=5.7Hz),3.88(3H,s),4.28(2H,s),4.37(2H,t,J=5.7Hz),6.74-6.78(2H,m),7.32(1H,d,J=7.5Hz),7.76(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz),8.25(1H,s)
β-11-21	β-11		CH2OnPr	S	H,H	OMe	H	H	H	H	H	Me	H	oil	0.94(3H,t,J=7.5Hz),1.31(3H,d,J=6.9Hz),1.57-1.69(2H,m),2.52-2.69(2H,m),3.18-3.30(1H,m),3.46(2H,t,J=6.6Hz),3.87(3H,s),4.16(2H,s),4.49(2H,s),6.73-6.77(2H,m),7.33(1H,d,J=7.5Hz),7.45(2H,d,J=8.4Hz),7.69(2H,d,J=8.4Hz)
β-11-22	β-11		CH=NOMe	S	H,H	OMe	H	H	H	H	H	Me	H	99.0-100.0	1.31(3H,d,J=6.9Hz),2.52-2.70(2H,m),3.19-3.31(1H,m),3.87(3H,s),3.96(3H,s),4.29(2H,s),6.73-6.77(2H,m),7.33-7.35(3H,m),7.74(2H,d,J=8.7Hz),8.12(1H,s)
β-11-23	β-11		Me	S	H,H	H	H	H	H	H	Me	H	H	86-88	1.01(3H,d,J=6.6Hz),2.23(3H,s),2.60(2H,m),2.83(2H,m),4.30(2H,s),7.15(2H,d,J=8.4Hz),7.33(2H,d,J=8.4Hz),7.92(4H,m)
β-11-24	β-11		CH2OEt	S	H,H	OMe	H	H	H	H	H	Me	H	82-84	1.25(6H,m),2.60(2H,m),3.24(1H,m),3.58(2H,q,J=6.9Hz),3.88(3H,s),4.18(2H,s),4.53(2H,s),6.73(1H,s,),6.75(1H,d,J=7.8Hz),7.33(1H,d,J=7.8Hz),7.74(2H,d,J=8.1Hz),7.88(2H,d,J=8.1Hz)
β-11-25	β-11		CH2OnPr	S	H,H	OMe	H	H	H	H	H	Me	H	65-69	0.94(3H,t,J=7.5Hz),1.30(3H,d,J=8.4Hz),1.65(2H,m),2.60(2H,m),3.25(1H,m),3.49(2H,t,J=6.6Hz),3.88(3H,s),4.18(2H,s),4.53(2H,s),6.73(1H,s),6.75(1H,d,J=7.8Hz),7.33(1H,d,J=7.8Hz),7.73(2H,d,J=8.4Hz),7.89(2H,d,J=8.4Hz)

Table 148

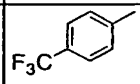
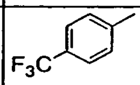
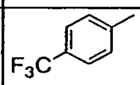
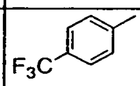
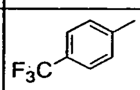
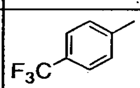
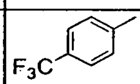
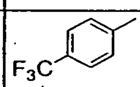
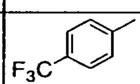
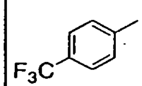
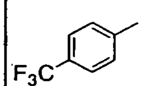
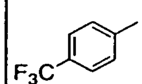
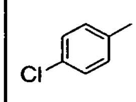
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	mp	NMR(CDCl3 or DMSO-d6)
β-11-26	β-11		CH2OCH2 cPr	S	H,H	OMe	H	H	H	H	H	Me	H	55-58	
β-10-1	β-10		CH2OEt	O	H,H	OMe	H	H	H	H	H	Me	H	121-123	
β-10-2	β-10		CH2OnPr	O	H,H	OMe	H	H	H	H	H	Me	H	127-129	
β-10-3	β-10		Me	O	H,H	OMe	H	H	H	H	H	Me	H	96-98	
β-10-4	β-10		CH2OEt	O	H,H	F	H	H	H	H	H	Me	H	124-126	
β-10-5	β-10		CH2OnPr	O	H,H	F	H	H	H	H	H	Me	H	122-124	
β-10-6	β-10		Me	O	H,H	F	H	H	H	H	H	Me	H	113-115	
β-11-27	β-11		CH2OEt	S	H,H	H	H	H	H	H	H	Me	Me	90-92	
β-11-28	β-11		Me	S	H,H	H	H	H	H	H	H	Me	Me	108-109	
β-11-29	β-11		Me	S	H,H	H	H	H	H	H	H	Me	H	183-186.5	1.28(3H,d,J=7.2Hz),2.30(3H,s),2.59(2H,m),3.24(1H,m),4.11(3H,s),4.79(2H,s,),7.15(2H,d,J=8.4Hz),7.34(2H,d,J=8.4Hz),7.74(2H,m),7.81(2H,m)
β-11-30	β-11		CH2OEt	S	H,H	H	H	H	H	H	H	Me	H	83-84	1.13(3H,t,J=6.9Hz),1.18(3H,d,J=6.9Hz),3.15(1H),3.51(2H),4.32(2H,s),4.50(2H,s),7.22(2H,d,J=8.4Hz),7.35(2H,d,J=8.4Hz),7.93(2H,d J=8.7Hz),7.99(2H,d,J=8.4Hz)
β-11-31	β-11		CH2OnPr	S	H,H	H	H	H	H	H	H	Me	H	59-60	0.94(3H,t,J=7.2Hz),1.29(3H,d,J=6.9Hz),1.64(2H),2.58(2H),3.26(1H),3.47(3H,t,J=6.6Hz),4.21(2H,s),4.49(2H,s),7.15(2H,d,J=8.4Hz),7.34(2H,d,J=8.4Hz),7.74(2H,dJ=8.4Hz),7.87(2H,d,J=8.4Hz)
β-11-32	β-11		Me	S	H,H	OMe	H	H	H	H	H	Me	H	116-117	1.30(3H,d,J=6.9Hz),2.21(3H,s),2.65(2H),3.24(1H),3.87(3H,s),4.07(2H,s),6.72-6.78(2H,m),7.32(1H,d,J=8.4Hz),7.44(2H,d,J=8.4Hz),7.61(2H,dJ=8.4Hz)

Table 149

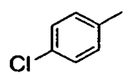
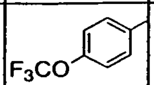
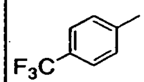
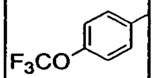
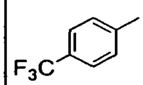
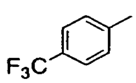
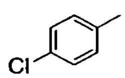
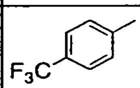
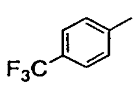
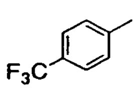
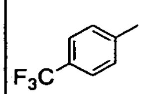
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	mp	NMR(CDCl3 or DMSO-d6)
β-11-33	β-11		Me	S	H,H	H	H	H	H	H	H	Me	H	149-150	1.29(3H,d,J=6.9Hz),2.19(3H,s),2.59(2H)3.24(1H),4.09(2H,s),7.14(2H,d,J=8.4Hz),7.34(2H,d,J=8.4Hz),7.44(2H,d,J=8.4Hz),7.62(2H,dJ=8.4Hz)
β-11-34	β-11		Me	S	H,H	OMe	H	H	H	H	H	Me	H	75-76	1.30(3H,d,J=6.9Hz),2.23(3H,s),2.60(2H),3.24(1H),3.88(3H,s),4.07(2H,s),6,72-6.78(2H,m),7.32(3H,d,J=8.4Hz),7.71(2H,d,J=8.4Hz)
β-11-35	β-11		Me	S	H,H	F	H	H	H	H	H	Me	H	117-118	1.30(3H,d,J=6.9Hz),2.26(3H,s),2.59(2H),3.24(1H),4.09(2H,s),6,92(1H,s),6.96(1H,m,),7.35(1H,d,J=8.4Hz),7.73(2H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz)
β-11-36	β-11		CH2OEt	S	H,H	F	H	H	H	H	H	Me	H	55-56	1.25(3H,tJ=6.9Hz),1.29(3H,d,J=6.9Hz),2.59(2H),3.24(1H),3.59(2H,q,J=6.9Hz),4.18(2H,s),4.52(2H,s),6.94(2H,d,J=9.0Hz),7.31-7.40(3H,m,),7.79(2H,d,J=8.4Hz)
β-11-37	β-11		CH2OEt	S	H,H	F	H	H	H	H	H	Me	H	87-88	1.26(3H,tJ=6.9Hz),1.29(3H,d,J=6.9Hz),2.59(2H),3.23(1H),3.59(2H,q,J=6.9Hz),4.19(2H,s),4.54(2H,s),6.94(2H,d,J=9.0Hz),7.36(3H,t,J=7.5Hz),7.74(2H,d,J=8.4Hz),7.87(2H,d,J=8.4)
β-11-38	β-11		CH=NOEt	S	H,H	F	H	H	H	H	H	Me	H	148-149	1.29(3H,dJ=6.9Hz),1.34(3H,t,J=6.9Hz),2.58(2H),3.24(1H),3.59(2H),4.31(2H,s),6.94(2H,d,J=9.0Hz),7.37(3H,t,J=7.5Hz),7.74(2H,d,J=8.4Hz),7.87(2H,d,J=8.4),8.16(1H,s)
β-11-39	β-11		CH2OEt	S	H,H	F	H	H	H	H	H	Me	H	60-61	1.25(3H,tJ=6.9Hz),1.28(3H,d,J=6.9Hz),2.59(2H),3.23(1H),3.59(2H,q,J=6.9Hz),4.18(2H,s),4.51(2H,s),6.94(2H,d,J=9.0Hz),7.37(3H,t,J=7.5Hz),7.46(2H,d,J=8.4Hz),7.67(2H,d,J=8.4)
β-11-40	β-11		Me	S	H,H	H	F	H	H	H	H	Me	H	101-102	1.29(3H,d,J=7.2Hz), 2.26(3H,s), 2.55-2.75(2H,m), 3.44-3.56(1H,m),4.13(2H,s), 7.07-7.18(3H,m), 7.73-7.84(4H,m)
β-11-41	β-11		CH2OEt	S	H,H	H	F	H	H	H	H	Me	H	64-65	1.26(3H,tJ=6.9Hz),1.30(3H,d,J=6.9Hz),2.64(2H),3.49(1H),3.59(2H,q,J=6.9Hz),4.23(2H,s),4.52(2H,s),7.07-7.14(3H,m,),7.75(2H,d,J=8.4Hz),7.87(2H,d,J=8.4)
β-11-42	β-11		CH2OnPr	S	H,H	H	F	H	H	H	H	Me	H	72-73	0.96(3H,tJ=7.2Hz),1.30(3H,d,J=7.2Hz),1.67(2H),2.65(2H),3.49(3H),4.23(2H,s),4.52(2H,s),7.07-7.14(3H,m,),7.75(2H,d,J=8.1Hz),7.87(2H,d,J=8.1)
β-11-43	β-11		CH=NOEt	S	H,H	H	F	H	H	H	H	Me	H	122-123	1.32(3H,tJ=7.2Hz),1.35(3H,d,J=7.2Hz),2.64(2H),3.49(1H),4.23(2H,q,J=6.9Hz),4.38(2H,s),7.11-7.26(3H,m,),7.75(2H,d,J=8.4Hz),7.82(2H,d,J=8.4)

Table 150

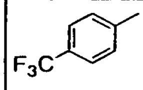
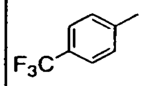
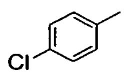
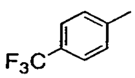
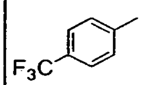
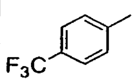
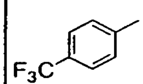
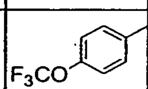
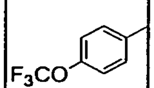
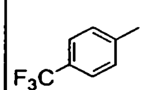
No	Synthetic method	R1	R2	X1	R3, R4	R5	R6	R7	R8	R9	R10	R15	R16	mp	NMR(CDCl3 or DMSO-d6)
β-11-44	β-11		Me	S	H, H	H	Me	H	H	H	H	Me	H	74-75	1.23(3H,d,J=6.6Hz),2.22(3H,s),2.32(3H,s),2.57(2H),3.47(1H,),4.09(2H,s),7.11-7.24(3H,m),7.73(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)
β-11-45	β-11		CH=NOEt	S	H, H	H	Me	H	H	H	H	Me	H	103-104	1.24(3H,d,J=6.9Hz),1.34(3H,t,J=7.2Hz),2.33(3H,s),2.59(2H),3.48(1H),4.22(2H,q,J=6.9Hz),4.34(2H,s) 7.11(1H,d,J=8.1Hz),7.21-7.26(2H,m),7.75(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz)
β-11-46	β-11		CH2OEt	S	H, H	H	Me	H	H	H	H	Me	H	82-83	1.23(3H,d,J=6.9Hz),1.24(3H,t,J=6.9Hz),2.33(3H,s),2.60(2H),3.47(1H,),3.55(2H,q,J=6.9Hz),4.19(2H,s),4.467(2H,s),7.10(1H,d,J=8.1Hz), 7.19-7.25(2H,m),7.45(2H,d,J=8.4Hz),7.68(2H,d,J=8.4Hz)
β-11-47	β-11		CH2OEt	S	H, H	H	Me	H	H	H	H	Me	H	66-67	1.23(3H,d,J=6.9Hz),1.25(3H,t,J=6.9Hz),2.33(3H,s),2.59(2H),3.47(1H,),3.54(2Hq,J=6.9Hz),4.20(2H,s),4.49(2H,s),7.10(1H,d,J=7.8Hz), 7.19-7.25(2H,m),7.75(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz)
β-11-48	β-11		CH=NOEt	S	H, H	H	H	H	H	H	H	Me	H	141.5 - 142.5	1.19(3H,t,J=6.9Hz),1.26(3H,t,J=67.2Hz),3.04-3.20(1H,m),4.15 (2H,q,J=7.2Hz),4.43(2H,s),7.23(2H,d,J=8.4Hz),7.34(2H,d,J=8.4Hz),7.93(2H,d,J=8.4Hz),8.03(2H,d,J=8.4Hz),8.33(1H,s)
β-11-49	β-11		CH=NOEt	S	H, H	OMe	H	H	H	H	H	Me	H	97-98	1.21(3H,t,J=6.9Hz),1.26(3H,t,J=6.9Hz),3.02-3.20(1H,m),3.79 (3H,s),4.14(2H,q,J=6.9Hz),4.33(2H,s),6.82(1H,dd,J1=7.82Hz,J2=1.2Hz),6.90(1H,d,J=1.2Hz),7.29(1H,d,J=7.8Hz),7.93(2H,d,J=8.4Hz),8.03(2H,d,J=8.4Hz),8.32(1H,s)
β-11-50	β-11		CH2CN	S	H, H	OMe	H	H	H	H	H	Me	H	107-110	1.31(3H,d,J=7.2Hz),2.53-2.69 (2H,m),3.20-3.31(1H,m),3.62(3H,s),3.82(2H,s),3.90(3H,s),4.22(2H,s),6.73-6.77(2H,m),7.32-7.35 (1H,m),7.74-7.82(4H,m)
β-11-51	β-11		CH=NOMe	S	H, H	F	H	H	H	H	H	Me	H	115.5 -117	1.19(3H,d,J=6.9Hz),3.10-3.20(1H,m),3.88(3H,s),4.38(2H,s),7.07-7.46(3H,m),7.56(2H,d,J=8.1Hz),7.94(2H,d,J=8.1Hz),.8.27(1H,s)
β-11-52	β-11		CH=NOEt	S	H, H	F	H	H	H	H	H	Me	H	114-115	1.19(3H,t,J=6.9Hz),1.26(3H,t,J=6.9Hz),3.10-3.20(1H,m),4.14(2H,q,J=7.2Hz),4.38(2H,s),7.06-7.20(2H,m),7.43(1H,t,J=7.8Hz),7.56(2H,d,J=8.7Hz),7.94(2H,d,J=8.7Hz),8.28(1H,s)
β-11-53	β-11		CH=NOMe	S	H, H	F	H	H	H	H	H	Me	H	148-149	1.19(3H,d,J=6.9Hz),3.10-3.20 (1H,m),3.90(3H,s),4.40(2H,s),7.08-7.20(2H,m),7.44(1H,t,J=7.8Hz), 7.93(2H,d,J=8.4Hz),.8.02(2H,d,J=8.4Hz),8.31(1H,s)

Table 151

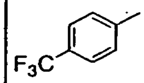
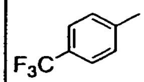
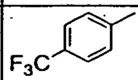
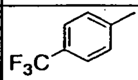
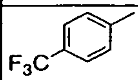
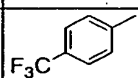
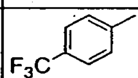
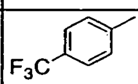
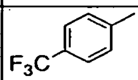
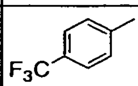
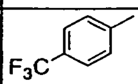
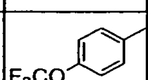
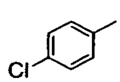
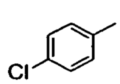
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	mp	NMR(CDCl3 or DMSO-d6)
β-11-54	β-11		CH=NOMe	S	H,H	H	F	H	H	H	H	Me	H	119.5–120.5	1.19(3H,d,J=6.9Hz),3.34-3.45(1H,m),3.90(3H,s),4.50(2H,s),7.16-7.33(3H,m),7.93(2H,d,J=8.1Hz),8.03(2H,d,J=8.1Hz),8.33(1H,s)
β-11-55	β-11		CH=NOEt	S	H,H	H	F	H	H	H	H	Me	H	80-81	1.19(3H,t,J=6.9Hz),1.26(3H,t,J=6.9Hz),3.30-3.43(1H,m),4.14(2H,q,J=7.2Hz),4.48(2H,s),7.15-7.27(3H,m),7.30(1H,t,J=8.1Hz),7.56(2H,d,J=8.1Hz),7.95(2H,d,J=8.1Hz),8.30(1H,s)
β-11-56	β-11		Me	S	H,H	H	Cl	H	H	H	H	Me	H		
β-11-57	β-11		CH2OEt	S	H,H	H	Cl	H	H	H	H	Me	H		
β-11-58	β-11		CH=NOEt	S	H,H	H	Cl	H	H	H	H	Me	H		
β-11-59	β-11		Me	S	H,H	OMe	H	H	F	H	H	Me	H		
β-11-60	β-11		CH2OEt	S	H,H	OMe	H	H	F	H	H	Me	H		
β-11-61	β-11		CH=NOEt	S	H,H	OMe	H	H	F	H	H	Me	H		
β-11-62	β-11		Me	S	H,H	OMe	H	H	Cl	H	H	Me	H		
β-11-63	β-11		CH2OEt	S	H,H	OMe	H	H	Cl	H	H	Me	H		
β-11-64	β-11		CH=NOEt	S	H,H	OMe	H	H	Cl	H	H	Me	H		
β-11-65	β-11		CH=NOMe	S	H,H	H	F	H	H	H	H	Me	H	73.5-74	1.19(3H,d,J=6.9Hz),3.89(3H,s),4.48(2H,s),7.16~7.34(3H,m),7.56(2H,d,J=8.4Hz),7.95(2H,d,J=9Hz),8.30(1H,s)
β-11-66	β-11		CH=NOMe	S	H,H	H	F	H	H	H	H	Me	H	119-120	1.19(3H,d,J=6.9Hz),3.33-3.43(1H,m),3.89(3H,s),4.47(2H,s),7.15-7.33(3H,m),7.64(2H,d,J=9Hz),7.82(2H,d,J=8.7Hz),8.28(1H,s)
β-11-67	β-11		CH=NOMe	S	H,H	F	H	H	H	H	H	Me	H	152-153	1.19(3H,d,J=6.9Hz),3.05-3.20(1H,m),3.89(3H,s),4.38(2H,s),7.10(1H,d,J=8.1Hz)7.18(1H,d,J=11Hz)7.44(1H,t,J=8.1Hz),7.64(2H,d,J=8.7Hz),7.82(2H,d,J=8.7Hz),8.26(1H,s)

Table 152

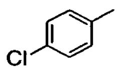
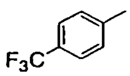
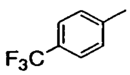
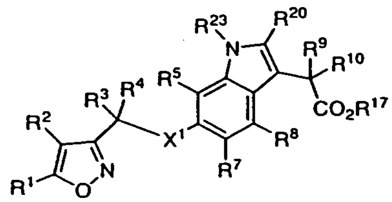
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R9	R10	R15	R16	mp	NMR(CDCl3 or DMSO-d6)
β-11-68	β-11		CH=NOMe	S	H,H	OMe	H	H	H	H	H	Me	H		1.28(3H,d,J=6.9Hz), 2.48-2.65(2H,m), 3.19-3.31(1H,m), 3.87(3H,s), 3.96(3H,s), 4.29(2H,s),6.72(2H,m), 7.34(1H,d,J=7.8Hz),7.47(2H,d,J=8.7Hz),7.63(2H,d,J=8.7Hz), 8.12(1H,s)
β-11-69	β-11		CH2CN	S	H,H	OMe	H	H	H	H	H	Me	H	107-110	1.31(3H,d,J=7.2Hz),2.53-2.69(2H,m),3.20-3.31(1H,m),3.62(3H,s),3.82(2H,s),3.90(3H,s),4.22(2H,s),6.73-6.77(2H,m),7.32-7.35(1H,m),7.74-7.82(4H,m)
β-11-70	β-11		Me	S	H,H	H	H	H	H	H	H	Et	H		

Table 153



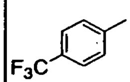
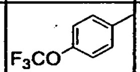
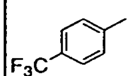
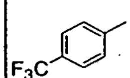
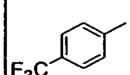
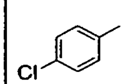
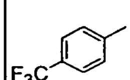
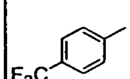
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R23	R20	R17	mp	NMR(CDCl3 or DMSO-d6)
α-20 -1	α-20		CH2OnPr	S	H,H	H	H	H	H	H	Me	H	Me		0.95(3H,t,J=7.2Hz),1.64(2H),3.48(2H,t,J=6.6Hz),3.67(3H,s),3.71(3H,s),3.73(2H,s),4.23(2H,s),4.50(2H,s),7.03(1H,s),7.18(1H,dd,J=8.4,1.5Hz),7.42(1H,dd,J=1.5,0.6Hz),7.50(1H,dd,J=8.4,0.6Hz),7.74(2H,d,J=9.0Hz),7.89(2H,d,J=9.0Hz)
α-20 -2	α-20		CH2OnPr	S	H,H	H	H	H	H	H	Me	H	Me		
α-19 -1	α-19		Me	O	H,H	H	H	H	H	H	H	H	Me		2.38(3H,s),3.70(3H,s),3.75(2H,s),5.24(2H,s),6.89(1H,dd,J=8.7,2.4Hz),7.03(1H,s),7.09(1H,s),7.51(1H,d,J=8.7Hz),7.73-7.84(4H,m),8.00(1H,s)
α-19 -2	α-19		Me	O	H,H	H	H	H	H	H	Me	H	Me		2.32(3H,s),3.59(2H,s),3.71(3H,s),5.29(2H,s),6.80(1H,dd,J=8.7,2.1Hz),7.11(1H,s),7.16(1H,d,J=2.1Hz),7.41(1H,d,J=8.7Hz),7.93(2H,d,J=8.7Hz),8.00(2H,d,J=8.7Hz),12.14(1H,br)
α-19 -3	α-19		Me	O	H,H	H	H	H	H	H	nPr	H	Me		0.93(3H,q,J=7.2Hz),1.80-1.87(2H,m),2.34(3H,s),3.69(3H,s),3.73(2H,s),3.99(2H,t,J=7.2Hz),5.26(2H,s),6.87(1H,dd,J=8.7,2.4Hz),6.94(1H,d,J=2.1Hz),6.99(1H,s),7.49(1H,d,J=8.7Hz),7.75(2H,d,J=8.7Hz),7.83(2H,d,J=8.7Hz)
α-20 -3	α-20		CH2OnPr	S	H,H	H	H	H	H	H	Me	H	Me		0.94(3H,t,J=7,5Hz),1.59-1.70(2H,m),3.46(3H,t,J=6.6Hz),3.69(3H,s),3.71(3H,s),3.73(2H,s),4.22(2H,s),4.48(2H,s),7.03(1H,m), 7.19 (1H, dd, J=8.1,1.5Hz),7.42(1H,m),7.46(2H,d),J=8.4Hz),7.50(1H,d,J=8.1Hz),7.70(2H,d,J=8.4Hz)
α-19 -4	α-19		Me	O	H,H	H	H	H	Me	H	Me	H	Me		1.57(3H,d,J=6.9Hz),2.34(3H,s),3.66(3H,s),3.71(3H,s),3.96(1H),5.26(2H,s),6.85-6.92(3H,m),7.56(1H,d,J=8.7Hz),7.75(2H,d,J=8.7Hz),7.84(2H,dJ=8.7Hz)
α-19 -5	α-19		CH2OEt	O	H,H	H	H	H	H	H	Me	H	Me		1.26(3H,t,J=6.9Hz),3.60(2H),3.69(3H,s),3.71(3H,s),3.73(2H,s)4.58(2H,s),5.32(2H,s),6.85-6.95(3H,m),7.49(1H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz),7.95(2H,dJ=8.4Hz)

Table 154

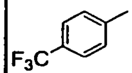
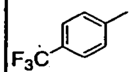
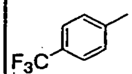
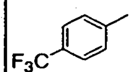
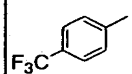
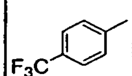
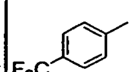
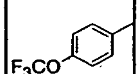
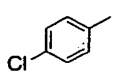
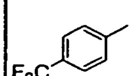
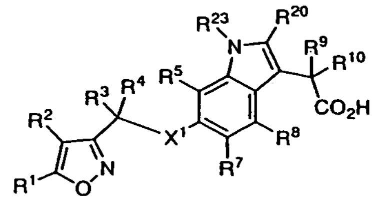
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R23	R20	R17	mp	NMR(CDCl3 or DMSO-d6)
α-19 -6	α-19		CH2OnPr	O	H,H	H	H	H	H	H	Me	H	Me		0.92(3H,t,J=7.2Hz),1.25(2H,tJ=7.2Hz),1.61(2H),3.69(3H,s),3.71(3H,s,),3.73(2H,s),4.57(2H,s),5.52(2H,s),6.85-6.95(2H,m),7.49(1H,d,J=8.4Hz),7.75(2H,dJ=7.1Hz),7.95(2H,d,J=7.1Hz)
α-19 -7	α-19		CH2OEt	O	H,H	H	H	H	Me	H	Me	H	Me		1.24(3H,t,J=6.9Hz),1.58(3H,d,J=8.4Hz),3.60(2H),3.66(3H,s),3.71(2H,s),4.58(2H,s),5.32(2H,s),6.84-6.92(3H,m),7.56(1H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz),7.96(2H,dJ=8.4Hz)
α-20 -4	α-20		Me	S	H,H	H	H	H	H	H	Me	H	Me		2.24(3H,s),3.69(3H,s),3.71(3H,s),3.73(3H,s),4.12(2H),4.14(2H,s),6.61(2H,d,J=9.0Hz),7.03-7.52(4H,m,),7.73(2H,dJ=8.1Hz),7.80(2H,d,J=8.1Hz)
α-19 -8	α-19		Me	O	H,H	H	H	H	Me	Me	Me	H	Me		1.65(6H,s,),2.35(3H,s),3.60(2H),3.63(3H,s),3.70(3H,s),5.26(2H,s),6.82-6.92(3H,m),7.53(1H,d,J=8.4Hz),7.64(2H,d,J=8.4Hz),7.83(2H,dJ=8.4Hz)
α-20 -5	α-20		Me	S	H,H	H	H	H	Me	H	Me	H	Me		1.58(3H,s),2.26(3H,s),3.65(3H,s),3.70(3H,s),3.98(1H),4.10(2H,s),6.99(1H,s),7.17(1H,dd,J=8.4,J=1.5Hz),7.38(1H,d,J=1.5Hz),7.57(1H,dJ=8.7Hz),7.73(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)
α-20 -6	α-20		CH2OEt	S	H,H	H	H	H	H	H	Me	H	Me		1.23(3H,t,J=6.9Hz),3.58(2H,q,J=7.2Hz),3.69(3H,s),3.71(3H,s),3.73(2H,s),4.23(2H,s),4.514(2H,s),7.03(1H,s),7.19(14H,dd,J=8.1Hz,J=0.9Hz),7.43(1H,m),7.50(1H,d,J=8.1Hz),7.75(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz)
α-20 -7	α-20		CH2OEt	S	H,H	H	H	H	Me	H	Me	H	Me		
α-20 -8	α-20		CH2OEt	S	H,H	H	H	H	H	H	Me	H	Me		1.25(3H,t,J=6.9Hz),3.57(2H,q,J=6.9Hz),3.69(3H,s),3.71(3H,s),3.73(3H,s),4.22(2H,s),4.49(2H,s),7.18(1H,dd,J=8.4,J=1.2Hz),7.32(2H,d,J=8.4Hz),7.42(1H,s),7.50(1H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz)
α-20 -9	α-20		CH2OEt	S	H,H	H	H	H	H	H	Me	H	Me		
α-20 -10	α-20		CH=NOEt	S	H,H	H	H	H	H	H	Me	H	Me		1.35(3H,d,J=7.21Hz),3.69(2H,s,),3.72(3H,s),3.73(2H,s),4.24(2H,q,J=6.9Hz),4.36(2H,s,),7.02(1H,s,),7.19(1H,dd,J=8.4,J=1.5Hz),7.43(1H,d,J=0.9Hz),7.51(1H,d,J=8.1Hz),7.75(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz)

Table 155



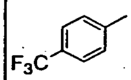
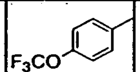
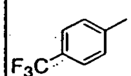
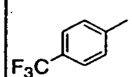
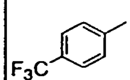
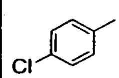
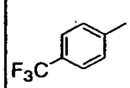
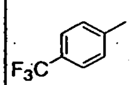
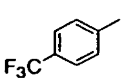
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R23	R20	mp	NMR(CDCl3 or DMSO-d6)
β-13 -1	β-13		CH2OnPr	S	H,H	H	H	H	H	H	Me	H	108-110	0.85(3H,t,J=7.2Hz),1.53(2H),3.42(2H,t,J=6.6Hz),3.60(2H,s),3.70(3H,s),4.31(2H,s),4.53(2H,s),7.09(1H,dd,J=8.1,1.5Hz),7.23(1H,s),7.46(1H,d,J=8.1Hz),7.51(1H,d,J=1.5Hz),7.93(2H,d,J=8.7Hz),7.99(2H,d,J=8.7Hz)
β-13 -2	β-13		CH2OnPr	S	H,H	H	H	H	H	H	Me	H	96-98	0.94(3H,t,J=7.2Hz),1.58-1.70(2H,m),3.47(2H,t,J=6.6Hz),3.71(3H,s),3.75(2H,s),4.22(2H,s),4.48(2H,s),7.03(1H,s),7.17-7.51(5H,m),7.80(2H,d,J=9.0Hz)
β-12 -1	β-12		Me	O	H,H	H	H	H	H	H	H	H	213	2.31(3H,s),3.59(2H,s),5.23(2H,s),6.75(1H,dd,J=8.7,1.5Hz)7.04(1H,s),7.11(1H,s),7.09(1H,d,J=8.7Hz)7.91-8.00(4H,m),10.8(1H,s),12.1(1H,br)
β-12 -2	β-12		Me	O	H,H	H	H	H	H	H	Me	H	166-167	2.32(3H,s),3.57(2H,s),3.71(3H,s),5.29(2H,s),6.78(1H,dd,J=8.7,2.1Hz),7.10(1H,s),7.15(1H,d,J=2.4Hz),7.40(1H,d,J=8.7Hz),7.93(2H,d,J=8.4Hz),7.99(2H,d,J=8.4Hz)
β-12 -3	β-12		Me	O	H,H	H	H	H	H	H	nPr	H	155-157	0.93(3H,t,J=7.2Hz),1.80-1.87(2H,m),2.34(3H,s),3.76(2H,s),3.99(2H,t,J=7.2Hz),5.26(2H,s),6.87(1H,dd,J=8.7,2.4Hz),6.95(1H,d,J=2.1Hz),7.00(1H,s),7.48(1H,d,J=8.4Hz),7.74(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz)
β-13 -3	β-13		CH2OnPr	S	H,H	H	H	H	H	H	Me	H	132.0 – 133.5	0.94(3H,t,J=7.5Hz),1.57-1.69(2H,m),3.46(2H,t,J=6.6Hz),3.71(3H,s),3.76(2H,s),4.22(2H,s),4.47(2H,s),7.03(1H,s),7.19(1H,dd,J=8.4,1.5Hz),7.42(1H,m),7.45(2H,d,J=8.4Hz),7.50(1H,d,J=8.4Hz),7.69(2H,d,J=8.4Hz)
β-12 -4	β-12		Me	O	H,H	H	H	H	Me	H	Me	H	156-157	1.59(3H,d,J=9.0Hz),2.34(3H,s),3.70(3H,s),3.97(1H),5.26(2H,s),6.86(1H,dd,J=8.7Hz,J=2.1Hz),6.92(1H,s),7.56(1H,d,J=8.7Hz),7.74(2H,d,J=8.4Hz),7.83(2H,dJ=8.7Hz)
β-12 -5	β-12		CH2OEt	O	H,H	H	H	H	H	H	Me	H	126-140	1.23(3H,t,J=7.2Hz),3.60(2H),3.71(3H,s),3.75(2H,s)4.57(2H,s),5.32(2H,s),6.87(1H,dd,J=8.4Hz,J=2.1Hz),6.93(1H,d,J=1.8Hz),6.95(1Hs)7.48(1H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz),7.95(2H,dJ=8.4Hz)
β-12 -6	β-12		CH2OnPr	O	H,H	H	H	H	H	H	Me	H	122-123	0.92(3H,t,J=7.2Hz),1.63(2H),3.49(3H,t,J=6.6Hz),3.71(3H,s,),3.75(2H,s),4.57(2H,s),5.31(2H,s),6.87(2H,dd,J=8.7Hz,J=2.1Hz),6.93(1H,d,J=1.8Hz),6.95(1H,s),7.49(1H,d,J=8.7Hz),7.76(2H,dJ=7.1Hz),7.96(2H,d,J=7.1Hz)

Table 156

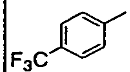
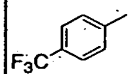
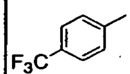
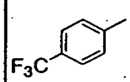
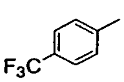
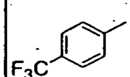
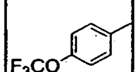
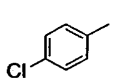
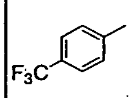
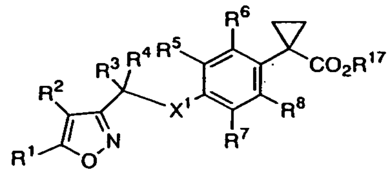
No	Synthetic method	R1	R2	X1	R3,R4	R5	R7	R8	R9	R10	R23	R20	mp	NMR(CDCl3 or DMSO-d6)
β-12-7	β-12		CH2OEt	O	H,H	H	H	H	Me	H	Me	H	129-130	1.23(3H,t,J=6.9Hz),1.59(3H,d,J=7.2Hz),3.60(2H),3.71(3H,s),3.97(1H),4.57(2H,s),5.31(2H,s),6.86(1H,dd,J=8.7Hz,J=2.1Hz),6.91(1H,d,J=1.8Hz),6.92(1H,s),7.56(1H,d,J=8.7Hz),7.75(2H,d,J=8.4Hz),7.96(2H,dJ=8.4Hz)
β-13-4	β-13		Me	S	H,H	H	H	H	H	H	Me	H	124-125	2.24(3H,s),3.71(3H,s),3.75(2H,s),4.14(2H,s),7.18(1H,dd,J=8.4Hz,J=2.1Hz),7.40(1H,d,J=1.5Hz),7.49(1H,dd,J=8.4Hz,J=2.1Hz),7.72(2H,dJ=8.4Hz),7.79(2H,d,J=8.4Hz)
β-12-8	β-12		Me	O	H,H	H	H	H	Me	Me	Me	H	198-199	1.67(6H,s,),2.33(3H,s),3.71(3H,s),5.25(2H,s),6.83(1H,dd,J=8.4Hz,J=2.1Hz),6.87(1H,s),6.91(1H,d,J=2.4Hz),7.57(1H,d,J=6.0Hz),7.74(2H,d,J=8.4Hz),7.83(2H,dJ=8.4Hz)
β-13-5	β-13		Me	S	H,H	H	H	H	Me	H	Me	H	135-136	1.58(3H,d,J=7.2Hz),2.24(3H,s),3.69(3H,s),3.95(2H,s),4.13(2H,s),7.00(1H,s),7.16(1H,dd,J=8.1Hz,J=1.51Hz),7.38(1H,d,J=0.9),7.57(1H,d,J=8.4Hz),7.73(2H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz)
β-13-6	β-13		CH2OEt	S	H,H	H	H	H	H	H	Me	H	101-102	1.25(3H,t,J=6.9Hz),3.57(2H,q,J=7.2Hz),3.71(3H,s),3.7(2H,s),4.23(2H,s),7.03(1H,s),7.18(14H,dd,J=8.1Hz,J=0.9Hz),7.42(1H,s),7.49(1H,d,J=8.1Hz),7.73(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz)
β-13-7	β-13		CH2OEt	S	H,H	H	H	H	Me	H	Me	H	69-70	1.25(3H,t,J=6.9Hz),1.57(3H,d,J=7.2Hz),3.59(2H),3.70(3H,s),3.97(1H),4.23(2H,s),4.50(2H,s),7.00(1H,s),7.17(1H,dd,J=8.7Hz,J=2.1Hz),7.40(1H,d,J=1.8Hz),7.57(1H,d,J=8.7Hz),7.75(2H,d,J=8.4Hz),7.96(2H,dJ=8.4Hz)
β-13-8	β-13		CH2OEt	S	H,H	H	H	H	H	H	Me	H	85-86	1.25(3H,t,J=6.9Hz),3.57(2H),3.71(3H,s),3.57(2H,s),4.22(2H,s),4.48(2H,s),7.03(1H,s),7.18(14H,dd,J=8.1Hz,J=0.9Hz),7.32(1H,d,7.6Hz),7.42(1H,d,J=1.2Hz),7.49(1H,d,J=7.2Hz),7.79(4H,d,J=8.4Hz)
β-13-9	β-13		CH2OEt	S	H,H	H	H	H	H	H	Me	H	119-120	1.24(3H,t,J=6.9Hz),3.55(2H),3.70(3H,s),3.74(2H,s),4.22(2H,s),4.43(2H,s),7.03(1H,s),7.18(1H,dd,J=8.1Hz,J=0.9Hz),7.41-7.51(4H,m),7.68(2H,d,J=8.4Hz)
β-13-10	β-13		CH=NOEt	S	H,H	H	H	H	H	H	Me	H	72-73	1.35(3H,t,J=6.9Hz),3.72(3H,s),3.76(2H,s),4.24(2H),4.36(2H,s),7.03(1H,s),7.20(1H,d,J=8.4Hz),7.44(1H,s,),7.50(1H,d,J=8.4Hz),7.74(1H,d,J=8.4Hz),7.83(4H,d,J=8.4Hz)

Table 157



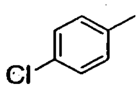
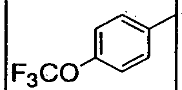
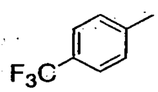
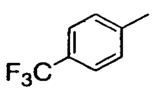
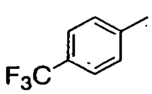
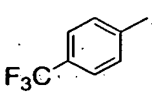
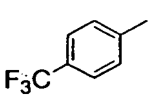
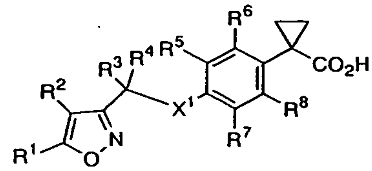
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R17	mp	NMR(CDCl3 or DMSO-d6)
α-21-1	α-21		CH2OEt	S	H,H	H	H	H	H	Me		1.14-1.17(2H,m), 1.25(3H,t,J=6.9Hz), 1.57-1.60(2H,m), 3.56(2H,q,J=6.9Hz), 3.61(3H,s), 4.23(2H,s), 4.49(2H,s), 7.26(2H,d,J=8.4Hz),7.36(2H,d,J=8.4Hz), 7.46(2H,d,J=8.4Hz), 7.68(2H,d,J=8.4Hz)
α-21-2	α-21		CH2OEt	S	H,H	H	H	H	H	Me		1.14-1.17(2H,m), 1.26(3H,t,J=7.2Hz), 1.57-1.61(2H,m), 3.58(2H,q,J=7.2Hz), 3.61(3H,s), 4.23(2H,s), 4.50(2H,s), 7.25-7.37(6H,m), 7.79(2H,d,J=8.7Hz)
α-21-3	α-21		Me	S	H,H	H	H	H	H	Me		1.14-1.18(2H,m),1.58-1.62(2H,m),2.26(3H,s),3.61(3H,s),4.15(2H,s),7.27(2H,d,J=8.7Hz), 7.36 (2H,d,J=8.7Hz), 7.73 (2H, d, J=8.1 Hz), 7.81 (2H, d,J=8.1Hz)
α-21-4	α-21		CH2OnPr	S	H,H	H	H	H	H	Me		0.96(3H,t,J=7.5Hz),1.14-1.17(2H,m), 1.58-1.69(4H,m),3.49(2H,t,J=6.6Hz), 3.62(3H,s),4.24(2H,s),4.51(2H,s),7.27(2H, d,J=8.4Hz),7.36(2H,d,J=8.4 Hz), 7.75 (2H, d, J=8.7 Hz), 7.88 (2H, d, J=8.7 Hz)
α-21-5	α-21		CH=NOEt	S	H,H	H	H	H	H	Me		1.15-1.18(2H,m),1.35(3H,t,J=7.2Hz), 1.57-1.61(2H,m), 3.62 (3H, s), 4.34 (2H, q,J=7.2Hz),4.38(2H,s),7.27(2H,d,J=8.4Hz),7.38(2H,d,J=8.4Hz),7.76(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz), 8.18 (1H, s)
α-21-6	α-21		CH=NOMe	S	H,H	H	H	H	H	Me		1.14-1.20(2H,m),1.58-1.61(2H,m),3.62(3H,s),3.98(3H,s),4.38(2H,s),7.27(2H,d,J=8.1Hz),7.38(2H,d,J=8.1Hz),7.76(2H,d,J=8.4Hz),7.82(2H,d,J=8.4Hz),8.15(1H, s)
α-21-7	α-21		CH2OEt	S	H,H	H	H	H	H	Me	oil	1.16(2H,m),1.26(3H,t,J=7.2Hz),1.60(2H,m),3.59(2H,q,J=7.2Hz),3.62(3H,s),4.25(2H,s),4.52(2H,s),7.27(2H,d,J=8.4Hz),7.36(2H,d,J=8.4Hz),7.76(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz)

Table 158



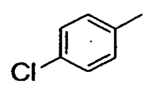
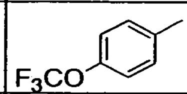
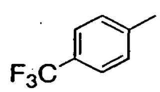
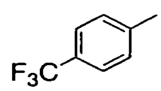
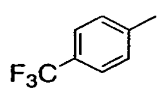
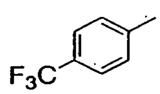
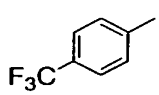
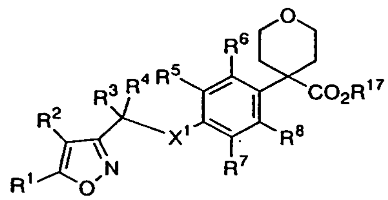
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	Mp	NMR(CDCl3 or DMSO-d6)
β-14 -1	β-14		CH2OEt	S	H,H	H	H	H	H	86-88	1.21-1.26(5H,m), 1.64-1.67(2H,m), 3.55 (2H,q,J=6.9Hz), 4.22(2H,s), 4.46(2H,s), 7.27(2H,d,J=8.4Hz),7.36(2H,d,J=8.4Hz), 7.45(2H,d,J=8.7Hz), 7.67(2H,d,J=8.7Hz)
β-14 -2	β-14		CH2OEt	S	H,H	H	H	H	H	83-84	1.22-1.27(2H,m), 1.64-1.66(2H,m), 3.56 (2H,q,J=7.2Hz), 4.22(2H,s), 4.47(2H,s), 7.24-7.37(6H,m), 7.77(2H,d,J=9.0Hz)
β-14 -3	β-14		Me	S	H,H	H	H	H	H	136.0-137.0	1.22-1.26(2H,m),1.65-1.68(2H,m),2.24 (3H,s),4.14(2H,s),7.29(2H,d,J=8.1Hz), 7.36(2H,d,J=8.1Hz),7.73(2H,d,J=8.7Hz), 7.81(2H,d,J=8.7Hz)
β-14 -4	β-14		CH2OnPr	S	H,H	H	H	H	H	76-77	0.85(3H,t,J=7.5Hz),1.09-1.13(2H,m), 1.41-1.45(2H,m),1.47-1.59(2H,m),3.43 (2H,t,J=6.6Hz),4.36(2H,s),4.52(2H,s), 7.28(2H,d,J=8.4Hz),7.35(2H,d,J=8.4Hz), 7.94(2H,d,J=8.7Hz),8.00(2H,d,J=8.7Hz), 12.34 (1H, br s)
β-14 -5	β-14		CH=NOEt	S	H,H	H	H	H	H	144.5-146.0	1.22-1.25(2H,m),1.34(3H,t,J=7.2Hz), 1.64-1.67(2H,m),4.23(2H,q,J=7.2Hz), 7.27(2H,d,J=8.4Hz),7.38(2H,d,J=8.4Hz), 7.75(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz), 8.17 (1H, s)
β-14 -6	β-14		CH=NOMe	S	H,H	H	H	H	H	142.5-144.5	1.22-1.26(2H,m),1.64-1.67(2H,m),3.97 (3H,s),4.38(2H,s),7.28(2H,d,J=8.4Hz), 7.38(2H,d,J=8.4Hz),7.76(2H,d,J=8.4Hz), 7.81(2H,d,J=8.4Hz),8.14(1H,s)
β-14 -7	β-14		CH2OEt	S	H,H	H	H	H	H		1.24(5H,m),1.66(2H,m),3.56(2H,m),4.22(2H,s),4.28(2H,s),7.27(2H,d,J=8.4Hz),7.36(2H,d,J=8.4Hz),7.73(2H,d,J=8.4Hz),7.86(2H,d,J=8.4Hz)

Table 159



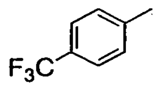
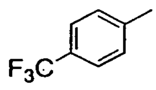
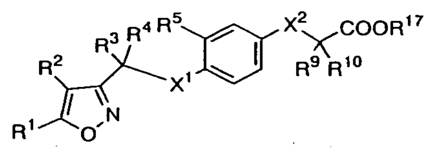
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R17	Mp	NMR(CDCl3 or DMSO-d6)
FF-1			Me	S	H,H	H	H	H	H	Me		1.95(2H,m,),2.26(3H,s),2.49(2H,dd,J=13.2Hz,J=2.1Hz),3.54(2H,td,J=10.5Hz,J=2.1Hz),3:66(3H,s),3.92(2H,td,J=12.0Hz,J=3.6Hz),4.15(2H,s),7.30(2H,d,J=8.7Hz),7.39(2H,d,J=9.0Hz),7.74(2H,d,J=8.1Hz),7.81(2H,d,J=8.1Hz)
FF-2			Me	S	H,H	H	H	H	H	H		1.96(2H,td,J=11.6Hz),2.26(3H,s),2.48(2H,d,J=12.0Hz),3.60(2H,t,J=11.6Hz),3.92(2H,dt,J=12.0Hz,3.6Hz),4.14(2H,s),7.23-7.41(4H,m),7.71～7.82(4H,m)

Table 160



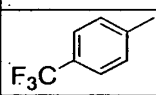
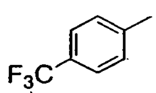
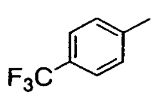
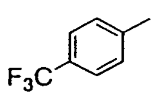
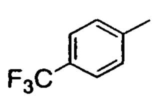
No	Synthetic method	R1	R2	X1	R3,R4	R5	X2	R9	R10	R17	mp	NMR(CDCl3 or DMSO-d6)
DD-1			Me	S	H,H	H	CH2	H	H	Me		Rf=0.5 (n-hexane/AcOEt=2/1)
DD-2			Me	S	H,H	Cl	Single bond	H	H	Me		2.30(3H,s), 3.70(3H,s), 3.70(2H,s), 4.18(2H,s), 7.15(1H,dd,J=1.8Hz,8.1Hz),7.33(1H,d,J=1.8Hz), 7.47(1H,d,J=8.1Hz), 7.74(2H,d,J=8.4Hz), 7.81(2H,d,J=8.4Hz)
DD-3			Me	S	H,H	H	Single bond	H	H	Me		2.26(3H,s), 3.59(2H,s), 3.68(3H,s),4.13(2H,s), 7.21(2H,d,J=8.4Hz),7.34(2H,d,J=8.4Hz), 7.74(2H,d,J=8.1Hz), 7.81(2H,d,J=8.1Hz)
DD-4			Me	S	H,H	H	CH=CH	H	H	Me		2.27(3H,s),3.24(2H,d,J=6.9Hz),3.71(3H,s),4.13(2H,s),6.28(1H,dt,J=15.9Hz,J=6.9Hz),6.44(1H,d,J=15.9Hz),7.29(2H,d,J=8.7Hz),7.35(2H,d,J=8.4Hz),7.81(2H,d,J=8.1Hz)
DD-5			Me	S	H,H	H	Single bond	Me	H	Me		1.27(3H,d,J=7.2Hz),2.24(3H,s),2.56(2H,m),3.25(1H,m),3.61(3H,s),4.11(2H,s),7.15(2H,d,J=8.1Hz),7.34(2H,d,J=8.4Hz),7.73(2H,d,J=8.4Hz),7.81(2H,d,J=8.4Hz)

Table 161

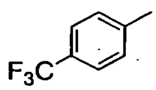
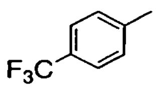
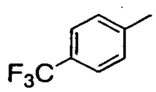
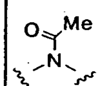
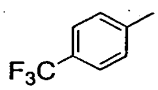
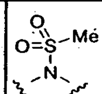
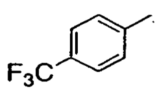
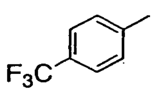
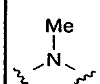
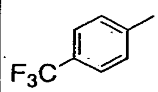
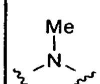
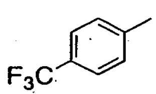
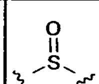
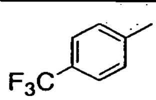
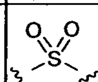
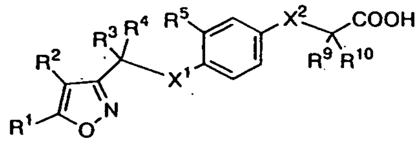
No	Synthetic method	R1	R2	X1	R3,R4	R5	X2	R9	R10	R17	mp	NMR(CDCl3 or DMSO-d6)
DD-6			CH2OEt	S	H,H	H	Single bond	Me	H	Me		1.26(3H,t,J=7.2Hz),1.48(3H,d,J=7.5Hz),3.58(2H,q,J=7.2Hz),3.65(3H,s),4.23(2H,s),4.52(2H,m),7.24(2H,d,J=8.4Hz),7.38(2H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz),7.88(2H,d,J=7.8Hz)
DD-7			CH2OEt	S	H,H	H	Single bond	H	H	Me		1.26(3H,d,J=7.2Hz),3.59(2H,q,J=7.2Hz),3.59(2H,s),3.68(3H,s),4.23(2H,s),4.52(2H,s),7.21(2H,d,J=8.4Hz),7.38(2H,d,J=8.4Hz),7.75(2H,d,J=8.1Hz),7.87(2H,d,J=8.4Hz)
DD-8			Me	S	H,H	H		H	H	Me		1.91(3H,s),2.31(3H,s)3.73(3H,s),4.17(2H,s),4.34(2H,s),7.28(2H,d,J=8.4Hz),7.42(2H,dJ=8.4Hz),7.47(2H,d,J=8.4Hz),7.89(2H,d,J=8.4Hz)
DD-9			Me	S	H,H	H		H	H	Me		2.28(3H,s),3.10(3H,s),3.77(3H,s),4.15(2H,s),4.43(2H,s),7.39-7.42(4H,m),7.74(2H,dJ=8.4Hz),7.82(2H,d,J=8.4Hz)
DD-10			Me	S	H,H	H	NH	H	H	Me		12.29(3H,s),3.61(3H,s),3.89(1H,s),3.91(1H,s)4.03(2H,s),6.49(2H,d,J=8.4Hz),7.13(2H,d,J=8.4Hz),7.89-7.96(4H,m)
DD-11			Me	S	H,H	H		H	H	Me		2.20(3H,s),3.06(3H,s),3.71(3H,s),3.98(2H,s),4.06(2H,s),6.61(2H,d,J=9.0Hz),7.29(2H,d,J=9.0Hz),7.74(2H,dJ=8.1Hz),7.83(2H,d,J=8.1Hz)
DD-12			Me	O	H,H	H		H	H	Me		
DD-13			Me	O	H,H	H		H	H	Me		
DD-14			Me	O	H,H	H		H	H	Me		

Table 162



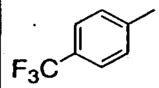
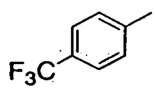
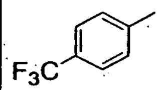
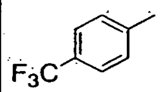
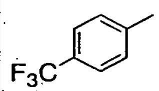
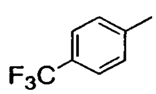
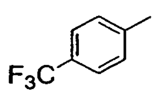
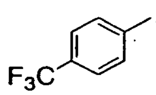
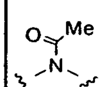
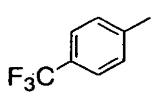
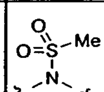
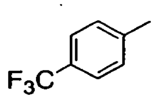
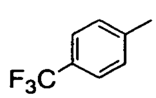
No	Synthetic method	R1	R2	X1	R3,R4	R5	X2	R9	R10	Mp	NMR(CDCl3 or DMSO-d6)
DDD-1			Me	S	H,H	H	CH2	H	H	157-158.5	2.32(3H,s), 2.66(2H,t,J=7.8Hz),2.92(2H,t,J=7.8Hz), 5.17(2H,s),6.96(2H,d,J=8.7Hz), 7.15(2H,d,J=8.7Hz),7.74(2H,d,J=8.7Hz), 7.84(2H,d,J=8.7Hz)
DDD-2			Me	S	H,H	Cl	Single bond	H	H	163-164	2.29(3H,s), 3.61(sH,s), 4.17(2H,s),7.15(1H,dd,J=1.8Hz,8.1Hz),7.34(1H,d,J=1.8Hz), 7.48(1H,d,J=8.1Hz),7.73(2H,d,J=8.4Hz), 7.80(2H,d,J=8.4Hz)
DDD-3			Me	S	H,H	H	Single bond	H	H	141-143	2.25(3H,s), 3.62(2H,s), 4.13(2H,s), 7.21(2H,d,J=8.4Hz), 7.37(2H,d,J=8.4Hz), 7.73(2H,d,J=8.4Hz), 7.80(2H,d,J=8.4Hz)
DDD-4			Me	S	H,H	H	CH=CH	H	H	147-148	2.27(3H,s),3.29(2H,d,J=6.9Hz),4.14(2H,s),6.27(1H,dt,J=16.2Hz,J=6.6Hz),6.46(1H,d,J=16.2Hz),7.30(2H,d,J=8.4Hz),7.35(2H,d,J=8.1Hz),7.73(2H,d,J=8.4Hz),7.81(2H,d,J=8.1Hz)
DDD-5			Me	S	H,H	H	Single bond	Me	H	105-109	1.48(3H,d,J=7.2Hz),2.24(3H,s),3.70(1H,q,J=7.2Hz),4.13(2H,s),7.25(2H,d,J=8.4Hz),7.37(2H,d,J=8.4Hz),7.73(2H,d,J=8.4Hz),7.80(2H,d,J=8.4Hz)
DDD-6			CH2OEt	S	H,H	H	Single bond	Me	H	98-100	1.26(3H,t,J=6.9Hz),1.50(2H,d,J=7.2Hz),3.58(2H,q,J=6.9Hz,),3.73(1H,q,J=7.2Hz),4.23(2H,s),4.51(2H,s),7.26(2H,d,J=8.4Hz),7.39(2H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz)
DDD-7			CH2OEt	S	H,H	H	Single bond	H	H	118-119	1.25(3H,t,J=7.2Hz),3.58(2H,q,J=7.2Hz),3.59(2H,s,),4.22(2H,s),4.51(2H,s),7.20(2H,d,J=8.1Hz),7.37(2H,d,J=8.1Hz),7.74(2H,d,J=8.1Hz),7.85(2H,d,J=8.1Hz)
DDD-8			Me	S	H,H	H		H	H	171-172	1.80(3H,s),2.26(3H,s),4.21(2H,s),4.39(2H,s),7.33(2H,dJ=8.4Hz),7.48(2H,d,J=8.4Hz),7.91(2H,d,J=8.4Hz),7.93(2H,d,J=8.4Hz)
DDD-9			Me	S	H,H	H		H	H	174-175	2.25(3H,s),3.07(3H,s),3.35(2H,s),4.39(2H,s),7.40(2H,d,J=8.4Hz),7.46(2H,d,J=8.4Hz,),7.91(2H,d,J=8.4Hz),7.95(2H,d,J=8.4Hz)
DDD-10			Me	S	H,H	H	NH	H	H	158-159	2.19(3H,s),3.78(2H,s),4.03(2H,s),6.49(2H,d,J=8.7Hz),7.13(2H,d,J=8.7Hz),7.91(2H,d,J=8.4Hz),7.95(2H,d,J=8.4Hz)
DDD-11			Me	S	H,H	H		H	H	106-107	2.19(3H,s),2.95(3H,s),,4.07(2H,s),4.09(2H,s),659(2H,d,J=8.7Hz),7.21(2H,d,J=8.7Hz),7.91(2H,dJ=8.7Hz),7.95(2H,d,J=8.1Hz)

Table 163

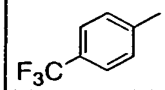
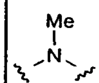
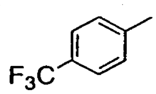
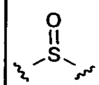
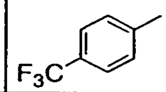
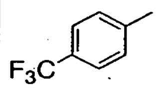
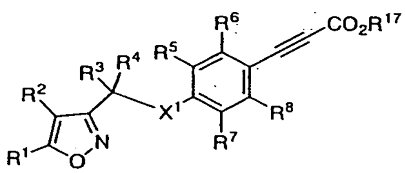
No	Synthetic method	R1	R2	X1	R3,R4	R5	X2	R9	R10	Mp	NMR(CDCl3 or DMSO-d6)
DDD-12			Me	O	H,H	H		H	H		
DDD-13			Me	O	H,H	H		H	H	165-167	
DDD-14			Me	O	H,H	H		H	H	132-140	
DDD-15			Me	S	H,H	H	Single bond	Me	Me		1.54(6H,s),2.25(3H,s),4.14(2H,s),7.27(2H,d,J=8.1Hz),7.33(2H,d,J=8.1Hz),7.73(2H,d,J=8.7Hz), 7.81(2H,d,J=8.7Hz)

Table 164



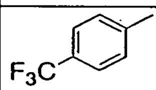
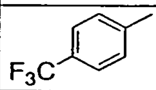
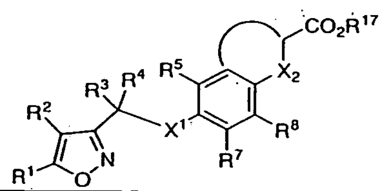
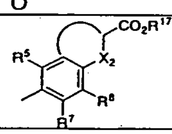
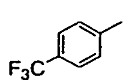
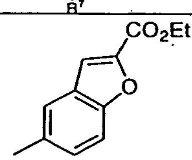
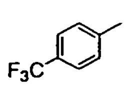
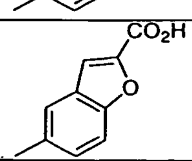
No	Synthetic method	R1	R2	X1	R3,R4	R5	R6	R7	R8	R17	mp	NMR(CDCl3 or DMSO-d6)
EE-1			Me	S	H,H	H	H	H	H	Me		
EE-2			Me	S	H,H	H	H	H	H	H		MS m/z 416 (M+H)$^+$

Table 165



No	Synthetic method	R1	R2	X1	R3,R4		mp	NMR(CDCl3 or DMSO-d6)
EEE-1			Me	O	H,H			1.43(3H,t,J=7.2Hz),2.35(3H,s),4.43(2H,q,J=7.2Hz),5.24(2H,s),7.16(1H,dd,J=9.0,2.7Hz),7.27(1H,d,J=2.7Hz),7.48(1H,s),7.51(1H,d,J=9.0Hz),7.75(2H,d,J=8.1Hz),7.84(2H,d,J=8.1Hz)
EEE-2			Me	O	H,H		216-217	2.35(3H,s),5.26(2H,s),7.19(1H,dd,J=9.0,2.7Hz)7.30(1H,s),7.54(1H,d,J=9.0Hz),7.62(1H,s),7.75(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz)

Test Example 1 Test for transcriptional activity of PPARδ and α

A chimeric transcription factor assay, which is commonly used to detect nuclear receptor activity, was employed to measure PPAR transcriptional activity. Specifically, two plasmids, one that expresses the fusion protein of DNA binding domain of yeast transcription factor GAL4 and a ligand binding domain of a receptor, and a reporter plasmid were transiently transfected to CHO cells. The activity of the promoter containing a recognition sequence of GAL4 coded on the reporter plasmid was used as a parameter to estimate the activity of the receptor.

Plasmid: The ligand binding domain of human PPARδ (hPPARδ) or α (hPPARα) (δ: aa 139 - C-end;α: aa 167 - C-end) is obtained by PCR amplification using Human Universal Quick-Clone cDNA (CLONTECH). Each amplified cDNA was subcloned into pCR2.1-TOPO vector (Invitrogen) and the identity of the cDNA clones was confirmed by the DNA sequence. Then, each obtained cDNA fragment was subcloned into pBIND vector (Promega) to construct a plasmid expressing the fusion protein with DNA binding domain of yeast transcription factor GAL4. pG5luc vector (Promega) was used as a reporter plasmid.

Cell culturing and transfection: CHO cells were cultured in 10% FBS-αMEM. With a 96-well plate (Costar), CHO cells, that were dispersed with trypsin treatment, 20000 cells per well and the two plasmids obtained by the above procedure, 25 ng per well, were transfected with FuGene Reagent (Roche) by following the instruction of the manufacture.

Measurement of the transcriptional activity: CHO cells 100 μl per well, which were transfected as above, were dispensed into the wells in which a test compound dissolved in DMSO 0.5 μl was spotted in advance. After the cells and a test compound were cultured together for 24 hours in a CO_2 incubator, the luciferase activity was measured by adding luciferase substrates, PicaGene LT2.0 (Toyo ink) 100 μl per well. LUMINOUS CT-9000D (DIA-IATRON) is used to measure the activity.

As to PPARδ, the concentration of a test compound which shows 1/2 of maximum luciferase activity was calculated using an Excel program to obtain the EC_{50} value for PPARδ activity of a test compound. The result is shown in Table 166.

As to PPARα, the proportionate increase of luciferase activity in the concentration of a test compound 1 μM and 10 μM in contrast to DMSO was calculated. The result is shown in Table 167.

Table 166

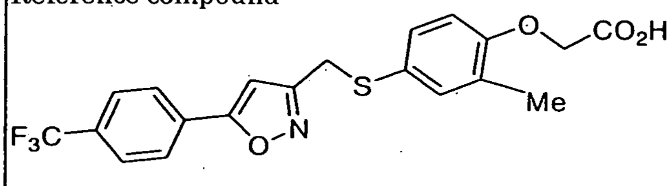
No.	EC_{50} (nM) hPPARδ
Reference compound 	37
α-7-3-1	9.5
β-1-3	9.9
β-1-15	1.5
β-1-8	11
β-4-1	16
β-5-1	14

Table 167

No.	HPPARα	
	1 μM	10 μM
β-1-32	22.9	44.5
β-1-33	18.4	40.7

Test Example 2 Test for inhibition of CYP2C9 enzyme

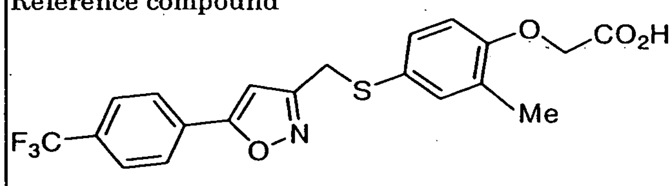
The test for inhibition of CYP2C9 enzyme is carried out with human liver microsomes and hydration activity of 4-position of tolbutamide that is a typical reaction of CYP2C9 as a parameter.

The reaction condition is as below. : A substrate, 5 μM Tolbutamide (^{14}C labeled compound);the reaction time, 30 minutes; the reaction temperature, 37 °C; the protein concentration, 0.25mg /ml (human liver microsomes, 15 pol, Lot. 210296,

XenoTech).

To the HEPES Buffer (pH 7.4), is added the protein (human liver microsomes), a drug solution and a substrate with the composition as the above. NADPH, which is a coenzyme of the reaction, is added thereto to start the reaction. After reacting for the fixed hours, 2N hydrochloric acid solution is added thereto and the reaction is stopped by removing protein. The remaining substrate drug and the generating metabolite are extracted with chloroform. The solvent is removed and the residue is redissolved in methanol. This solution was spotted on TLC, developed with chloroform: methanol: acetic acid = 90: 10: 1, contacted on the imaging plate for about 14-20 hours and analyzed by BAS2000. As to the generation activity of the metabolite, Tolbutamide 4-potition hydration body, the activity in case that the solvent dissolving a drug is added to the reaction assay is used as a control (100 %). The residual activity (%) in case that the test drug solution is added to the reaction is calculated.

Table 168

No.	EC_{50} (nM) HPPARδ	Residual activity (%) CYP2C9
Reference compound 	37	28
β-2-38	35	47